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NEWS	3	JUL 28	EPFULL enhanced with additional legal status information from the epline Register
NEWS	4	JUL 28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS	5	JUL 28	STN Viewer performance improved
NEWS	6	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced
NEWS	7	AUG 13	CA/CAPplus enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS	8	AUG 15	CAOLD to be discontinued on December 31, 2008
NEWS	9	AUG 15	CAPplus currency for Korean patents enhanced
NEWS	10	AUG 27	CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information
NEWS	11	SEP 18	Support for STN Express, Versions 6.01 and earlier, to be discontinued
NEWS	12	SEP 25	CA/CAPplus current-awareness alert options enhanced to accommodate supplemental CAS indexing of exemplified prophetic substances
NEWS	13	SEP 26	WPIDS, WPINDEX, and WPIX coverage of Chinese and and Korean patents enhanced
NEWS	14	SEP 29	IFICLS enhanced with new super search field
NEWS	15	SEP 29	EMBASE and EMBAL enhanced with new search and display fields
NEWS	16	SEP 30	CAS patent coverage enhanced to include exemplified prophetic substances identified in new Japanese- language patents
NEWS	17	OCT 07	EPFULL enhanced with full implementation of EPC2000
NEWS	18	OCT 07	Multiple databases enhanced for more flexible patent number searching
NEWS	19	OCT 22	Current-awareness alert (SDI) setup and editing enhanced
NEWS	20	OCT 22	WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT Applications
NEWS	21	OCT 24	CHEMLIST enhanced with intermediate list of pre-registered REACH substances
NEWS EXPRESS	JUNE 27 08		CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.
NEWS HOURS			STN Operating Hours Plus Help Desk Availability
NEWS LOGIN			Welcome Banner and News Items
NEWS IPC8			For general information regarding STN implementation of IPC 8

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 15:16:20 ON 27 OCT 2008

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

1.68

1.68

FILE 'REGISTRY' ENTERED AT 15:20:58 ON 27 OCT 2008

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STRUCTURE FILE UPDATES: 26 OCT 2008 HIGHEST RN 1066603-08-4

DICTIONARY FILE UPDATES: 26 OCT 2008 HIGHEST RN 1066603-08-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

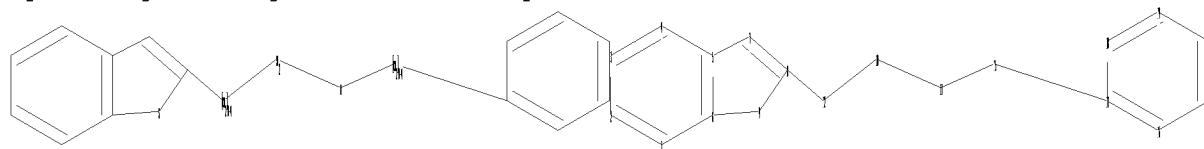
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10542579.str



chain nodes :

10 11 12 15

ring nodes :

1 2 3 4 5 6 7 8 9 16 17 18 19 20 21

chain bonds :

```

8-12 10-11 10-12 11-15 15-17
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 16-17 16-21 17-18 18-19 19-20
20-21
exact/norm bonds :
5-7 6-9 7-8 8-9 10-11
exact bonds :
8-12 10-12 11-15 15-17
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-21 17-18 18-19 19-20 20-21

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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom

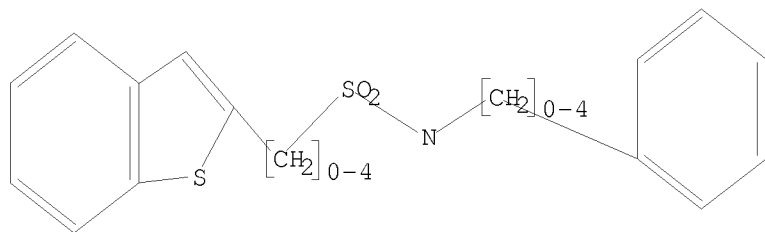
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L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS
L1 STR

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Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 15:21:18 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 160 TO ITERATE

100.0% PROCESSED 160 ITERATIONS 29 ANSWERS
SEARCH TIME: 00.00.01

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                        BATCH **COMPLETE**
PROJECTED ITERATIONS: 2442 TO 3958
PROJECTED ANSWERS: 257 TO 903

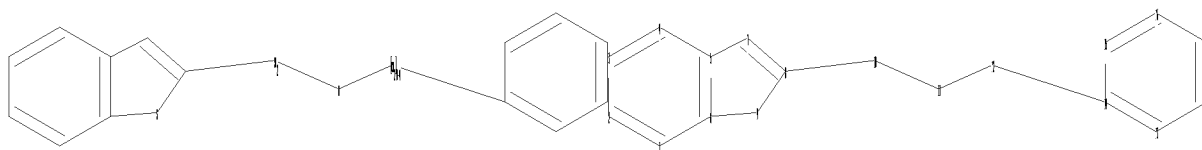
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L2 29 SEA SSS SAM L1

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Uploading C:\Program Files\Stnexp\Queries\10542579a.str

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chain nodes :
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ring nodes :
1 2 3 4 5 6 7 8 9 13 14 15 16 17 18
chain bonds :
8-10 10-11 11-12 12-14
ring bonds :
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17-18
exact/norm bonds :
5-7 6-9 7-8 8-9 10-11
exact bonds :
8-10 11-12 12-14
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18

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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom

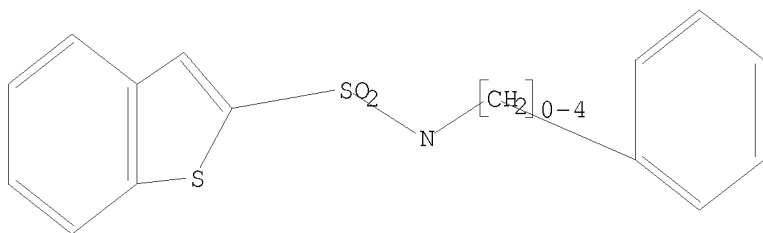
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L3 STRUCTURE UPLOADED

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=> d 13
L3 HAS NO ANSWERS
L3 STR

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Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 15:23:08 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 80 TO ITERATE

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100.0% PROCESSED          80 ITERATIONS          29 ANSWERS
SEARCH TIME: 00.00.01

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FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 1064 TO 2136  
PROJECTED ANSWERS: 257 TO 903

L4 29 SEA SSS SAM L3

=> search l2

ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:.  
ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:full  
FULL SEARCH INITIATED 15:23:38 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 2788 TO ITERATE

100.0% PROCESSED 2788 ITERATIONS 569 ANSWERS  
SEARCH TIME: 00.00.01

L5 569 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	180.20	181.88

FILE 'CAPLUS' ENTERED AT 15:23:42 ON 27 OCT 2008  
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FILE COVERS 1907 - 27 Oct 2008 VOL 149 ISS 18  
FILE LAST UPDATED: 26 Oct 2008 (20081026/ED)

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=> s l5

L6 152 L5

=> d l6 fbib ab hitstr 1-152

L6 ANSWER 1 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2008:1170727 CAPLUS  
TI 5-HT6/7 Receptor Antagonists Facilitate Dopamine Release in the Cochlea via a GABAergic Disinhibitory Mechanism

AU Doleviczenyi, Zoltan; Vizi, E. Sylvester; Gacsalyi, Istvan; Pallagi, Katalin; Volk, Balazs; Harsing, Laszlo G., Jr.; Halmos, Gyorgy; Lendvai, Balazs; Zelles, Tibor

CS Department of Pharmacology, Institute of Experimental Medicine, Hungarian Academy of Sciences, Budapest, 1083, Hung.

SO Neurochemical Research (2008), 33(11), 2364-2372  
CODEN: NEREDZ; ISSN: 0364-3190

PB Springer

DT Journal

LA English

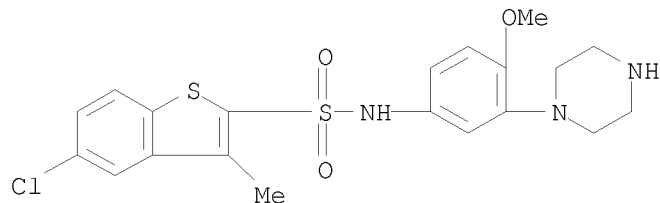
AB In humans, serotonin (5-HT) has been implicated in numerous physiol. and pathol. processes in the peripheral auditory system. Dopamine (DA), another transmitter of the lateral olivocochlear (LOC) efferents making synapses on cochlear nerve dendrites, controls auditory nerve activation and protects the sensory nerve against overactivation. Using in vitro microvolume superfusion techniques we tested 5-HT6 and 5-HT7 receptor antagonists whether they can influence dopamine (DA) release from the guinea-pig cochlea in control and in ischemic conditions using currently available and new 5-HT6 and 5-HT7 antagonists and mixed antagonists, which were synthesized and characterized for the current study. While the 5-HT7 antagonist SB-258719 was ineffective, SB-271046, which blocks the 5-HT6 receptor, caused a significant increase in cochlear DA release what is contradictory with the excitatory nature of this type of receptor. Moreover, the mixed 5-HT6/7 antagonist EGIS-12233 induced an even more pronounced increase in the resting DA release. To understand why the block of an excitatory receptor results in an increase instead of a decrease in function, we investigated the possible involvement of an indirect neural mechanism through an inhibitory system. In the presence of the GABAA receptor blocker bicuculline, EGIS-12233 failed to increase the release of DA, suggesting that the serotonin receptor modulation of DA release from the lateral olivocochlear efferents in the cochlea was produced indirectly by decreasing the GABAergic inhibitory tone on dopaminergic nerve endings. The mixed 5-HT7/D4 receptor antagonist EGIS-11983 significantly increased both the stimulation-evoked and the resting DA release, while the selective D4 blocker L-741,741 alone had no significant effect. Ischemia, simulated by oxygen and glucose deprivation from the perfusion solution had no action on the effect of the drugs. Drugs that can increase the release of DA from LOC terminals in the cochlea may have a role in the treatment of sensorineural hearing loss.

IT INDEXING IN PROGRESS

IT 209481-20-9, SB-271046  
RL: PAC (Pharmacological activity); BIOL (Biological study)  
(5-HT6/7 receptor antagonists facilitate dopamine release in the cochlea via a GABAergic disinhibitory mechanism)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD

## ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2008:1012610 CAPLUS

DN 149:261123

TI Preparation of modulators of acetyl coenzyme A carboxylase as fungicides and pharmaceuticals

IN Anderson, Richard; Hokama, Takeo; Lee, Shy-Fuh; Oey, Rafael; Elich, Tedd; Breazeale, Steven

PA Cropsolution, Inc., USA

SO U.S. Pat. Appl. Publ., 100pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20080200461	A1	20080821	US 2008-33925	20080220
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	WO 2008103354	A2	20080828	WO 2008-US2186	20080220
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	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
				US 2007-890643P	P 20070220

OS MARPAT 149:261123

AB The acetyl CoA carboxylase modulators R1NR2XNR3R4R5 [R1, R2 = H, (halo)alkyl, (halo)alkenyl, etc.; R3, R4 = (halo)alkyl, (halo)alkenyl, (halo)alkynyl, etc.; R1NR2, R3NR4 = ring; R5 = nonbonded pair of electrons, (halo)alkyl, (halo)alkenyl, etc.; X = (un)substituted C2-8 C bridge, optionally containing N, O or S] are prepared as fungicides and pharmaceuticals, particularly the treatment of obesity, metabolic syndrome, atherosclerosis, cardiovascular disease and insulin resistance, e.g., type II or adult-onset diabetes.

IT 1058136-22-3P 1058136-23-4P 1058136-24-5P

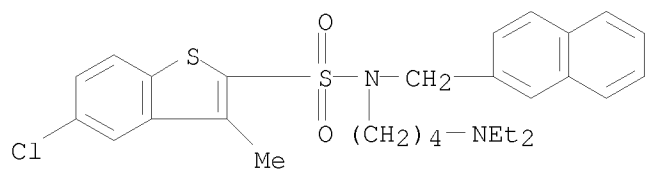
1058136-25-6P 1058136-82-5P 1058136-83-6P

RL: AGR (Agricultural use); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

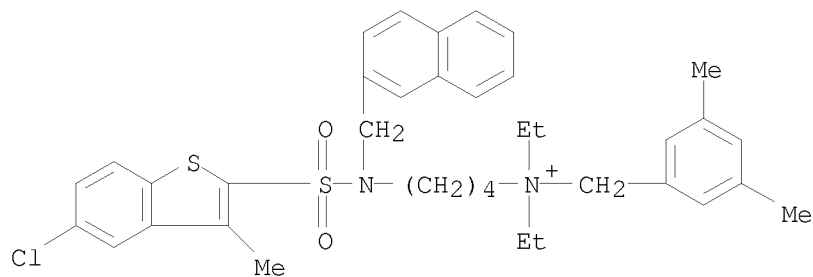
(preparation of modulator of acetylCoA carboxylase as fungicides and pharmaceuticals)

RN 1058136-22-3 CAPLUS

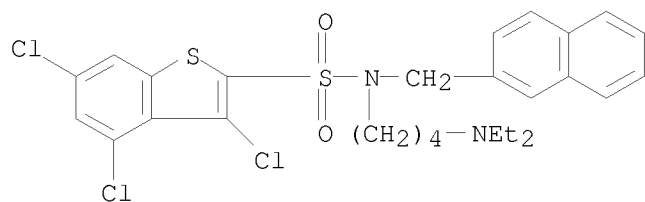
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(diethylamino)butyl]-3-methyl-N-(2-naphthalenylmethyl)- (CA INDEX NAME)



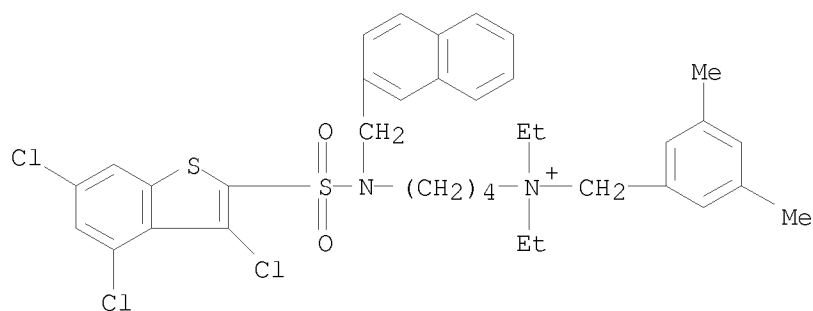
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CN INDEX NAME NOT YET ASSIGNED



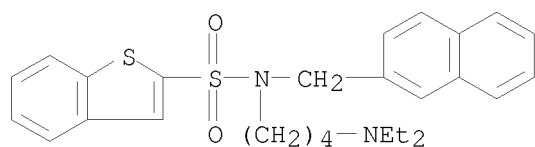
RN 1058136-24-5 CAPLUS  
CN Benzo[b]thiophene-2-sulfonamide, 3,4,6-trichloro-N-[4-(diethylamino)butyl]-N-(2-naphthalenylmethyl)- (CA INDEX NAME)



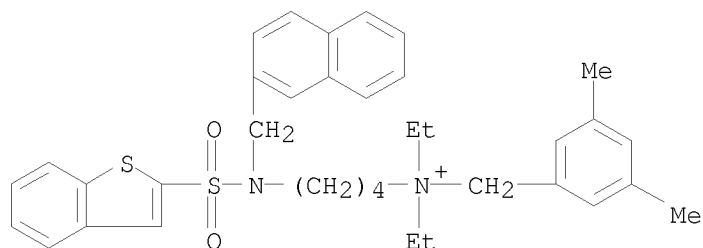
RN 1058136-25-6 CAPLUS  
CN Benzenemethanaminium, N,N-diethyl-3,5-dimethyl-N-[4-[(2-naphthalenylmethyl)[(3,4,6-trichlorobenzo[b]thien-2-yl)sulfonyl]amino]butyl]-, bromide (1:1) (CA INDEX NAME)



RN 1058136-82-5 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-[4-(diethylamino)butyl]-N-(2-naphthalenylmethyl)- (CA INDEX NAME)



RN 1058136-83-6 CAPLUS  
 CN INDEX NAME NOT YET ASSIGNED



L6 ANSWER 3 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2008:1001769 CAPLUS  
 DN 149:299937  
 TI Development of a scintillation proximity assay binding method for the human 5-hydroxytryptamine 6 receptor using intact cells  
 AU Carrick, Tikva; Kowal, Dianne; Nawoschik, Stanley; Zhang, Gouming; Chan, Karen; Dunlop, John  
 CS Neuroscience Discovery Research, Wyeth Research, Princeton, NJ, 08543, USA  
 SO Analytical Biochemistry (2008), 381(1), 27-32

CODEN: ANBCA2; ISSN: 0003-2697

PB Elsevier Inc.

DT Journal

LA English

AB We describe the first validated scintillation proximity assay (SPA) binding method for quantitation of 3H-labeled d-lysergic acid diethylamide (LSD) binding to recombinant human 5-hydroxytryptamine 6 (5-HT6) receptors expressed in Chinese hamster ovary (CHO)-Dukx and HeLa cells. The assay was developed using intact cells as a receptor source because membrane fractions derived from these cells failed to discern specific binding from a high level of nonspecific binding. The pharmacol. binding profile of seven 5-HT6 agonists and antagonists using intact CHO-Dukx/5-HT6 cells in the SPA format was similar to data obtained from a filtration binding assay using HeLa/5-HT6 membranes. Ki values and rank order of potencies obtained in the SPA format were consistent with published filtration data as follows: SB-271046 (Ki = 1.9 nM) > methiothepin (Ki = 6.2 nM) > mianserin (Ki = 74.3 nM) > 5-methoxytryptamine (5-MeOT, Ki = 111 nM) > 5-HT (Ki = 150 nM) > ritanserin (Ki = 207 nM) > 5-carboxamidotryptamine (5-CT, Ki = 704 nM). Addnl. evaluation with four antipsychotics demonstrated strong agreement with previous literature reports. A high specific binding signal and low assay variability, as determined by  $Z' = 0.81 \pm 0.017$ , make the SPA format amenable to automation and higher throughput; hence, this assay can be a viable alternative to the more labor-intensive filtration and centrifugation methods.

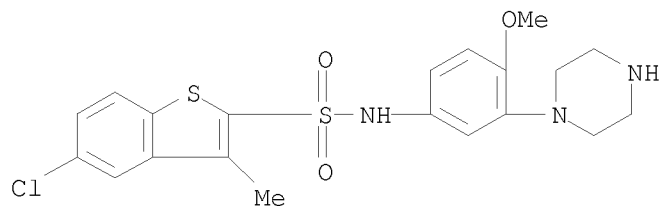
IT 209481-20-9, SB-271046

RL: PAC (Pharmacological activity); BIOL (Biological study)

(5-HT6 receptor determination and characterization with scintillation proximity assay binding method using intact cells)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2008:943469 CAPLUS

DN 149:224092

TI Preparation of heterocyclyl-substituted indolyl sulfonamides with serotonin 5-HT6 receptor affinity for the treatment of cognitive or food ingestion related disorders

IN Diaz-Fernandez, Jose-Luis

PA Laboratorios del Dr. Esteve, S.A., Spain

SO PCT Int. Appl., 40pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

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				EP 2007-384014	A 20070131
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PATENT FAMILY INFORMATION:

FAN 2008:934372

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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				EP 2007-384014	A 20070131

OS MARPAT 149:224092

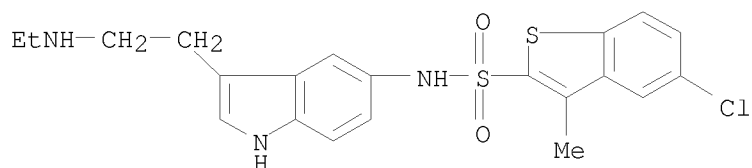
AB Title compds. [I; A = (substituted) mono- or bicyclic heterocyclyl; R1 = H, alkyl, PhCH<sub>2</sub>; R2, R3 = H, alkyl; n = 0-4], were prepared Thus, tert-Bu 2-(5-amino-1H-indol-3-yl)ethyl(methyl)carbamate in pyridine was treated dropwise with 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride in pyridine followed by stirring for 20 h to give Boc-protected sulfonamide. This was treated with CF<sub>3</sub>CO<sub>2</sub>H in CH<sub>2</sub>Cl<sub>2</sub> to give 5-chloro-3-methylbenzo[b]thiophene-2-sulfonic acid [3-(2-methylaminoethyl)-1H-indol-5-yl]amide. The latter bound to serotonin 5-HT<sub>6</sub> receptors with K<sub>i</sub> = 2.0 nM.

IT 1042720-31-9P 1042720-32-0P 1042720-36-4P

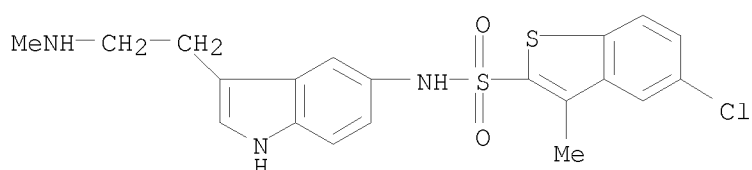
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of heterocyclyl-substituted indolyl sulfonamides for the treatment of cognitive or food ingestion related disorders)

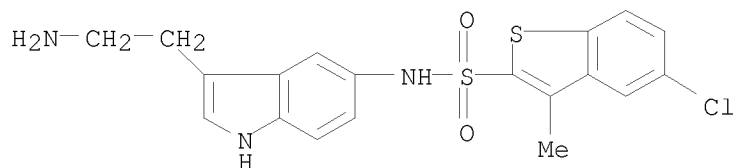
RN 1042720-31-9 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(ethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



RN 1042720-32-0 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[2-(methylamino)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)

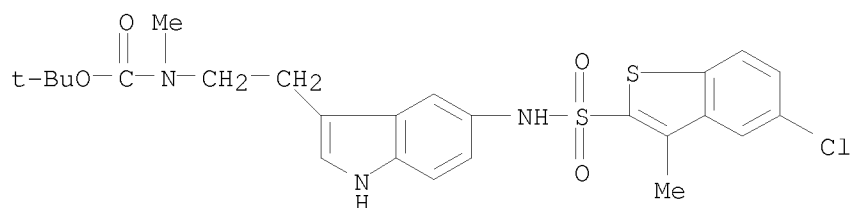


RN 1042720-36-4 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-[3-(2-aminoethyl)-1H-indol-5-yl]-5-chloro-3-methyl- (CA INDEX NAME)



IT 1042720-38-6P  
 RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of heterocyclyl-substituted indolyl sulfonamides for the treatment of cognitive or food ingestion related disorders)

RN 1042720-38-6 CAPLUS  
 CN INDEX NAME NOT YET ASSIGNED



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT



L6 ANSWER 5 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2008:934372 CAPLUS  
 DN 149:224089  
 TI Preparation of heterocyclyl-substituted indolyl sulfonamides with  
 serotonin 5-HT6 receptor affinity for the treatment of cognitive or food  
 ingestion related disorders  
 IN Diaz-Fernandez, Jose-Luis  
 PA Laboratorios del Dr. Esteve S.A., Spain  
 SO Eur. Pat. Appl., 23pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA English  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1953153	A1	20080806	EP 2007-384014	20070131
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
	WO 2008092665	A1	20080807	WO 2008-EP726	20080130
	W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
				EP 2007-384014	A 20070131

PATENT FAMILY INFORMATION:

FAN 2008:943469

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2008092665	A1	20080807	WO 2008-EP726	20080130
	W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
				EP 2007-384014	A 20070131
	EP 1953153	A1	20080806	EP 2007-384014	20070131
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				

AB Title compds. [I; A = (substituted) mono- or bicyclic heterocyclyl; R1 = H, alkyl, PhCH2; R2, R3 = H, alkyl; n = 0-4], were prepared Thus, tert-Bu 2-(5-amino-1H-indol-3-yl)ethyl(methyl)carbamate in pyridine was treated

dropwise with 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride in pyridine followed by stirring for 20 h to give Boc-protected sulfonamide. This was treated with CF<sub>3</sub>CO<sub>2</sub>H in CH<sub>2</sub>Cl<sub>2</sub> to give 5-chloro-3-methylbenzo[b]thiophene-2-sulfonic acid [3-(2-methylaminoethyl)-1H-indol-5-yl]amide. The latter bound to serotonin 5-HT<sub>6</sub> receptors with K<sub>i</sub> = 2.0 nM.

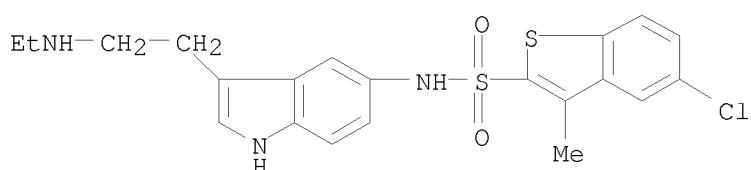
IT 1042720-31-9P 1042720-32-0P 1042720-36-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of heterocyclyl-substituted indolyl sulfonamides for the treatment of cognitive or food ingestion related disorders)

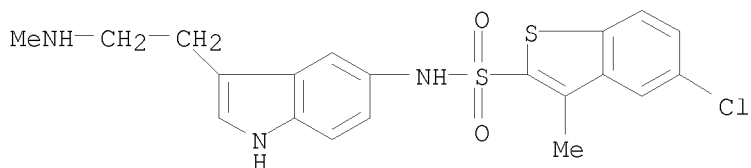
RN 1042720-31-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(ethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



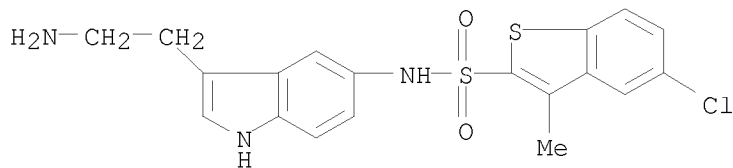
RN 1042720-32-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[2-(methylamino)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)



RN 1042720-36-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[3-(2-aminoethyl)-1H-indol-5-yl]-5-chloro-3-methyl- (CA INDEX NAME)



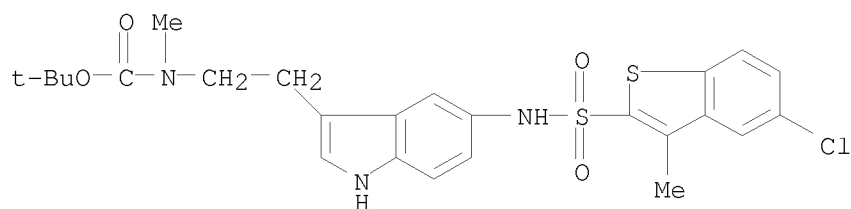
IT 1042720-38-6P

RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heterocyclyl-substituted indolyl sulfonamides for the treatment of cognitive or food ingestion related disorders)

RN 1042720-38-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2008:881207 CAPLUS  
DN 149:168025  
TI Use of 5-HT6 antagonists to prevent relapse into addiction  
IN De Bruin, Natasja M. W. J.; Van Loevezijn, Arnold; Wijnen, Johan;  
Herremans, Arnoldus H. J.; Kruse, Cornelis G.  
PA Solvay Pharmaceuticals B.V., Neth.  
SO PCT Int. Appl., 28pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2008087123	A2	20080724	WO 2008-EP50360	20080115
	W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
				EP 2007-100576	A 20070116
				US 2007-880421P	P 20070116

PATENT FAMILY INFORMATION:

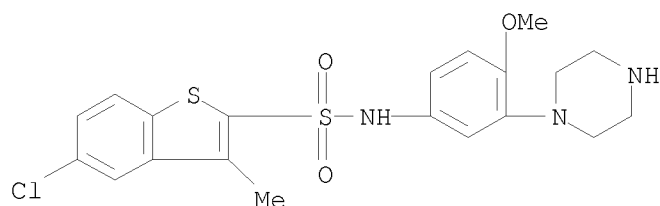
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20080171779	A1	20080717	US 2008-13898	20080114
				US 2007-880421P	P 20070116

OS MARPAT 149:168025  
AB The invention discloses the use of compds., and pharmaceutically acceptable salts thereof, which are 5-HT6 antagonists. These compds. are useful for the preparation of medicaments for preventing relapse into addiction, in particular relapse into addiction to substances of abuse, including opiates, hallucinogens, inhalants, phencyclidine, amphetamines, cocaine, cannabis, nicotine, and alc., into relapse to addiction to certain medicines, including sedatives, hypnotics and anxiolytics, and into relapse to certain addictive behaviors, including gambling.  
IT 209481-20-9, SB-271046 209481-20-9D, SB-271046,

stereoisomers, tautomers, N-oxides, isotopically labeled analogs, or salts  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (5-HT6 antagonists for prevention of relapse into addiction)

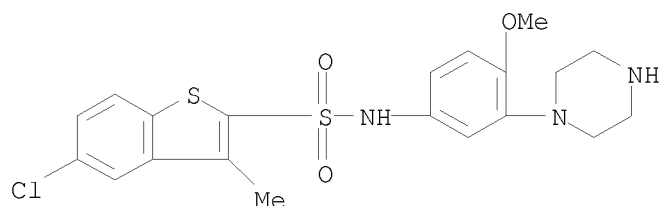
RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



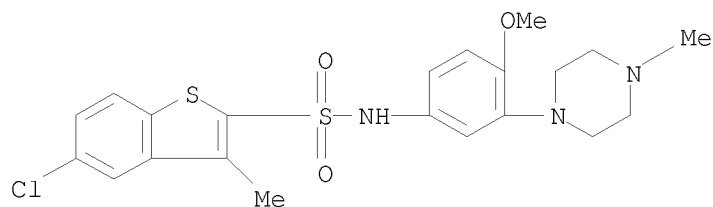
IT 209480-56-8 209480-56-8D, stereoisomers, tautomers,  
 N-oxides, isotopically labeled analogs, or salts

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)

(SB 258510; 5-HT6 antagonists for prevention of relapse into addiction)

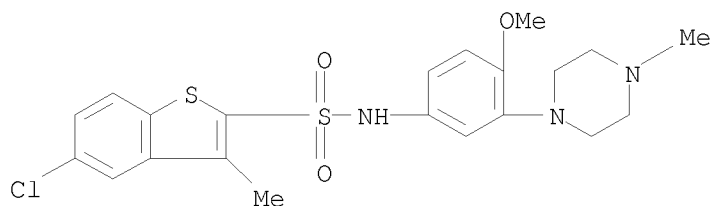
RN 209480-56-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

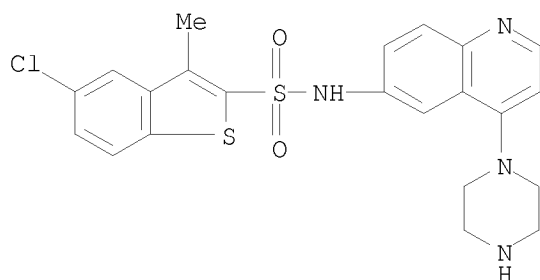


RN 209480-56-8 CAPLUS

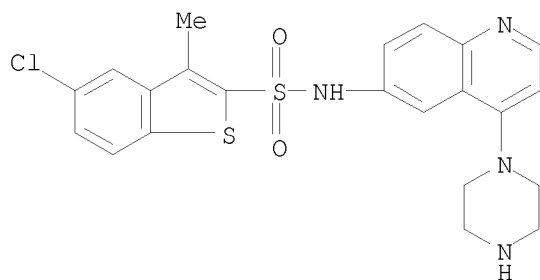
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



IT 389637-13-2 389637-13-2D, stereoisomers, tautomers,  
N-oxides, isotopically labeled analogs, or salts  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(SB 331711; 5-HT6 antagonists for prevention of relapse into addiction)  
RN 389637-13-2 CAPLUS  
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(1-piperazinyl)-6-  
quinolinyl]- (CA INDEX NAME)



RN 389637-13-2 CAPLUS  
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(1-piperazinyl)-6-  
quinolinyl]- (CA INDEX NAME)



L6 ANSWER 7 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2008:858203 CAPLUS  
DN 149:144007  
TI Use of 5-HT6 antagonists to prevent relapse into addiction  
IN De Bruin, Natasja M. W. J.; Van Loevezijn, Arnold; Wijnen, Johan;  
Herremans, Arnoldus H. J.; Kruse, Cornelis G.  
PA Solvay Pharmaceuticals B.V., Neth.  
SO U.S. Pat. Appl. Publ., 15pp.  
CODEN: USXXCO

DT Patent  
LA English  
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20080171779	A1	20080717	US 2008-13898	20080114
				US 2007-880421P	P 20070116

PATENT FAMILY INFORMATION:

FAN 2008:881207

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2008087123	A2	20080724	WO 2008-EP50360	20080115
	W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
				EP 2007-100576	A 20070116
				US 2007-880421P	P 20070116

OS MARPAT 149:144007

AB The invention discloses the use of compds., and pharmaceutically acceptable salts thereof, which are 5-HT6 antagonists. In one embodiment, the invention relates to the use of these compds., or pharmaceutical compns. comprising these compds., for preventing relapse into addiction, e.g. relapse into addiction to substances of abuse, including opiates, hallucinogens, inhalants, phencyclidine, amphetamines, cocaine, cannabis, nicotine, and alc., relapse into addiction to certain medicines, including sedatives, hypnotics and anxiolytics, and relapse into certain addictive behaviors, including gambling.

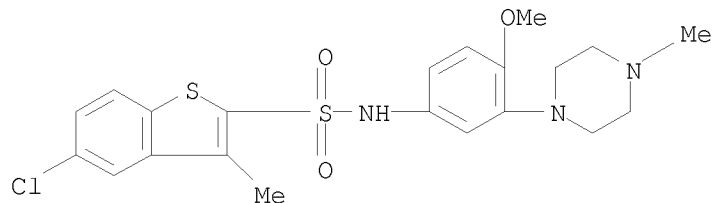
IT 209480-56-8D, tautomers, stereoisomers, N-oxides, salts, and isotopically labeled analogs 209481-24-3D, tautomers, stereoisomers, N-oxides, salts, and isotopically labeled analogs 389637-13-2D, tautomers, stereoisomers, N-oxides, salts, and isotopically labeled analogs

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(5-HT6 antagonists to prevent relapse into addiction)

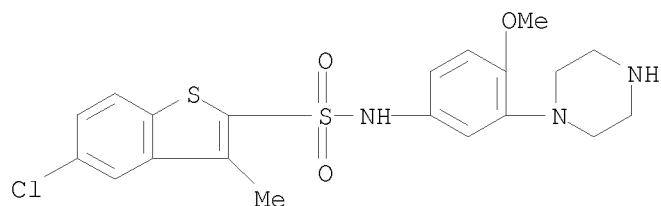
RN 209480-56-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 209481-24-3 CAPLUS

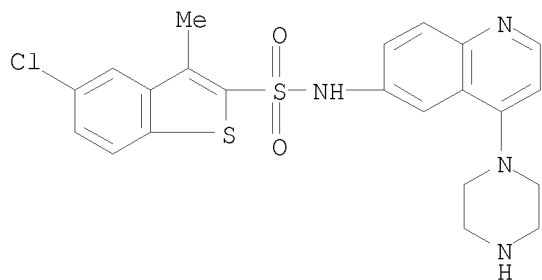
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 389637-13-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)



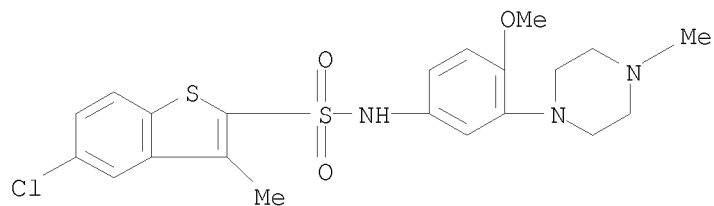
IT 209480-56-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(SB 258510; 5-HT6 antagonists to prevent relapse into addiction)

RN 209480-56-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



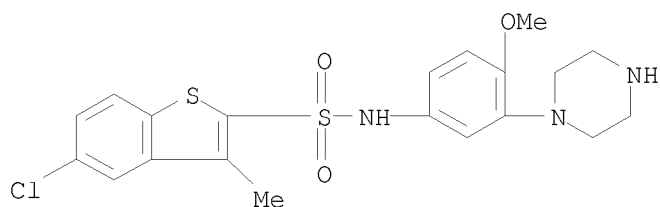
IT 209481-24-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(SB 271046; 5-HT6 antagonists to prevent relapse into addiction)

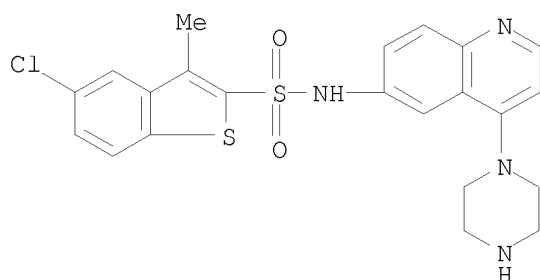
RN 209481-24-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

IT 389637-13-2  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (SB 331711; 5-HT6 antagonists to prevent relapse into addiction)  
 RN 389637-13-2 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(1-piperazinyl)-6-  
 quinolinyl]- (CA INDEX NAME)



L6 ANSWER 8 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2008:833345 CAPLUS  
 DN 149:152939  
 TI Preparation of sulfonamide derivatives as chymase inhibitors  
 IN Banner, David; Mauser, Harald; Minder, Rudolf E.; Wessel, Hans P.  
 PA Switz.  
 SO U.S. Pat. Appl. Publ., 25pp.  
 CODEN: USXXCO

DT Patent  
 LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20080167348	A1	20080710	US 2008-970628	20080108
				EP 2007-100337	A 20070110
	WO 2008084004	A1	20080717	WO 2008-EP50027	20080103
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM,				



TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,  
 IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,  
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,  
 TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,  
 AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 2007-100337

A 20070110

OS MARPAT 149:152939

AB Title compds. I [A = Ph, 5 or 6-membered monocyclic heteroaryl (containing one or two ring heteroatoms of N, O or S, with the remaining ring atoms being carbon), 5 or 6-membered non-aromatic monocyclic heterocyclyl (containing one or

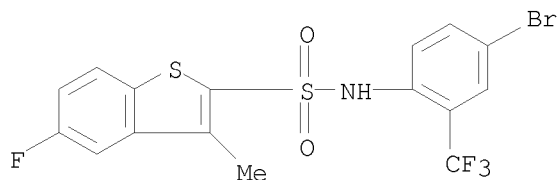
two ring heteroatoms of N or S(O)<sub>n</sub> (wherein n = 0-2), with the remaining ring atoms being carbon, wherein one of the ring carbon atoms of the heterocyclyl ring is optionally replaced with a carbonyl group); R<sub>1</sub>, R<sub>11</sub> = H, halo, nitro, etc.; R<sub>2</sub>, R<sub>21</sub>, R<sub>22</sub> = H, halo, cyano, etc.; X = phenylene (optionally substituted by halo, cyano, nitro, etc.); Y = (un)substituted 6-membered monocyclic heteroaryl (containing one or two ring heteroatoms of N(O)<sub>n</sub> (wherein n = 0 or 1), O or S, with the remaining ring atoms being carbon atoms) or (un)substituted 6-membered monocyclic non-aromatic heterocyclyl (containing one or two ring heteroatoms of N, O or S(O)<sub>n</sub> (wherein n = 0-2), with the remaining ring atoms being carbon atoms)] or their pharmaceutically acceptable salts were prepared For example, reaction of 4-(4-amino-3-methanesulfonylphenyl)-piperidine-1-carboxylic acid tert-Bu ester, e.g., prepared from 1-bromo-4-chlorobenzene in 8 steps, with 5-fluoro-3-methylbenzo[b]thiophene-2-sulfonyl chloride followed by treatment with HCl afforded compound II·HCl. In chymase inhibition assays, the IC<sub>50</sub> value of II was 3 nM. Of note, compds. I are useful for the treatment of allergy, asthma, etc. Pharmaceutical compns. comprising I are disclosed.

IT 1037299-36-7P 1037299-37-8P 1037299-52-7P  
 1037299-55-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of sulfonamide derivs. as chymase inhibitors)

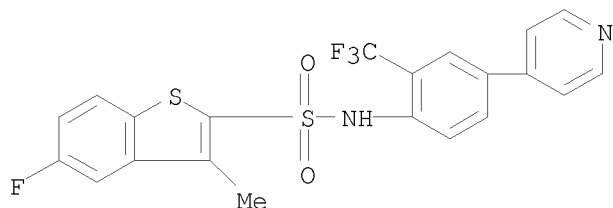
RN 1037299-36-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-bromo-2-(trifluoromethyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



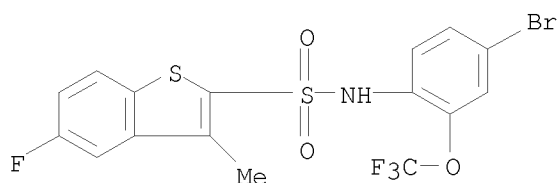
RN 1037299-37-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(4-pyridinyl)-2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



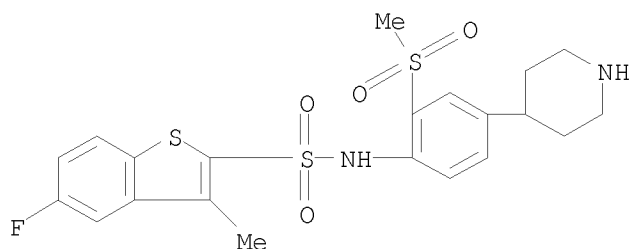
RN 1037299-52-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-bromo-2-(trifluoromethoxy)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



RN 1037299-55-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methanesulfonyl)-4-(piperidin-1-yl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

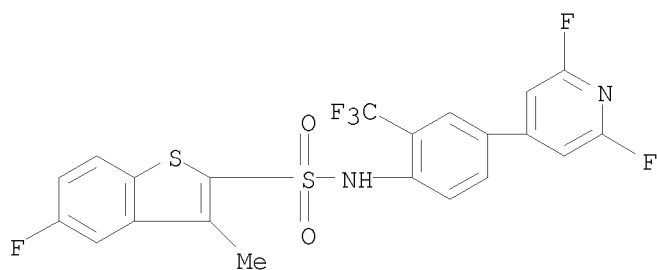
IT 1037299-38-9P 1037299-39-0P 1037299-40-3P  
1037299-41-4P 1037299-42-5P 1037299-43-6P  
1037299-44-7P 1037299-45-8P 1037299-46-9P  
1037299-47-0P 1037299-48-1P 1037299-49-2P  
1037299-50-5P 1037299-51-6P 1037299-53-8P  
1037299-54-9P 1037299-56-1P 1037299-58-3P  
1037299-66-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamide derivs. as chymase inhibitors)

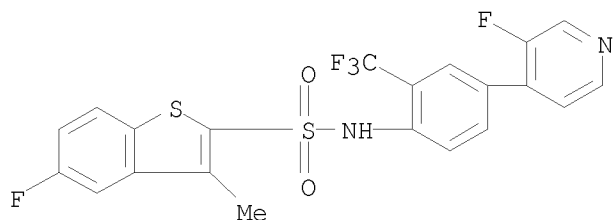
RN 1037299-38-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(2,6-difluoro-4-pyridinyl)-2-(trifluoromethyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



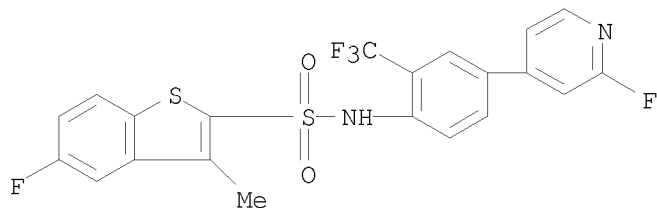
RN 1037299-39-0 CAPLUS

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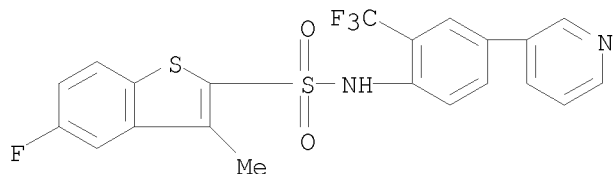
RN 1037299-40-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(2-fluoro-4-pyridinyl)-2-(trifluoromethyl)phenyl]-3-methyl- (CA INDEX NAME)



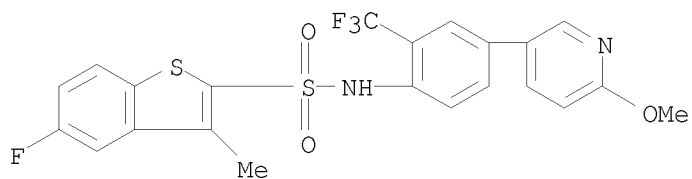
RN 1037299-41-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(3-pyridinyl)-2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



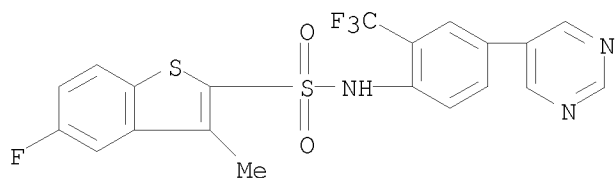
RN 1037299-42-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(6-methoxy-3-pyridinyl)-2-(trifluoromethyl)phenyl]-3-methyl- (CA INDEX NAME)



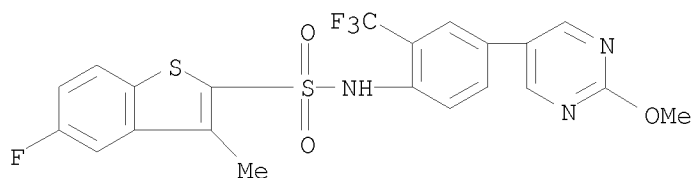
RN 1037299-43-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(5-pyrimidinyl)-2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



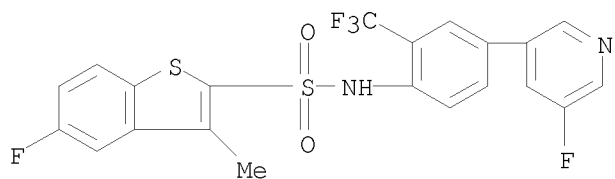
RN 1037299-44-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(2-methoxy-5-pyrimidinyl)-2-(trifluoromethyl)phenyl]-3-methyl- (CA INDEX NAME)



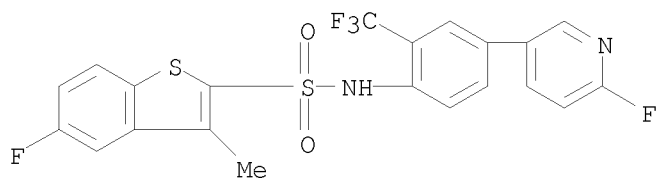
RN 1037299-45-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(5-fluoro-3-pyridinyl)-2-(trifluoromethyl)phenyl]-3-methyl- (CA INDEX NAME)

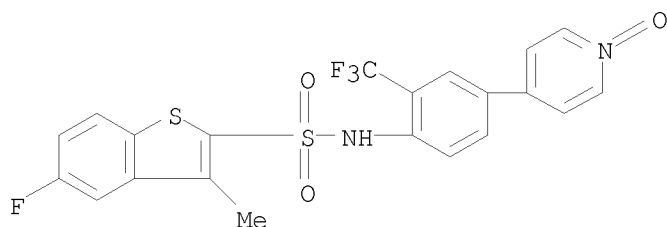


RN 1037299-46-9 CAPLUS

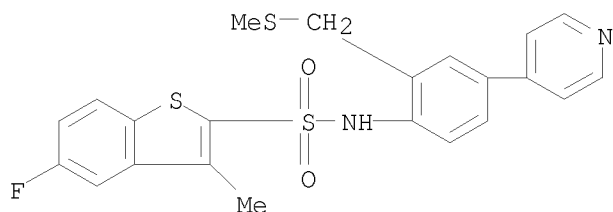
CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(6-fluoro-3-pyridinyl)-2-(trifluoromethyl)phenyl]-3-methyl- (CA INDEX NAME)



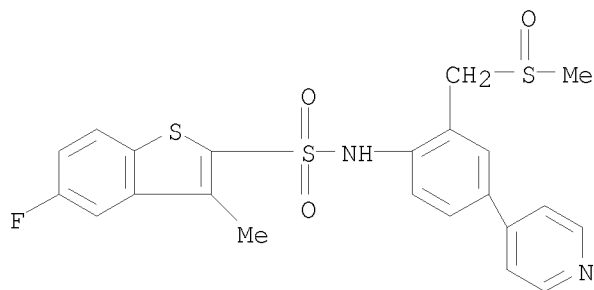
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 CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(1-oxido-4-pyridinyl)-2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



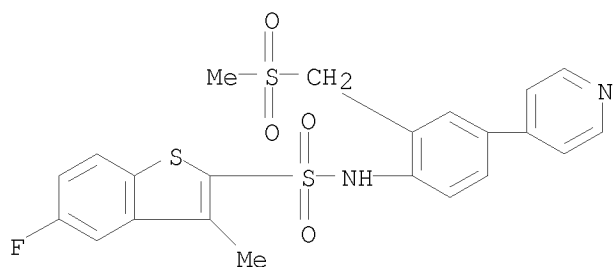
RN 1037299-48-1 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-[(methylthio)methyl]-4-(4-pyridinyl)phenyl]- (CA INDEX NAME)



RN 1037299-49-2 CAPLUS  
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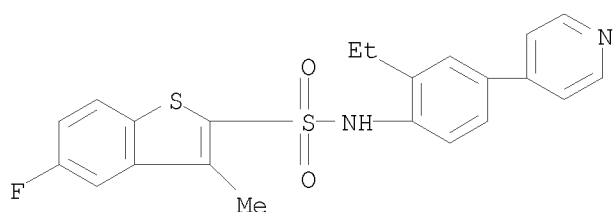


RN 1037299-50-5 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-[(methylsulfonyl)methyl]-4-(4-pyridinyl)phenyl]- (CA INDEX NAME)



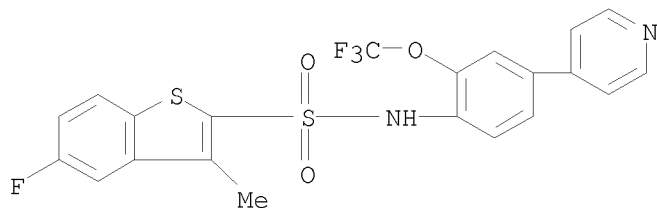
RN 1037299-51-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-ethyl-4-(4-pyridinyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



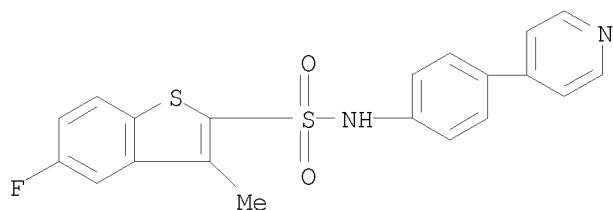
RN 1037299-53-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(4-pyridinyl)-2-(trifluoromethoxy)phenyl]- (CA INDEX NAME)



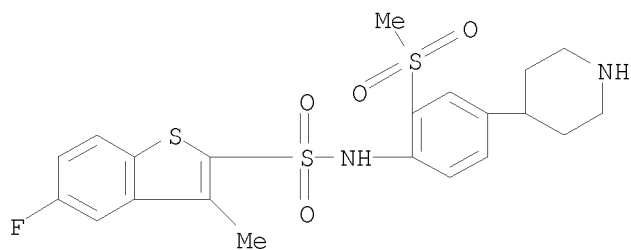
RN 1037299-54-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(4-pyridinyl)phenyl]- (CA INDEX NAME)



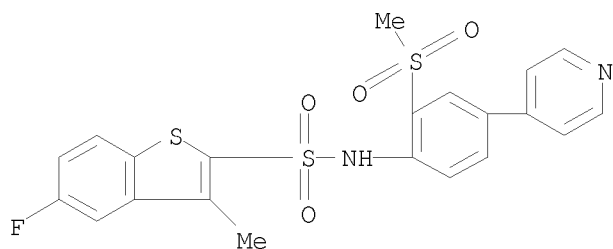
RN 1037299-56-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(4-piperidinyl)phenyl]- (CA INDEX NAME)



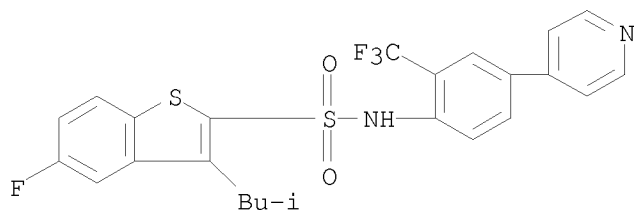
RN 1037299-58-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(4-pyridinyl)phenyl]- (CA INDEX NAME)



RN 1037299-66-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-(2-methylpropyl)-N-[4-(4-pyridinyl)-2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



IT 1037299-67-4P 1037299-68-5P 1037299-69-6P

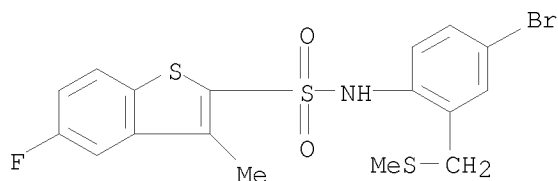
1037299-70-9P 1037299-81-2P 1037299-93-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

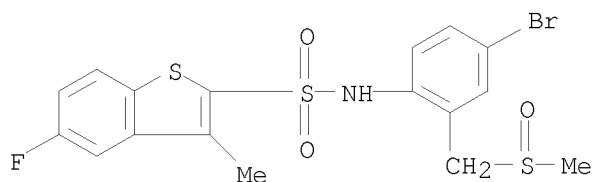
(preparation of sulfonamide derivs. as chymase inhibitors)

RN 1037299-67-4 CAPLUS

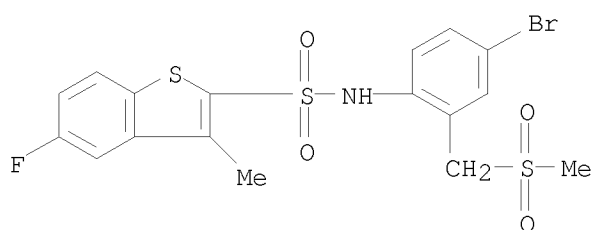
CN Benzo[b]thiophene-2-sulfonamide, N-[4-bromo-2-[(methylthio)methyl]phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



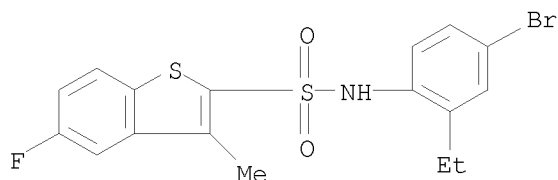
RN 1037299-68-5 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-[4-bromo-2-  
 [(methylsulfinyl)methyl]phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



RN 1037299-69-6 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-[4-bromo-2-  
 [(methylsulfonyl)methyl]phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

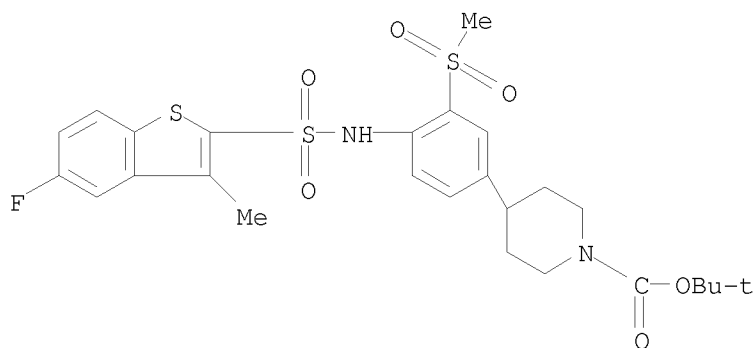


RN 1037299-70-9 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-(4-bromo-2-ethylphenyl)-5-fluoro-3-  
 methyl- (CA INDEX NAME)

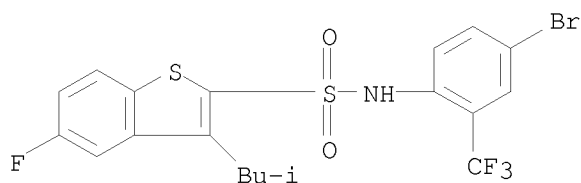


RN 1037299-81-2 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[4-[(5-fluoro-3-methylbenzo[b]thien-2-  
 yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, 1,1-dimethylethyl ester  
 (CA INDEX NAME)





RN 1037299-93-6 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-[4-bromo-2-(trifluoromethyl)phenyl]-5-fluoro-3-(2-methylpropyl)- (CA INDEX NAME)



L6 ANSWER 9 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2008:805771 CAPLUS  
 DN 149:128805  
 TI Preparation of pyrrolo[2,3-b]pyridine derivatives as kinase modulators  
 IN Spevak, Wayne; Cho, Hanna; Ibrahim, Prabha N.; Shi, Shenghua; Mamo, Shumeye; Gillette, Sam; Zhu, Hongyao  
 PA Plexxikon, Inc., USA  
 SO PCT Int. Appl., 72pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008079906	A1	20080703	WO 2007-US88237	20071219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20080167338	A1	20080710	US 2006-876953P	P 20061221
			US 2007-960590	20071219
			US 2006-876953P	P 20061221

## PATENT FAMILY INFORMATION:

FAN 2008:804067

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PI	WO 2008079903	A1	20080703	WO 2007-US88231	20071219
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
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				US 2007-960590	20071219
				US 2006-876953P	P 20061221

OS MARPAT 149:128805

AB Title compds. represented by the formula I [wherein R1 = H, halo, alkyl, etc.; R2 = halo, (cyclo)alkyl, aryl, etc.; R3 = H, F or Cl; with the proviso; and salts, prodrugs, tautomers and isomers thereof] were prepared as kinase modulators. For example, II was provided in a multi-step synthesis starting from coupling reaction of 5-bromo-7-azaindole with pyridine-3-boronic acid. I showed activity in kinase activity assays of B-Raf, B-Raf V600E, B-Raf V600E/T5291 or c-Raf-1. Thus, I and their pharmaceutical compns. are useful for the treatment of diseases and conditions associated with aberrant activity of protein kinases.

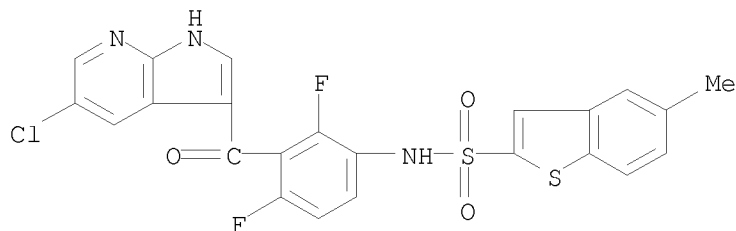
IT 1036015-34-5P, 5-Methylbenzo[b]thiophene-2-sulfonamide  
N-[3-((5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)carbonyl)-2,4-difluorophenyl]

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolo[2,3-b]pyridine derivs. as protein kinase modulators useful in treatment of diseases associated with aberrant activity of protein kinases)

RN 1036015-34-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[3-[(5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)carbonyl]-2,4-difluorophenyl]-5-methyl- (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2008:804067 CAPLUS

DN 149:128801  
 TI Preparation of [(pyrrolopyridinecarbonyl)phenyl]sulfonamide derivatives  
 for use as kinase modulators  
 IN Spevak, Wayne; Cho, Hanna; Ibrahim, Prabha N.; Shi, Shenghua; Mamo,  
 Shumeye; Gillette, Sam; Zhu, Hongyao  
 PA Plexxikon, Inc., USA  
 SO PCT Int. Appl., 115pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2008079903	A1	20080703	WO 2007-US88231	20071219
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				US 2007-960590	20071219
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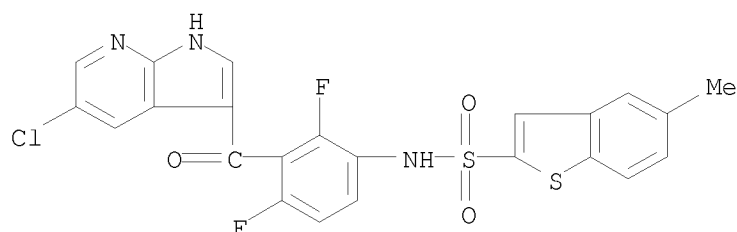
PATENT FAMILY INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2008079906	A1	20080703	WO 2007-US88237	20071219
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	US 20080167338	A1	20080710	US 2006-876953P	P 20061221
				US 2007-960590	20071219
				US 2006-876953P	P 20061221

OS MARPAT 149:128801

AB Title compds. I [R1 = H, halo, (un)substituted alkyl, alkenyl, etc.; R2 = (un)substituted aryl or heteroaryl; R3 = H, F, or Cl], and their pharmaceutically acceptable salts, are prepared and disclosed as kinase modulators. Thus, e.g., II was prepared by substitution of 5-chloro-1H-pyrrolo[2,3-b]pyridine (preparation given) with (2,4-difluoro-3-formylphenyl)carbamic acid benzyl ester (preparation given), followed by oxidation, deprotection, and sulfonylation with 3-(chlorosulfonyl)benzoic acid. Select I were evaluated in various assays, e.g., II demonstrated an IC50 of  $\leq 10 \mu\text{M}$  in the kinase

Kdr assay.  
 IT 1036015-34-5P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of [(pyrrolopyridinecarbonyl)phenyl]sulfonamide derivs. for use as kinase modulators)  
 RN 1036015-34-5 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-[3-[(5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)carbonyl]-2,4-difluorophenyl]-5-methyl- (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2008:774260 CAPLUS  
 DN 149:128656  
 TI Preparation of (hetero)aromatic amides and hydroxamates as inhibitors of histone deacetylase  
 IN Deziel, Robert; Ajamian, Alain  
 PA Methylgene Inc., Can.  
 SO PCT Int. Appl., 170pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008074132	A1	20080626	WO 2007-CA2260	20071219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20080146623	A1	20080619	US 2006-870768P	P 20061219
			US 2007-959204	20071218
			US 2006-870768P	P 20061219
EP 1973872	A1	20081001	EP 2007-855542	20071219
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				

US 2006-870768P P 20061219  
WO 2007-CA2260 W 20071219

PATENT FAMILY INFORMATION:

FAN 2007:706070

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007072179	A2	20070628	WO 2006-IB3697	20061219
	WO 2007072179	A3	20071011		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
				US 2005-751703P	P 20051219
				US 2006-870768P	P 20061219
AU	2006327892	A1	20070628	AU 2006-327892	20061219
				US 2005-751703P	P 20051219
				US 2006-870768P	P 20061219
				WO 2006-IB3697	W 20061219
CA	2633010	A1	20070628	CA 2006-2633010	20061219
				US 2005-751703P	P 20051219
				US 2006-870768P	P 20061219
				WO 2006-IB3697	W 20061219
US	20070197550	A1	20070823	US 2006-641615	20061219
				US 2005-751703P	P 20051219
				US 2006-870768P	P 20061219
EP	1963258	A2	20080903	EP 2006-842254	20061219
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
				US 2005-751703P	P 20051219
				US 2006-870768P	P 20061219
				WO 2006-IB3697	W 20061219
KR	2008086514	A	20080925	KR 2008-717861	20080721
				US 2005-751703P	P 20051219
				US 2006-870768P	P 20061219
				WO 2006-IB3697	W 20061219

OS MARPAT 149:128656

AB CyL2ArY2CONR<sub>x</sub>Z [Cy = H, (substituted) cycloalkyl, aryl, heteroaryl, heterocyclyl; L2 = (substituted) (heteroatom-interrupted) alkylene, alkenylene; Ar = (substituted) (fused) arylene; Y2 = bond, (substituted) alkylene; Rx = H, OH; Z = COR10, CO2R10, SO2R10, sugar residue, amino acid residue, etc.; R10 = H, (substituted) alkyl, alkenyl, alkynyl, alkoxy carbonyl, cycloalkyl aryl, heteroaryl, etc.; with provisos], were prepared Thus, 4-PhC6H4SO2NH-4-C6H4CH:CHCONHOH (preparation outlined) inhibited

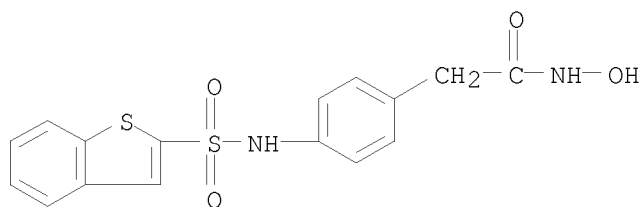
histone deacetylase in T24 human bladder cancer cells with EC50 = 1 μM.

IT 342372-00-3P 342372-07-0P 342372-08-1P  
342372-41-2P

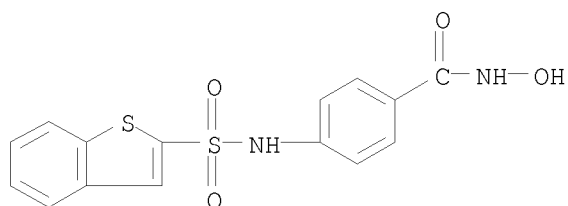
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (hetero)aromatic amides and hydroxamates as inhibitors of

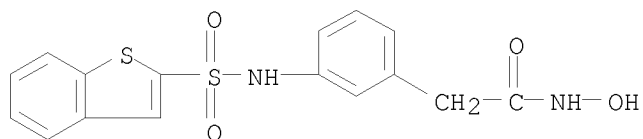
histone deacetylase)  
 RN 342372-00-3 CAPLUS  
 CN Benzeneacetamide, 4-[(benzo[b]thien-2-ylsulfonyl)amino]-N-hydroxy- (CA INDEX NAME)



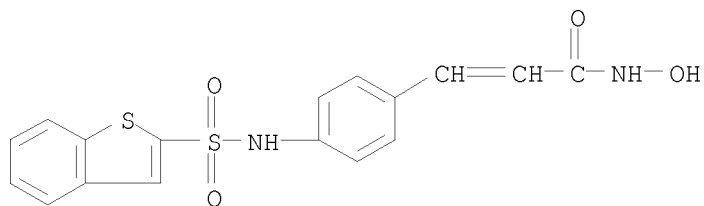
RN 342372-07-0 CAPLUS  
 CN Benzamide, 4-[(benzo[b]thien-2-ylsulfonyl)amino]-N-hydroxy- (CA INDEX NAME)



RN 342372-08-1 CAPLUS  
 CN Benzeneacetamide, 3-[(benzo[b]thien-2-ylsulfonyl)amino]-N-hydroxy- (CA INDEX NAME)

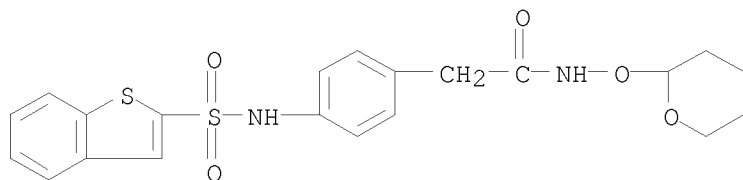


RN 342372-41-2 CAPLUS  
 CN 2-Propenamide, 3-[4-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]-N-hydroxy- (CA INDEX NAME)

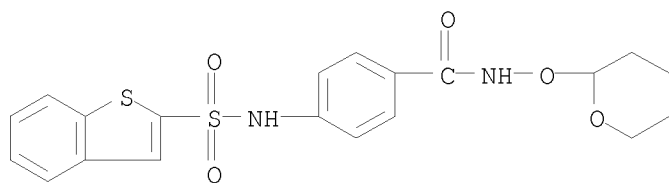


IT 1035211-63-2P 1035211-64-3P  
 RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of (hetero)aromatic amides and hydroxamates as inhibitors of

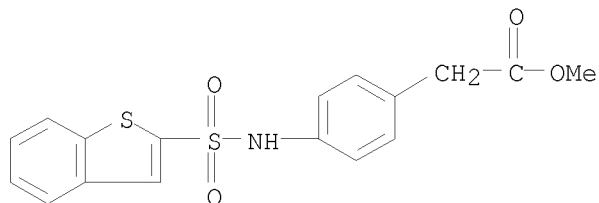
histone deacetylase)  
 RN 1035211-63-2 CAPLUS  
 CN INDEX NAME NOT YET ASSIGNED



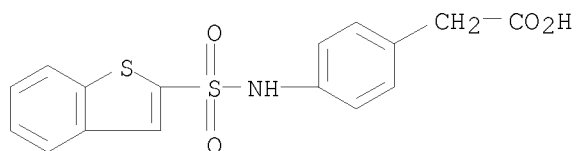
RN 1035211-64-3 CAPLUS  
 CN INDEX NAME NOT YET ASSIGNED



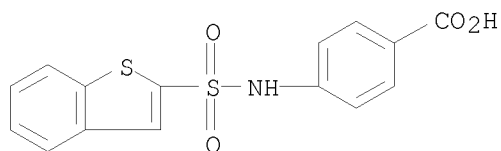
IT 342373-19-7P 342373-20-0P 342373-22-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of (hetero)aromatic amides and hydroxamates as inhibitors of  
 histone deacetylase)  
 RN 342373-19-7 CAPLUS  
 CN Benzeneacetic acid, 4-[(benzo[b]thien-2-ylsulfonyl)amino]-, methyl ester  
 (CA INDEX NAME)



RN 342373-20-0 CAPLUS  
 CN Benzeneacetic acid, 4-[(benzo[b]thien-2-ylsulfonyl)amino]- (CA INDEX  
 NAME)



RN 342373-22-2 CAPLUS  
 CN Benzoic acid, 4-[(benzo[b]thien-2-ylsulfonyl)amino]- (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2008:727681 CAPLUS

DN 149:259235

TI Actions of novel agonists, antagonists and antipsychotic agents at recombinant rat 5-HT<sub>6</sub> receptors: A comparative study of coupling to Gas

AU Dupuis, Delphine S.; La Cour, Clotilde Mannoury; Chaput, Christine; Verrielle, Laurence; Lavielle, Gilbert; Millan, Mark J.

CS Department of Psychopharmacology, Institut De Recherches Servier, Croissy sur Seine, 78290, Fr.

SO European Journal of Pharmacology (2008), 588(2-3), 170-177  
CODEN: EJPHAZ; ISSN: 0014-2999

PB Elsevier B.V.

DT Journal

LA English

AB Though 5-HT<sub>6</sub> receptors are targets for the treatment of schizophrenia and other psychiatric disorders, the influence of drugs upon signal transduction has not been extensively characterized. Herein, we employed a Scintillation Proximity Assay (SPA)/antibody-immunocapture procedure of coupling to Gas to evaluate the interaction of a broad range of novel agonists, antagonists and antipsychotics at rat 5-HT<sub>6</sub> receptors stably expressed in HEK293 cells. Serotonin (pEC<sub>50</sub>, 7.7) increased [35S]GTPγS binding to Gas by ca 2-fold without affecting binding to Gi/o or Gq. LSD (9.2), 5-MeODMT (7.9), 5-CT (7.0) and tryptamine (6.1) were likewise full agonists. In contrast, the novel sulfonyl derivs., WAY181,187 (9.1) and WAY208,466 (7.8), behaved as partial agonists and attenuated the actions of 5-HT. SB271,046 and SB258,585 abolished activation of Gas by 5-HT with pK<sub>b</sub> values of 10.2 and 9.9, resp., actions mimicked by the novel antagonist, SB399,885 (10.9). SB271,046 likewise blocked partial agonist properties of WAY181,187 and WAY208,466 with pK<sub>b</sub> values of 9.8 and 9.0, resp. 5-HT-stimulated [35S]GTPγS binding to Gas was antagonized by various antipsychotics including olanzapine (7.8), asenapine (9.1) and SB737,050 (7.8), whereas aripiprazole and bifeprunox were inactive. Further, antagonist properties of clozapine (8.0) were mimicked by its major metabolite, N-desmethyloclozapine (7.9). In conclusion, the novel ligands, WAY208,466 and WAY181,187, behaved as partial agonists at 5-HT<sub>6</sub> receptors coupled to Gas, while SB399,885 was a potent antagonist. Though 5-HT<sub>6</sub> receptor blockade is not indispensable for therapeutic efficacy, it may well play a role in the functional actions of certain antipsychotic agents.

IT 209481-20-9, SB271046

RL: PAC (Pharmacological activity); BIOL (Biological study)

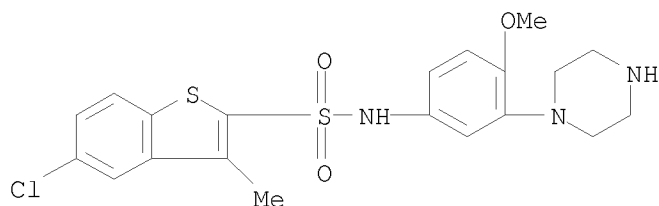
(actions of novel agonists, antagonists and antipsychotic agents at recombinant rat 5-HT<sub>6</sub> receptors and a comparative study of coupling to Gas)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-



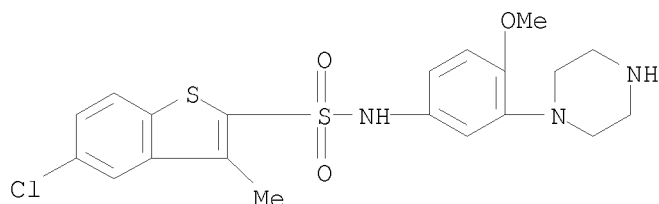
piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 86 THERE ARE 86 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2008:642758 CAPLUS  
DN 149:45585  
TI The selective 5-HT<sub>6</sub> receptor antagonists SB-271046 and SB-399885  
potentiate NCAM PSA immunolabeling of dentate granule cells, but not  
neurogenesis, in the hippocampal formation of mature Wistar rats  
AU Foley, Andrew G.; Hirst, Warren D.; Gallagher, Helen C.; Barry, Claire;  
Hagan, Jim J.; Upton, Neil; Walsh, Frank S.; Hunter, A. Jackie; Regan,  
Ciaran M.  
CS School of Biomolecular and Biomedical Science, UCD Conway Institute,  
University College Dublin, Dublin, Ire.  
SO Neuropharmacology (2008), 54(8), 1166-1174  
CODEN: NEPHBW; ISSN: 0028-3908  
PB Elsevier B.V.  
DT Journal  
LA English  
AB While there is now substantial evidence that 5-HT<sub>6</sub> antagonism leads to  
significantly improved cognitive ability, the mechanism(s) and/or  
pathway(s) involved are poorly understood. The authors have evaluated the  
consequence of chronic administration of the 5-HT<sub>6</sub> receptor antagonists  
SB-271046 and SB-399885 on neural cell adhesion mol. polysialylation state  
(NCAM PSA), a neuroplastic mechanism necessary for memory consolidation.  
Quant. anal. of NCAM PSA immunopos. neurons in the dentate gyrus of  
drug-treated animals revealed a dose-dependent increase in polysialylated  
cell frequency following treatment with both SB-271046 and SB-399885.  
These effects could not be attributed to increased neurogenesis, as no  
difference in the rate of bromodeoxyuridine incorporation was apparent  
between the control and drug-treated groups. A substantial increase in  
the frequency of polysialylated cells in layer II of the entorhinal and  
perirhinal cortices was also observed, brain regions not previously associated  
with neurogenesis. Chronic treatment with SB-271046 or SB-399885 also  
significantly increased the activation of dentate polysialylation that is  
specific to learning. This effect does not occur with other  
cognition-enhancing drugs, such as tacrine, and this action potentially  
differentiates 5-HT<sub>6</sub> receptor antagonism as an unique neuroplastic  
mechanism for cognitive processes which may slow or reverse  
age/neurodegenerative related memory deficits.  
IT 209481-20-9, SB-271046  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(selective 5-HT<sub>6</sub> receptor antagonists SB-271046 and SB-399885  
potentiate NCAM PSA immunolabeling of dentate granule cells, but not  
neurogenesis, in hippocampal formation of mature rats in relation to  
learning and memory)  
RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 69 THERE ARE 69 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 14 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2008:283474 CAPLUS  
DN 148:331693  
TI Morpholine derivatives as D3 dopamine antagonists and their preparation,  
pharmaceutical compositions and use in the treatment of diseases  
IN Wager, Travis T.; Chandrasekaran, Ramalakshmi Yegna; Butler, Todd William  
PA Pfizer Products Inc., USA  
SO PCT Int. Appl., 72pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	WO 2008026046	A1	20080306	WO 2007-IB2492	20070820
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

US 2006-823994P P 20060830

OS MARPAT 148:331693

AB The invention relates to compds. of the formula I, to intermediates for their preparation, to pharmaceutical compns. containing them and to their medicinal

use as modulators of the dopamine D3 receptor, particularly as psychotherapeutic agents. Compds. of formula I wherein R1 is H, C1-8 (halo)alkyl; R2 is H, C1-6 (fluoro)alkyl, C2-6 (fluoro)alkenyl, C3-6 (fluoro)cycloalkyl, C1-6 (fluoro)alkoxy, etc.; R3 is H, halo, CN, NO<sub>2</sub>, OH, Me, OMe, CF<sub>3</sub>, CHF<sub>2</sub>, CH<sub>2</sub>F, OCH<sub>2</sub>F, etc.; R4 is H, C1-8 alkyl, and (un)substituted 5- to 6-membered aryl; R1R4 taken together to form 5- to 7-membered carbocyclic ring; R5 is H and C1-8 alkyl; R6 is H, halo, C1-8 alkyl, OMe, OCF<sub>3</sub>, CF<sub>3</sub>, CN; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by cyclization of 2-bromo-4'-nitroacetophenone with 3-piperidinemethanol; the resulting 3-(4-nitrophenyl)octahydropyrido[2,1-c][1,4]oxazin-3-ol underwent

reductive ring opening to give 1-(4-aminophenyl)-2-(2-(hydroxymethyl)piperidin-1-yl)ethanol, which underwent cyclization to give 3-(4-aminophenyl)octahydropyrido[2,1-c][1,4]oxazine, which underwent sulfonylation with 4-isopropylbenzenesulfonyl chloride to give compound II. All the invention compds. were evaluated for their D3 dopamine antagonistic activity (some data given).

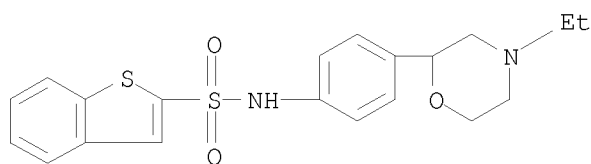
IT 1010382-85-0P 1010384-02-7P 1010384-08-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of morpholine derivs. as D3 dopamine antagonists useful in treatment and prevention of diseases)

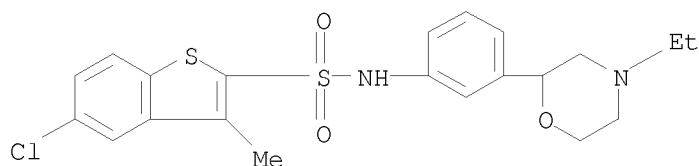
RN 1010382-85-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(4-ethyl-2-morpholinyl)phenyl]- (CA INDEX NAME)



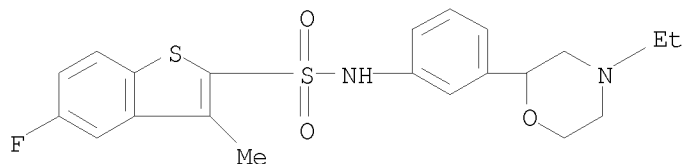
RN 1010384-02-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(4-ethyl-2-morpholinyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 1010384-08-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[3-(4-ethyl-2-morpholinyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

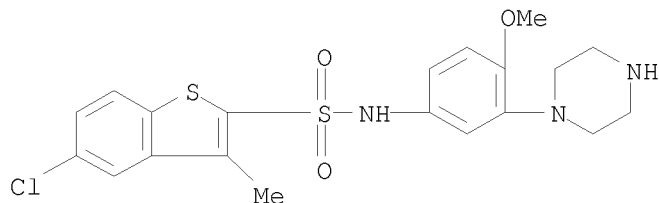
L6 ANSWER 15 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2008:149789 CAPLUS

DN 148:369779

TI Pro-cognitive effects of 5-HT6 receptor antagonists in the social recognition procedure in rats: implication of the frontal cortex

AU Loiseau, Florence; Dekeyne, Anne; Millan, Mark J.  
 CS Department of Psychopharmacology, Institut de Recherches Servier, Paris, 78290, Fr.  
 SO Psychopharmacology (Berlin, Germany) (2008), 196(1), 93-104  
 CODEN: PSCHDL; ISSN: 0033-3158  
 PB Springer GmbH  
 DT Journal  
 LA English  
 AB Rationale 5-HT6 receptor antagonists improve cognitive processes in rodents. However, their site(s) of action remains unexplored and their influence upon social memory has been little investigated. Objectives We examined the influence of 5-HT6 receptor ligands upon social memory in rats by use of systemic or local administration into the frontal cortex (FCX), striatum, or nucleus basalis magnocellularis (NBM). Materials and methods The social recognition test is based upon the ability of an adult rat to recognize a younger conspecific during the second of two 5-min sessions. In a procedure without an inter-session interval, the actions of drugs alone and the ability to reverse "amnesia" induced by the muscarinic antagonist, scopolamine (1.25 mg/kg, s.c.), were examined. The potential proamnesic effect of drugs was also investigated in another procedure where a spontaneous deficit of recognition was induced by a 120-min inter-session interval. Results The 5-HT6 receptor agonist, WAY-181187 (10.0 mg/kg, i.p.), significantly impaired social recognition. This effect was abolished by the 5-HT6 receptor antagonists, SB-271046 (20.0 mg/kg, i.p.) and SB-258585 (10.0 mg/kg, i.p.). These agents also abolished scopolamine-induced amnesia (10.0 and 2.5 mg/kg, i.p., resp.) and reversed the delay-induced deficit (10.0-20.0 and 2.5-10.0 mg/kg, i.p., resp.). WAY-181187 into the FCX significantly impaired social recognition (0.16-0.63 µg/side). Conversely, SB-271046 into the FCX (2.5-5.0 µg/side), but neither into the striatum nor the NBM, significantly reversed spontaneous deficit. Conclusion These results indicate that 5-HT6 receptors modulate social recognition by actions in the FCX and underpin their pertinence as targets for the treatment of psychiatric disorders in which cognitive function is compromised.  
 IT 209481-20-9, SB-271046  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (Pro-cognitive effects of 5-HT6 receptor antagonists in the social recognition procedure in rats and implication of the frontal cortex)  
 RN 209481-20-9 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



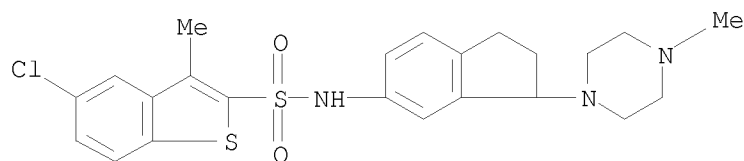
RE.CNT 72 THERE ARE 72 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 16 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2008:125368 CAPLUS  
 DN 148:191738

TI Preparation of substituted indanyl sulfonamides for treating diseases mediated by 5-HT6 receptors  
 IN Alcalde-Pais, Maria De Las Ermitas; Mesquida-Estevez, Maria De Les Neus; Lopez-Perez, Sara; Frigola-Constansa, Jordi; Holenz, Joerg; Merce-Vidal, Ramon  
 PA Laboratorios Del Dr. Esteve, S.A., Spain  
 SO U.S. Pat. Appl. Publ., 18pp.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 1

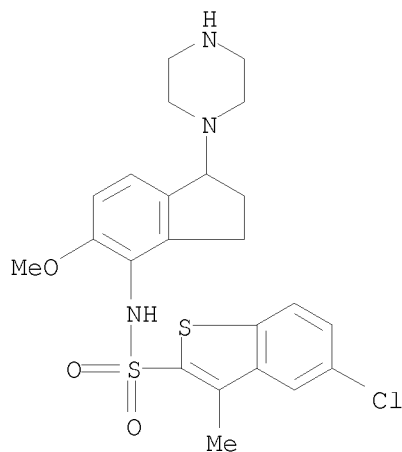
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20080027073	A1	20080131	US 2006-506352	20060818
				EP 2006-380220	A 20060731
	EP 1884515	A1	20080206	EP 2006-380220	20060731
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
	WO 2008015137	A2	20080207	WO 2007-EP57658	20070725
	WO 2008015137	A3	20080320		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
				EP 2006-380220	A 20060731

OS CASREACT 148:191738; MARPAT 148:191738  
 AB The present invention refers to new indanyl sulfonamide compds. I [R1-R4 = H, (un)substituted (un)saturated aliphatic radical; R5-R8 = H, NO2, NH2, etc.;  
 A = ring C atom substituted with N-methylpiperazin-1-yl or ring C atom substituted with :NNHC(:NH)NH2, etc.], as well as to their preparation procedure, their application as medicine and pharmaceutical compns. comprising them. The new compds. I show affinity for 5-HT6 receptors and are, therefore, effective for treating diseases mediated by these receptors. Thirteen compds. I were prepared For example, reacting N-(3-oxo-2,3-dihydro-1H-inden-5-yl)-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide with 1-methylpiperazine afforded 65% II. Exemplified compds. I were tested in 5-HT6 binding assay (data given for representative compds. I).  
 IT 1004538-49-1P 1004538-55-9P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of substituted indanyl sulfonamides for treating and preventing diseases mediated by 5-HT6 receptors)  
 RN 1004538-49-1 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2,3-dihydro-3-(4-methyl-1-piperazinyl)-1H-inden-5-yl]-3-methyl- (CA INDEX NAME)



RN 1004538-55-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2,3-dihydro-5-methoxy-1-(1-piperazinyl)-1H-inden-4-yl]-3-methyl- (CA INDEX NAME)



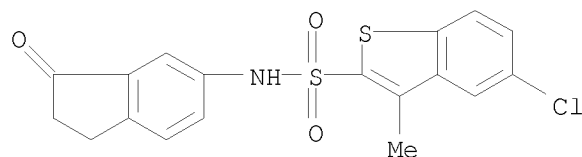
IT 1004538-62-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of substituted indanyl sulfonamides for treating and preventing diseases mediated by 5-HT<sub>6</sub> receptors)

RN 1004538-62-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2,3-dihydro-3-oxo-1H-inden-5-yl)-3-methyl- (CA INDEX NAME)



L6 ANSWER 17 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:1469363 CAPLUS

DN 148:93272

TI Combination of a cholinesterase inhibitor and a compound with 5-HT<sub>6</sub> receptor affinity, and therapeutic use

IN Codony-Soler, Xavier; Buschmann, Helmut Henrich

PA Laboratorios Del Dr. Esteve, S.A., Spain

SO PCT Int. Appl., 254pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007147883	A1	20071227	WO 2007-EP56234	20070622
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
				EP 2006-384012	A 20060623

OS MARPAT 148:93272

AB The invention discloses a combination comprising at least one compound with 5-HT6 receptor affinity, and at least one cholinesterase inhibitor, as well as a medicament comprising the combination, and the use of the combination for the manufacture of a medicament.

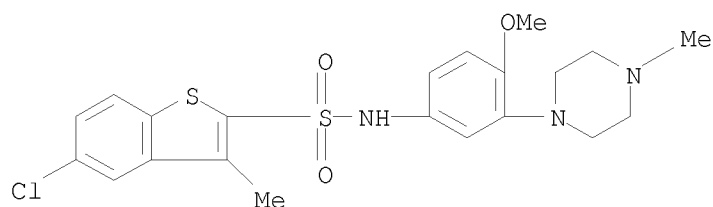
IT 209480-56-8 209480-56-8D, enantiomers and salts

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(SB 258510; cholinesterase inhibitor combination with compound with 5-HT6 receptor affinity)

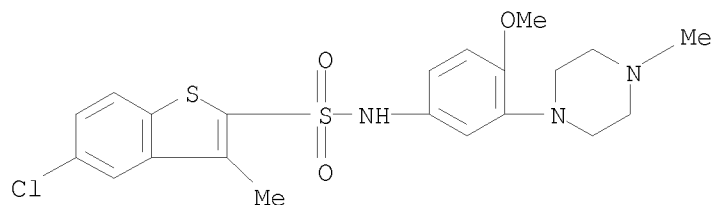
RN 209480-56-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 209480-56-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



IT 209481-20-9, SB-271046 209481-20-9D, SB-271046, enantiomers and salts

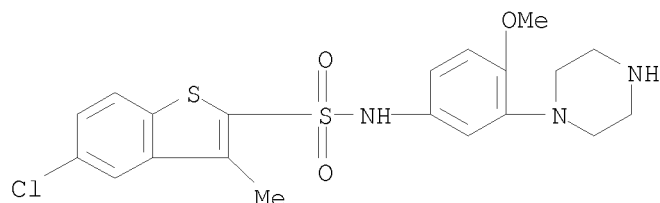
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(cholinesterase inhibitor combination with compound with 5-HT6 receptor affinity)

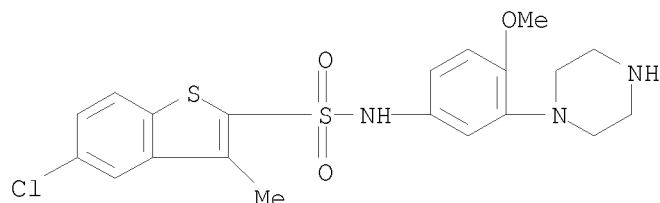
RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 18 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:1395370 CAPLUS

DN 148:54882

TI Preparation of heteroaryl amides that interact with ion channels, in particular with ion channels from the Kv family

IN Blom, Petra; Defert, Olivier; Kaletta, Titus; Leysen, Dirk Casimir Maria

PA Devgen N.V., Belg.

SO PCT Int. Appl., 62pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2007138112	A2	20071206	WO 2007-EP55408	20070601
	WO 2007138112	A3	20080515		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,			



BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,  
GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

EP 2006-447075 A 20060601

US 2006-809841P P 20060601

OS MARPAT 148:54882

AB The present invention relates to compds. that interact with ion channels. In particular, the invention relates to compds. I or II [n, m = 0-4; Z1 = C(O), C(S), SO<sub>2</sub>; L1 = (un)substituted alkylene, cycloalkylene, cycloalkylenoxyalkylene; X1 = O or S; X2 = CR<sub>4</sub> or N; X3 = CR1 or N; X4 = CR1 or N; R1 = H, halo, OH, etc.; R2 = H, halo, OH, etc.; R3 = H, alkyl, aryl, etc.; R4 = H, halo, NH<sub>2</sub>, etc.; with the provisos]. Sixty-two specific title compds. such as III were prepared and/or claimed. The exemplified title compds. were tested in patch clamp assays (for example, III showed above 50% inhibition on Kv4.3-mediated potassium channel). The invention also relates to methods for preparing said compds. I (general protocols and schemes were given), to pharmaceutical compns. comprising said compds., and to the use of said compds. in methods for treatment of the human and animal body.

IT 959743-62-5P 959743-67-0P 959743-68-1P

959743-69-2P 959743-73-8P 959743-91-0P

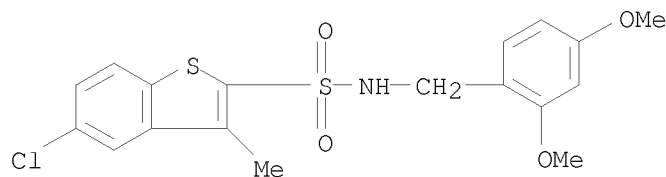
959743-94-3P 959743-95-4P 959743-98-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heteroaryl amides useful in treatment and prevention of diseases associated with ion channels)

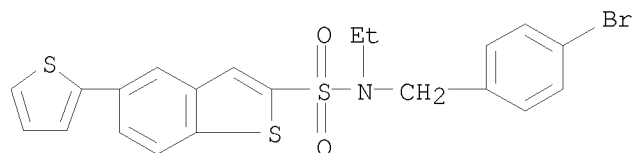
RN 959743-62-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[(2,4-dimethoxyphenyl)methyl]-3-methyl- (CA INDEX NAME)



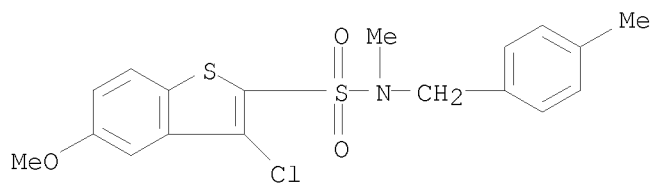
RN 959743-67-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[(4-bromophenyl)methyl]-N-ethyl-5-(2-thienyl)- (CA INDEX NAME)



RN 959743-68-1 CAPLUS

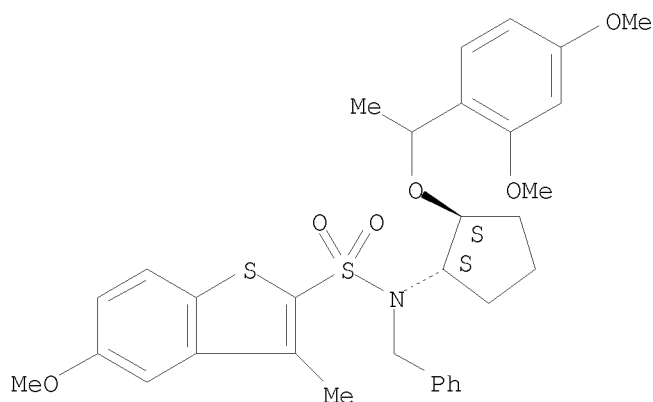
CN Benzo[b]thiophene-2-sulfonamide, 3-chloro-5-methoxy-N-methyl-N-[(4-methylphenyl)methyl]- (CA INDEX NAME)



RN 959743-69-2 CAPLUS

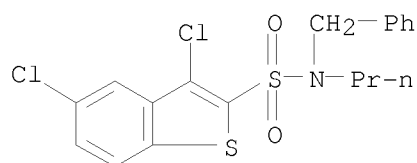
CN Benzo[b]thiophene-2-sulfonamide, N-[(1S,2S)-2-[1-(2,4-dimethoxyphenyl)ethoxy]cyclopentyl]-5-methoxy-3-methyl-N-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.



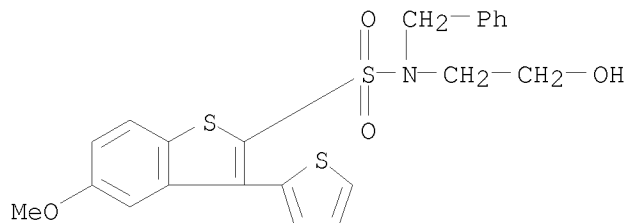
RN 959743-73-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 3,5-dichloro-N-(phenylmethyl)-N-propyl- (CA INDEX NAME)

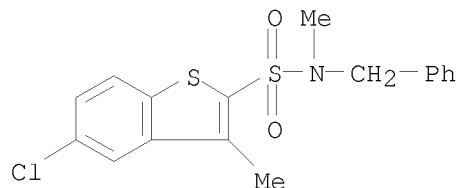


RN 959743-91-0 CAPLUS

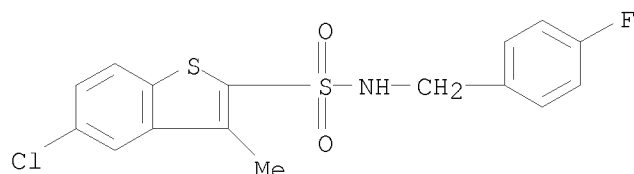
CN Benzo[b]thiophene-2-sulfonamide, N-(2-hydroxyethyl)-5-methoxy-N-(phenylmethyl)-3-(2-thienyl)- (CA INDEX NAME)



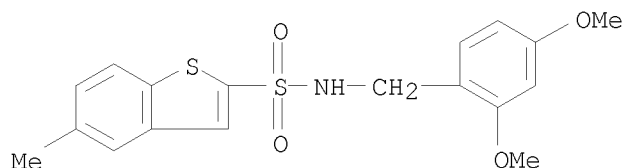
RN 959743-94-3 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N,3-dimethyl-N-(phenylmethyl)-  
 (CA INDEX NAME)



RN 959743-95-4 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[(4-fluorophenyl)methyl]-3-methyl- (CA INDEX NAME)



RN 959743-98-7 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-[(2,4-dimethoxyphenyl)methyl]-5-methyl-  
 (CA INDEX NAME)



L6 ANSWER 19 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2007:1356517 CAPLUS  
 DN 148:92237  
 TI New Serotonin 5-HT6 Ligands from Common Feature Pharmacophore Hypotheses  
 AU Kim, Hye-Jung; Doddareddy, Munikumar Reddy; Choo, Hyunah; Cho, Yong Seo;  
 No, Kyoung Tai; Park, Woo-Kyu; Pae, Ae Nim  
 CS Life Science Division, Korea Institute of Science and Technology, Seoul,  
 130-650, S. Korea  
 SO Journal of Chemical Information and Modeling (2008), 48(1), 197-206  
 CODEN: JCISD8; ISSN: 1549-9596  
 PB American Chemical Society  
 DT Journal  
 LA English  
 AB Serotonin 5-HT6 receptor antagonists are thought to play an important role  
 in the treatment of psychiatry, Alzheimer's disease, and probably obesity.  
 To find novel and potent 5-HT6 antagonists and to provide a new idea for  
 drug design, we used a ligand-based pharmacophore to perform the virtual

screening of a com. available database. A three-dimensional common feature pharmacophore model was developed by using the HipHop program provided in Catalyst software and was used as a query for screening the database. A recursive partitioning (RP) model which can sep. active and inactive compds. was used as a filtering system. Finally a sequential virtual screening procedure (SQSP) was conducted, wherein both the common feature pharmacophore and the RP model were used in succession to improve the results. Some of the hits were selected based on druglikeness, ADME properties, structural diversity, and synthetic accessibility for real biol. evaluation. The best hit compound showed a significant IC50 value of 9.6 nM and can be used as a lead for further drug development.

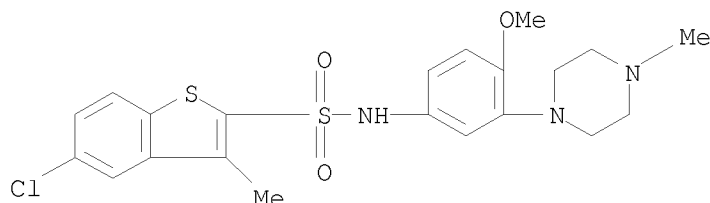
IT 209480-56-8

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(drug design, structure-activity profile and a sequential virtual screening procedure for new serotonin 5-HT6 ligands from common feature pharmacophore hypotheses)

RN 209480-56-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 20 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:1204051 CAPLUS

DN 147:486320

TI Preparation of (hetero)arylsulfonamides as modulators of serotonin 5HT6 receptors and dopamine D3 receptors for the treatment of CNS disorders

IN Grandel, Roland; Braje, Wilfried Martin; Haupt, Andreas; Turner, Sean Colm; Lange, Udo; Drescher, Karla; Unger, Liliane; Plata, Dan

PA Abbott Gmbh & Co. KG, Germany

SO PCT Int. Appl., 208pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007118899	A1	20071025	WO 2007-EP53807	20070418
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,			

BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,  
 GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
 BY, KG, KZ, MD, RU, TJ, TM

US 2006-793139P

P 20060419

OS MARPAT 147:486320

AB Title compds. I [wherein n = 0-2; G = CH<sub>2</sub> or CHR<sub>3</sub>; R<sub>1</sub> = H, (un)substituted alkyl, cycloalkyl, etc.; R<sub>2</sub> - R<sub>4</sub> = H, Me, CF<sub>3</sub>, CHCF<sub>2</sub> or CH<sub>2</sub>F; A = (un)substituted 1,4- or 1,3-phenylene; E = NH, N(alkyl) or CH<sub>2</sub>; Ar = (un)substituted Ph, pyridinyl, thienyl or benzothiophenyl] and physiol. tolerated acid addition salts thereof were prepared I generally exhibit very good affinities for the 5HT<sub>6</sub> receptor. Some of them, in particular those having 1,4-phenylene as group A, also exhibit very good affinities for the D<sub>3</sub> receptor, and bind selectively to the dopamine D<sub>3</sub> receptor over the dopamine D<sub>2</sub> receptor. For instance, II·HCl was synthesized by sulfonylation of the corresponding aniline with 2-methylthiophene-2-sulfonyl chloride, and had binding constant K<sub>i</sub> values of 1-10 nM for 5HT<sub>6</sub> and D<sub>3</sub> receptors and binding selectivity of K<sub>i</sub>(D<sub>2</sub>)/K<sub>i</sub>(D<sub>3</sub>) larger than 150. The invented compds. and their pharmaceutical compns. are useful for the treatment of diseases such as CNS disorders.

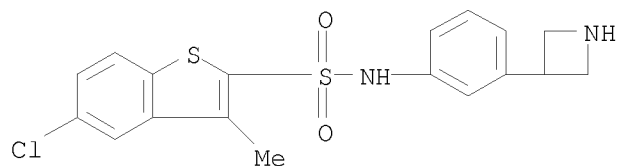
IT 954376-52-4P 954376-70-6P 954376-77-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzenesulfonamides and (benzo)thiophenesulfonamides as modulators of serotonin 5HT<sub>6</sub> receptors and dopamine D<sub>3</sub> receptors for treatment of CNS disorders)

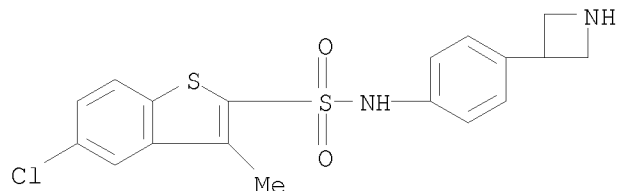
RN 954376-52-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[3-(3-azetidiny)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)



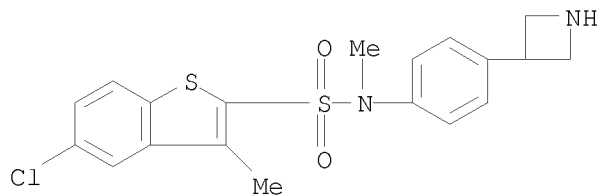
RN 954376-70-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(3-azetidiny)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)



RN 954376-77-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(3-azetidiny)phenyl]-5-chloro-N,3-dimethyl- (CA INDEX NAME)



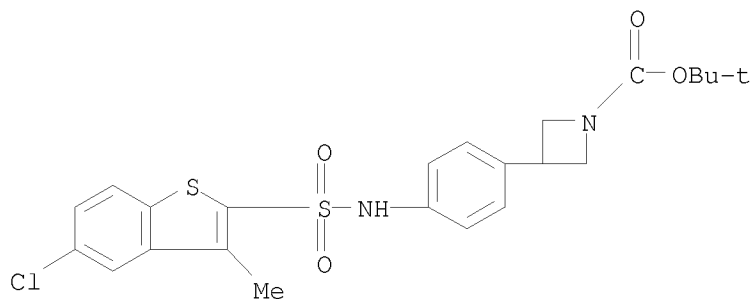
IT 954376-78-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of benzenesulfonamides and (benzo)thiophenesulfonamides as modulators of serotonin 5HT6 receptors and dopamine D3 receptors for treatment of CNS disorders)

RN 954376-78-4 CAPLUS

CN 1-Azetidinecarboxylic acid, 3-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



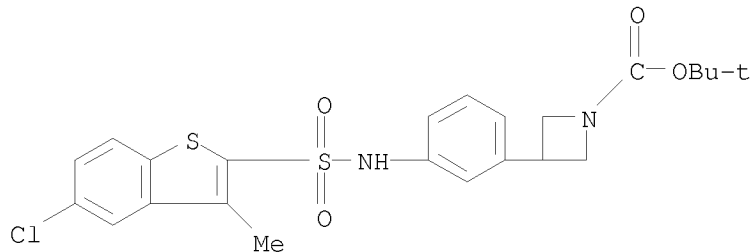
IT 954376-53-5P 954376-79-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzenesulfonamides and (benzo)thiophenesulfonamides as modulators of serotonin 5HT6 receptors and dopamine D3 receptors for treatment of CNS disorders)

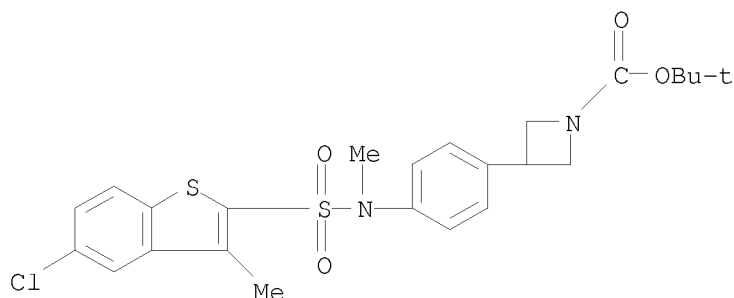
RN 954376-53-5 CAPLUS

CN 1-Azetidinecarboxylic acid, 3-[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 954376-79-5 CAPLUS

CN 1-Azetidinecarboxylic acid, 3-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 21 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2007:1077171 CAPLUS  
DN 147:406699  
TI Preparation of substituted tetrahydroisoquinolines as 5-HT6 receptor  
modulators  
IN Torrens Jover, Antoni; Mas Prio, Josep; Port Casamitjana, Adriana;  
Buschmann, Helmut H.  
PA Laboratorios del Dr. Esteve, S.A., Spain  
SO Eur. Pat. Appl., 102pp.  
CODEN: EPXXDW  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1837332	A1	20070926	EP 2006-380059	20060323
	R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			
	WO 2007107373	A1	20070927	WO 2007-EP2569	20070323
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
				EP 2006-380059	A 20060323

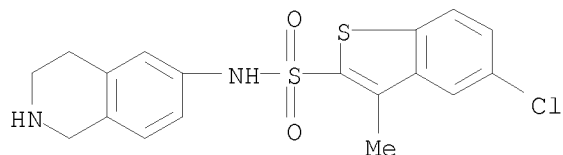
OS CASREACT 147:406699; MARPAT 147:406699  
AB Title compds. I [R1 = H, (un)substituted alkyl, cycloalkyl, etc.; R2-5 independently = H, halo, NO2, NH2, etc.], and their pharmaceutically acceptable salts, were prepared and disclosed for the preparation of medicaments, which are particularly suitable for the prophylaxis and/or treatment of disorders or diseases that are at least partially mediated via 5-HT6 receptors. Thus, e.g. II was prepared by sulfonylation of tert-Bu 6-amino-3,4-dihydroisoquinoline-2(1H)-carboxylate with

4-methylnaphthalene-1-sulfonyl chloride. I were evaluated for their binding to the 5-HT6 receptor, e.g., II exhibited 8.2% binding at 100 nM.

IT 950822-74-9P 950822-76-1P 950822-87-4P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of substituted tetrahydroisoquinolines as 5-HT6 receptor modulators)

RN 950822-74-9 CAPLUS

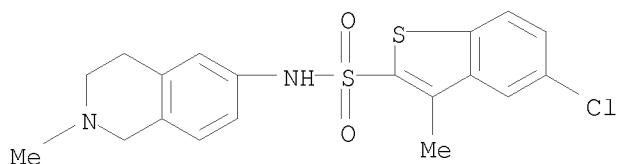
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-(1,2,3,4-tetrahydro-6-isoquinolinyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 950822-76-1 CAPLUS

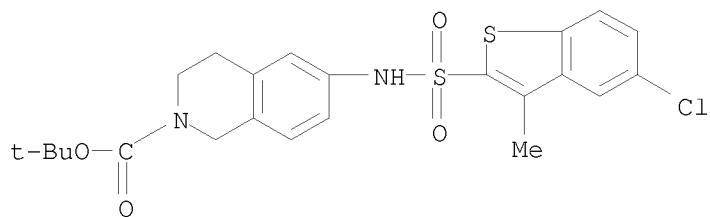
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-(1,2,3,4-tetrahydro-2-methyl-6-isoquinolinyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 950822-87-4 CAPLUS

CN 2(1H)-Isoquinolinecarboxylic acid, 6-[[ (5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3,4-dihydro-, 1,1-dimethylethyl ester (CA INDEX NAME)

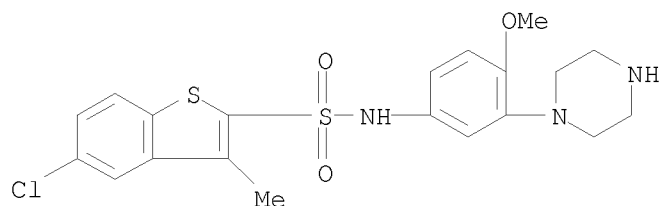


RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD



ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 22 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2007:892039 CAPLUS  
 DN 147:336113  
 TI (±) Ketamine-induced prepulse inhibition deficits of an acoustic  
 startle response in rats are not reversed by antipsychotics  
 AU Cilia, Jackie; Hatcher, Paula; Reavill, Charlie; Jones, Declan N. C.  
 CS Psychiatry CEDD, GlaxoSmithKline, Horlow, UK  
 SO Journal of Psychopharmacology (London, United Kingdom) (2007), 21(3),  
 302-311  
 CODEN: JOPSEQ; ISSN: 0269-8811  
 PB Sage Publications Ltd.  
 DT Journal  
 LA English  
 AB Prepulse inhibition (PPI) is the reduction in the startle response caused by a  
 low intensity non-startling stimulus (the prepulse) which is presented  
 shortly before the startle stimulus and is an operational measure of  
 sensorimotor gating. PPI is impaired in psychiatric disorders such as  
 schizophrenia. Ketamine, a non-competitive N-methyl-D-aspartate  
 antagonist has been shown to induce schizophrenia-like behavioral changes  
 in humans and PPI deficits in rats, which can be reversed by  
 antipsychotics. Thus, ketamine-induced PPI deficits in rats may provide a  
 translational model of schizophrenia. The aim of this study was to  
 investigate the effects of antipsychotic drugs and drugs known to alter  
 the glutamate system upon ketamine-induced PPI deficits in rats. Rats  
 were habituated to the PPI procedure [randomized trials of either pulse  
 alone (110 dB/50 ms) or prepulse + pulse (80 dB/10 ms)]. Animals were  
 assigned to pre-treatments based on the level of PPI on the last  
 habituation test and balanced across startle chambers. Ketamine (1-10  
 mg/kg s.c.; 15 min ptt) increased startle amplitude and induced PPI  
 deficits at 6 and 10 mg/kg. PPI deficits induced by ketamine at 6 mg/kg  
 were not attenuated by clozapine (2.5-10 mg/kg s.c.; 60 min ptt),  
 risperidone (0.1-1 mg/kg i.p.; 60 min ptt), haloperidol (0.1-1 mg/kg i.p.;  
 60 min ptt), lamotrigine (3-30 mg/kg p.o.; 60 min ptt), or SB-271046-A  
 (5-20 mg/kg p.o.; 2 h ptt) nor potentiated by  
 2-methyl-6-(phenylethynyl)-pyridine (3-10 mg/kg i.p.; 30 min ptt). These  
 results suggest that under these test conditions ketamine-induced PPI  
 deficits in rats is relatively insensitive and does not represent a  
 translational model for drug discovery in schizophrenia.  
 IT 209481-24-3, SB-271046-A  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (ketamine-induced prepulse inhibition deficits of acoustic startle  
 response was insensitive to clozapine, risperidone, haloperidol,  
 lamotrigine and SB-271046-A in rat and was not effective model for drug  
 discovery in schizophrenia)  
 RN 209481-24-3 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-  
 piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RE.CNT 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 23 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2007:872431 CAPLUS  
DN 147:211732  
TI Preparation of tetrahydro- $\beta$ -carbolinsulfonamides as 5-HT<sub>6</sub> receptor  
inhibitors  
IN Diaz-Fernandez, Jose Luis; Merce-Vidal, Ramon; Holenz, Joerg  
PA Laboratorios del Dr. Esteve S.A., Spain  
SO Eur. Pat. Appl., 19pp.  
CODEN: EPXXDW  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1747779	A1	20070131	EP 2005-380174	20050728
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
	CA 2616729	A1	20070315	CA 2006-2616729	20060726
				EP 2005-380174	A 20050728
				WO 2006-EP7358	W 20060726
	WO 2007028460	A1	20070315	WO 2006-EP7358	20060726
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
				EP 2005-380174	A 20050728
	EP 1919475	A1	20080514	EP 2006-818244	20060726
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
				EP 2005-380174	A 20050728
				WO 2006-EP7358	W 20060726
	MX 200801314	A	20080602	MX 2008-1314	20080128
				ES 2005-380174	A 20050728

CN 101272785	A	20080924	WO 2006-EP7358	W 20060726
			CN 2006-80035713	20080327
			EP 2005-380174	A 20050728
			WO 2006-EP7358	W 20060726

OS CASREACT 147:211732; MARPAT 147:211732

AB Title compds. I [R1, R2 = H, alkyl, alkenyl, etc.; R3 = H, alkyl, alkenyl, etc.; R4 = CONRaRb, COORa; Ra, Rb = H, alkyl, aryl, etc.; R5 = NRcSO2Rd; Rc = H, alkyl, etc.; Rd = aryl, heteroaryl; R6 = H, alkyl, aryl, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, N-acylation of amine II with 6-chloroimidazo[2,1-b]thiazole-5-sulfonyl chloride afforded claimed sulfonamide III. In 5-HT6 receptor inhibition assays, 2-examples of compds. I exhibited Ki values ranging from 2.4-2.8 nM.

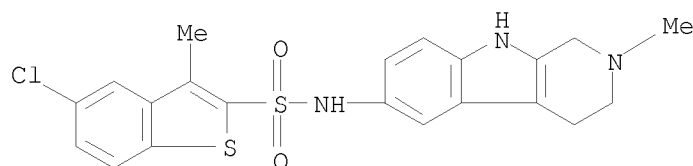
IT 944835-35-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tetrahydro- $\beta$ -carbolinsulfonamides as 5-HT6 receptor inhibitors)

RN 944835-35-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-(2,3,4,9-tetrahydro-2-methyl-1H-pyrido[3,4-b]indol-6-yl)- (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 24 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:859258 CAPLUS

DN 147:269514

TI The effects of pharmacological blockade of the 5-HT6 receptor on formalin-evoked nociceptive behavior, locomotor activity and hypothalamo-pituitary-adrenal axis activity in rats

AU Finn, David P.; Fone, Kevin C. F.; Beckett, Simon R. G.; Baxter, Jonathan A.; Ansell, Lucy; Marsden, Charles A.; Chapman, Victoria

CS Department of Pharmacology and Therapeutics, Galway, National University of Ireland, University Road, Galway, Ire.

SO European Journal of Pharmacology (2007), 569(1-2), 59-63  
CODEN: EJPHAZ; ISSN: 0014-2999

PB Elsevier B.V.

DT Journal

LA English

AB 5-Hydroxytryptamine (5-HT) mediates behavioral and neuroendocrine responses to noxious or stressful stimuli. 5-HT6 receptors are expressed in brain regions involved in nociceptive processing, however, their role in nociception is unknown. Here we demonstrate that acute, systemic administration of the 5-HT6 receptor antagonist, 5-chloro-N-(4-methoxy-3-benzothio-phenesulfonamide (SB-271046)), reduces formalin-evoked nociceptive behavior and increases plasma corticosterone. SB-271046 dose-dependently reduced pre-formalin distance moved, rearing, grooming and defecation. These data provide the first evidence for 5-HT6

receptor-mediated regulation of nociception and hypothalamo-pituitary-adrenal axis activity in a model of persistent pain although effects on locomotor activity demand that the putative antinociceptive effect of SB-271046 be interpreted with some caution.

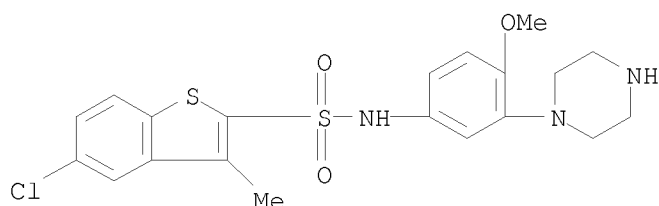
IT 209481-20-9, SB-271046

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(effect of pharmacol. blockade of 5-HT6 receptor on nociception behavior, locomotor activity and hypothalamo-pituitary-adrenal axis activity)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 25 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:793635 CAPLUS

DN 147:158506

TI Method using a combination of an acetylcholinesterase inhibitor and a 5-HT6 antagonist for the treatment of cognitive dysfunction

IN Comery, Thomas Anthony; Schechter, Lee Erwin

PA Wyeth, John, and Brother Ltd., USA

SO U.S. Pat. Appl. Publ., 15pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20070167431	A1	20070719	US 2007-652725	20070112
				US 2006-758841P	P 20060113
	AU 2007208516	A1	20070802	AU 2007-208516	20070109
				US 2006-758841P	P 20060113
	CA 2635920	A1	20070802	WO 2007-US354	W 20070109
				CA 2007-2635920	20070109
	WO 2007087151	A2	20070802	US 2006-758841P	P 20060113
				WO 2007-US354	W 20070109
	WO 2007087151	A3	20071115	WO 2007-US354	20070109
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,					

IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,  
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

US 2006-758841P P 20060113  
EP 1971334 A2 20080924 EP 2007-716405 20070109  
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR  
US 2006-758841P P 20060113  
WO 2007-US354 W 20070109

OS MARPAT 147:158506

AB The invention provides a method for the treatment of a cognitive disorder,  
e.g. Alzheimer's disease, in a patient in need thereof which comprises  
providing to the patient a therapeutically effective amount of a combination  
of an acetylcholinesterase inhibitor and a 5-HT6 antagonist.

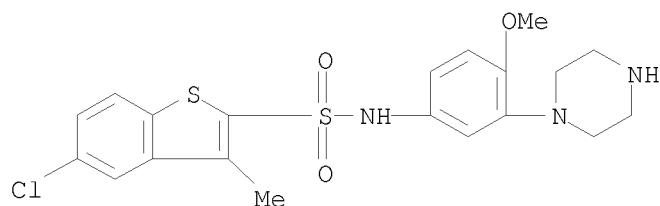
IT 209481-20-9 209481-20-9D, salts

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)

(acetylcholinesterase inhibitor combination with 5-HT6 antagonist for  
cognitive dysfunction treatment)

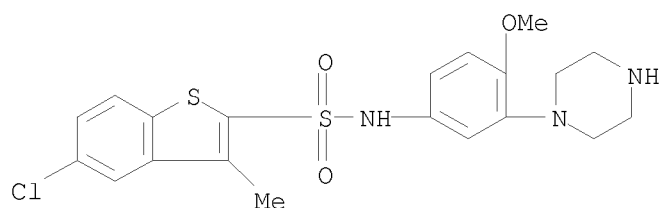
RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-  
piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-  
piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



L6 ANSWER 26 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:705941 CAPLUS

DN 147:110267

TI Use of amino alcohol derivatives for the treatment of overactive bladder

IN Trieselmann, Thomas; Hamilton, Bradford S.; Mueller, Stephan G.; Stenkamp,  
Dirk

PA Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim  
Pharma GmbH & Co. KG

SO PCT Int. Appl., 67pp.

CODEN: PIXXD2

DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007071653	A1	20070628	WO 2006-EP69856	20061218
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
				EP 2005-27738	A 20051219
				DE 2006-102006003697A	20060126
	DE 102006003697	A1	20070802	DE 2006-102006003697	20060126
	EP 1965782	A1	20080910	EP 2006-830693	20061218
	R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
				EP 2005-27738	A 20051219
				DE 2006-102006003697A	20060126
				WO 2006-EP69856	W 20061218

OS MARPAT 147:110267

AB The invention discloses the use of  $\beta$ -agonist amino alc. compds. I [R1, R2, R10, R11 = H, halo, CN, etc.; n = 0-3; R3 = H, (un)substituted C1-10 alkyl, etc.; R4, R5 = H, halo, etc.; R6 = (un)substituted mopholino, (un)substituted thiomorpholino, etc.; R8 = H, (un)substituted C1-10 alkyl, etc.; R9 = H, (un)substituted C1-10 alkyl, etc.; R12 = H, (un)substituted benzyl, etc.] and II [R1 = (un)substituted (hetero)aryl; R2 = (un)substituted heteroaryl or heterocyclyl (R2 contains  $\geq 1$  N atom); R3, R4 = H, (un)substituted C1-5 alkyl, etc.; R5-R7 = H, (un)substituted C1-10 alkyl, etc.], as well as tautomers, enantiomers, diastereomers, mixts., prodrugs, and salts thereof, particularly the physiol. acceptable salts thereof with inorg. or organic acids or bases, for preparing a medicament for the treatment of overactive bladder.

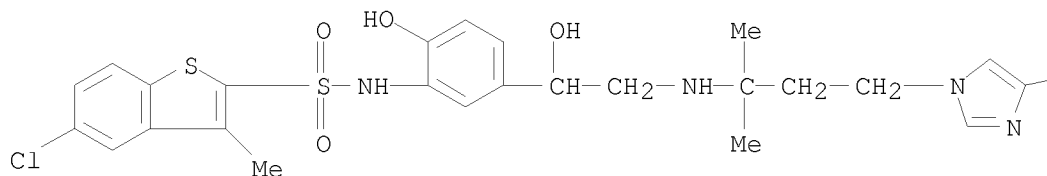
IT 942577-51-7

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(amino alc. derivative  $\beta$ -agonists for treatment of overactive bladder)

RN 942577-51-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[5-[2-[[1,1-dimethyl-3-(4-phenyl-1H-imidazol-1-yl)propyl]amino]-1-hydroxyethyl]-2-hydroxyphenyl]-3-methyl- (CA INDEX NAME)

PAGE 1-A



—Ph

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 27 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:632414 CAPLUS

DN 147:110394

TI Development of a liquid chromatography/tandem mass spectrometry method for the quantitation of acetylcholine and related neurotransmitters in brain microdialysis samples

AU Zhang, Mei-Yi; Hughes, Zoe A.; Kerns, Edward H.; Lin, Qian; Beyer, Chad E.

CS Chemical and Screening Sciences, Wyeth Research, Princeton, NJ, 08543, USA

SO Journal of Pharmaceutical and Biomedical Analysis (2007), 44(2), 586-593  
CODEN: JPBADA; ISSN: 0731-7085

PB Elsevier B.V.

DT Journal

LA English

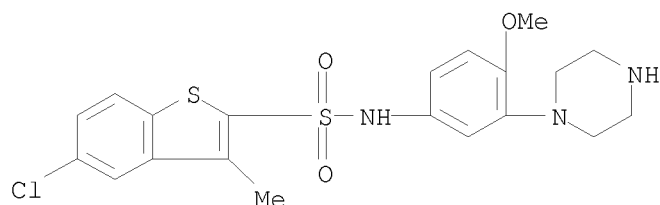
AB Monitoring concns. of acetylcholine (ACh) in specific brain regions is important in understanding disease pathol., as well as in designing and evaluating novel disease-modifying treatments where cholinergic dysfunction is a hallmark feature. We have developed a sensitive and quant. liquid chromatog./tandem mass spectrometry method to analyze the extracellular concns. of ACh, choline (Ch) and (3-carboxypropyl)-trimethylammonium (iso-ACh) in brain microdialysis samples of freely moving animals. One immediate advantage of this new method is the ability to monitor ACh in its free form without having to use a cholinesterase inhibitor in the perfusate. The separation of ACh, Ch, iso-ACh and related endogenous compds. was carried out based on cation exchange chromatog. with a volatile elution buffer consisting of ammonium formate, ammonium acetate and acetonitrile. An unknown interference of ACh, which was observed in brain microdialyzates from many studies, was well separated from ACh to ensure the accuracy of the measurement. Optimization of electrospray ionization conditions for these quaternary ammonium compds. achieved the limits of detection (S/N = 3) of 0.2 fmol for ACh, 2 fmol for Ch and 0.6 fmol for iso-ACh using a benchtop tandem quadrupole mass spectrometer with moderate sensitivity. The limit of quantitation (S/N = 10) was 1 fmol for ACh, 3 fmol for iso-ACh and 10 fmol for Ch. This method was selective, precise (<10% R.S.D.), and sensitive over a range of 0.05-10 nM for ACh, 0.25-50 nM for iso-ACh and 15-3000 nM for Ch. To demonstrate that the developed method can be applied to monitoring changes in ACh concns. in vivo, reference agents that have previously been shown to influence ACh levels were studied in rat dorsal hippocampus. This includes the 5-HT<sub>6</sub> receptor antagonist, SB-271046, and the cholinesterase inhibitor, donepezil. Moreover, levels of ACh were demonstrated to be sensitive to infusion of tetrodotoxin (TTX) suggesting that the ACh being measured in vivo was of neuronal origin. Collectively, these biol. data provided in vivo validation of this anal. method.

IT 209481-20-9, SB-271046

RL: PAC (Pharmacological activity); BIOL (Biological study)

(acetylcholine and related neurotransmitters determination in brain microdialysis samples by liquid chromatog./tandem mass spectrometry after SB-271046 administration)

RN 209481-20-9 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 28 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2007:536929 CAPLUS  
 DN 146:521555  
 TI Preparation of indene derivatives for treatment of 5-HT<sub>6</sub> receptors mediated diseases  
 IN Frigola-Constansa, Jordi; Merce-Vidal, Ramon; Holenz, Joerg; Alcalde Pais, Maria de las Ermitas; Mesquida Estevez, Maria de les Neus; Lopez Perez, Sara  
 PA Laboratorios del Dr. Esteve, S.A., Spain  
 SO PCT Int. Appl., 95pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007054257	A2	20070518	WO 2006-EP10627	20061107
	WO 2007054257	A3	20071018		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW:				
	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
				ES 2005-2720	A 20051108
				US 2005-735042P	P 20051108
	ES 2274725	A1	20070516	ES 2005-2720	20051108
	ES 2274725	B1	20080401		
	CA 2628856	A1	20070518	CA 2006-2628856	20061107
				ES 2005-2720	A 20051108
				US 2005-735042P	P 20051108
				WO 2006-EP10627	W 20061107
	EP 1960343	A2	20080827	EP 2006-818389	20061107
	R:				
	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
				ES 2005-2720	A 20051108



			US 2005-735042P	P	20051108
			WO 2006-EP10627	W	20061107
MX 200805834	A	20080516	MX 2008-5834		20080506
			ES 2005-2720	A	20051108
			US 2005-735042P	P	20051108
			WO 2006-EP10627	W	20061107

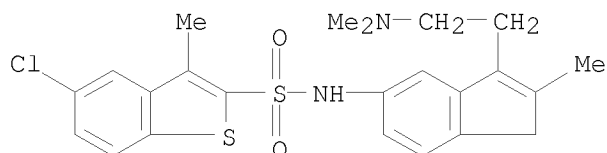
OS CASREACT 146:521555; MARPAT 146:521555

AB Title compds. represented by the formula I [wherein n = 0-4; R1 = (un)substituted (un)saturated (hetero)cycloaliph. radical, amino, CO2H, etc.; R2-R5 = independently H, NO2, NH2, etc.; A = C=CR6R6' or CR6R6'; R6, R6' = independently H, NH2, OH, etc.; and pharmaceutically acceptable salts, isomers, prodrugs or solvates thereof] were prepared For example, reaction of 2-methyl-6-nitroindan-1-one with 1.05 equiv of dry AcOEt in the presence of 1 M LHMDS solution in THF gave (2-methyl-6-nitro-3H-inden-1-yl)acetic acid. I had a binding test to 5-HT6 receptors, II showed 4.8 nM (Ki). Thus, I and their pharmaceutical compns. are useful for the treatment of diseases mediated by 5-HT6 receptors, such as obesity (no data).

IT 936573-43-2P, N-[3-(2-Dimethylaminoethyl)-2-methyl-1H-inden-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of indene derivs. for treatment of 5-HT6 receptors mediated diseases)

RN 936573-43-2 CAPLUS

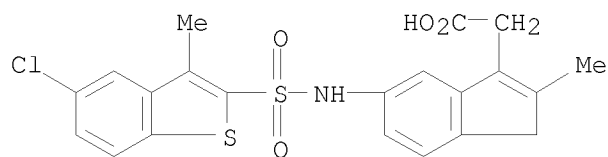
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethyl]-2-methyl-1H-inden-5-yl]-3-methyl- (CA INDEX NAME)



IT 936573-29-4P 936573-38-5P,  
 N-[2-Methyl-3-[2-oxo-2-(pyrrolidin-1-yl)ethyl]-1H-inden-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 936573-46-5P,  
 N-Ethyl-N-[3-(2-dimethylaminoethyl)-2-methyl-1H-inden-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 936573-50-1P  
 936573-61-4P, N-[2-Methyl-3-[2-(pyrrolidin-1-yl)ethyl]-1H-inden-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 936573-65-8P  
 , 5-Chloro-N-[3-[2-(dimethylamino)ethyl]-1,1-dimethyl-1H-inden-5-yl]-3-methylbenzo[b]thiophene-2-sulfonamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of indene derivs. for treatment of 5-HT6 receptors mediated diseases)

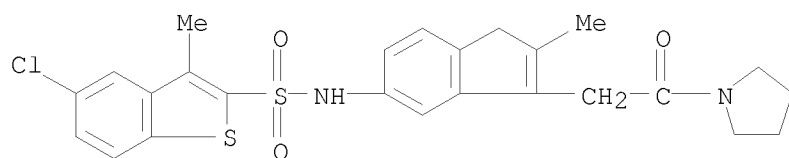
RN 936573-29-4 CAPLUS

CN 1H-Indene-3-acetic acid, 5-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-2-methyl- (CA INDEX NAME)



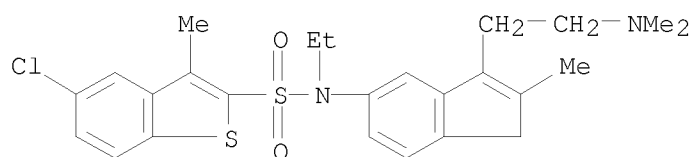
RN 936573-38-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[2-methyl-3-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1H-inden-5-yl]- (CA INDEX NAME)



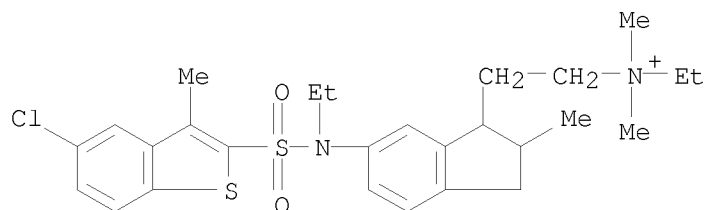
RN 936573-46-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethyl]-2-methyl-1H-inden-5-yl]-N-ethyl-3-methyl- (CA INDEX NAME)



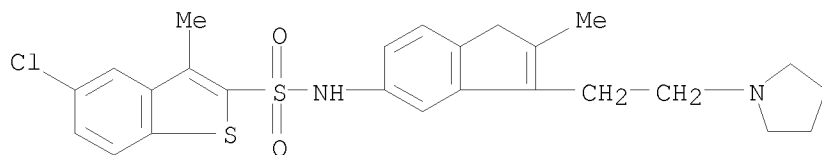
RN 936573-50-1 CAPLUS

CN 1H-Indene-1-ethanaminium, 6-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]ethylamino]-N-ethyl-2,3-dihydro-N,N,2-trimethyl-, iodide (1:1) (CA INDEX NAME)



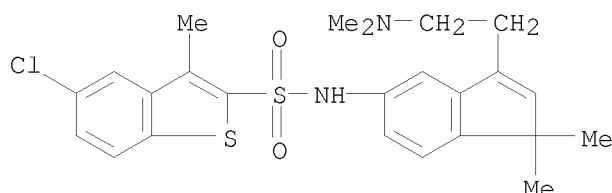
RN 936573-61-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[2-methyl-3-[2-(1-pyrrolidinyl)ethyl]-1H-inden-5-yl]- (CA INDEX NAME)



RN 936573-65-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethyl]-1,1-dimethyl-1H-inden-5-yl]-3-methyl- (CA INDEX NAME)



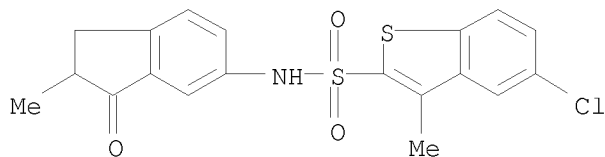
IT 936573-77-2P, N-(2-Methyl-3-oxoindan-5-yl)-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of indene derivs. for treatment of 5-HT<sub>6</sub> receptors mediated diseases)

RN 936573-77-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2,3-dihydro-2-methyl-3-oxo-1H-inden-5-yl)-3-methyl- (CA INDEX NAME)



L6 ANSWER 29 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:188209 CAPLUS

DN 146:351556

TI Whole spectrum analysis of ligand efficacy at constitutively active human wild-type and S267K 5-HT<sub>6</sub> receptors in HEK-293F cells

AU Romero, Gonzalo; Pujol, Marta; Perez, Pilar; Buschmann, Helmut; Pauwels, Petrus J.

CS Laboratorios Dr. Esteve S.A., Barcelona, 08041, Spain

SO Journal of Pharmacological and Toxicological Methods (2007), 55(2), 144-150

CODEN: JPTMEZ; ISSN: 1056-8719

PB Elsevier B.V.

DT Journal

LA English

AB Modulation of constitutive activity by the recombinant wild-type human 5-HT<sub>6</sub> receptor was investigated with a series of 5-HT<sub>6</sub> receptor ligands by

monitoring the cAMP signaling pathway. The impact of the mutation S267K near the B261BXXB265 CIII-loop motif was analyzed on the magnitude of constitutive receptor activity as previously conflicting results have been reported. The wild-type 5-HT6 receptor plasmid was obtained by PCR and the mutant S267K5-HT6 receptor was constructed by site-directed mutagenesis and stably transfected in HEK-293F cells by electroporation. The cAMP signaling pathway was monitored as a functional read-out to investigate ligands' responses using homogeneous time resolved fluorescence. Results showed that constitutive activity was present both at wild-type and mutant S267K 5-HT6 receptors. Neg. efficacy (Emax, % vs. basal) as observed at nanomolar concns. with SB-271046 was larger for mutant (- 92±1%) than wild-type 5-HT6 receptor (- 45±1%). Ro 04-6790 also demonstrated neg. efficacy at the wild-type 5-HT6 receptor with a magnitude similar to SB-271046 but with a 36-fold lower potency. MS-245 demonstrated at nanomolar concns. intermediate neg. efficacy; - 48±3% and - 16±2% at mutant and wild-type 5-HT6 receptor, resp. The 5-HT-mediated cAMP response was blocked by SB-271046, MS-245 and Ro 04-6790 to their resp. level of neg. efficacy with pKB values fitting with their binding pK<sub>i</sub> values. E-6801 was a highly potent (pEC<sub>50</sub>: 10.17 to 10.19) and efficacious agonist (+ 98 to + 102% vs. 5-HT) at both wild-type and mutant 5-HT6 receptors. Thus, the recombinant wild-type human 5-HT6 receptor is constitutively active in HEK-293F cells and displays a high resolution to monitor efficacy properties of 5-HT6 receptor ligands. The resolution capacity to differentiate between efficacy properties of 5-HT6 receptor ligands, in particular for neg. efficacy, can be further enhanced by monitoring the mutant S267K 5-HT6 receptor.

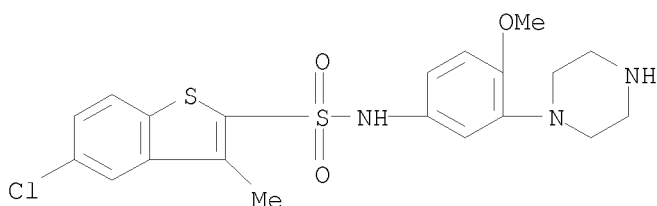
IT 209481-20-9, SB-271046

RL: BSU (Biological study, unclassified); PKT (Pharmacokinetics); BIOL (Biological study)

(whole spectrum anal. of ligand efficacy at constitutively active human wild-type and S267K 5-HT6 receptors in HEK-293F cells)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 30 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:113558 CAPLUS

DN 146:206308

TI Preparation of azolylmethylbenzenesulfonamides as CCR2 chemokine receptor antagonists.

IN Brooks, Carl; Cleary, Pamela A.; Goodman, Krista B.; Peace, Simon; Philp, Joanne; Sehon, Clark A.; Smethurst, Christian; Watson, Stephen Paul

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 114 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007014054	A2	20070201	WO 2006-US28419	20060721
	WO 2007014054	A3	20071115		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
				GB 2005-15194	A 20050722
				GB 2005-19492	A 20050923

OS MARPAT 146:206308

AB Title compds. [I; R1 = (substituted) aryl, thienyl, benzothienyl, imidazolyl, pyridyl, isoquinolinyl, piperonyl, benxoxathiadiazolyl, benzodiazolyl; m = 1-3; R2 = halo, cyano, OCF<sub>3</sub>, CF<sub>3</sub>; R3 = (substituted) heteroaryl, heterocycloalkyl], were prepared as CCR2 chemokine receptor antagonists (no data). Thus, [5-chloro-2-(1H-1,2,3-triazol-1-ylmethyl)phenyl]amine (preparation given) in pyridine was treated with 4-dimethylaminopyridine and 3,4-dichlorobenzoyl chloride followed by heating of the mixture at 90° for 4 h to give 3,4-dichloro-N-[5-chloro-2-(1H-1,2,3-triazol-1-ylmethyl)phenyl]benzenesulfonamide.

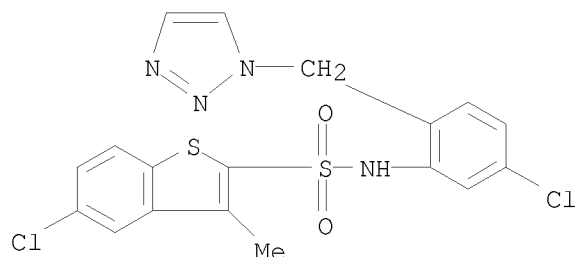
IT 922710-52-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azolylmethylbenzenesulfonamides as CCR2 chemokine receptor antagonists)

RN 922710-52-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[5-chloro-2-(1H-1,2,3-triazol-1-ylmethyl)phenyl]-3-methyl- (CA INDEX NAME)



L6 ANSWER 31 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:34497 CAPLUS

DN 146:142690

TI Benzoxazepinylbenzenesulfonamide and process for their preparation, intermediates, pharmaceutical compositions and their use in the treatment

of 5-HT6 mediated disorders such as Alzheimer's disease, cognitive disorders, cognitive impairment associated with schizophrenia, obesity and Parkinson's disease

IN Nordvall, Gunnar; Petersson, Carl; Sehgelmeble, Fernando

PA Astrazeneca AB, Swed.

SO PCT Int. Appl., 76pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007004959	A1	20070111	WO 2006-SE827	20060703
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
				SE 2005-1579	A 20050705
EP	1910321	A1	20080416	EP 2006-758020	20060703
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
				SE 2005-1579	A 20050705
				WO 2006-SE827	W 20060703
IN	2007DN10115	A	20080704	IN 2007-DN10115	20071227
				SE 2005-1579	A 20050705
				WO 2006-SE827	W 20060703
CN	101258135	A	20080903	CN 2006-80032455	20080305
				SE 2005-1579	A 20050705
				WO 2006-SE827	W 20060703

OS CASREACT 146:142690; MARPAT 146:142690

AB The invention relates to new compds. of formula I, or salts, solvates or solvated salts thereof, process for their preparation and to new intermediates used in the preparation thereof, pharmaceutical compns. containing said compds. and

to the use of said compds. in the treatment of 5-HT6 mediated disorders such as Alzheimer's disease, cognitive disorders, cognitive impairment associated with schizophrenia, obesity and Parkinson's disease. Compds. of formula I wherein Q is C6-10 aryl-C0-6 alkyl, C5-11 heteroaryl-C0-6 alkyl, C3-7 (hetero)cycloalkyl-C0-6 alkyl, and C1-10 alkyl; R1 and R2 are independently H, OH, halo, C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, C1-10 alkoxy, etc.; n is 0, 1, 2, 3, 4, and 5; B is O, and NH and derivs.; X is O, CH2, CO, S, SO, SO2 and NH and derivs.; R3 is H, C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, C6-10 aryl-C0-6 alkyl, etc.; R4 is H, C1-5 (halo)alkyl, and C1-5 (halo)alkoxy, etc.; R5 is H, C1-6 (halo)alkyl, C1-6 (halo)alkoxy, etc.; R9 is H, OH, halo, C1-6 alkyl, C1-6 alkoxy-C0-3 alkyl, etc. and their pharmaceutically acceptable salts, solvates and solvated salts thereof, are claimed. Example compound II was prepared by reductive alkylation of 2-(methylamino)ethanol with 2-hydroxy-5-nitrobenzaldehyde; the resulting 2-[[[(2-hydroxyethyl)methylamino]methyl]-4-nitrophenol underwent cyclization to give 4-methyl-7-nitro-2,3,4,5-tetrahydro-1,4-benzoxazepine, which underwent reduction to give

4-methyl-2,3,4,5-tetrahydro-1,4-benzoxazepin-7-amine, which underwent sulfonylation with 3-bromobenzenesulfonyl chloride to give compound II. All the invention compds. were evaluated for their 5-HT<sub>6</sub> binding affinity (data given).

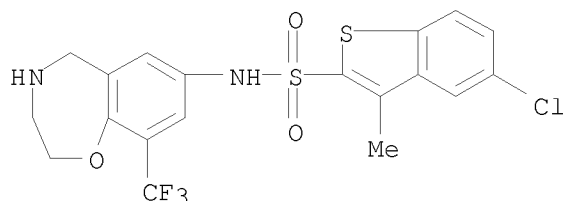
IT 918900-14-8P 918900-27-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzoxazepinylbenzenesulfonamides and their use in the treatment of 5-HT<sub>6</sub> mediated disorders such as Alzheimer's disease, cognitive disorders, obesity, and Parkinson's disease)

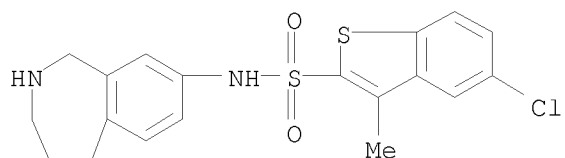
RN 918900-14-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[2,3,4,5-tetrahydro-9-(trifluoromethyl)-1,4-benzoxazepin-7-yl]- (CA INDEX NAME)



RN 918900-27-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-(2,3,4,5-tetrahydro-1H-2-benzazepin-8-yl)- (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 32 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:11341 CAPLUS

DN 146:121941

TI Pyrrolo[2,3-b]pyridine derivatives as protein kinase inhibitors and their preparation, pharmaceutical compositions and use in the treatment of diseases

IN Ibrahim, Prabha N.; Artis, Dean R.; Bremer, Ryan; Habets, Gaston; Mamo, Shumeye; Nespi, Marika; Zhang, Chao; Zhang, Jiazhong; Zhu, Yong-Liang; Zuckerman, Rebecca; West, Brian; Suzuki, Yoshihisa; Tsai, James; Hirth, Klaus-Peter; Bollag, Gideon; Spevak, Wayne; Cho, Hanna; Gillette, Samuel J.; Wu, Guoxian; Zhu, Hongyao; Shi, Shenghua

PA Plexxikon, Inc., USA

SO PCT Int. Appl., 631 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE

PI	WO 2007002433	A1	20070104	WO 2006-US24524	20060621
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PATENT FAMILY INFORMATION:

FAN 2007:11300

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				US 2005-692960P	P	20050622
				WO 2006-US18726	W	20060516
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			WO 2006-US18726	W	20060516

OS MARPAT 146:121941

AB Compds. of formula I which are active on protein kinases are described, as well as methods of using such compds. to treat diseases and conditions associated with aberrant activity of protein kinases. Compds. of formula I wherein Q is (un)substituted aryl, (un)substituted indole, (un)substituted heteroaryl, etc.; A is O, S, (un)substituted methylene, NH and derivs., CO, CS, SO and SO<sub>2</sub>; R<sub>4</sub> - R<sub>6</sub> is H, halo, (un)substituted lower alkyl, (un)substituted lower alkenyl, (un)substituted alkynyl, (un)substituted (hetero)cycloalkyl, and (un)substituted (hetero)aryl; and their pharmaceutically acceptable salts, prodrugs, tautomers, and isomers thereof, are claimed. Example compound II was prepared by carboxylation of 2,4-difluoroaniline with benzyl chloroformate; the resulting benzyl 3-amino-2,6-difluorobenzoate underwent sulfonylation with propane-1-sulfonyl chloride to give benzyl 2,6-difluoro-3-(propylsulfonylamino)benzoate, which underwent hydrogenation to give the corresponding benzoic acid, which underwent chlorination, to give the corresponding acid chloride, which underwent reaction with 5-bromo-7-azaindole to give compound II. All the invention compds. were evaluated for their protein kinase inhibitory activity. Several of the tested compds. exhibited good protein kinase inhibitory activity against several kinases.

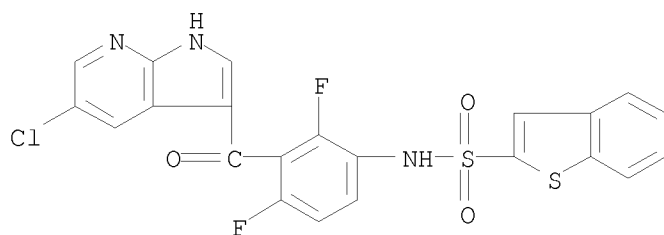
IT 918506-02-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrrolopyridine derivs. as protein kinase inhibitors useful in treatment of diseases)

RN 918506-02-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[3-[(5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)carbonyl]-2,4-difluorophenyl]- (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 33 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2007:11300 CAPLUS  
DN 146:142627  
TI Pyrrolo[2,3-b]pyridine derivatives as protein kinase inhibitors and their  
preparation, pharmaceutical compositions and use in the treatment of  
diseases  
IN Ibrahim, Prahbha N.; Artis, Dean R.; Bremer, Ryan; Mamo, Shumeye; Nespi,  
Marika; Zhang, Chao; Zhang, Jiazhong; Zhu, Yong-Liang; Tsai, James; Hirth,  
Klaus-Peter; Bollag, Gideon; Spevak, Wayne; Cho, Hanna; Gillette, Samuel  
J.; Wu, Guoxiam; Zhu, Hongyao; Shi, Shenghua  
PA Plexxikon, Inc., USA  
SO PCT Int. Appl., 291 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007002325	A1	20070104	WO 2006-US24361	20060621
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## PATENT FAMILY INFORMATION:

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OS MARPAT 146:142627

AB Compds. of formula I which are active on protein kinases are described, as well as methods of using such compds. to treat diseases and conditions associated with aberrant activity of protein kinases. Compds. of formula I wherein Q is (un)substituted (hetero)aryl, and (un)substituted indole; A is O, S, (un)substituted methylene, NH and derivs., CO, CS, SO and SO<sub>2</sub>; R<sub>4</sub> - R<sub>6</sub> are independently H, halo, (un)substituted lower alkyl, (un)substituted lower alkenyl, (un)substituted lower alkynyl, (un)substituted (hetero)cycloalkyl, (un)substituted (hetero)aryl, etc.; and their pharmaceutically acceptable salts, prodrugs, tautomer, and isomers thereof, are claimed. Example compound II was prepared by carboxylation of 2,4-difluoroaniline with benzyl chloroformate; the resulting benzyl 3-amino-2,6-difluorobenzoate underwent sulfonylation with propane-1-sulfonyl chloride to give benzyl 2,6-difluoro-3-(propylsulfonylamino)benzoate, which underwent hydrolysis to give the corresponding benzoic acid, which underwent chlorination and coupling with 5-bromo-7-azaindole to give compound II. All the invention compds. were evaluated for their protein kinase inhibitory activity. Several of the invention compds. exhibited good inhibitory activity against various protein kinases.

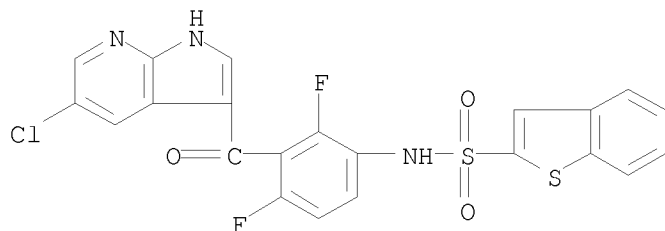
IT 918506-02-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrrolopyridine derivs. as protein kinase inhibitors useful in treatment of diseases)

RN 918506-02-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[3-[(5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)carbonyl]-2,4-difluorophenyl]- (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 34 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:1252827 CAPLUS

DN 146:27726

TI Preparation of 8-sulfonylamino-3-amino-substituted chroman or tetrahydronaphthalene derivatives modulating the 5HT<sub>6</sub> receptor for treating Alzheimer's disease, cognitive impairment associated with schizophrenia, obesity and/or Parkinson's disease

IN Chu, Chester; Lister, Andrew; Nordvall, Gunnar; Petersson, Carl; Rotticci, Didier; Sohn, Daniel

PA Astrazeneca AB, Swed.

SO PCT Int. Appl., 146pp.

CODEN: PIXXD2

DT Patent

LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006126939	A1	20061130	WO 2006-SE593	20060522
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
				SE 2005-1166	A 20050523
				SE 2005-1168	A 20050523
AU	2006250117	A1	20061130	AU 2006-250117	20060522
				SE 2005-1166	A 20050523
				SE 2005-1168	A 20050523
CA	2609747	A1	20061130	WO 2006-SE593	W 20060522
				CA 2006-2609747	20060522
				SE 2005-1166	A 20050523
				SE 2005-1168	A 20050523
				WO 2006-SE593	W 20060522
EP	1888517	A1	20080220	EP 2006-747797	20060522
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR				
				SE 2005-1166	A 20050523
				SE 2005-1168	A 20050523
IN	2007DN08713	A	20080627	WO 2006-SE593	W 20060522
				IN 2007-DN8713	20071113
				SE 2005-1166	A 20050523
MX	200714263	A	20080122	WO 2006-SE593	W 20060522
				MX 2007-14263	20071114
				SE 2005-1166	A 20050523
				SE 2005-1168	A 20050523
				WO 2006-SE593	W 20060522
KR	2008016810	A	20080222	KR 2007-727167	20071122
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NO	2007006638	A	20071221	NO 2007-6638	20071221
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				WO 2006-SE593	W 20060522
CN	101228119	A	20080723	CN 2006-80026971	20080123
				SE 2005-1166	A 20050523
				SE 2005-1168	A 20050523
				WO 2006-SE593	W 20060522

OS MARPAT 146:27726

AB The present invention relates to 8-sulfonylamino-3-amino-substituted chroman or tetrahydronaphthalene derivs. (shown as I; variables defined below; e.g. (3R)-5-methoxy-N,N-dimethyl-8-[(phenylsulfonyl)amino]chroman-3-ammonium acetate (1)) or salts, solvates or solvated salts thereof, processes for their preparation and to new intermediates used in the preparation

thereof, pharmaceutical formulations containing said compds. and to the use of said compds. for treating Alzheimer's disease, cognitive impairment associated with schizophrenia, obesity and/or Parkinson's disease (no data). For I: P is C6-10arylC0-6-alkyl, C5-11-heteroarylC0-6-alkyl, C3-7cycloalkylC0-6-alkyl, C3-7heterocycloalkylC0-6alkyl or C2-10alkyl; R1 is H, hydroxy, halogen, C1-10alkyl, C2-10alkenyl, C2-10alkynyl, C1-10alkoxy, amino, C6-10arylC0-6alkyl, et al.; n is 0-5; X is a single bond, C1-3alkyl, NR6, or X is N in a heteroalkyl or C5-11heteroaryl; or N, SO2, X and P form together a C8-11heteroaryl or C8-11bicycloheteroalkyl; Q is CH or O; R2 is H, hydroxy, halogen, C1-10alkyl, C2-10alkenyl, C2-10alkynyl, C1-10alkoxy, amino, et al. R3 is H, hydroxy, halogen, C1-10alkyl, C2-10alkenyl, C2-10alkynyl, C1-10alkoxy, amino, et al.; R4 and R5 = H, C1-5alkyl, C1-5haloalkyl, C2-5alkenyl, C2-5alkynyl, C3-6cycloalkyl, C5-6arylC1-2alkyl and C5-6heteroarylC1-2alkyl and may be substituted or R4 and R5 form together (un)substituted C3-7heterocycloalkyl; R6 is H, C1-6alkyl, C3-6cycloalkyl, R7OC1-6alkyl, C1-6haloalkyl, et al.; R9 is H, halogen, hydroxy, C1-6alkoxy, C1-6haloalkoxy, C1-6haloalkyl, C1-6alkyl or acyl; R10 is H, C1-6alkyl, C1-6alkoxy or C1-6haloalkyl; addnl. details are given in the claims. Binding consts. are tabulated for the 5HT6 receptor for 8 examples of I. Although the methods of preparation are not claimed, preps. and/or characterization data for .apprx.320 examples of I are included. For example, 1 was prepared in 4 steps (68, 45, not given, and 45 % yields, resp.) involving the following intermediates:

(3R)-8-bromo-5-methyloxy-N,N-dimethylchroman-3-amine,  
 (3R)-N'-(diphenylmethylene)-5-methoxy-N,N-dimethylchromane-3,8-diamine and  
 (3R)-5-methoxy-N,N-dimethylchromane-3,8-diamine.

IT 915939-94-5P, 5-Chloro-N-[(3R)-3-(dimethylamino)-5-methoxy-3,4-dihydro-2H-chromen-8-yl]-3-methyl-1-benzothiophene-2-sulfonamide  
 915941-30-9P, N-[(3R)-3-(Dimethylamino)-5-methoxy-3,4-dihydro-2H-chromen-8-yl]-5-fluoro-3-methyl-1-benzothiophene-2-sulfonamide  
 915941-65-0P, N-[(6S)-6-(Dimethylamino)-4-methoxy-5,6,7,8-tetrahydronaphthalen-1-yl]-5-fluoro-3-methyl-1-benzothiophene-2-sulfonamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

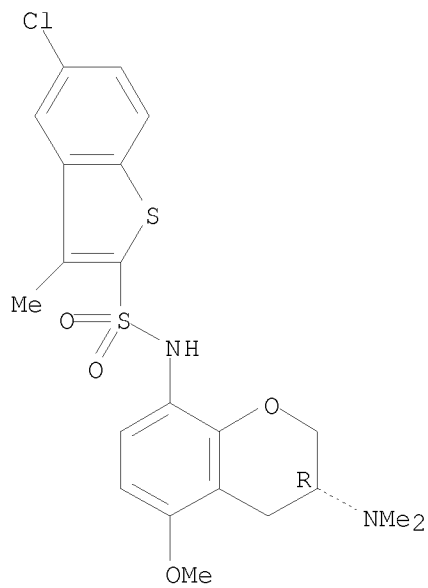
(drug candidate; preparation of 8-sulfonylamino-3-amino-substituted chroman or tetrahydronaphthalene derivs. modulating 5HT6 receptor for treating Alzheimer's disease and other disorders)

RN 915939-94-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[(3R)-3-(dimethylamino)-3,4-dihydro-5-methoxy-2H-1-benzopyran-8-yl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.

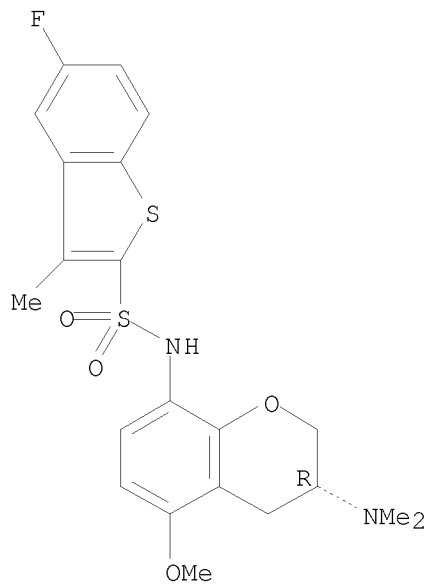




RN 915941-30-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[(3R)-3-(dimethylamino)-3,4-dihydro-5-methoxy-2H-1-benzopyran-8-yl]-5-fluoro-3-methyl- (CA INDEX NAME)

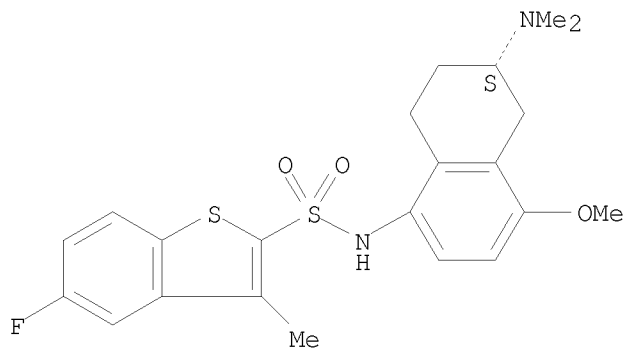
Absolute stereochemistry.



RN 915941-65-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[(6S)-6-(dimethylamino)-5,6,7,8-tetrahydro-4-methoxy-1-naphthalenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 35 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:1173311 CAPLUS

DN 145:483686

TI Substituted aromatic compound tRNA synthetase inhibitors as antimicrobial agents

IN Das, Biswajit; Arora, Jasbir Singh; Ahmed, Shahadat; Bandyopadhyay, Anish; Katoch, Rita; Kurhade, Santosh Haribhau; Rathy, Sujata; Ghosh, Soma; Khoje, Abhijit Datta; Gujrati, Arti; Upadhyay, Dilip J.

PA Ranbaxy Laboratories Limited, India

SO PCT Int. Appl., 187pp.

CODEN: PIXXD2

DT Patent

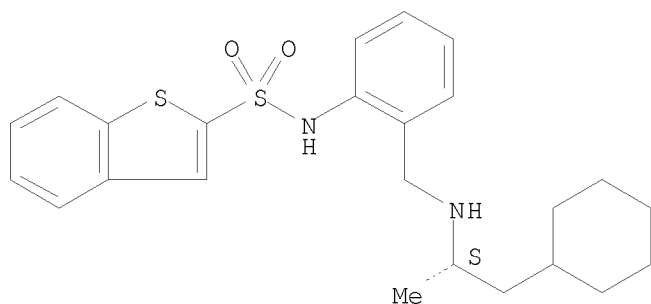
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	WO 2006117762	A3	20070208		
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	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
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				IN 2005-DE1936	A 20050722
				IN 2006-DE978	A 20060410
	AU 2006242824	A1	20061109	AU 2006-242824	20060503
				IN 2005-DE1102	A 20050503
				IN 2005-DE1936	A 20050722
				IN 2006-DE978	A 20060410
				WO 2006-IB51397	W 20060503
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				IN 2005-DE1936	A 20050722

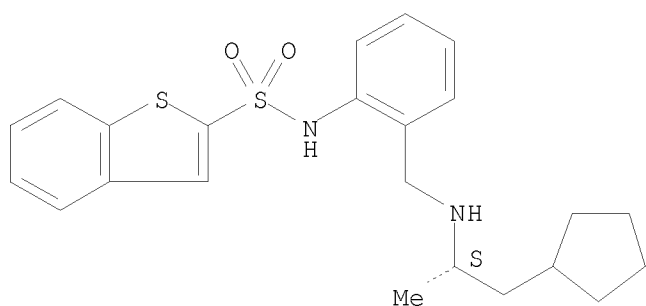
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			WO 2006-IB51397	W	20060503
EP 1879877	A2	20080123	EP 2006-744865		20060503
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			IN 2005-DE1102	A	20050503
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IN 2007DN09226	A	20080118	IN 2007-DN9226		20071129
			WO 2006-IB51397	W	20060503
KR 2008014812	A	20080214	KR 2007-727982		20071130
			IN 2005-DE1102	A	20050503
			IN 2005-DE1936	A	20050722
			IN 2006-DE978	A	20060410
			WO 2006-IB51397	W	20060503
CN 101203504	A	20080618	CN 2006-80022625		20071224
			IN 2005-DE1102	A	20050503
			IN 2005-DE1936	A	20050722
			IN 2006-DE978	A	20060410
			WO 2006-IB51397	W	20060503
OS	MARPAT 145:483686				
AB	<p>The invention provides substituted aromatic compds. which are tRNA synthetase inhibitors and can be used as antimicrobial agents. The compds. of the invention can be used for the treatment or prevention of a condition caused by or contributed to by gram pos., gram neg., anaerobic bacteria or fungal organisms, more particularly against a bacterium, e.g. Staphylococci, Enterococci, Streptococci, Haemophilus, Moraxella, Escherichia, Chlamydia, Rickettsiae, Mycoplasma, Legionella, Mycobacterium, Helicobacter, Clostridium, Bacteroides, Corynebacterium, Bacillus or Enterobacteriaceae, and fungal organisms, e.g. Aspergillus, Blastomyces, Candida, Coccidioides, Cryptococcus, Epidermophyton, Hendersonula, Histoplasma, Microsporium, Paecilomyces, Paracoccidioides, Pneumocystis, Trichophyton, or Trichosporium. Processes for the preparation of these compds., pharmaceutical compns. thereof, and methods of treating microbial infections are also provided.</p>				
IT	914371-02-1 914371-09-8 914371-10-1 914371-24-7 914371-32-7 914371-90-7 914373-73-2 914373-80-1 914374-18-8 914375-35-2 914375-37-4 914376-03-7 914376-23-1 914376-32-2 914376-33-3 914376-34-4 914376-35-5 914376-36-6 914376-37-7 914376-79-7 914376-81-1 914376-94-6 914377-12-1 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (substituted aromatic compound tRNA synthetase inhibitors as antimicrobial agents)				
RN	914371-02-1 CAPLUS				
CN	Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S)-2-cyclohexyl-1-methylethyl]amino]methyl]phenyl]- (CA INDEX NAME)				

Absolute stereochemistry.



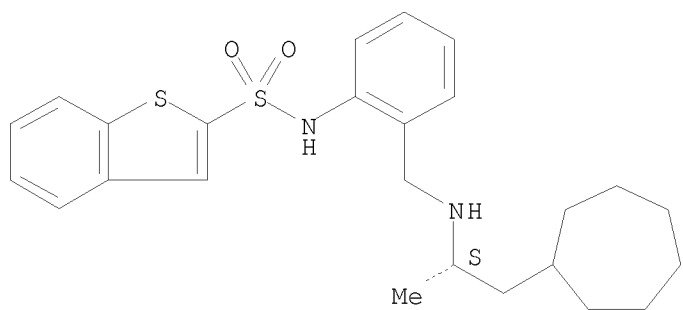
RN 914371-09-8 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S)-2-cyclopentyl-1-methylethyl]amino]methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



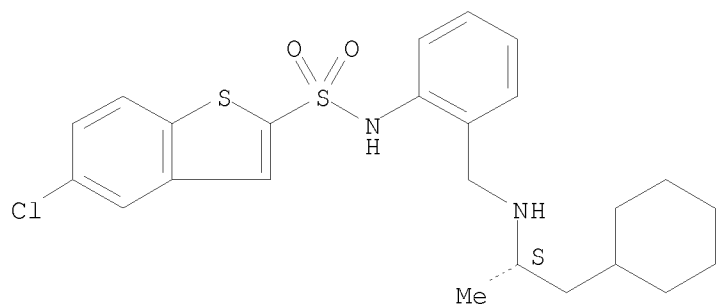
RN 914371-10-1 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S)-2-cycloheptyl-1-methylethyl]amino]methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 914371-24-7 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2-[[[(1S)-2-cyclohexyl-1-methylethyl]amino]methyl]phenyl]- (CA INDEX NAME)

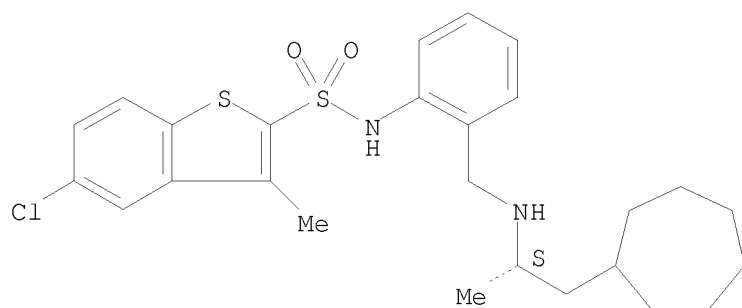
Absolute stereochemistry.



RN 914371-32-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2-[[[(1S)-2-cycloheptyl-1-methylethyl]amino]methyl]phenyl]-3-methyl- (CA INDEX NAME)

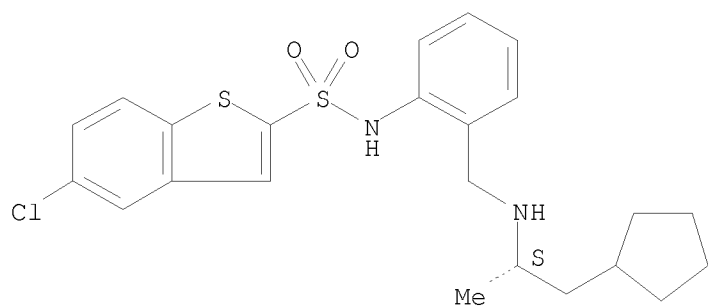
Absolute stereochemistry.



RN 914371-90-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2-[[[(1S)-2-cyclopentyl-1-methylethyl]amino]methyl]phenyl]- (CA INDEX NAME)

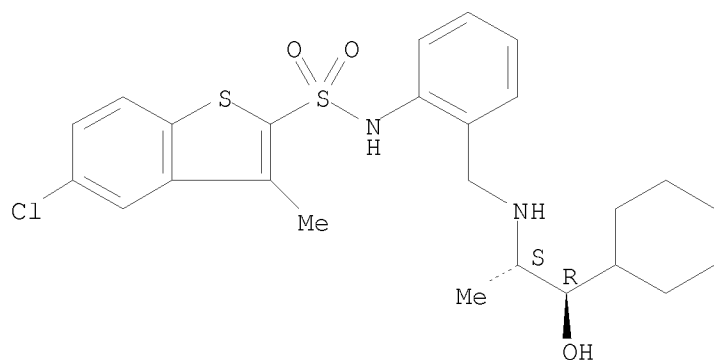
Absolute stereochemistry.



RN 914373-73-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2-[[[(1S,2R)-2-cyclohexyl-2-hydroxy-1-methylethyl]amino]methyl]phenyl]-3-methyl- (CA INDEX NAME)

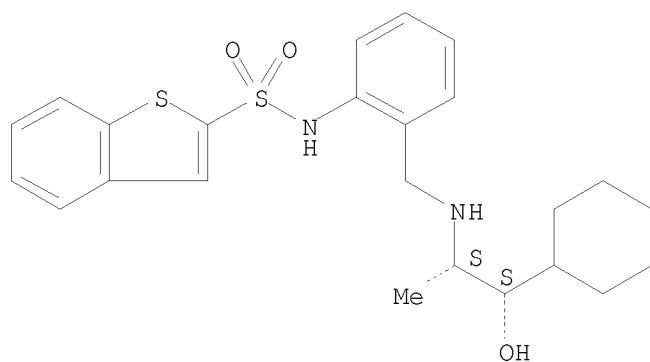
Absolute stereochemistry.



RN 914373-80-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S,2S)-2-cyclohexyl-2-hydroxy-1-methylethyl]amino]methyl]phenyl]- (CA INDEX NAME)

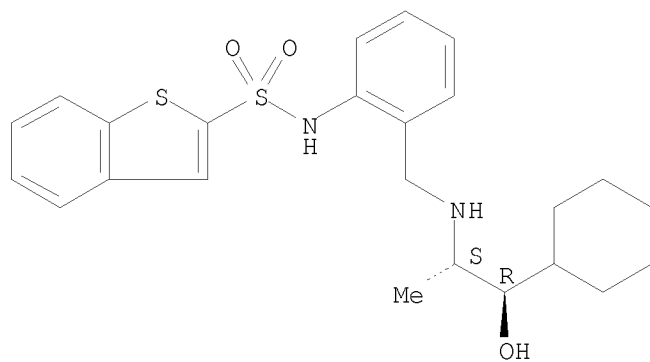
Absolute stereochemistry.



RN 914374-18-8 CAPLUS

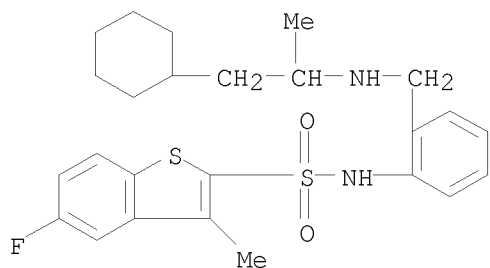
CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S,2R)-2-cyclohexyl-2-hydroxy-1-methylethyl]amino]methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 914375-35-2 CAPLUS

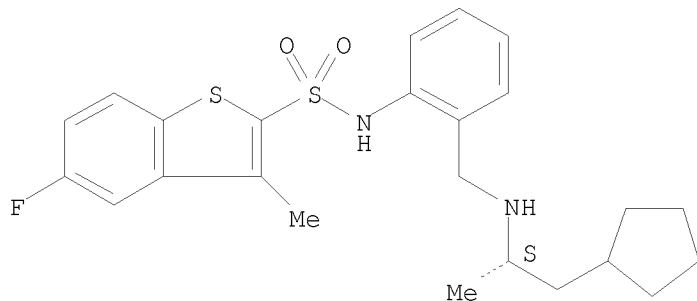
CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[ (2-cyclohexyl-1-methylethyl)amino]methyl]phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



RN 914375-37-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S)-2-cyclopentyl-1-methylethyl]amino]methyl]phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

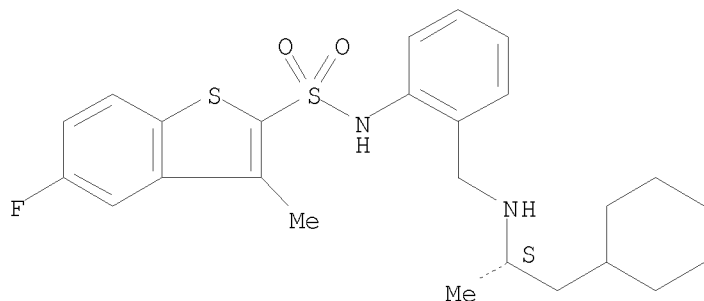
Absolute stereochemistry.



RN 914376-03-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S)-2-cyclohexyl-1-methylethyl]amino]methyl]phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

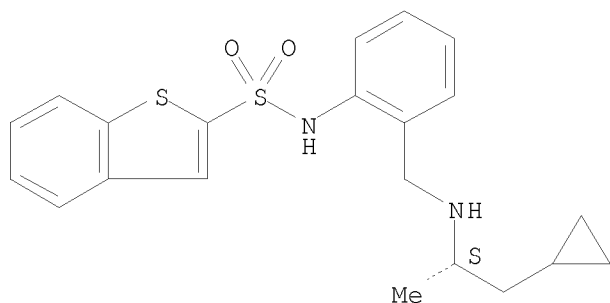
Absolute stereochemistry.



RN 914376-23-1 CAPLUS

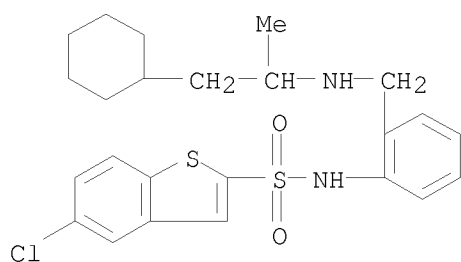
CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S)-2-cyclopropyl-1-methylethyl]amino]methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 914376-32-2 CAPLUS

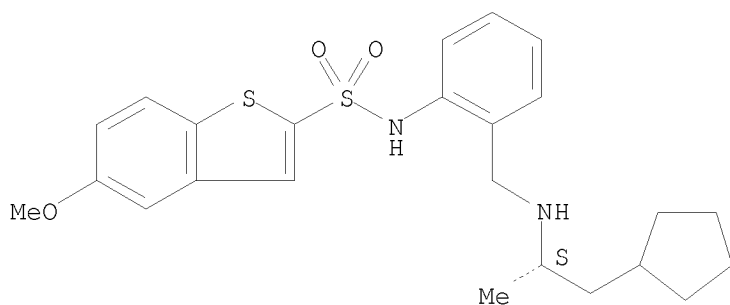
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2-[(2-cyclohexyl-1-methylethyl)amino]methyl]phenyl]- (CA INDEX NAME)



RN 914376-33-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S)-2-cyclopentyl-1-methylethyl]amino]methyl]phenyl]-5-methoxy- (CA INDEX NAME)

Absolute stereochemistry.

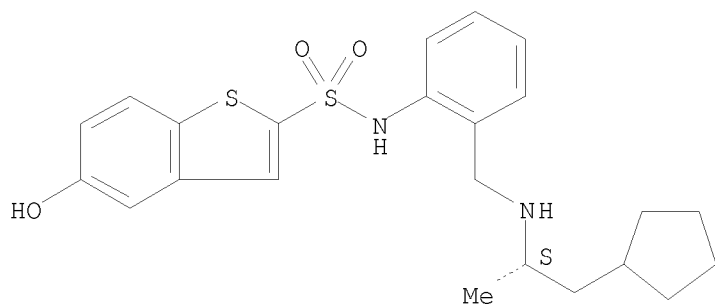


RN 914376-34-4 CAPLUS

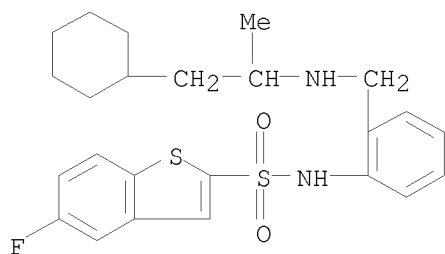
CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S)-2-cyclopentyl-1-methylethyl]amino]methyl]phenyl]-5-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



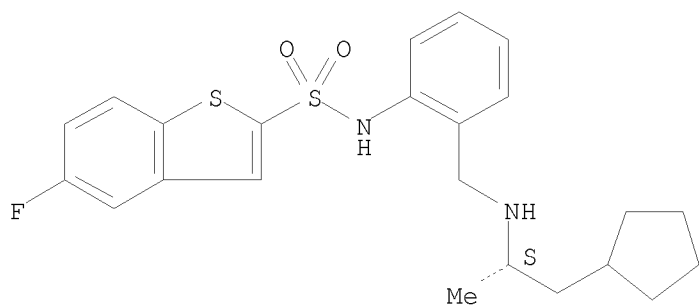


RN 914376-35-5 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[2-cyclohexyl-1-methylethyl)amino]methyl]phenyl]-5-fluoro- (CA INDEX NAME)

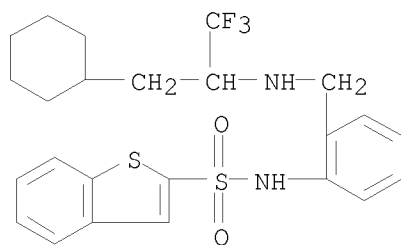


RN 914376-36-6 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[2-cyclopentyl-1-methylethyl]amino]methyl]phenyl]-5-fluoro- (CA INDEX NAME)

Absolute stereochemistry.



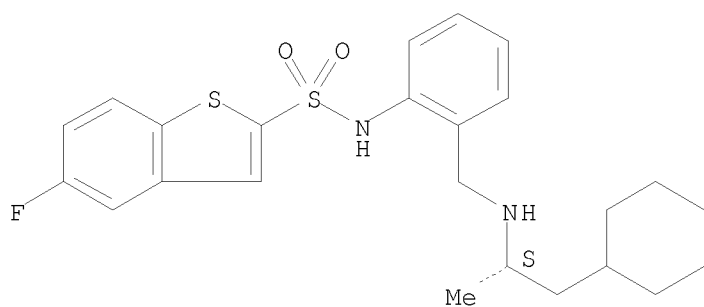
RN 914376-37-7 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[1-(cyclohexylmethyl)-2,2,2-trifluoroethyl]amino]methyl]phenyl]- (CA INDEX NAME)



RN 914376-79-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S)-2-cyclohexyl-1-methylethyl]amino]methyl]phenyl]-5-fluoro- (CA INDEX NAME)

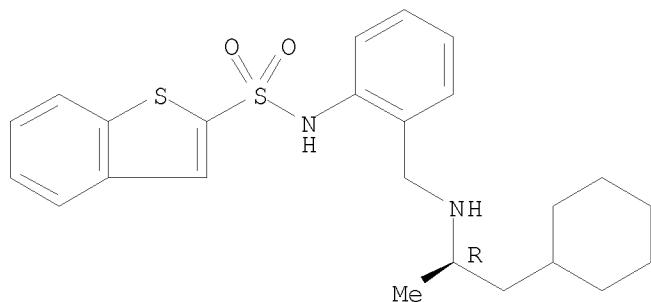
Absolute stereochemistry.



RN 914376-81-1 CAPLUS

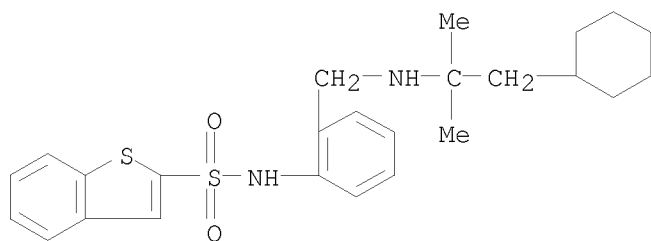
CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1R)-2-cyclohexyl-1-methylethyl]amino]methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



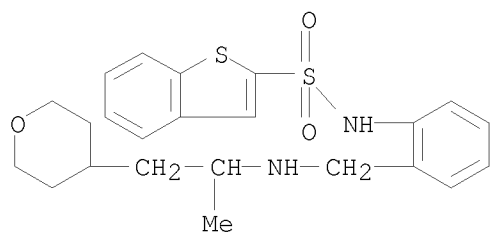
RN 914376-94-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[2-cyclohexyl-1,1-dimethylethyl]amino]methyl]phenyl]- (CA INDEX NAME)



RN 914377-12-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[1-methyl-2-(tetrahydro-2H-pyran-4-yl)ethyl]amino]methyl]phenyl]- (CA INDEX NAME)



L6 ANSWER 36 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:944402 CAPLUS

DN 145:336062

TI Preparation of arenesulfonamides and heterocyclic sulfonamides as inhibitors of 11 $\beta$ -hydroxysteroid dehydrogenase type 1 (11 $\beta$ -HSD1)

IN Egashira, Hiromu; Nishiyama, Eiji

PA Ono Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 94pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006095822	A1	20060914	WO 2006-JP304623	20060309
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

JP 2005-69738

A 20050311

OS MARPAT 145:336062

AB The title compds. [I; ring A = (un)substituted cyclic group; X, Y = a single bond, a spacer having 1-8 atoms in the main chain; R1, R2, R3 = U,

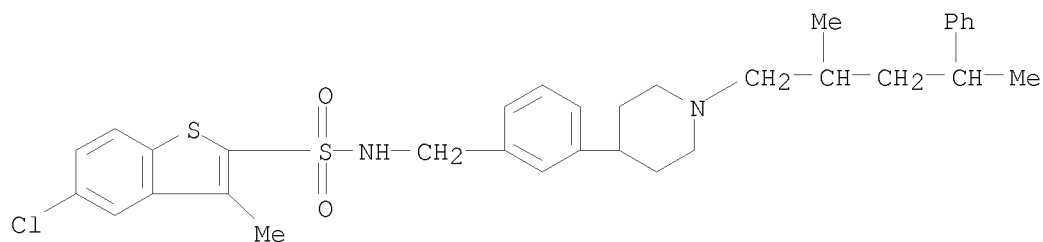
each (un)substituted cyclic group or hydrocarbon group; or substituent on the spacer Y having 1-8 atoms in the main chain, R2, and atoms to which they are bonded may form an (un)substituted N-containing heterocyclic ring], their salts or solvates, or prodrugs thereof are prepared Compds. of the general formula: (wherein all the characters have the same meanings as defined in the description), their salts or hydrates and prodrugs thereof. These compds. have an 11 $\beta$ -HSD1 inhibiting potency and thus are useful in the prevention and/or treatment of diseases attributed to overprodn. of adrenocortical hormone, for example, metabolic diseases (for example, diabetes mellitus (e.g., type II diabetes mellitus, etc.), impaired glucose tolerance, hyperglycemia, insulin resistance, elevated levels of insulin in the plasma, lipid metabolism abnormality, fatty liver, dyslipidemia, hyperlipemia, hypertriglyceridemia, hyper-LDL-cholesterolemia, hypo-HDL-cholesterolemia, obesity, atherosclerosis, syndrome X, metabolic syndrome, Cushing's syndrome, osteoporosis, etc.), hypertension, receptive defect, memory disorder, depression, anxiety, dementia, Alzheimer disease, glaucoma, immunol. disease, etc. Thus, a solution of 770 mg 3-methylbenzenesulfonamide and 445 mg 3,6-dichloropyridazine in 3 mL DMSO was treated with 1.25 g K<sub>2</sub>CO<sub>3</sub>, and stirred at 120° for 3.5 h to give 696 mg N-(6-chloro-pyridazin-3-yl)-3-methylbenzenesulfonamide (II). A solution of 98 mg 3-phenyl-1-propanol in 1 mL dioxane was treated with 163 mg potassium tert-butoxide, treated with a solution of 170 mg II in 1 mL dioxane, and stirred at 100° for 1.5 h to give 149 mg 3-methyl-N-[6-(3-phenylpropoxy)pyridazin-3-yl]benzenesulfonamide (III). III showed IC<sub>50</sub> of 250 nM against human 11 $\beta$ -HSD1. A tablet and an ampule formulation containing 3-Methyl-N-[6-(3-phenylpropoxy)pyridazin-3-yl]benzenesulfonamide were described.

IT 909422-65-7P, 5-Chloro-3-methyl-N-[3-[1-(2-methyl-4-phenylpentyl)piperidin-4-yl]benzyl]-1-benzothiophene-2-sulfonamide  
 909422-78-2P, 5-Chloro-3-methyl-N-[3-[1-[(3-methylthien-2-yl)methyl]piperidin-4-yl]benzyl]-1-benzothiophene-2-sulfonamide  
 909422-84-0P, 5-Chloro-N-[3-(1-hexylpiperidin-4-yl)benzyl]-3-methyl-1-benzothiophene-2-sulfonamide 909422-90-8P,  
 5-Chloro-N-[3-[1-[4-(diethylamino)benzyl]piperidin-4-yl]benzyl]-3-methyl-1-benzothiophene-2-sulfonamide 909422-97-5P,  
 5-Chloro-3-methyl-N-[3-[1-[(1-methyl-1H-indol-3-yl)methyl]piperidin-4-yl]benzyl]-1-benzothiophene-2-sulfonamide 909423-08-1P,  
 5-Chloro-N-[3-[1-(2-chlorobenzyl)piperidin-4-yl]benzyl]-3-methyl-1-benzothiophene-2-sulfonamide 909423-19-4P,  
 5-Chloro-3-methyl-N-[3-[1-(4-phenoxybenzyl)piperidin-4-yl]benzyl]-1-benzothiophene-2-sulfonamide 909423-26-3P,  
 5-Chloro-N-[3-[1-(3-chloro-4-methoxybenzyl)piperidin-4-yl]benzyl]-3-methyl-1-benzothiophene-2-sulfonamide 909423-34-3P,  
 5-Chloro-N-[3-[1-(4-chlorobenzyl)piperidin-4-yl]benzyl]-3-methyl-1-benzothiophene-2-sulfonamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arenesulfonamides and heterocyclic sulfonamides as inhibitors of 11 $\beta$ -hydroxysteroid dehydrogenase type 1 (11 $\beta$ -HSD1))

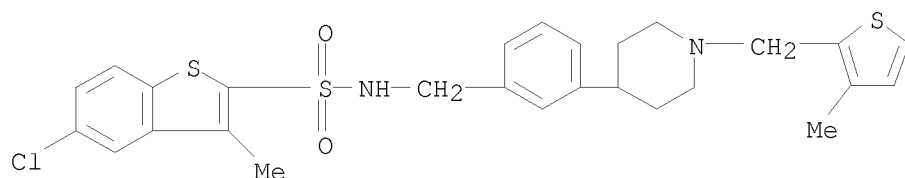
RN 909422-65-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[1-(2-methyl-4-phenylpentyl)-4-piperidinyl]phenyl]methyl]- (CA INDEX NAME)



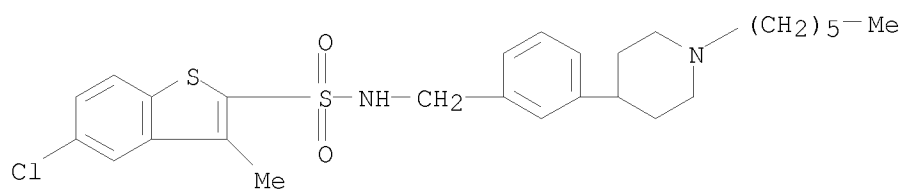
RN 909422-78-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[[3-[1-[(3-methyl-2-thienyl)methyl]-4-piperidinyl]phenyl]methyl]-3-methyl- (CA INDEX NAME)



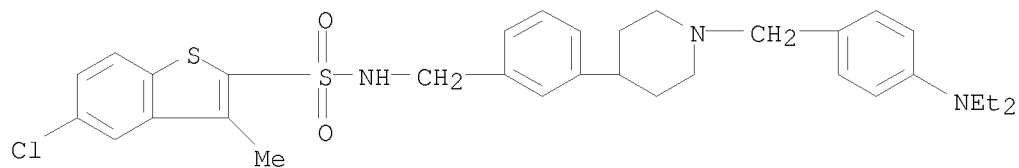
RN 909422-84-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-(1-hexyl-4-piperidinyl)phenyl]methyl]-3-methyl- (CA INDEX NAME)



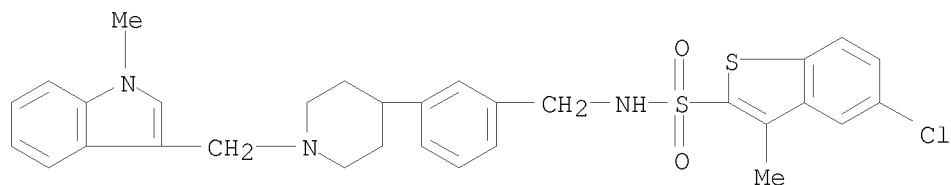
RN 909422-90-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-[1-[[4-(diethylamino)phenyl]methyl]-4-piperidinyl]phenyl]methyl]-3-methyl- (CA INDEX NAME)



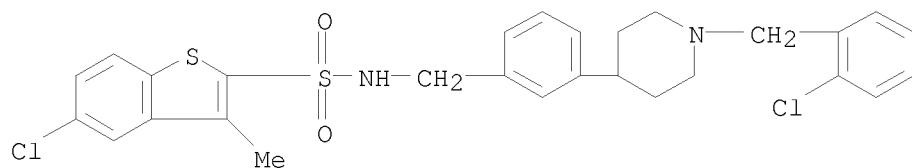
RN 909422-97-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[[3-[1-[(1-methyl-1H-indol-3-yl)methyl]-4-piperidinyl]phenyl]methyl]-3-methyl- (CA INDEX NAME)



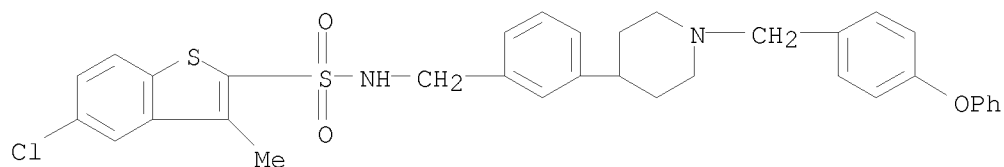
RN 909423-08-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-[1-[(2-chlorophenyl)methyl]-4-piperidinyl]phenyl]methyl]-3-methyl- (CA INDEX NAME)



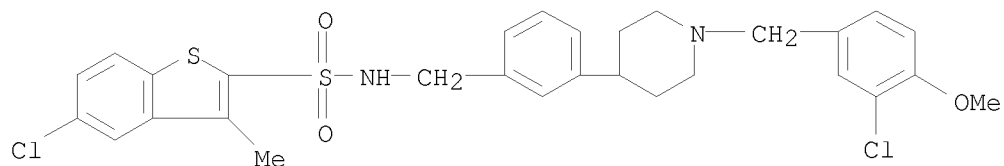
RN 909423-19-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[[3-[1-[(4-phenoxyphenyl)methyl]-4-piperidinyl]phenyl]methyl]- (CA INDEX NAME)



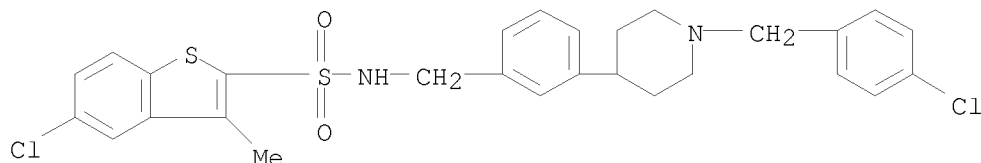
RN 909423-26-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-[1-[(3-chloro-4-methoxyphenyl)methyl]-4-piperidinyl]phenyl]methyl]-3-methyl- (CA INDEX NAME)



RN 909423-34-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-[1-[(4-chlorophenyl)methyl]-4-piperidinyl]phenyl]methyl]-3-methyl- (CA INDEX NAME)



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 37 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2006:823341 CAPLUS  
DN 145:249229  
TI Preparation of dihydroindolyl methanones as  $\alpha$ 1a/1d adrenoreceptor  
modulators for the treatment of benign prostatic hypertrophy and lower  
urinary tract symptoms  
IN Baxter, Ellen W.; Nortey, Samuel O.; Reitz, Allen B.; Pulito, Virginia L.;  
Middleton, Steven A.  
PA USA  
SO U.S. Pat. Appl. Publ., 52pp.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060183902	A1	20060817	US 2006-353581	20060214
			US 2005-653218P	P 20050215
WO 2006088954	A1	20060824	WO 2006-US5326	20060214
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
			US 2005-653218P	P 20050215

OS MARPAT 145:249229  
AB The title compds. I ["a" represents a point of attachment selected from the 3 or 4 position on the Ph ring relative to the point of attachment of the methanone group; A = CH or N; R1 = H, halo, NO2, etc.; R2 = H, SO2(alkyl), SO2NH2, etc.; R3 = RB, alkylRB, CO(alkoxy); RB = cycloalkyl, heterocyclyl, aryl, etc.; with the proviso], useful for treating an  $\alpha$ 1a and/or  $\alpha$ 1d adrenoreceptor mediated disorders, were prepared E.g., a 3-step synthesis of II, starting from 3-(chloromethyl)benzoyl chloride and 5-nitro-2,3-dihydro-1H-indole, was given. Exemplified compds. I were tested in  $\alpha$ 1-adrenergic receptor binding assay (data given). Pharmaceutical composition comprising compound I is also disclosed.  
IT 906088-10-6P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

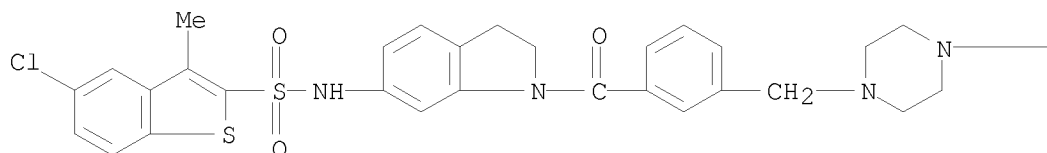
(preparation of dihydroindolyl methanones as  $\alpha$ 1a/1d adrenoreceptor modulators for the treatment of benign prostatic hypertrophy and lower urinary tract symptoms)

RN 906088-10-6 CAPLUS

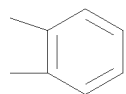
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2,3-dihydro-1-[3-[[4-[2-(1-methylethoxy)phenyl]-1-piperazinyl]methyl]benzoyl]-1H-indol-6-yl]-3-methyl-  
(CA INDEX NAME)

PAGE 1-A

i-PrO



PAGE 1-B



L6 ANSWER 38 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:808633 CAPLUS

DN 145:410494

TI Efficacy of selective 5-HT<sub>6</sub> receptor ligands determined by monitoring 5-HT<sub>6</sub> receptor-mediated cAMP signaling pathways

AU Romero, Gonzalo; Sanchez, Elisabeth; Pujol, Marta; Perez, Pilar; Codony, Xavier; Holenz, Joerg; Buschmann, Helmut; Pauwels, Petrus J.

CS Laboratorios Dr Esteve SA, Barcelona, 08041, Spain

SO British Journal of Pharmacology (2006), 148(8), 1133-1143

CODEN: BJPCBM; ISSN: 0007-1188

PB Nature Publishing Group

DT Journal

LA English

AB Two novel selective 5-HT<sub>6</sub> receptor ligands E-6801

(6-chloro-N-(3-(2-(dimethylamino)ethyl)-1H-indol-5-yl)imidazo[2,1-b]thiazole-5-sulfonamide) and E-6837

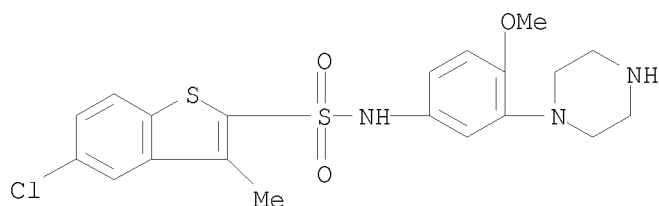
(5-chloro-N-(3-(2-(dimethylamino)ethyl)-1H-indol-5-yl)naphthalene-2-sulfonamide) were investigated and compared to the putative 5-HT<sub>6</sub> receptor antagonists SB-271046 (5-chloro-N-(4-methoxy-3-(piperazin-1-yl)phenyl)-3-methylbenzo[b]thiophene-2-sulfonamide) and Ro 04-06790

(N-(2,6-bis(methylamino)pyrimidin-4-yl)-4-aminobenzenesulfonamide) using a cAMP-mediated pathway. Forskolin stimulation, to increase the magnitude of agonist cAMP responses, and site-directed mutagenesis of the 5-HT<sub>6</sub> receptor, in order to yield constitutively active receptor, were applied. 5-HT (E<sub>max</sub>, % over basal: 200), E-6801 (120) and E-6837 (23) induced cAMP formation at the rat 5-HT<sub>6</sub> receptor. In the copresence of forskolin, cAMP responses were more potent and enhanced to 294 (5-HT, % over forskolin), 250 (E-6801) and 207 (E-6837), resp. 5-HT-mediated cAMP formation was dose-dependently blocked by SB-271046 (pA<sub>2</sub>: 8.76±0.22) and Ro 04-6790 (pA<sub>2</sub>: 7.89±0.10) and not affected by the copresence of forskolin. Both E-6801 and E-6837 yielded partial antagonism of the 5-HT response in the



absence of forskolin, whereas antagonism was either completely absent (E-6801) or attenuated (E-6837) in the copresence of forskolin. Intrinsic activity of these 5-HT<sub>6</sub> receptor ligands at a constitutively active human S267K 5-HT<sub>6</sub> receptor in Cos-7 cells indicated similar efficacy (E<sub>max</sub>, % over basal) for 5-HT (97), E-6801 (91) and E-6837 (100), while Ro 04-6790 (-33) and SB-271046 (-39) were equi-efficacious inverse agonists. The use of either forskolin or a constitutively active S267K 5-HT<sub>6</sub> receptor enhances the resolution for monitoring the efficacy of 5-HT<sub>6</sub> receptor ligands. E-6801 and E-6837 are potent partial agonists at the 5-HT<sub>6</sub> receptor. Ro 04-6790 and SB-271046 appear to act as inverse agonists/antagonists.

IT 209481-20-9, SB-271046  
 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (efficacy of selective 5-HT<sub>6</sub> receptor ligands determined by monitoring 5-HT<sub>6</sub> receptor-mediated cAMP signaling pathways)  
 RN 209481-20-9 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 39 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2006:753776 CAPLUS  
 DN 145:249088  
 TI Preparation of 9H-carbazole-3-sulfonamide derivatives as anticancer agents  
 IN Hu, Laixing; Li, Zhuorong; Jiang, Jiandong  
 PA Institute of Medicinal Biotechnology, Chinese Academy of Medical Sciences, Peop. Rep. China; Georgia State University Research Foundation  
 SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 34 pp.  
 CODEN: CNXXEV  
 DT Patent  
 LA Chinese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 1807413	A	20060726	CN 2005-10105255	20050928
	WO 2007036131	A1	20070405	WO 2006-CN2298	20060906
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,				

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
KG, KZ, MD, RU, TJ, TM

CN 2005-10105255 A 20050928

OS CASREACT 145:249088; MARPAT 145:249088

AB The title derivs. with general formula I [wherein R1 = H, one or multiple nitro groups, (un)substituted amino, halogen, cyano, etc.; R2 = H or lower alkyl; X = (un)substituted SO2NH or NHSO2; Ar = (un)substituted Ph, pyridinyl, or pyrimidinyl] or pharmaceutically acceptable salts thereof are prepared as anticancer agents. The title derivs. can be prepared by reacting corresponding sulfonyl chloride compds. with amino compds. For example, the compound II was prepared in a multi-step synthesis. Some of the title compds. showed good anticancer activities. The title compds. have the advantages of low toxicity, less side effect, and simple synthesis. Also claimed is the pharmaceutical composition containing the title derivs.

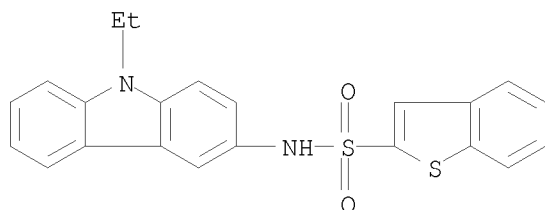
IT 905978-91-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of 9H-carbazole-3-sulfonamide derivs. as anticancer agents)

RN 905978-91-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(9-ethyl-9H-carbazol-3-yl)- (CA INDEX NAME)



L6 ANSWER 40 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:733724 CAPLUS

DN 145:167113

TI Preparation of N-substituted heterocyclic sulfonamides for treating cognitive disorders

IN Neitzel, Martin

PA Elan Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 111 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006078753	A1	20060727	WO 2006-US1792	20060118
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,			

IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,  
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
KG, KZ, MD, RU, TJ, TM

			US 2005-645137P	P	20050118
CA 2595173	A1	20060727	CA 2006-2595173		20060118
			US 2005-645137P	P	20050118
			WO 2006-US1792	W	20060118
US 20060270657	A1	20061130	US 2006-334131		20060118
			US 2005-645137P	P	20050118
EP 1838701	A1	20071003	EP 2006-718810		20060118
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				
	IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,				
	BA, HR, MK, YU				
			US 2005-645137P	P	20050118
			WO 2006-US1792	W	20060118

OS MARPAT 145:167113

AB The invention provides N-substituted heterocyclic-sulfonamides for use in treating or preventing cognitive disorders, such as Alzheimer's Disease, by inhibiting  $\beta$ -amyloid peptide release or synthesis. Compds. of particular interest are defined by Formula I (wherein n = 1-3; Z = (un)substituted heteroaryl or heterocycloalkyl; R1 = (un)substituted arylC1-C8alkyl, arylC2-C6alkenyl, C3-C7cycloalkyl(C1-C6alkyl), C1-C14alkyl, etc.; R2 is H, C1-C6 alkyl, or phenyl(C1-C4)alkyl). I were tested in a Notch signaling assay for selective inhibitors of  $\gamma$ -secretase to identify compds. that are potent inhibitors of  $\beta$ -amyloid synthesis with minimal inhibition of Notch signaling. The invention also encompasses pharmaceutical compns. comprising I as well as methods of treating cognitive disorders using I. General procedures are given for synthesizing I, such as II, via a lactam intermediate.

IT 900532-06-1P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid

N-(4-bromobenzyl)-N-((R)-2-oxoazepan-3-yl)amide 900532-42-5P,

5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid

N-(4-bromobenzyl)-N-(2-oxoazepan-3-yl)amide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

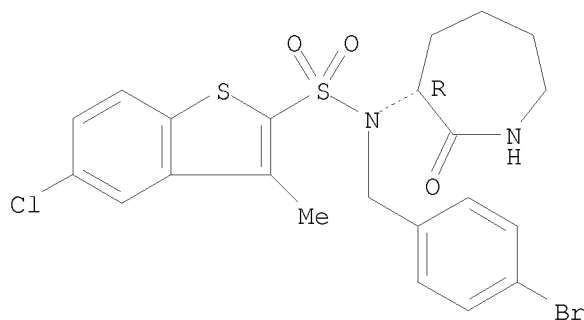
(Uses)

(drug candidate; preparation of N-substituted heterocyclic sulfonamides for treating cognitive disorders)

RN 900532-06-1 CAPLUS

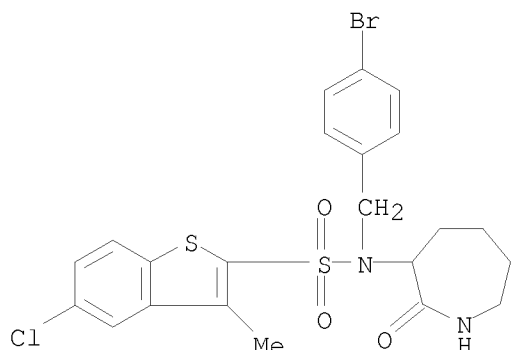
CN Benzo[b]thiophene-2-sulfonamide, N-[(4-bromophenyl)methyl]-5-chloro-N-[(3R)-hexahydro-2-oxo-1H-azepin-3-yl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 900532-42-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[(4-bromophenyl)methyl]-5-chloro-N-(hexahydro-2-oxo-1H-azepin-3-yl)-3-methyl- (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

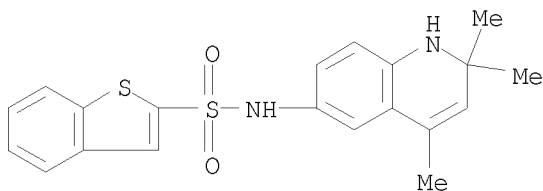
L6 ANSWER 41 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2006:733307 CAPLUS  
DN 145:145724  
TI Preparation of aromatic sulfone, sulfonamide, and sulfonate compounds as  
aldosterone receptor (mineralocorticoid receptor) (MR) modulators  
IN Katayama, Seiji  
PA Dainippon Sumitomo Pharma Co., Ltd., Japan  
SO PCT Int. Appl., 135 pp.  
CODEN: PIXXD2  
DT Patent  
LA Japanese  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006077821	A1	20060727	WO 2006-JP300509	20060117
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
			JP 2005-11187	A 20050119
EP 1844768	A1	20071017	EP 2006-711789	20060117
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
			JP 2005-11187	A 20050119
			WO 2006-JP300509	W 20060117

OS MARPAT 145:145724  
AB Compsds. represented by the following formula (I), prodrugs thereof, or pharmaceutically acceptable salts of either [A = Q1, Q2, Q3, Q4, Q5; R1, R2 = H, each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, or heteroaryl; or CR1R2 together represents each (un)substituted cycloalkane

or saturated heterocyclic ring; Z = N, (un)substituted CR3; W = N, CR4; Q = N, CR5; R3, R3a, R4, R5, R6, R7, R8, R9 = H, halo, each (un)substituted alkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, NH2, alkoxy, alkanoyl, alkoxy carbonyl, CONH2, alkylthio, alkylsulfinyl, SO2NH2, or alkylsulfonyl, cyano, NO2, HO; R10 = (un)substituted alkyl; Y = O, S; X = O, NR11, CR12R13; R11 = H, each (un)substituted alkyl, alkanoyl, aroyl, alkoxy carbonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, COC(=O)R11a; R11a = H, (un)substituted alkyl; R12, R13 = H, each (un)substituted alkyl or cycloalkyl; or CR12R13 = (un)substituted cycloalkane ring] are prepared. These compounds have a preventive or therapeutic effect on various diseases including hypertension, cerebral stroke, cardiac failure, arrhythmia, cardiac hypertrophy, arteriosclerosis, vascular restenosis, renal fibrosis, myocardial infarction, diabetes complications, kidney diseases, edema, primary aldosteronism, and inflammation. Thus, bromination of 6-(hydroxymethyl)-4,4-dimethyl-1,4-dihydro-2H-3,1-benzoxazin-2-one by NBS and Ph3P in DMF at 20-25° for 1.5 h followed by p-tolylsulfonylation with p-toluenesulfinic acid sodium salt in the presence of NaI at 70° for 2.5 h gave 26% of 4,4-dimethyl-6-[[4-(4-methylphenyl)sulfonyl]methyl]-1,4-dihydro-2H-3,1-benzoxazin-2-one which was treated with Lawesson reagent in toluene under refluxing for 3 h to give 4,4-dimethyl-6-[[4-(4-methylphenyl)sulfonyl]methyl]-1,4-dihydro-2H-3,1-benzoxazine-2-thione (II). The compound II in vitro inhibited the binding of [3H]aldosterone to rat aldosterone receptor with IC50 of 0.007 µM.

IT 899437-88-8P, N-(2,2,4-Trimethyl-1,2-dihydroquinolin-6-yl)-1-benzothiophene-2-sulfonamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of aromatic sulfone, sulfonamide, and sulfonate compounds as aldosterone receptor (mineralocorticoid receptor) (MR) modulators)  
 RN 899437-88-8 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-(1,2-dihydro-2,2,4-trimethyl-6-quinolinyl)- (CA INDEX NAME)



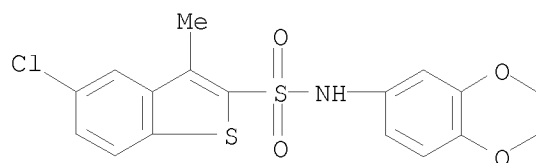
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 42 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2006:707665 CAPLUS  
 DN 145:159843  
 TI Pharmaceutical composition comprising p25/cdk5 inhibitor for treating neurodegenerative disease  
 IN Chung, Sul-Hee; Ha, Ilho; Son, Mi-Young; Lee, Hye-Won  
 PA Inje University, S. Korea  
 SO PCT Int. Appl., 56 pp.  
 CODEN: PIXXD2  
 DT Patent

LA English

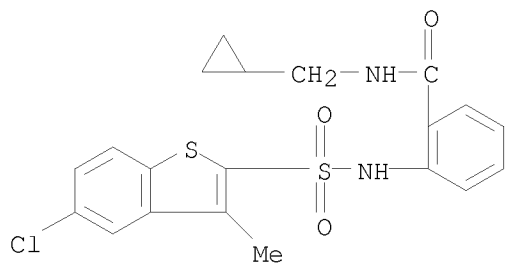
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006075808	A1	20060720	WO 2005-KR98	20050112
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	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	KR 2007094947	A	20070927	KR 2007-717681	20070731
				WO 2005-KR98	W 20050112
AB	A pharmaceutical composition for preventing or treating a neurodegenerative disease comprises a compound inhibiting a P25/CDK (cycline-dependent kinase 5) complex as an active ingredient. The pharmaceutical composition of formula (I) or (II) inhibits the phosphorylation of BACE1 ( $\beta$ -amyloid precursor protein (APP)-cleaving enzyme 1), inhibits an increase in $\beta$ -secretase activity, and reduces the secretion of $\beta$ -amyloid. The compound inhibiting the P25/CDK5 complex may be useful for preventing or treating a neurodegenerative disease such as Alzheimer's disease, Parkinson's disease, and Huntington's disease.				
IT	691355-58-5, DSS 304 694436-97-0 708988-53-8, DSS 303 883027-32-5, DSS 30 900514-15-0, DSS 301 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical composition comprising p25/cdk5 inhibitor for treating neurodegenerative disease)				
RN	691355-58-5 CAPLUS				
CN	Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2,3-dihydro-1,4-benzodioxin-6-yl)-3-methyl- (CA INDEX NAME)				



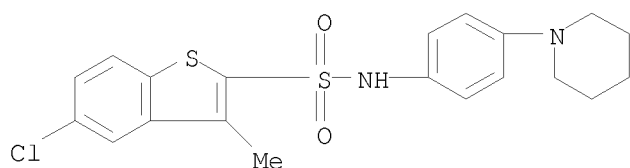
RN 694436-97-0 CAPLUS

CN Benzamide, 2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-N-(cyclopropylmethyl)- (CA INDEX NAME)



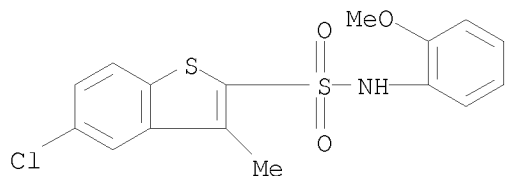
RN 708988-53-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(1-piperidinyl)phenyl]- (CA INDEX NAME)



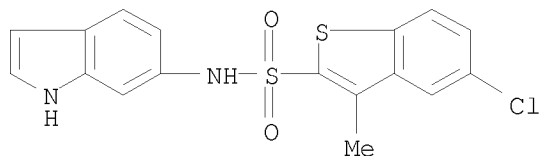
RN 883027-32-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2-methoxyphenyl)-3-methyl- (CA INDEX NAME)



RN 900514-15-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-1H-indol-6-yl-3-methyl- (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 43 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:649301 CAPLUS

DN 145:124553

TI Preparation of substituted indazolyl sulfonamide and 2,3-dihydro-indolyl sulfonamide compounds, their preparation and use in medicaments

IN Merce-Vidal, Ramon; Codony Soler, Xavier; Dordal-Zueras, Alberto  
 PA Esteve Laboratorios Dr. Esteve S. A., Spain  
 SO Eur. Pat. Appl., 64 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1676841	A1	20060705	EP 2004-380290	20041230
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
	CA 2592858	A1	20060706	CA 2005-2592858	20051229
				EP 2004-380290	A 20041230
				WO 2005-EP14192	W 20051229
	WO 2006069809	A1	20060706	WO 2005-EP14192	20051229
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
				EP 2004-380290	A 20041230
	EP 1869002	A1	20071226	EP 2005-824427	20051229
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
				EP 2004-380290	A 20041230
				WO 2005-EP14192	W 20051229
	JP 2008526707	T	20080724	JP 2007-548772	20051229
				EP 2004-380290	A 20041230
				WO 2005-EP14192	W 20051229
	MX 200707918	A	20070820	MX 2007-7918	20070627
				EP 2004-380290	A 20041230
				WO 2005-EP14192	W 20051229
	CN 101133034	A	20080227	CN 2005-80048825	20070829
				EP 2004-380290	A 20041230
				WO 2005-EP14192	W 20051229

OS CASREACT 145:124553; MARPAT145:124553  
 AB Title compds. I [R2-5 independently = H, NO2, NH2, SH, OH, etc.; X-Y from left to right represents CR1=N and Z = N[(CH2)nR6], or CR7=N and Z = NH, or C[(CH2)nR9]=N and Z = NR10, or CH2CH2 and Z = N[(CH2)nR11]; n = 0-4; R1 = H, NO2, SH, OH, CN, etc.; R6, R9 and R11 independently = N heterocycle; R7 = heterocycle; R10 = (un)substituted alkyl], and their pharmaceutically acceptable salts, are prepared and disclosed as capable of binding to 5-HT6 receptors. Thus, e.g., II was prepared by reaction of 1-(2-dimethylaminoethyl)-1H-indazol-6-ylamine and naphthalene-2-sulfonyl chloride. Title compds. were evaluated for binding to 5-HT6 receptors, e.g., II demonstrated a Ki = 72.6 nM. Further disclosed are medicaments comprising said substituted indazolyl sulfonamide and 2,3-dihydro-indolyl sulfonamide compds. as well as the use of said substituted indazolyl sulfonamide and 2,3-dihydro-indolyl sulfonamide compds. for the preparation of medicaments, which are particularly suitable for the prophylaxis and/or



treatment of disorders or diseases that are at least partially mediated via 5-HT<sub>6</sub> receptors.

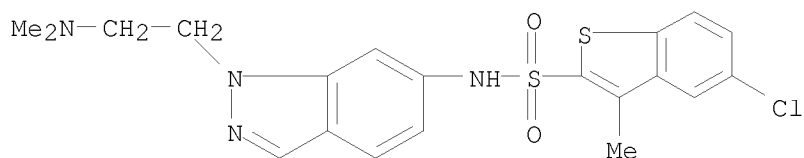
IT 896712-74-6P 896712-76-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted indazolyl sulfonamide and 2,3-dihydro-indolyl sulfonamide compds., their preparation and use in medicaments for diseases associated with 5-HT<sub>6</sub> receptors)

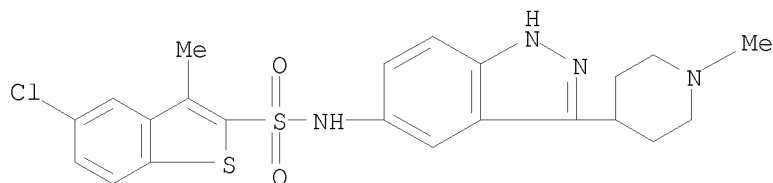
RN 896712-74-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indazol-6-yl]-3-methyl- (CA INDEX NAME)



RN 896712-76-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(1-methyl-4-piperidinyl)-1H-indazol-5-yl]- (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 44 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:464674 CAPLUS

DN 144:488511

TI Preparation of sulfonamidomethyl and carboxamidomethyl phosphonate inhibitors of  $\beta$ -lactamase

IN Besterman, Jeffrey M.; Rahil, Jubrail; Vaisburg, Arkadii

PA Methylgene, Inc., Can.

SO U.S. Pat. Appl. Publ., 131 pp., Cont.-in-part of U.S. Ser. No. 411,484.  
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 20060105999	A1	20060518	US 2005-535391	20050518
				US 2002-302124	A2 20021122
				US 2003-411484	A2 20030408
				WO 2003-US36929	W 20031119
	US 20040029836	A1	20040212	US 2002-302124	20021122
	US 6884791	B2	20050426		

			US 1999-142362P	P	19990706
			US 2000-610456	A2	20000705
			US 2002-266213	A2	20021008
US 20040082546	A1	20040429	US 2003-411484		20030408
US 6921756	B2	20050726			
			US 1999-142362P	P	19990706
			US 2000-610456	A2	20000705
			US 2002-266213	A2	20021008
			US 2002-302124	A2	20021122
WO 2004048393	A2	20040610	WO 2003-US36929		20031119
WO 2004048393	A3	20040819			
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RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2002-302124	A1	20021122
			US 2003-411484	A1	20030408

PATENT FAMILY INFORMATION:

FAN 2001:31512

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PI	WO 2001002411	A1	20010111	WO 2000-US18344	20000705
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
				US 1999-142362P	P 19990706
	CA 2377762	A1	20010111	CA 2000-2377762	20000705
	CA 2377762	C	20080930		
				US 1999-142362P	P 19990706
				WO 2000-US18344	W 20000705
	EP 1194436	A1	20020410	EP 2000-943381	20000705
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				US 1999-142362P	P 19990706
				WO 2000-US18344	W 20000705
	JP 2003503505	T	20030128	JP 2001-507847	20000705
				US 1999-142362P	P 19990706
				WO 2000-US18344	W 20000705
	AU 770599	B2	20040226	AU 2000-57858	20000705
				US 1999-142362P	P 19990706
				WO 2000-US18344	W 20000705
	AT 311397	T	20051215	AT 2000-943381	20000705
				US 1999-142362P	P 19990706
				WO 2000-US18344	W 20000705
	ES 2250150	T3	20060416	ES 2000-943381	20000705
				US 1999-142362P	P 19990706
	MX 2002PA00246	A	20030820	MX 2002-PA246	20020107
				US 1999-142362P	P 19990706

				WO 2000-US18344	W 20000705
FAN	2004:120574				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 20040029836	A1	20040212	US 2002-302124	20021122
	US 6884791	B2	20050426		
				US 1999-142362P	P 19990706
				US 2000-610456	A2 20000705
				US 2002-266213	A2 20021008
	US 6472406	B1	20021029	US 2000-610456	20000705
				US 1999-142362P	P 19990706
	US 20040059115	A1	20040325	US 2002-266213	20021008
	US 7030103	B2	20060418		
				US 1999-142362P	P 19990706
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	US 20040082546	A1	20040429	US 2003-411484	20030408
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				US 1999-142362P	P 19990706
				US 2000-610456	A2 20000705
				US 2002-266213	A2 20021008
				US 2002-302124	A2 20021122
	WO 2004048393	A2	20040610	WO 2003-US36929	20031119
	WO 2004048393	A3	20040819		
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	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				US 2002-302124	A1 20021122
				US 2003-411484	A1 20030408
	AU 2003295638	A1	20040618	AU 2003-295638	20031119
				US 2002-302124	A 20021122
				US 2003-411484	A 20030408
	US 20050043276	A1	20050224	WO 2003-US36929	W 20031119
	US 7259172	B2	20070821	US 2004-884435	20040702
				US 1999-142362P	P 19990706
				US 2000-610456	A2 20000705
				US 2002-266213	A2 20021008
				US 2002-302124	A3 20021122
	US 20060105999	A1	20060518	US 2005-535391	20050518
				US 2002-302124	A2 20021122
				US 2003-411484	A2 20030408
				WO 2003-US36929	W 20031119
	US 20070293675	A1	20071220	US 2007-830305	20070730
				US 1999-142362P	P 19990706
				US 2000-610456	A1 20000705
				US 2002-266213	A2 20021008
				US 2002-302124	A3 20021122
				US 2004-884435	A3 20040702
FAN	2004:353142				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 20040082546	A1	20040429	US 2003-411484	20030408

US 6921756	B2	20050726	US 1999-142362P	P	19990706
			US 2000-610456	A2	20000705
			US 2002-266213	A2	20021008
			US 2002-302124	A2	20021122
US 6472406	B1	20021029	US 2000-610456		20000705
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US 20040059115	A1	20040325	US 2002-266213		20021008
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WO 2004048393	A2	20040610	WO 2003-US36929		20031119
WO 2004048393	A3	20040819			
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CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,					
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,					
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,					
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,					
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ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,					
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
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			WO 2003-US36929	W	20031119
US 20060105999	A1	20060518	US 2005-535391		20050518
			US 2002-302124	A2	20021122
			US 2003-411484	A2	20030408
			WO 2003-US36929	W	20031119

OS MARPAT 144:488511

AB The intention relates to bacterial antibiotic resistance and, in particular, to compns. and methods for overcoming bacterial antibiotic resistance. The invention provides novel  $\beta$ -lactamase inhibitors I [R1 = (un)substituted (hetero)aryl; Z = C, CH2, S; n = 0-2; L = alkyl, alkoxy, CO, C(:NOMe); R2 = H, alkyl, cycloalkyl, aralkyl, aryl; R3 = H, alkyl, cycloalkyl, aryl, etc.; R4 = OH, F, SR7, N(R7)2; R5 = F, OR6, SR7, N(R7)2; R6 = H, alkyl, cycloalkyl, etc.; R7 = H, alkyl, cycloalkyl, etc.; with the provisos] such as II [R1 = (un)substituted Ph or thien-2-yl; L = a bond, CH2O, CO, or C(:NOMe); R5 = halo, or OR10 (wherein R10 = (un)substituted Ph, pyridinyl, or quinolinyl); provided that when L = CH2O, R5 is not F or 4-NO2C6H4] which are structurally unrelated to the natural product and semi-synthetic  $\beta$ -lactamase inhibitors presently available and which do not require a  $\beta$ -lactam pharmacophore. The invention also provides pharmaceutical compns. and methods for inhibiting bacterial growth. Preparation of compds. I is described. E.g., a 4-step synthesis of sodium salt of III which showed IC50 of 622  $\mu$ M against  $\beta$ -lactamase, was given.

IT 318460-62-7P 318460-64-9P 318463-03-5P  
318463-04-6P

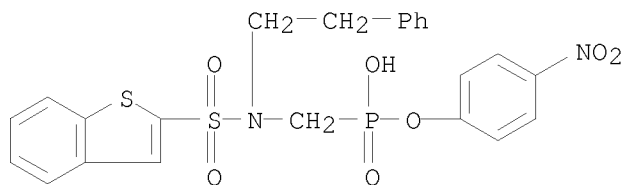
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamidomethyl and carboxamidomethyl phosphonate  $\beta$ -lactamase inhibitors and their antibacterial use)

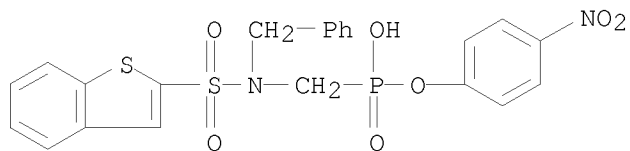
RN 318460-62-7 CAPLUS

CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl](2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)



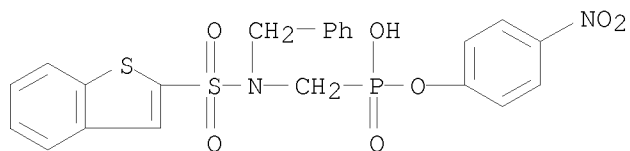
RN 318460-64-9 CAPLUS

CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl](phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)



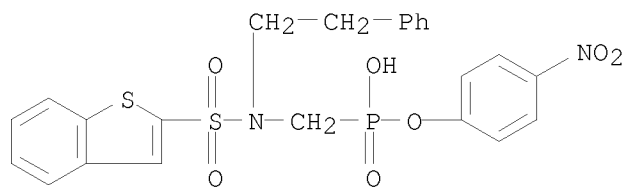
RN 318463-03-5 CAPLUS

CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl](phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)



RN 318463-04-6 CAPLUS

CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl](2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)



● NH<sub>3</sub>

L6 ANSWER 45 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2006:440564 CAPLUS  
 DN 144:467908  
 TI N-benzyl sulfonamides and related derivatives as 11 $\beta$ -HSD1 inhibitors,  
 their preparation, pharmaceutical compositions, and use in therapy  
 IN Coulter, Thomas, Stephen; Steven, Taylor; Fryatt, Tara; Aicher, Babette;  
 Schnieder, Martin  
 PA Evotec AG, Germany  
 SO PCT Int. Appl., 105 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006048330	A1	20060511	WO 2005-EP11933	20051108
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
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				WO 2005-EP11933	W 20051108
JP	2008518999	T	20080605	JP 2007-539549	20051108
				EP 2004-26441	A 20041108
				WO 2005-EP11933	W 20051108

OS CASREACT 144:467908; MARPAT 144:467908  
 AB The invention relates to N-benzyl sulfonamide compds. of formula I [X, Z, W, T = independently N, CH and derivs.; R1, R2 = independently H,

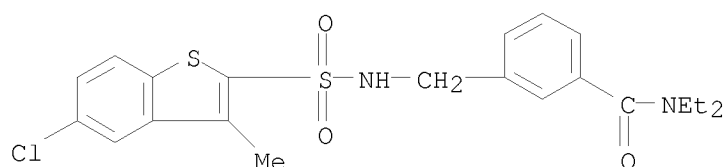
cyclo/alkyl, halo; or R1R2 = (:O); Y = NHSO2 and derivs., SO2NH and derivs.; NHSO2NH and derivs.; A = cyclo/alkyl, Ph, tetralinyl, heterocyclyl, etc.; V = O, S; or V = N-R15 and R15, R3 jointly form together with the atoms to which they are attached a heterocycle or heterobicycle; B = O, S, NH and derivs.; R3 = H, cyclo/alkyl, Ph, heterocyclyl, etc.; with provisos], and their pharmaceutically acceptable salts, prodrugs and metabolites, which are inhibitors of 11 $\beta$ -hydroxysteroid dehydrogenase type 1 (11 $\beta$ -HSD1). The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound I together with a pharmaceutically acceptable carrier, optionally comprising one or more addnl. therapeutic compds., as well as to the use of the compns. for the treatment of type 2 diabetes mellitus and associated conditions, such as metabolic syndrome, obesity, and lipid disorders. E.g., a 6-step synthesis starting from 3-cyanobenzoic acid was given for sulfonamide II. I typically express IC50 values below 50  $\mu$ M in a cell-based assay with a human adipocyte cell line, endogenously expressing 11 $\beta$ -HSD1, while showing no activity against 11 $\beta$ -HSD2.

IT 886732-45-2P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]-N,N-diethylbenzamide 886732-46-3P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]-N-cyclohexylbenzamide 886732-68-9P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl]amino]methyl]-N,N-diethylbenzamide 886732-69-0P, Benzo[b]thiophene-2-sulfonic acid N-[3-[(4-methylpiperazin-1-yl)carbonyl]benzyl]amide 886732-70-3P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl]amino]methyl]-N-cyclohexylbenzamide 886732-71-4P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl]amino]methyl]-N-(cyclohexylmethyl)benzamide 886733-21-7P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl] (methyl) amino]methyl]-N,N-diethylbenzamide 886733-22-8P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl] (methyl) amino]methyl]-N-cyclohexylbenzamide 886733-23-9P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl] (methyl) amino]methyl]-N-(cyclohexylmethyl)benzamide 886733-24-0P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl] (methyl) amino]methyl]-N-(4-trifluoromethylbenzyl)benzamide 886733-27-3P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl] (methyl) amino]methyl]-N-(p-tolyl)benzamide 886733-38-6P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl] (methyl) amino]methyl]-N,N-diethylbenzamide 886733-39-7P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl] (methyl) amino]methyl]-N-cyclohexylbenzamide 886733-40-0P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl] (methyl) amino]methyl]-N-(cyclohexylmethyl)benzamide 886733-41-1P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl] (methyl) amino]methyl]-N-(4-trifluoromethylbenzyl)benzamide 886733-80-8P, 4-[[3-[[[(Benzo[b]thien-2-yl)sulfonyl] (methyl) amino]methyl]benzoylamino]methyl]benzamide 886733-82-0P, 4-[[3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl] (methyl) amino]methyl]benzoylamino]methyl]benzamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

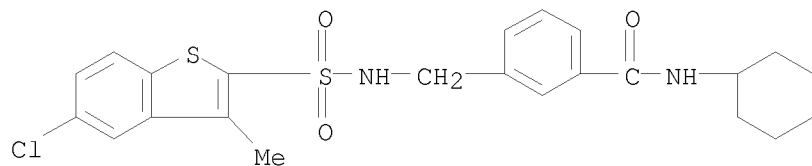
(drug candidate; preparation of N-benzyl sulfonamides as 11 $\beta$ -HSD1 inhibitors)

RN 886732-45-2 CAPLUS

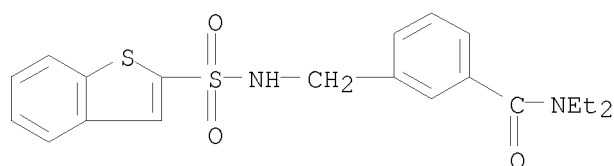
CN Benzamide, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]-N,N-diethyl- (CA INDEX NAME)



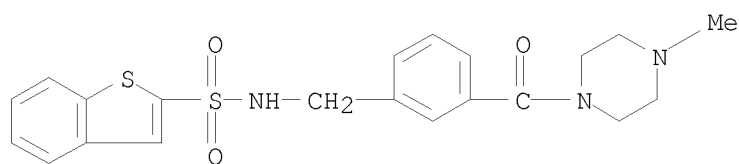
RN 886732-46-3 CAPLUS  
 CN Benzamide, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]-N-cyclohexyl- (CA INDEX NAME)



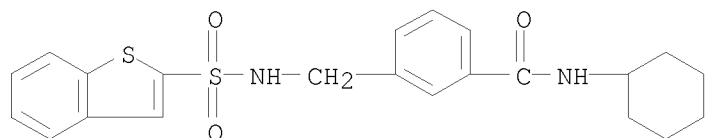
RN 886732-68-9 CAPLUS  
 CN Benzamide, 3-[[[(benzo[b]thien-2-yl)sulfonyl]amino]methyl]-N,N-diethyl- (CA INDEX NAME)



RN 886732-69-0 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-[[3-[(4-methyl-1-piperazinyl)carbonyl]phenyl]methyl]- (CA INDEX NAME)

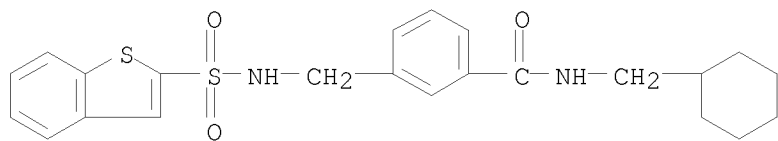


RN 886732-70-3 CAPLUS  
 CN Benzamide, 3-[[[(benzo[b]thien-2-yl)sulfonyl]amino]methyl]-N-cyclohexyl- (CA INDEX NAME)

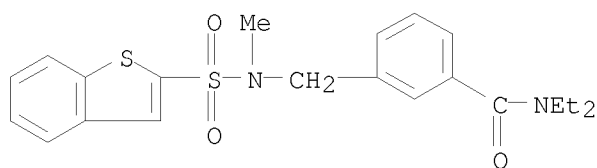




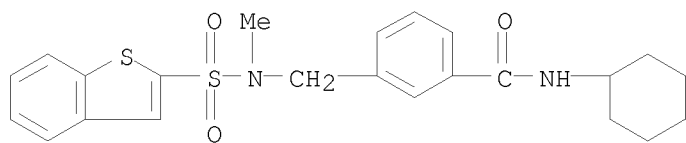
RN 886732-71-4 CAPLUS  
 CN Benzamide, 3-[[[(benzo[b]thien-2-ylsulfonyl)amino]methyl]-N-(cyclohexylmethyl)- (CA INDEX NAME)



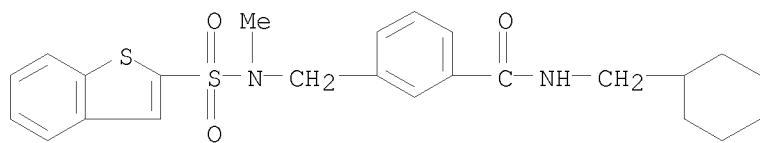
RN 886733-21-7 CAPLUS  
 CN Benzamide, 3-[[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]-N,N-diethyl- (CA INDEX NAME)



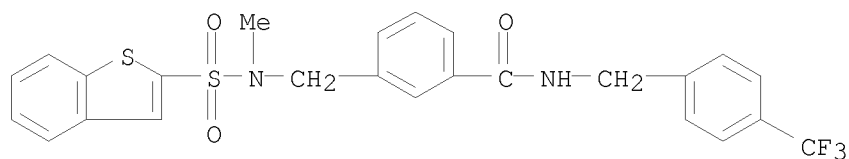
RN 886733-22-8 CAPLUS  
 CN Benzamide, 3-[[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]-N-cyclohexyl- (CA INDEX NAME)



RN 886733-23-9 CAPLUS  
 CN Benzamide, 3-[[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]-N-(cyclohexylmethyl)- (CA INDEX NAME)

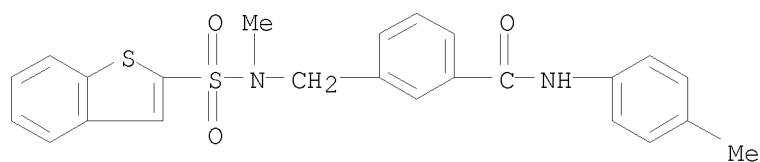


RN 886733-24-0 CAPLUS  
 CN Benzamide, 3-[[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]-N-[[4-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



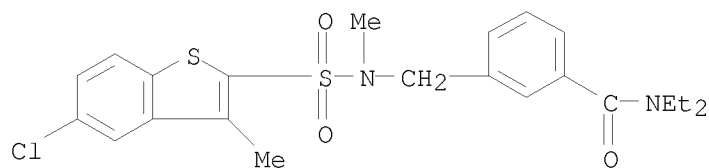
RN 886733-27-3 CAPLUS

CN Benzamide, 3-[[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]-N-(4-methylphenyl)- (CA INDEX NAME)



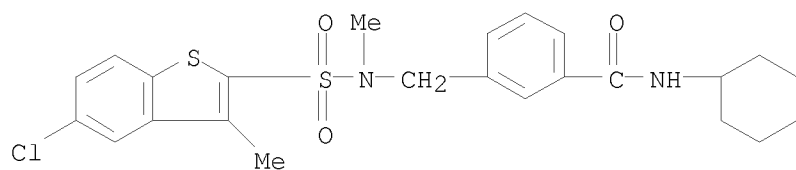
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CN Benzamide, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]-N,N-diethyl- (CA INDEX NAME)



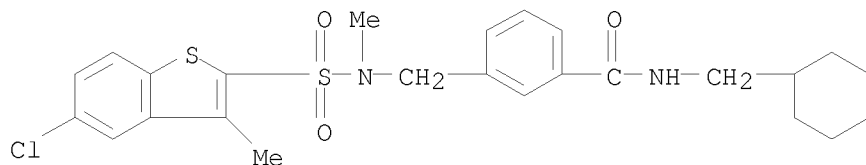
RN 886733-39-7 CAPLUS

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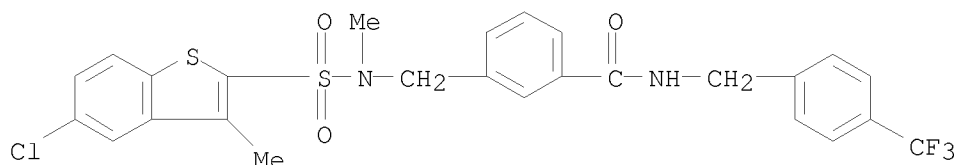
RN 886733-40-0 CAPLUS

CN Benzamide, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]-N-(cyclohexylmethyl)- (CA INDEX NAME)



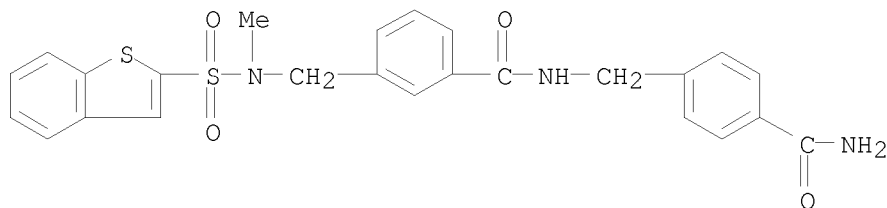
RN 886733-41-1 CAPLUS

CN Benzamide, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]-N-[[4-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



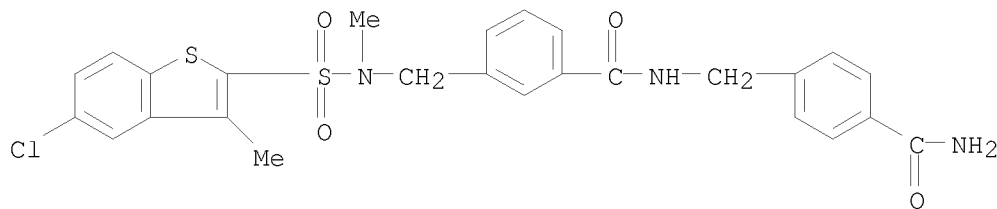
RN 886733-80-8 CAPLUS

CN Benzamide, N-[[4-(aminocarbonyl)phenyl]methyl]-3-[[[(benzo[b]thien-2-yl)sulfonyl]methylamino]methyl]- (CA INDEX NAME)



RN 886733-82-0 CAPLUS

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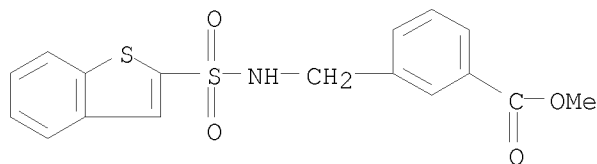
IT 886732-42-9P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl]amino]methyl]benzoic acid methyl ester 886732-43-0P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]benzoic acid methyl ester 886732-44-1P, 3-[1-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]benzoic acid 886732-47-4P, 4-[[3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]benzoylamino]methyl]benzoic acid methyl ester 886732-67-8P, 3-[[[(Benzo[b]thien-2-

yl)sulfonyl]amino)methyl]benzoic acid 886733-19-3P,  
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 886733-36-4P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-  
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 ester 886733-43-3P, 4-[[3-[[[(5-Chloro-3-methylbenzo[b]thien-2-  
 yl)sulfonyl](methyl)amino)methyl]benzoylamino)methyl]benzoic acid  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)

(intermediate; preparation of N-benzyl sulfonamides as 11 $\beta$ -HSD1  
 inhibitors)

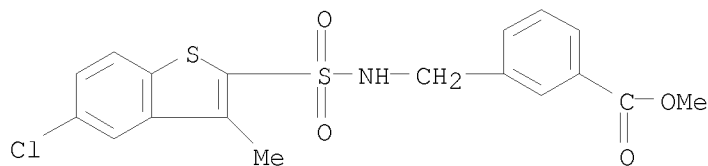
RN 886732-42-9 CAPLUS

CN Benzoic acid, 3-[[[(benzo[b]thien-2-ylsulfonyl)amino)methyl]-, methyl ester  
 (CA INDEX NAME)



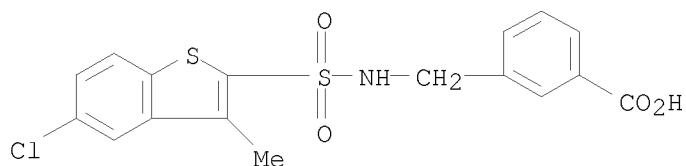
RN 886732-43-0 CAPLUS

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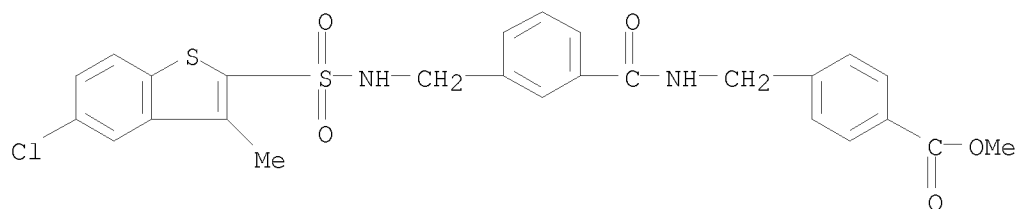
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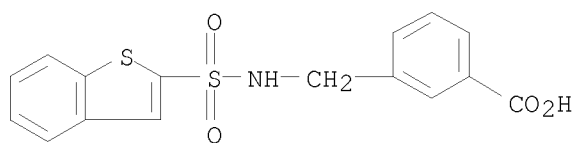
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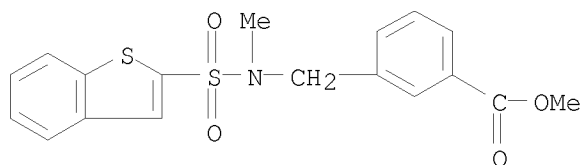
RN 886732-67-8 CAPLUS

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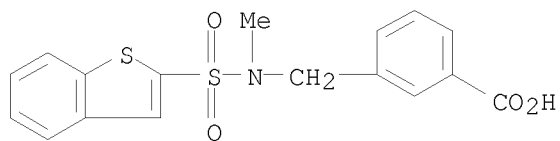
RN 886733-19-3 CAPLUS

CN Benzoic acid, 3-[[ (benzo[b]thien-2-ylsulfonyl)methylamino]methyl]-, methyl ester (CA INDEX NAME)



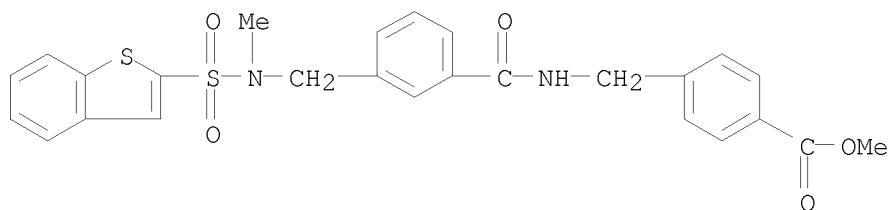
RN 886733-20-6 CAPLUS

CN Benzoic acid, 3-[[ (benzo[b]thien-2-ylsulfonyl)methylamino]methyl]- (CA INDEX NAME)

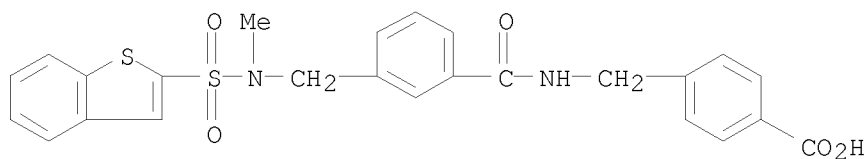


RN 886733-25-1 CAPLUS

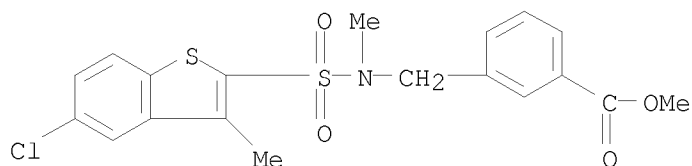
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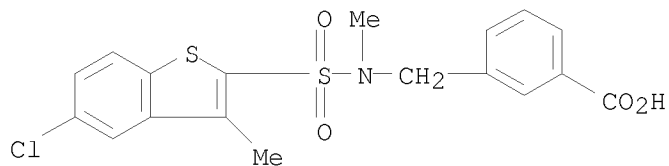
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 CN Benzoic acid, 4-[[[3-[[[(benzo[b]thien-2-yl)sulfonyl]methylamino]methyl]benzoyl]amino]methyl]- (CA INDEX NAME)



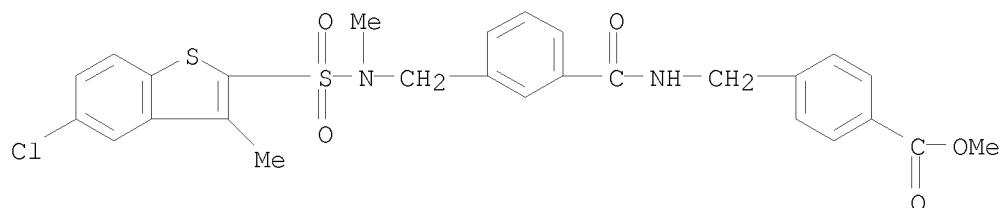
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 CN Benzoic acid, 3-[[[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]-, methyl ester (CA INDEX NAME)



RN 886733-37-5 CAPLUS  
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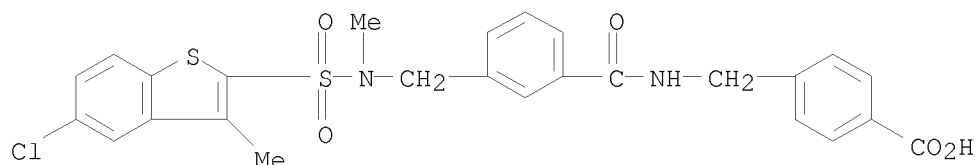


RN 886733-42-2 CAPLUS  
 CN Benzoic acid, 4-[[[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]benzoyl]amino]methyl]-, methyl ester (CA INDEX NAME)



RN 886733-43-3 CAPLUS

CN Benzoic acid, 4-[[[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]benzoyl]amino]methyl]- (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 46 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:411661 CAPLUS

DN 144:432684

TI Bis-sulfonamide compounds as agonists of GalR1, their preparation, pharmaceutical compositions, and use in therapy

IN Mjalli, Adnan M. M.; Gaddam, Bapu; Rao, Mohan; Bondlela, Muralidhar; Gopalaswamy, Ramesh; Andrews, Robert C.; Davis, Stephen; Simila, Suvi; Ren, Tan

PA Transtech Pharma, Inc., USA

SO PCT Int. Appl., 104 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PI	WO 2006047302	A1	20060504	WO 2005-US37932	20051020
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			WO 2005-US37932	W	20051020

OS MARPAT 144:432684

AB The invention relates to bis-sulfonamide compds. I (Ar2-SO2NH-Ar1-NHSO2-Ar3), which are agonists of galanin receptor type 1 (GalR1). In compds. I, Ar1 is (un)substituted arylene, (un)substituted heteroarylene, (un)substituted fused cycloalkylarylene, (un)substituted fused heterocyclylarylene, (un)substituted fused cycloalkylheteroarylene, or (un)substituted fused heterocyclylheteroarylene; and Ar2 and Ar3 are independently selected from (un)substituted aryl, (un)substituted heteroaryl, (un)substituted fused cycloalkylaryl, (un)substituted fused cycloalkylheteroaryl, (un)substituted fused heterocyclylaryl, and (un)substituted fused heterocyclylheteroaryl, where at least one of Ar2 and Ar3 contains an oxygen or sulfur atom vicinal or geminal to the point of attachment to the -NHSO2- group. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound of formula I

with a pharmaceutically suitable carrier, excipient, diluent, or mixture thereof, optionally containing one or more addnl. therapeutic agents, as well as to the use of the compns. for the treatment of diseases responding to activation of GalR1, such as cancer. Sulfonamidation of benzene-1,2-diamine with benzenesulfonyl chloride II followed by sulfonamidation with benzenesulfonyl chloride III gave bis-sulfonamide IV. The compds. of the invention, e.g., IV, expressed EC50 values of less than or about 10  $\mu$ M in a functional assay using Bowes melanoma cells and were determined to be GalR1 agonists.

IT 885052-13-1P, Benzo[b]thiophene-2-sulfonamide  
N-[2-(((2-chloro-5-trifluoromethylbenzene)sulfonyl)amino)phenyl]  
885052-17-5P, 3-[[2-[[[Benzo[b]thien-2-yl)sulfonyl]amino]phenyl]sulfamoyl]-4-methoxybenzoic acid methyl ester  
885052-18-6P, 3-[[2-[[[Benzo[b]thien-2-yl)sulfonyl]amino]phenyl]sulfamoyl]-4-methoxybenzoic acid  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

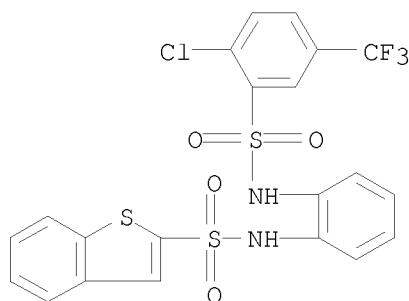


(Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of bis-sulfonamides as galanin receptor type 1 agonists)

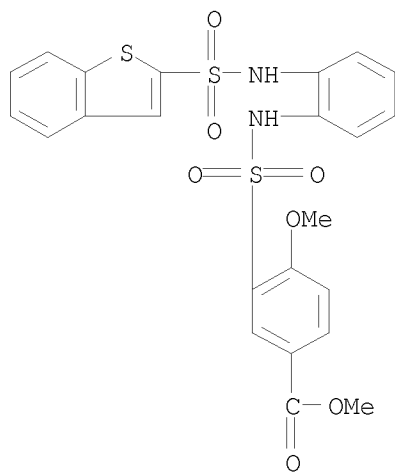
RN 885052-13-1 CAPLUS

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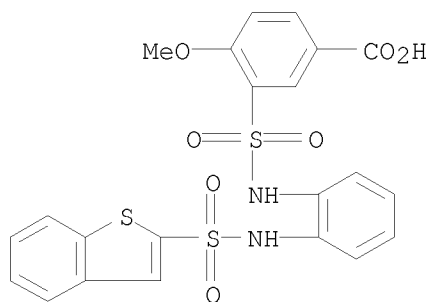
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RN 885052-18-6 CAPLUS

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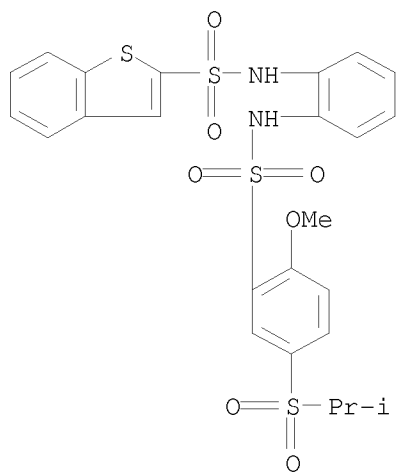
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Benzo[b]thiophene-2-sulfonamide N-[2-(((4-  
chlorobenzene)sulfonyl)amino)phenyl] 885052-35-7P,  
Benzo[b]thiophene-2-sulfonamide N-[2-(((4-methoxy-2-  
nitrobenzene)sulfonyl)amino)phenyl] 885052-36-8P,  
Benzo[b]thiophene-2-sulfonamide N-[2-(((4-(methanesulfonyl)-2-  
methoxybenzene)sulfonyl)amino)phenyl] 885052-37-9P,  
Benzo[b]thiophene-2-sulfonamide N-[2-(((2-methoxy-5-  
methylbenzene)sulfonyl)amino)phenyl] 885052-38-0P,  
Benzo[b]thiophene-2-sulfonamide N-[2-(((2-methoxy-5-  
trifluoromethylbenzene)sulfonyl)amino)phenyl] 885052-41-5P,  
Benzo[b]thiophene-2-sulfonamide N-[2-[[[5-(2-  
(dimethylamino)ethane)sulfonyl]-2-methoxybenzene]sulfonyl]amino]phenyl]  
885052-42-6P, Benzo[b]thiophene-2-sulfonamide  
N-[2-[[[2-methoxy-5-(2-(2H-tetrazol-2-  
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Benzo[b]thiophene-2-sulfonamide N-[2-[[[2-methoxy-5-(2-(pyrrolidin-1-  
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Benzo[b]thiophene-2-sulfonamide N-[2-[[[2-methoxy-5-(2-(4-methylpiperazin-  
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885052-51-7P, Benzo[b]thiophene-2-sulfonamide  
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sulfonyl)amino]phenyl]benzothiophene-2-sulfonamide 885052-62-0P,  
N,N'-(4-Fluoro-1,2-phenylene)bis(benzothiophene-2-sulfonamide)  
885052-63-1P, N,N'-(4-Cyano-1,2-phenylene)bis(benzothiophene-2-  
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N,N'-(4-Chloro-1,2-phenylene)bis(benzothiophene-2-sulfonamide)  
885052-65-3P, N,N'-(4-Bromo-1,2-phenylene)bis(benzothiophene-2-  
sulfonamide) 885052-66-4P,  
N,N'-(4-Methoxy-1,2-phenylene)bis(benzothiophene-2-sulfonamide)  
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885052-70-0P, Benzo[b]thiophene-2-sulfonamide  
N-[2-[[[2-methoxy-5-(3-methyl-[1,2,4]oxadiazol-5-  
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2-[[[2-[[[Benzo[b]thien-2-yl]sulfonyl]amino]phenyl]sulfamoyl]-6,7-dihydro-  
4H-thieno[3,2-c]pyridine-5-carboxylic acid tert-butyl ester  
885052-73-3P, N,N'-(4,5-Dichloro-1,2-phenylene)bis(benzothiophene-

2-sulfonamide) 885052-74-4P,  
 N,N'-(4-Trifluoromethyl-1,2-phenylene)bis(benzothiophene-2-sulfonamide)  
 885052-75-5P, N,N'-(4-Chloro-5-fluoro-1,2-  
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 N,N'-(4,5-Fluoro-1,2-phenylene)bis(benzothiophene-2-sulfonamide)  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(drug candidate; preparation of bis-sulfonamides as galanin receptor type 1  
 agonists)

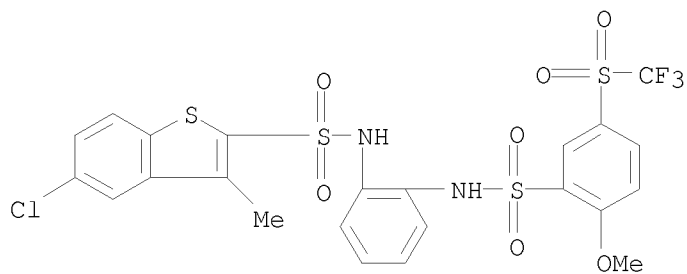
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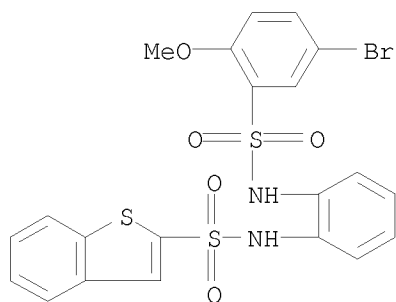
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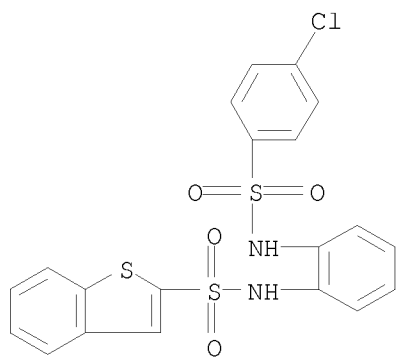


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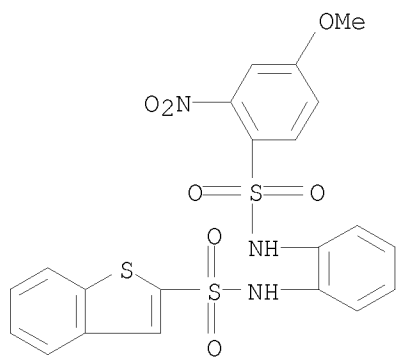
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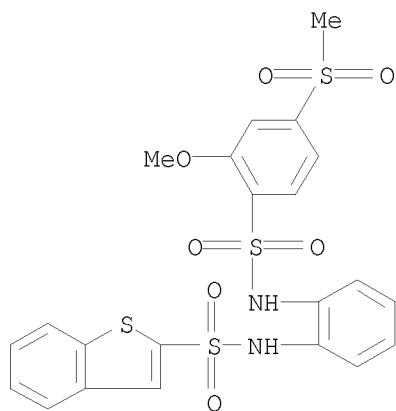
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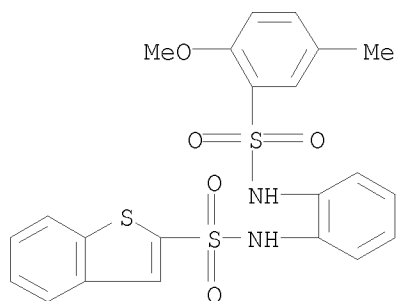
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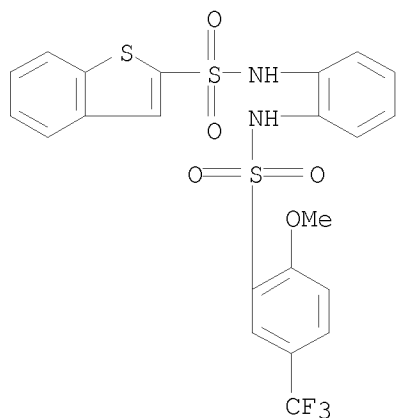
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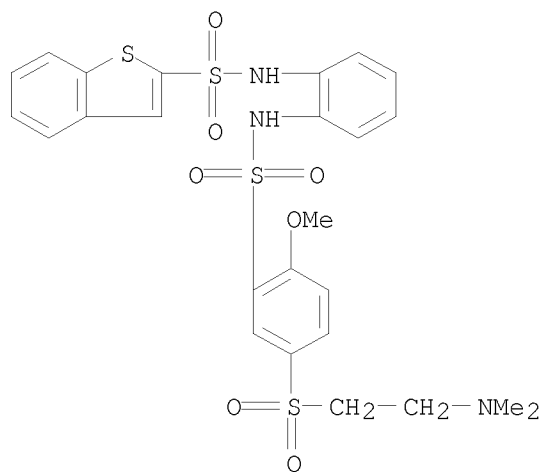


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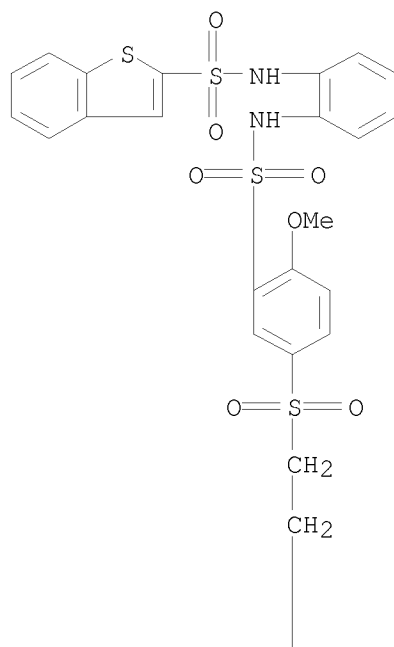
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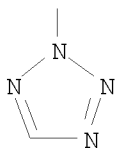


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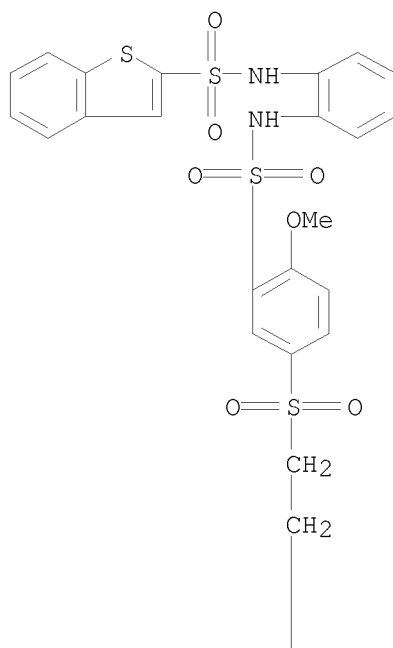


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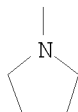


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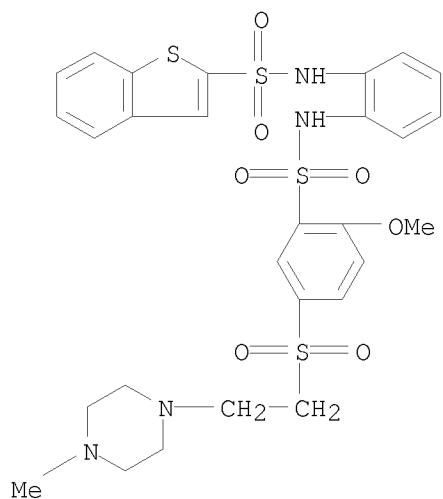
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PAGE 2-A

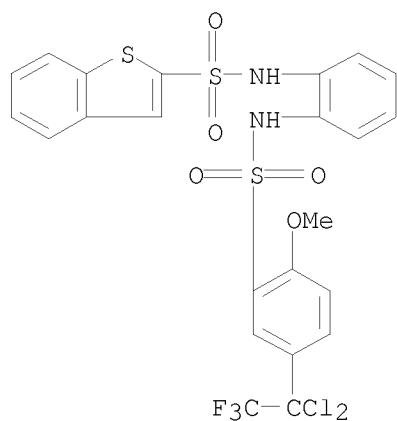


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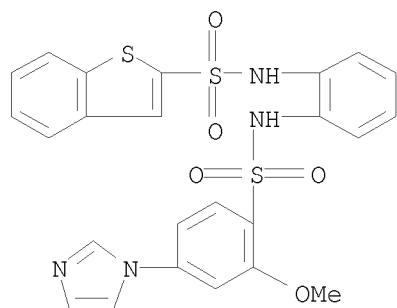
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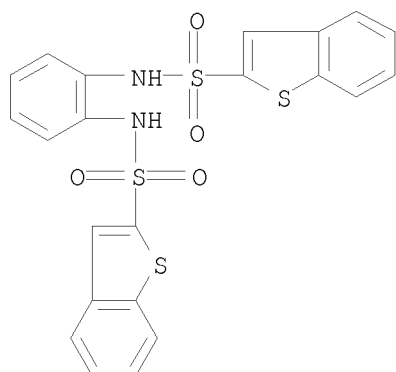
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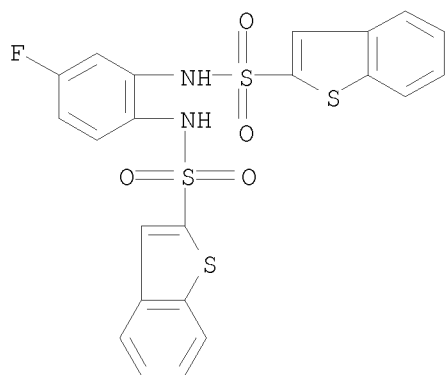




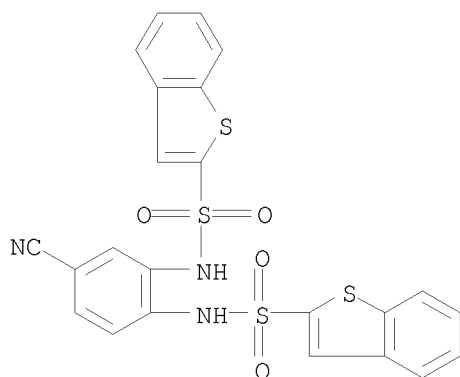
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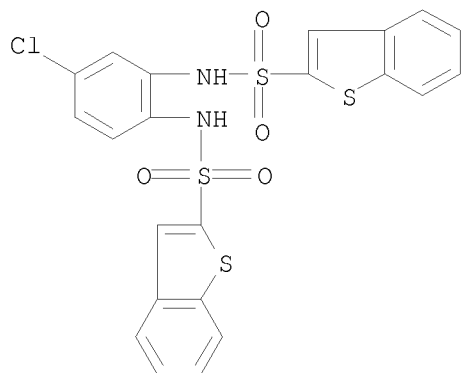
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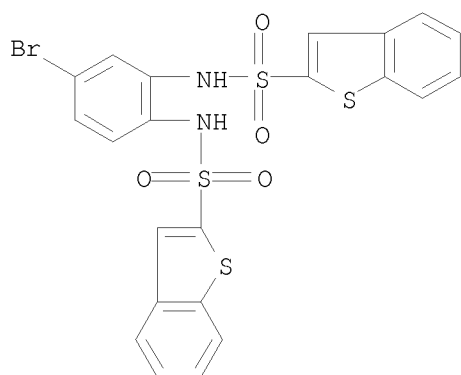
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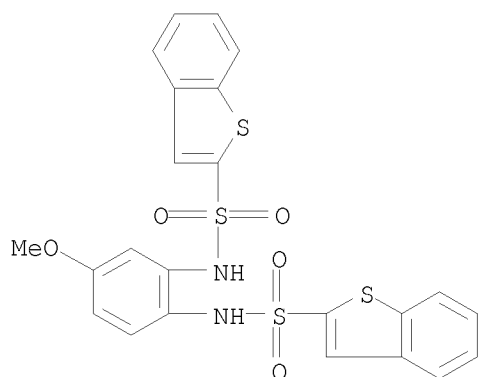
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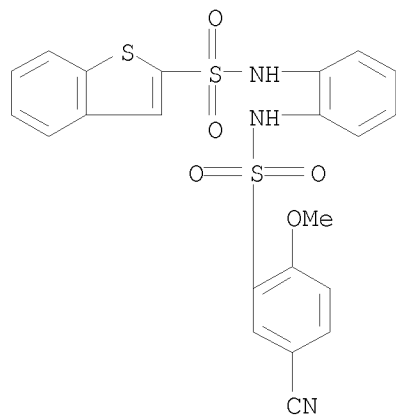
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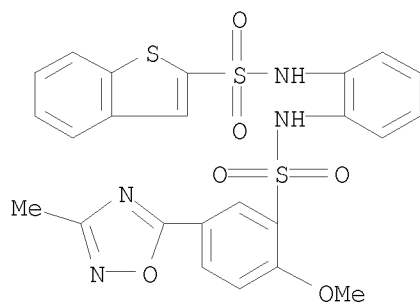
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 INDEX NAME)



RN 885052-68-6 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[5-cyano-2-methoxyphenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)

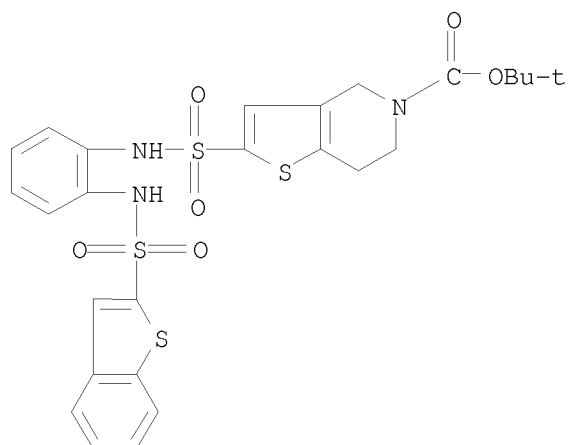


RN 885052-70-0 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[2-methoxy-5-(3-methyl-1,2,4-oxadiazol-5-yl)phenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)



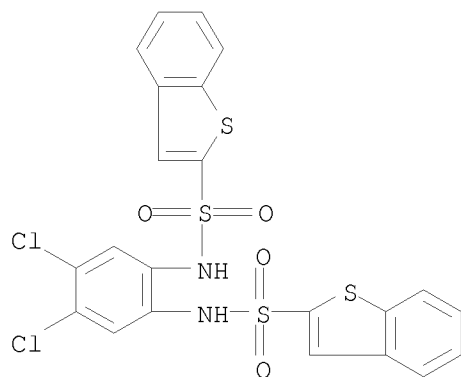
RN 885052-72-2 CAPLUS  
 CN Thieno[3,2-c]pyridine-5(4H)-carboxylic acid, 2-[[[2-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]amino]sulfonyl]-6,7-

dihydro-, 1,1-dimethylethyl ester (CA INDEX NAME)



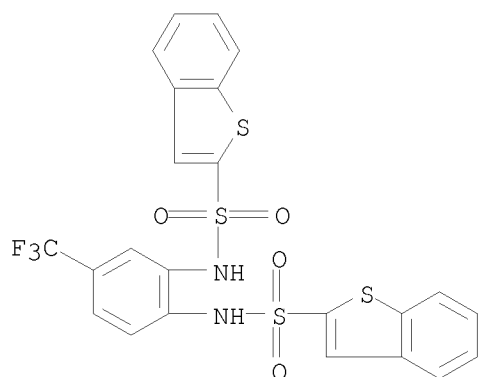
RN 885052-73-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N,N'-(4,5-dichloro-1,2-phenylene)bis-  
(9CI) (CA INDEX NAME)

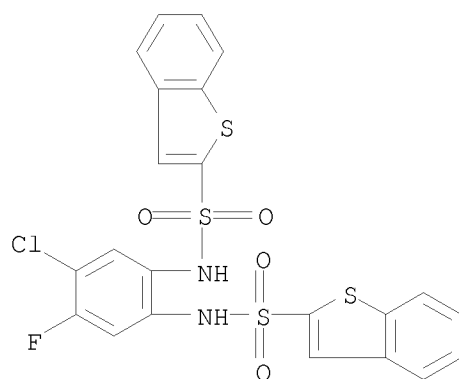


RN 885052-74-4 CAPLUS

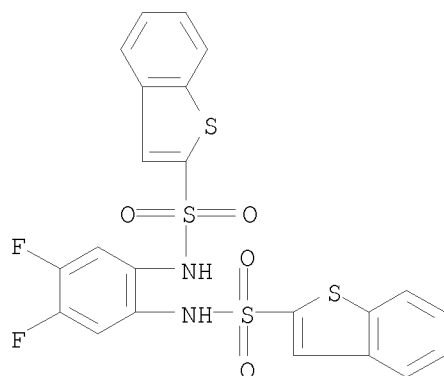
CN Benzo[b]thiophene-2-sulfonamide, N,N'-[4-(trifluoromethyl)-1,2-  
phenylene]bis- (CA INDEX NAME)



RN 885052-75-5 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N,N'-(4-chloro-5-fluoro-1,2-phenylene)bis-  
 (9CI) (CA INDEX NAME)



RN 885052-76-6 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N,N'-(4,5-difluoro-1,2-phenylene)bis-  
 (9CI) (CA INDEX NAME)



IT 885052-12-0P, Benzo[b]thiophene-2-sulfonamide N-(2-aminophenyl)  
 885052-40-4P, Benzo[b]thiophene-2-sulfonamide  
 N-[2-(((5-(ethenesulfonyl)-2-methoxybenzene) sulfonyl) amino)phenyl]

885052-49-3P, Benzo[b]thiophene-2-sulfonamide

N-[2-(((2-methoxy-4-nitrobenzene)sulfonyl)amino)phenyl]

885052-50-6P, Benzo[b]thiophene-2-sulfonamide

N-[2-(((2-methoxy-4-aminobenzene)sulfonyl)amino)phenyl]

885052-67-5P, N-(tert-Butyl)-3-[[2-[[[Benzo[b]thien-2-yl]sulfonyl]amino]phenyl]sulfamoyl]-4-methoxybenzamide

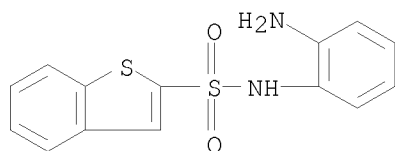
885052-69-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of bis-sulfonamides as galanin receptor type 1 agonists)

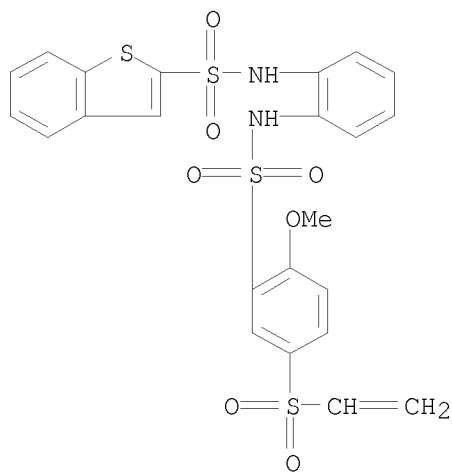
RN 885052-12-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(2-aminophenyl)- (CA INDEX NAME)



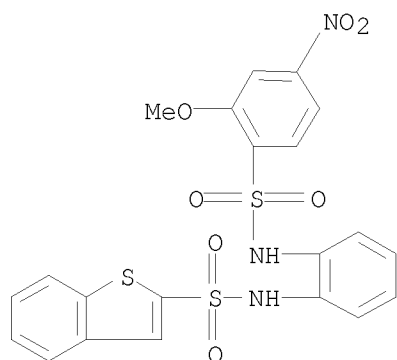
RN 885052-40-4 CAPLUS

CN Benzenesulfonamide, N-[2-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]-5-(ethenylsulfonyl)-2-methoxy- (CA INDEX NAME)



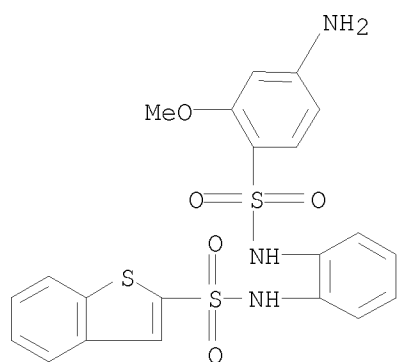
RN 885052-49-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[2-methoxy-4-nitrophenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)



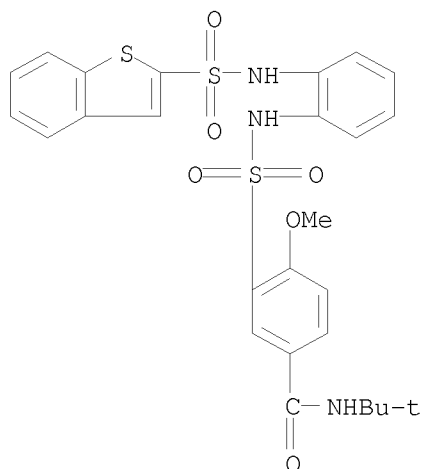
RN 885052-50-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[4-amino-2-methoxyphenyl)sulfonyl]amino]phenyl]- (CA INDEX NAME)

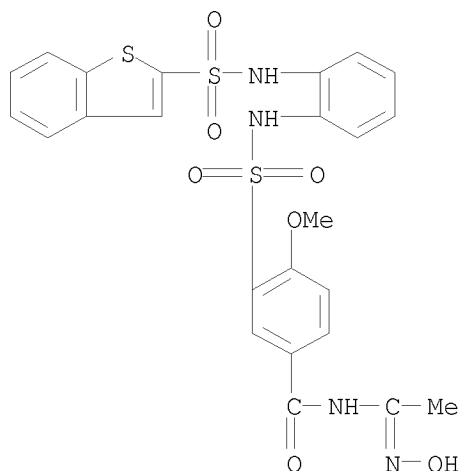


RN 885052-67-5 CAPLUS

CN Benzamide, 3-[[[2-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]amino]sulfonyl]-N-(1,1-dimethylethyl)-4-methoxy- (CA INDEX NAME)



RN 885052-69-7 CAPLUS  
 CN Benzamide, 3-[[[2-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]amino]sulfonyl]-N-[1-(hydroxyamino)ethylidene]-4-methoxy- (CA INDEX NAME)



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 47 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2006:365167 CAPLUS  
 DN 144:412383  
 TI Preparation of 3-phenyl-3-methylquinoline-2,4-diones as 5-HT6 serotonin  
 receptor antagonists for the treatment of central nervous system disorders  
 IN Seong, Churlmin; Park, Nosang; Jung, Yungsik; Choi, Jinil; Park, Wookyu;  
 Cho, Heeyung; Kong, Jaeyang; Jung, Daeyoung; Kang, Sunhee; Song, Sukjin;  
 Kwark, Kyungran  
 PA S. Korea  
 SO U.S. Pat. Appl. Publ., 33 pp.  
 CODEN: USXXCO



DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20060084676	A1	20060420	US 2005-242665	20051004
				KR 2004-84081	A 20041020
	EP 1650190	A1	20060426	EP 2005-256424	20051017
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
				KR 2004-84081	A 20041020
	JP 2006117667	A	20060511	JP 2005-301170	20051017
				KR 2004-84081	A 20041020
	KR 2006054045	A	20060522	KR 2005-97491	20051017
	KR 825040	B1	20080424		
				KR 2004-84081	A 20041020

OS CASREACT 144:412383; MARPAT 144:412383

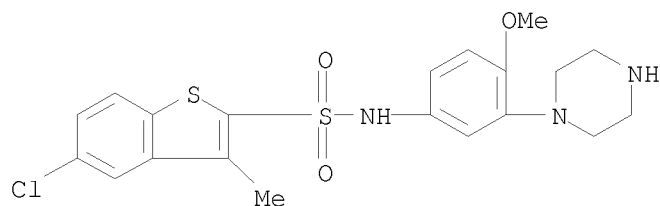
AB The invention relates to 3-aryl-3-methylquinoline-2,4-diones I [wherein R1 - R4, X, Y = H, halo, NO2, etc.] were prepared as 5HT6 receptor antagonists. For instance, acylation of 2-amino-4,6-dichlorobenzoic acid Me ester (preparation given) with an acyl chloride, which was generated in situ from 2-phenylpropionic acid with thionyl chloride, led to an amide in 92% yield, which underwent LiHDMS-mediated intramol. cyclization to give quinolinedione II in 78% yield. This product showed 5-HT6 receptor binding affinity with IC50 of 0.089  $\mu$ M. Other biol. data were also given, indicating binding selectivity of I for 5-HT6 receptor over dopamine receptors and other serotonin receptor subtypes. Therefore, I and their pharmaceutical compns. are useful for the treatment of the central nervous system disorders.

IT 209481-20-9, SB-271046

RL: PAC (Pharmacological activity); BIOL (Biological study)  
(reference; preparation of phenyl(methyl)quinolinediones as 5HT6 serotonin receptor antagonists for the treatment of central nervous system disorders)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



L6 ANSWER 48 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:333299 CAPLUS

DN 144:343645

TI Hydroxamic acid derivative histone deacetylase inhibitors, and their therapeutic use

IN Chakravarty, Prasun K.; Kuo, Howard; Matthews, Jay M.; Meinke, Peter T.

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 46 pp.

CODEN: PIXXD2

DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006017214	A2	20060216	WO 2005-US24512	20050708
	WO 2006017214	A3	20060601		
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	AU 2005271841	A1	20060216	US 2004-587233P	P 20040712
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	CA 2573369	A1	20060216	CA 2005-2573369	20050708
				US 2004-587233P	P 20040712
				WO 2005-US24512	W 20050708
	EP 1789381	A2	20070530	EP 2005-770022	20050708
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				US 2004-587233P	P 20040712
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	CN 1997626	A	20070711	CN 2005-80023288	20050708
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				WO 2005-US24512	W 20050708
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				US 2004-587233P	P 20040712
				WO 2005-US24512	W 20050708
	US 20080015190	A1	20080117	US 2006-629588	20061214
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	IN 2007DN01003	A	20070427	IN 2007-DN1003	20070207
				US 2004-587233P	P 20040712
				WO 2005-US24512	W 20050708

OS MARPAT 144:343645

AB The invention discloses hydroxamic acid derivs. that are inhibitors of histone deacetylase. The compds. are useful for treating cellular proliferative diseases, including cancer. Further, the compds. are useful for treating neurodegenerative diseases, schizophrenia, and stroke, among other diseases. The compds. also have antiprotzoal properties. Compound preparation is included.

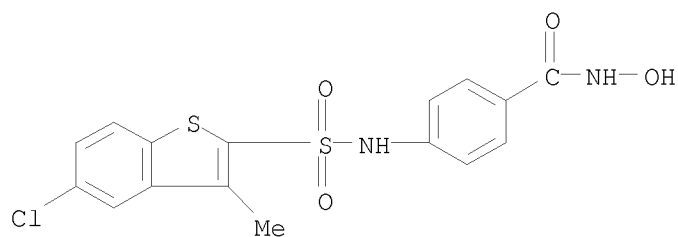
IT 881004-10-0P 881004-99-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

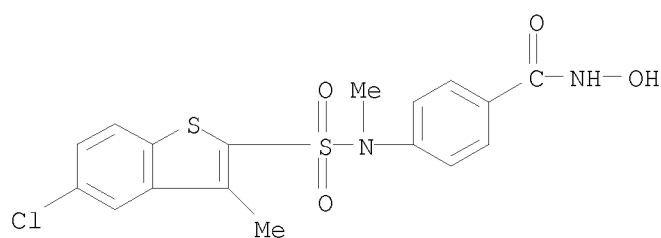
(hydroxamic acid derivative histone deacetylase inhibitors, and therapeutic use)

RN 881004-10-0 CAPLUS

CN Benzamide, 4-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-N-hydroxy- (CA INDEX NAME)



RN 881004-99-5 CAPLUS  
 CN Benzamide, 4-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]-N-hydroxy- (CA INDEX NAME)



L6 ANSWER 49 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2006:301792 CAPLUS  
 DN 144:324862  
 TI Compositions and methods using 5-HT6 receptor antagonists and 5-HT2A receptor antagonists for treating cognitive disorders  
 IN Bonhaus, Douglas William; Martin, Renee Sharon  
 PA Roche Palo Alto LLC, USA  
 SO U.S. Pat. Appl. Publ., 25 pp.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20060069094	A1	20060330	US 2005-241316	20051114
				US 2004-614705P	P 20040930
				US 2004-630608P	P 20041124
				US 2005-707798P	P 20050812
	AU 2005291541	A1	20060413	AU 2005-291541	20050922
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				US 2004-630608P	P 20041124
				WO 2005-EP10238	W 20050922
	AU 2005291542	A1	20060413	AU 2005-291542	20050922
				US 2004-614705P	P 20040930
				WO 2005-EP10251	W 20050922
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	CA 2581921	A1	20060413	US 2004-614705P	P 20040930
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WO 2006037482	A3	20061019			
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			US 2004-630608P	P	20041124
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			WO 2005-EP10238	W	20050922
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			WO 2005-EP10238	W	20050922
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			US 2004-614705P	P	20040930
			WO 2005-EP10251	W	20050922
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OS MARPAT 144:324862

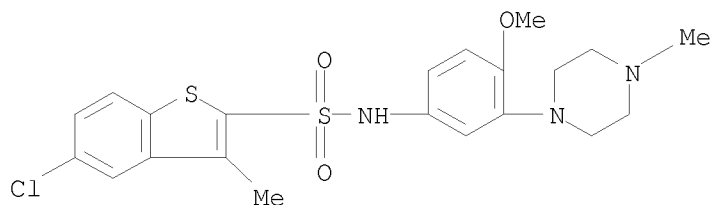
AB The invention discloses methods and pharmaceutical compns. comprising selective antagonists of the 5-HT<sub>6</sub> receptor and 5-HT<sub>2A</sub> receptor which are useful for the treatment of cognitive disorders.

IT 209480-56-8 209481-20-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(5-HT<sub>6</sub> and 5-HT<sub>2A</sub> receptor antagonists for treatment of cognitive disorders)

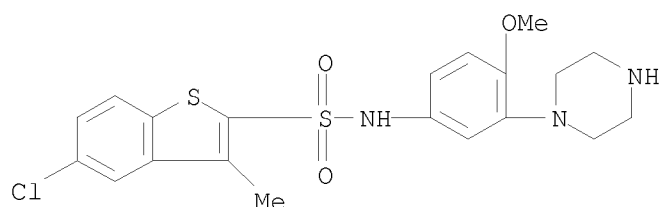
RN 209480-56-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

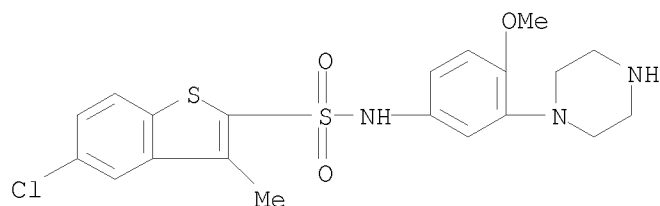


RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



L6 ANSWER 50 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2006:222682 CAPLUS  
 DN 145:21510  
 TI A comparison of multiple 5-HT receptors in two tasks measuring impulsivity  
 AU Talpos, John C.; Wilkinson, Lawrence S.; Robbins, Trevor W.  
 CS Department of Experimental Psychology, University of Cambridge, Cambridge, CB2 3EB, UK  
 SO Journal of Psychopharmacology (London, United Kingdom) (2006), 20(1), 47-58  
 CODEN: JOPSEQ; ISSN: 0269-8811  
 PB Sage Publications Ltd.  
 DT Journal  
 LA English  
 AB Impulsivity has often been assumed to be a unitary construct. However dissociable forms of impulsive behavior may exist, each with distinct neurochem. underpinnings. To test this hypothesis, behavioral effects of three partially selective serotonergic (5-HT) ligands, ketanserin (5-HT<sub>2A,C</sub> receptor antagonist), SER-082 (5-HT<sub>2C,B</sub> receptor antagonist) and SB-270146-A (5-HT<sub>6</sub> receptor antagonist) were compared in two tests of impulsivity. The five-choice serial reaction time task (5-csrtt) and a delayed reward task were chosen as they measure theor. different types of impulsivity, behavioral inhibition vs. choice preference for a delayed reward. Dissociation was seen between the effects of ketanserin, which decreased impulsivity in the 5-csrtt, but had no effect on the delayed reward task, and SER-082, which had no effect on the 5-csrtt, but decreased impulsive responding in the delayed reward task. SB-270146-A had no effect in either paradigm. The results suggest that the 5-csrtt and the delayed reward task do in fact measure different types of impulsive behavior, which are at least partially neurochem. distinct.  
 IT 209481-24-3, SB 271046-A  
 RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)  
 (5-HT<sub>6</sub> receptor antagonist SB-270146-A exhibit no effect on both impulsive responding in delayed reward task and 5-csrtt in rat)  
 RN 209481-24-3 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 51 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2006:209599 CAPLUS  
DN 144:274133  
TI Preparation of substituted indole compounds and their use as 5-HT6  
receptor modulators  
IN Merce Vidal, Ramon  
PA Laboratorios Del Dr. Esteve, S.A., Spain  
SO Eur. Pat. Appl., 46 pp.  
CODEN: EPXXDW  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1632491	A1	20060308	EP 2004-20535	20040830
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
	CA 2577925	A1	20060309	CA 2005-2577925	20050830
				EP 2004-20535	A 20040830
				WO 2005-EP9459	W 20050830
	WO 2006024535	A1	20060309	WO 2005-EP9459	20050830
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
				EP 2004-20535	A 20040830
	EP 1786804	A1	20070523	EP 2005-782480	20050830
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
				EP 2004-20535	A 20040830
				WO 2005-EP9459	W 20050830
	CN 101068809	A	20071107	CN 2005-80036369	20050830
				EP 2004-20535	A 20040830
				WO 2005-EP9459	W 20050830

JP 2008511575	T	20080417	JP 2007-528783	20050830
			EP 2004-20535	A 20040830
			WO 2005-EP9459	W 20050830
MX 200702393	A	20070814	MX 2007-2393	20070227
			EP 2004-20535	A 20040830
			WO 2005-EP9459	W 20050830
US 20070213326	A1	20070913	US 2007-679344	20070227
			EP 2004-20535	A 20040830
			WO 2005-EP9459	A1 20050830

OS MARPAT 144:274133

AB The indole derivs. (I) [wherein n = 0-4; R1 = H, (a) linear or branched, (un)saturated, or (un)substituted aliphatic radical, (b) (un)saturated, (un)substituted optionally at least one heteroatom as a ring member containing cyclo aliphatic radical (optionally containing at least one heteroatom in the ring or bonded via a linear or branched alkylene), (c) (un)substituted aryl or heteroaryl (optionally bonded via a linear or branched alkylene), (d) C(O)R8, (d) SO2R9; R2 = H, NO2, NH2, SH, OH, cyano, CO2H, OR10, SR11, CO2R12, halo, (a)-(c) in R1; R3 = (un)saturated, (un)substituted cyclo

aliphatic

radical (optionally containing at least one heteroatom as a ring member or condensed with an optionally at least monosubstituted mono- or polycyclic ring system) (e), (un)substituted NH2; R4-R7 = H, NO2, NH2, SH, OH, cyano, CO2H, CHO, SO3H, CONH2, SO2NH2, COR8, S(O)2R9, OR10, SR11, CO2R12, N(R15)S(O)2R16, NHR17, NR18R19, C(O)NHR20, C(O)NR21R22, S(O)2NHR23, S(O)2NR24R25, O-COR26, NHCO-R27, NR28CO-R29, NHCO-OR30, NR31CO-OR32, S(O)2O-R33, halo, (a)-(c) described in R1; R12, R17-R33 = (a)-(c) in R1; R9 = (e) in R3; R10, R11 = (a) or (c) described in R1; R15 = (a) described in R1 S(O)2R16 (R16 = (a) or (c) of R3, etc.)], their stereoisomers or their mixts., physiol. acceptable salts thereof, or corresponding solvates thereof are prepared. These compds., e.g. (II), are 5-HT6 receptor modulators (no data). They are suitable for the prophylaxis and/or treatment of disorders or diseases that are at least partially mediated via 5-HT6 receptors, including irritable colon syndrome, disorders of the central nervous system, anxiety, panic attacks, depression, bipolar disorders, cognitive disorders, memory disorders, senile dementia, psychosis, or neurodegenerative disorders (preferably selected from the group consisting of Alzheimer's disease, Parkinson's disease, Huntington's disease, and multiple sclerosis), schizophrenia, or hyperactivity disorder (ADHD, attention deficit/hyperactivity disorder) or for the improvement of cognition (cognitive enhancement), preferably for the improvement of cognition (cognitive enhancement). They are also useful for the regulation of appetite, for the maintenance, increase or reduction of body weight, for the prophylaxis and/or treatment of a disorder or a disease related to food intake, preferably for the prophylaxis and/or treatment of obesity, bulimia, anorexia, cachexia or type II diabetes (non insulin dependent diabetes mellitus), more preferably for the prophylaxis and/or treatment of obesity.

IT 877875-56-4P, N-[3-(2-Dimethylamino-1-ethoxyethyl)indol-7-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 877875-58-6P, N-[3-(2-Dimethylaminoethyl)indol-7-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 877875-60-0P, N-[3-(2-Diethylaminoethyl)indol-6-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 877875-77-9P, N-[3-(2-Dimethylaminoethyl)indol-6-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

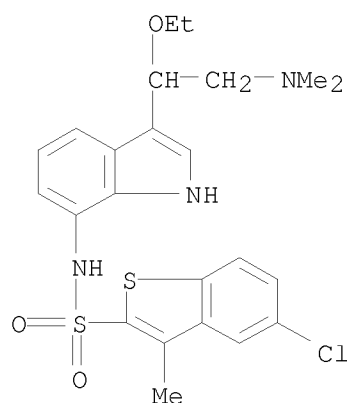
(preparation of substituted indole compds. and their use as 5-HT6 receptor



modulators)

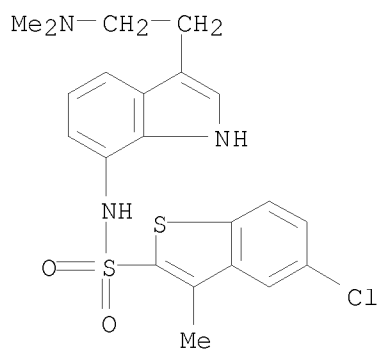
RN 877875-56-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)-1-ethoxyethyl]-1H-indol-7-yl]-3-methyl- (CA INDEX NAME)



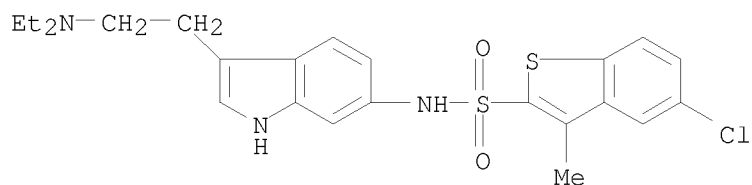
RN 877875-58-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethyl]-1H-indol-7-yl]-3-methyl- (CA INDEX NAME)



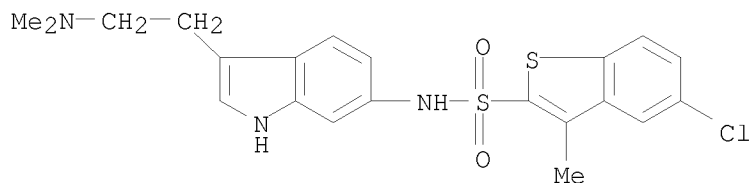
RN 877875-60-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(diethylamino)ethyl]-1H-indol-6-yl]-3-methyl- (CA INDEX NAME)



RN 877875-77-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-3-methyl- (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 52 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2006:152784 CAPLUS  
DN 144:212654  
TI Preparation of substituted indole compounds as 5-HT6 receptor modulators  
for use in medicaments  
IN Merce Vidal, Ramon; Dordal Zuera, Alberto; Codony Soler, Xavier  
PA Laboratorios Del Dr. Esteve, S.A., Spain  
SO PCT Int. Appl., 230 pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006015867	A1	20060216	WO 2005-EP8754	20050809
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
			ES 2004-2007	A 20040810
			EP 2004-21314	A 20040908
			US 2004-935983	A 20040908
ES 2246721	A1	20060216	ES 2004-2007	20040810
ES 2246721	B1	20070316		
US 20060036101	A1	20060216	US 2004-935983	20040908
			ES 2004-2007	A 20040810
EP 1717227	A1	20061102	EP 2004-21314	20040908
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			ES 2004-2007	A 20040810
CA 2576581	A1	20060216	CA 2005-2576581	20050809
			ES 2004-2007	A 20040810
			EP 2004-21314	A 20040908
			US 2004-935983	A 20040908
			WO 2005-EP8754	W 20050809
EP 1789386	A1	20070530	EP 2005-777156	20050809
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
			ES 2004-2007	A 20040810
			EP 2004-21314	A 20040908

			US 2004-935983	A	20040908
			WO 2005-EP8754	W	20050809
CN 101044113	A	20070926	CN 2005-80034451		20050809
			ES 2004-2007	A	20040810
			EP 2004-21314	A	20040908
			US 2004-935983	A	20040908
			WO 2005-EP8754	W	20050809
JP 2008513355	T	20080501	JP 2007-525260		20050809
			ES 2004-2007	A	20040810
			EP 2004-21314	A	20040908
			US 2004-935983	A	20040908
			WO 2005-EP8754	W	20050809
MX 200701541	A	20080304	MX 2007-1541		20070207
			ES 2004-2007	A	20040810
			EP 2004-21314	A	20040908
			US 2004-935983	A	20040908
			WO 2005-EP8754	W	20050809
US 20070203121	A1	20070830	US 2007-673328		20070209
			ES 2004-2007	A	20040810
			EP 2004-21314	A	20040908
			US 2004-935983	A1	20040908
			WO 2005-EP8754	A1	20050809

OS CASREACT 144:212654; MARPAT 144:212654

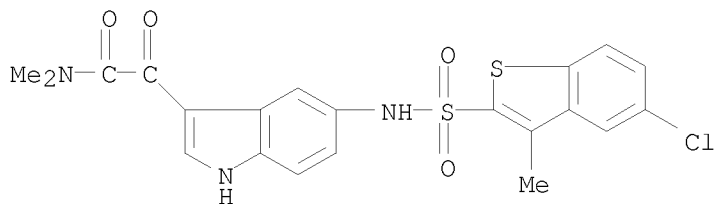
AB The present invention relates to substituted indoles (shown as I; variables defined below; e.g. 2-[5-[[[6-chloroimidazo[2,1-b]thiazol-5-yl)sulfonyl]amino]-1H-indol-3-yl]-N,N-dimethyl-2-(oxo)acetamide (shown as II)), a process for their preparation, medicaments comprising substituted indole compds. as well as the use of substituted indole compds. for the preparation of medicaments, which are suitable e.g. for the prophylaxis and/or treatment of disorders or diseases that are at least partially mediated via 5-HT<sub>6</sub> receptors. For I: n = 0-4; R<sub>1</sub> = H, a linear or branched, (un)saturated, optionally at least monosubstituted aliphatic radical, a (un)saturated, optionally at least monosubstituted, optionally at least one heteroatom as a ring member containing cycloaliph. radical, which may be bonded via a linear or branched alkylene group, an optionally at least monosubstituted aryl or heteroaryl radical, which may be bonded via a linear or branched alkylene group, -S(O)<sub>2</sub>R<sub>9</sub>, or C(O)R<sub>10</sub>. For n = 0: R<sub>2</sub> = -NO<sub>2</sub>, -NH<sub>2</sub>, -SH, -OH, -CN, halo, a linear or branched, (un)saturated, optionally at least monosubstituted, optionally at least one heteroatom as a chain member containing aliphatic radical, et al.; for n = 1-4: R<sub>2</sub> = -H, -NO<sub>2</sub>, -NH<sub>2</sub>, -SH, -OH, -CN, halo, a linear or branched, (un)saturated, optionally at least monosubstituted, optionally at least one heteroatom as a chain member containing aliphatic radical, et al.; R<sub>3</sub> and R<sub>4</sub>, identical or different, = H, a linear or branched, (un)saturated aliphatic radical, an optionally at least monosubstituted aryl or heteroaryl radical, which may be bonded via a linear or branched alkylene group, a (un)saturated, optionally at least monosubstituted, optionally at least one heteroatom as a ring member containing cycloaliph. radical, which may be bonded via a linear or branched alkylene group and/or which may be condensed with an optionally at least monosubstituted mono- or polycyclic ring system, or R<sub>3</sub> and R<sub>4</sub> together with the bridging N form an optionally at least monosubstituted, saturated, unsatd. or aromatic heterocyclic ring that may contain at least one further heteroatom as a ring member and/or that may be condensed with an optionally at least monosubstituted mono- or polycyclic ring-system. R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub> and R<sub>8</sub>, identical or different, = -H, -NO<sub>2</sub>, -CN, -N(R<sub>11</sub>)S(O)<sub>2</sub>R<sub>12</sub>, -OR<sub>13</sub>, -SR<sub>14</sub>, -C(O)OR<sub>15</sub>, -NR<sub>16</sub>R<sub>17</sub>, -C(O)R<sub>18</sub>, -(C:O)NR<sub>19</sub>R<sub>20</sub>, -O(C:O)R<sub>21</sub>,

-S(O)2R22, -S(O)2NR23R24, et al.; addnl. details including provisos are given in the claims. Methods of preparation are claimed and preps. and/or characterization data for 34 examples of I are included. For example, II was prepared (13 %) from 2-(5-amino-1H-indol-3-yl)-N,N-dimethyl-2-(oxo)acetamide and 6-chloroimidazo[2,1-b]thiazole-5-sulfonyl chloride in DMF in the presence of iPr2EtN. Inhibition consts. (Ki) are tabulated for 5 examples of I to 5-HT6 receptors, e.g. 18.4 nM for II.

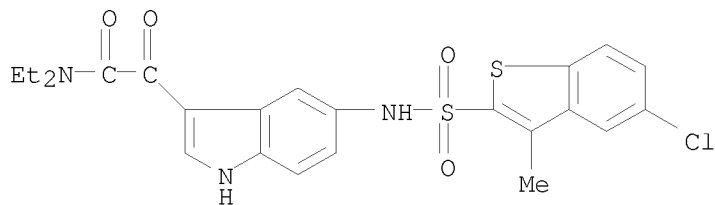
IT 753021-00-0P, 2-[5-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-1H-indol-3-yl]-N,N-dimethyl-2-(oxo)acetamide  
 875767-41-2P, 2-[5-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-1H-indol-3-yl]-N,N-diethyl-2-(oxo)acetamide  
 875767-47-8P, 2-[4-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-1H-indol-3-yl]-N,N-dimethyl-2-(oxo)acetamide  
 875767-56-9P, 2-[5-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-2-methyl-1H-indol-3-yl]-N,N-dimethyl-2-(oxo)acetamide  
 875767-58-1P, 2-[6-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-1H-indol-3-yl]-N,N-dimethyl-2-(oxo)acetamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted indole-containing carboxamides as 5-HT6 receptor modulators for use in medicaments)

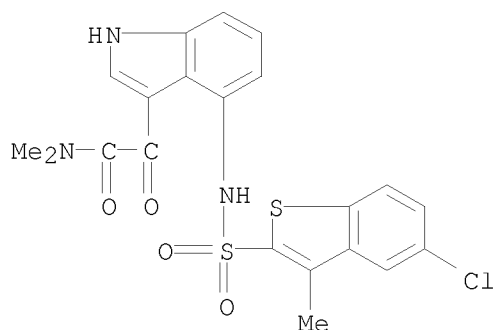
RN 753021-00-0 CAPLUS  
 CN 1H-Indole-3-acetamide, 5-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-N,N-dimethyl- $\alpha$ -oxo- (CA INDEX NAME)



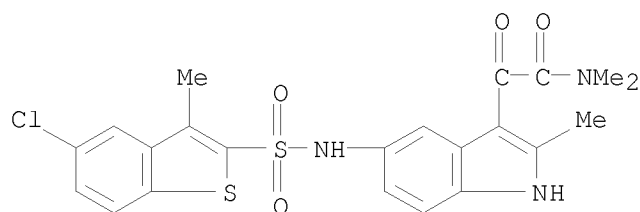
RN 875767-41-2 CAPLUS  
 CN 1H-Indole-3-acetamide, 5-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-N,N-diethyl- $\alpha$ -oxo- (CA INDEX NAME)



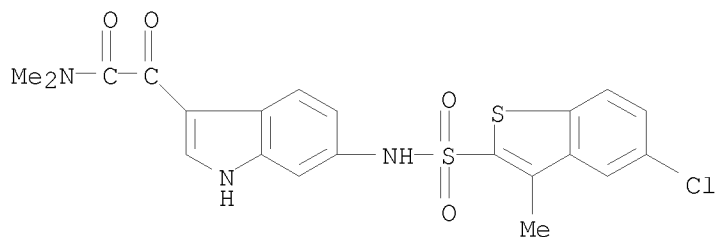
RN 875767-47-8 CAPLUS  
 CN 1H-Indole-3-acetamide, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-N,N-dimethyl- $\alpha$ -oxo- (CA INDEX NAME)



RN 875767-56-9 CAPLUS  
 CN 1H-Indole-3-acetamide, 5-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-N,N,2-trimethyl-α-oxo- (CA INDEX NAME)



RN 875767-58-1 CAPLUS  
 CN 1H-Indole-3-acetamide, 6-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-N,N-dimethyl-α-oxo- (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 53 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2006:123201 CAPLUS  
 DN 144:191976  
 TI Preparation of multicyclic sulfonamide compounds as inhibitors of histone deacetylase  
 IN Malecha, James William; Noble, Stewart Alwyn; Hassig, Christian Andreus; Wash, Paul L.; Wiley, Brandon M.; Lawrence, Charles Maxwell; Hoffman, Timothy Z.  
 PA USA  
 SO U.S. Pat. Appl. Publ., 94 pp., Cont.-in-part of U.S. Ser. No. 865,743.  
 CODEN: USXXCO

DT Patent  
LA English  
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20060030543	A1	20060209	US 2005-150500	20050609
				US 2004-865743	A2 20040610
				WO 2004-US18502	A 20040610
				US 2004-635020P	P 20041209
	WO 2004110418	A2	20041223	WO 2004-US18502	20040610
	WO 2004110418	A3	20050317		
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	US 20050026907	A1	20050203	US 2003-477721P	P 20030610
	US 7271195	B2	20070918	US 2004-865743	20040610
				US 2003-477721P	P 20030610

PATENT FAMILY INFORMATION:

FAN 2004:1124614

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004110418	A2	20041223	WO 2004-US18502	20040610
	WO 2004110418	A3	20050317		
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	AU 2004247136	A1	20041223	US 2003-477721P	P 20030610
				AU 2004-247136	20040610
				US 2003-477721P	P 20030610
				WO 2004-US18502	W 20040610
	CA 2528003	A1	20041223	CA 2004-2528003	20040610
				US 2003-477721P	P 20030610
				WO 2004-US18502	W 20040610
	EP 1635800	A2	20060322	EP 2004-754935	20040610
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				US 2003-477721P	P 20030610
				WO 2004-US18502	W 20040610
	CN 1798733	A	20060705	CN 2004-80015192	20040610
				US 2003-477721P	P 20030610
	BR 2004011275	A	20060801	BR 2004-11275	20040610
				US 2003-477721P	P 20030610

JP 2007503472	T	20070222	WO 2004-US18502	W	20040610
			JP 2006-533697		20040610
			US 2003-477721P	P	20030610
AU 2005251816	A1	20051222	WO 2004-US18502	W	20040610
			AU 2005-251816		20050609
			US 2004-865743	A	20040610
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US 7271195

A1 20050203  
B2 20070918

US 2003-477721P P 20030610  
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OS MARPAT 144:191976

AB Title compds. represented by the formula I [wherein R1-R5 = independently H, alkyl, (hetero)aryl, etc.; T = O, S, amino; R6, R7 = independently H, alkyl, or R6R7 = (un)substituted cycloalkyl; Q = a bond, alkylene(amino), alkylencarbonyl, etc.; R8 = H, cyano, pyrrolidinyl, etc.; and pharmaceutically acceptable salts, amides, esters or prodrugs thereof] were prepared as histone deacetylase (HDAC) inhibitors. For example, II was provided in a multi-step synthesis starting from the reaction of 4-aminoacetophenone with naphthalenesulfonyl chloride. I were tested for inhibition of histone deacetylase with IC50 values of less than 1  $\mu$ M. Methods and compns. are disclosed for treating disease states including, but not limited to cancers, autoimmune diseases, tissue damage, central nervous system disorders, neurodegenerative disorders, fibrosis, bone disorders, polyglutamine-repeat disorders, anemias, thalassemias, inflammatory conditions, cardiovascular conditions, and disorders in which angiogenesis play a role in pathogenesis, using the compds. of the invention.

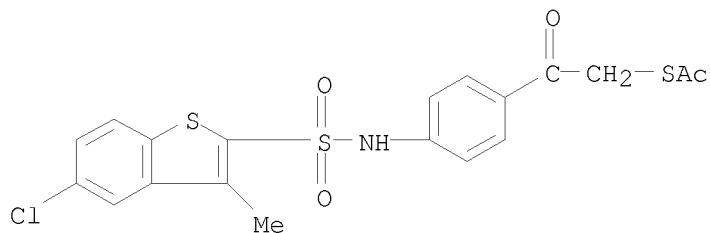
IT 872371-93-2P 872372-01-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of multicyclic sulfonamide compds. as inhibitors of histone deacetylase for disease treatment)

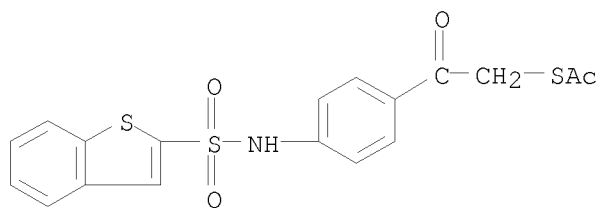
RN 872371-93-2 CAPLUS

CN Ethanethioic acid, S-[2-[4-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]-2-oxoethyl] ester (CA INDEX NAME)



RN 872372-01-5 CAPLUS

CN Ethanethioic acid, S-[2-[4-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]-2-oxoethyl] ester (CA INDEX NAME)



L6 ANSWER 54 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2006:101303 CAPLUS  
 DN 144:192279  
 TI Piperazine derivatives and their preparation, pharmaceutical compositions,  
 and agonistic activity of growth hormone secretagogue (GHS) receptors for  
 the treatment of gastrointestinal disorders  
 IN Gaiba, Alessandra; King, Nigel Paul; Takle, Andrew Kenneth; Witherington,  
 Jason  
 PA Glaxo Group Limited, UK  
 SO PCT Int. Appl., 171 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
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OS CASREACT 144:192279; MARPAT 144:192279

AB The invention provides compds. of formulas I and II or pharmaceutically acceptable salts thereof are as defined in the specification. Compds. for formulas I and II wherein Y is a single bond, CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>, or CH=CH; R<sub>1</sub> is (hetero)aryl, R<sub>2</sub> is H, or C1-6alkyl; R<sub>3</sub> is H or Me; R<sub>4</sub> is C1-6 alkyl; R<sub>5</sub> is H, C1-6alkyl, C3-6cycloalkyl, COC1-6alkyl, C1-6alkoxy, halo, OH, CF<sub>3</sub>, OCF<sub>3</sub>, or CN; R<sub>6</sub> is H, C1-6alkyl, C3-6cycloalkyl, COC1-6alkyl, C1-6alkoxy, C1-6alkoxy-C1-6alkyl, halo, OH, CF<sub>3</sub>, OCF<sub>3</sub>, or CN; or pharmaceutically acceptable salts thereof are claimed in this invention. The compds. are partial or full agonists at the growth hormone secretagogue (GHS) receptors, which may be useful for the treatment of gastrointestinal disorders. Pharmaceutical compns. comprising the compds., methods of preparing the compds., uses of the compds. and methods involving the compds. are also provided. Example compound III was prepared by amination of 2-bromo-4-nitroanisole with cis-2,6-dimethylpiperazine and the resulting [(methoxy)nitrophenyl]dimethylpiperazine underwent hydrogenation to give intermediate IV, which was sulfonylated with 5-(2-pyridinyl)-2-thiophenesulfonyl chloride to give example compound III. Addnl. 316 example compds. were prepared in this invention. All the example compds. were evaluated for their selective agonistic activity at the GHS receptors. All 317 example compds. have an activity of <1 μM in the GHS-R GTPγS functional assays. In the GHS-R agonist BACMAM FLIPR assay, all the example compds. have an EC<sub>50</sub> value of <1 μM.

IT 874955-90-5P 874955-94-9P 874956-03-3P  
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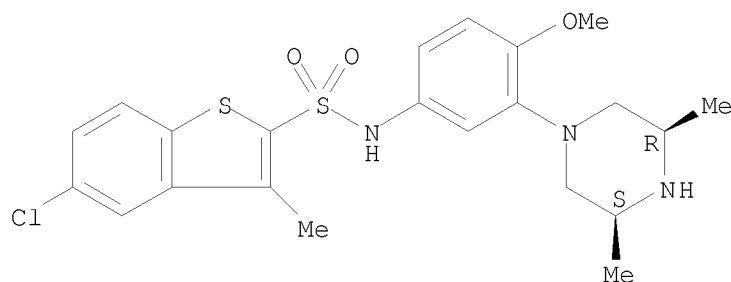
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of piperazines and their agonistic activity of growth hormone secretagogue (GHS) receptors for the treatment of gastrointestinal disorders)

RN 874955-90-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(3R,5S)-3,5-dimethyl-1-piperazinyl]-4-methoxyphenyl]-3-methyl-, rel- (CA INDEX NAME)

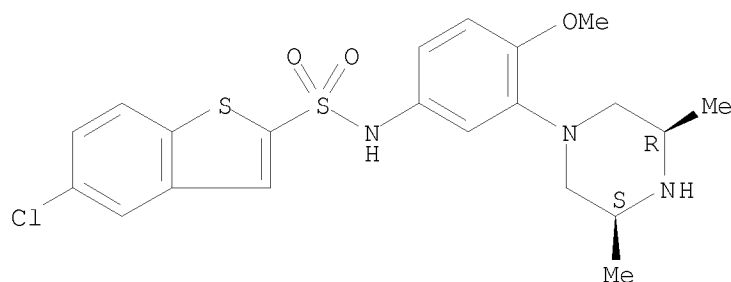
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RN 874955-94-9 CAPLUS

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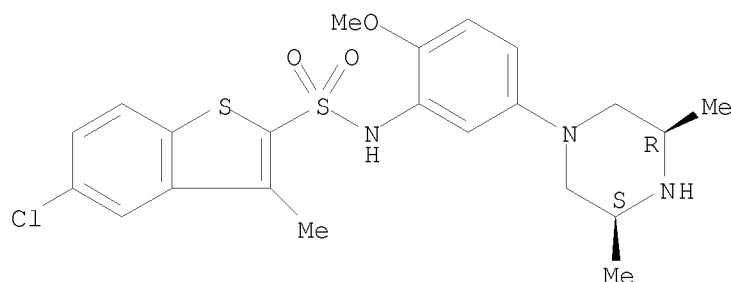
Relative stereochemistry.



RN 874956-03-3 CAPLUS

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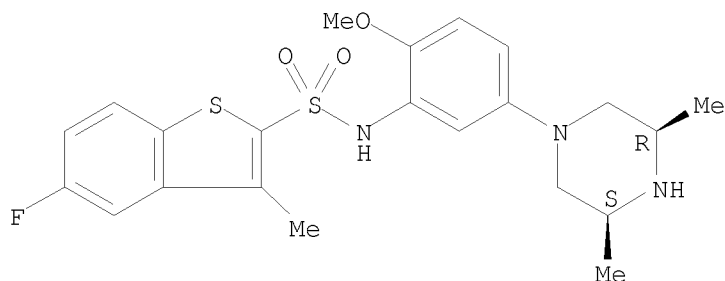
Relative stereochemistry.



RN 874956-28-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[5-[(3R,5S)-3,5-dimethyl-1-piperazinyl]-2-methoxyphenyl]-5-fluoro-3-methyl-, rel- (CA INDEX NAME)

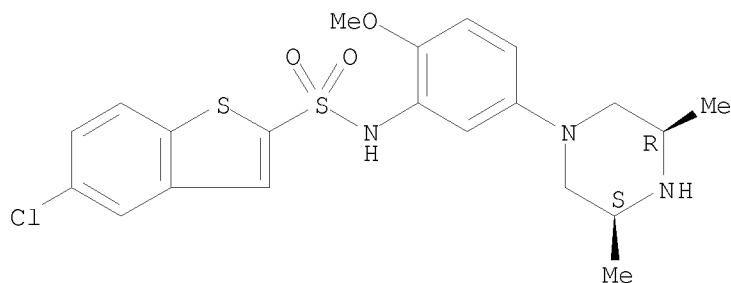
Relative stereochemistry.



RN 874956-43-1 CAPLUS

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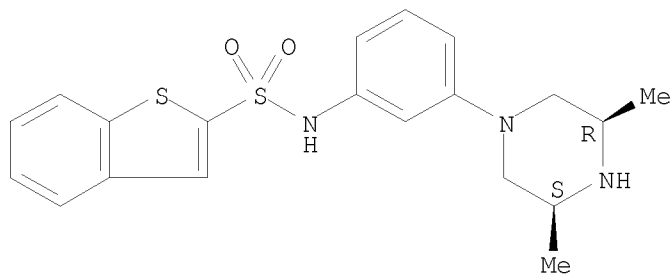
Relative stereochemistry.



RN 874956-55-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[3-[(3R,5S)-3,5-dimethyl-1-piperazinyl]phenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 55 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:1351085 CAPLUS

DN 144:88043

TI Preparation of phenylcarboxylic acid derivatives as glucose-stimulated insulin secretors useful in the treatment of diabetes and related diseases

IN Moinet, Gerard; Botton, Gerard; Kergoat, Micheline

PA Merck Sante, Fr.

SO Fr. Demande, 222 pp.

CODEN: FRXXBL

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2872159	A1	20051230	FR 2004-7076	20040628
	FR 2872159	B1	20071005		
	AU 2005256359	A1	20060105	AU 2005-256359	20050601
				FR 2004-7076	A 20040628
				WO 2005-EP5868	W 20050601
	CA 2572153	A1	20060105	CA 2005-2572153	20050601
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				WO 2005-EP5868	W 20050601
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				FR 2004-7076	A 20040628
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				WO 2005-EP5868	W 20050601
	US 20080045483	A1	20080221	US 2006-630892	20061227
				FR 2004-7076	A 20040628
				WO 2005-EP5868	W 20050601

OS MARPAT 144:88043

AB Title compds. I [wherein B, E = independently CH<sub>2</sub>, O; R<sub>1</sub> = H, (un)substituted alk(en/yn)yl, heterocyclyl, etc.; R<sub>2</sub>, R<sub>2</sub>' = independently H, NH<sub>2</sub>, OH, CO<sub>2</sub>H, Z, etc.; Z = (un)substituted alk(en/yn)yl, aryl, hetero/arylalkyl, cycloalkyl, etc.; R<sub>3</sub> = H, Z (Z defined as above); R<sub>4</sub> = COR<sub>5</sub>, SO<sub>2</sub>R<sub>5</sub>, CONHR<sub>5</sub>; R<sub>5</sub> = Z (Z defined as above); D, A = independently a simple bond, (un)substituted alkyl; n, m = independently 1-3; and their tautomers, enantiomers, diastereomers, and their pharmaceutically acceptable salts; with the exception of certain compds.] were prepared as antidiabetic agents for treating diseases associated with insulin resistance syndrome. E.g., a 7-step synthesis starting from Me 2-methylbenzoate is given for phenylcarboxylic acid II. In an in vitro test, selected I, at 10<sup>-5</sup>M and 10<sup>-7</sup> M, displayed a glucose-induced stimulation factor of insulin secretion of ≥ 130% at a dose of 2.8 mM or 8 mM glucose digested by the pancreatic exocrine tissue of rats. Thus, I and their compns. are used for treating hyperglycemia, diabetes, dyslipidemia, obesity, and microvascular and macrovascular complications arising from diabetes.

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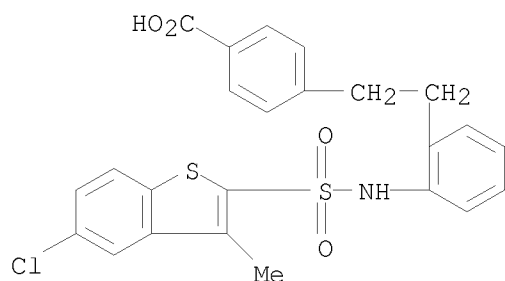
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 2-[2-[4-[[[(5-Chloro-3-methylbenzo[b]thien-2-  
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 2-[3-[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-  
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
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 (Uses)

(drug candidate; preparation of phenylcarboxylic acid derivs. as  
 antidiabetic agents)

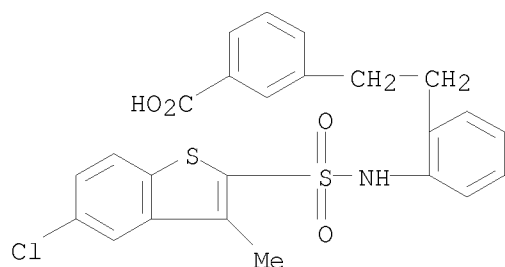
RN 872439-97-9 CAPLUS

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RN 872442-38-1 CAPLUS

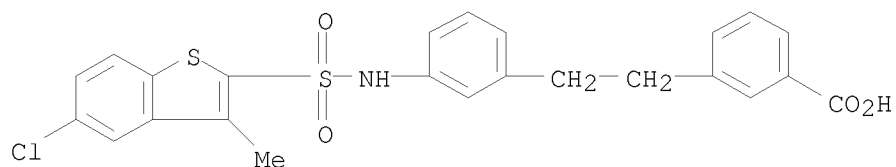
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RN 872442-93-8 CAPLUS

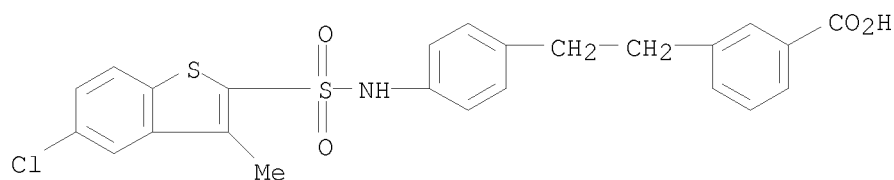
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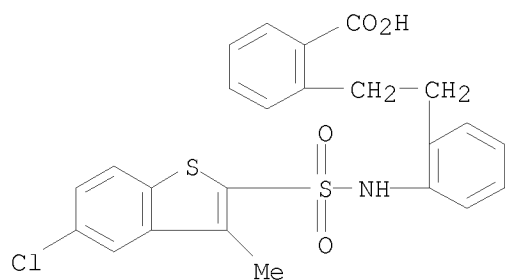
RN 872443-45-3 CAPLUS

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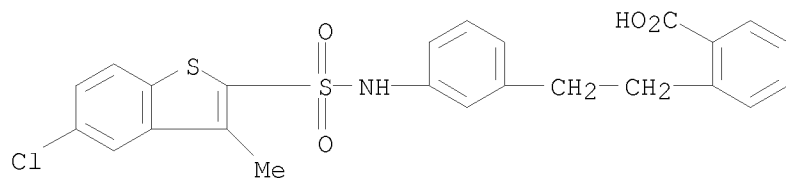
RN 872443-85-1 CAPLUS

CN Benzoic acid, 2-[2-[2-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]ethyl]- (CA INDEX NAME)



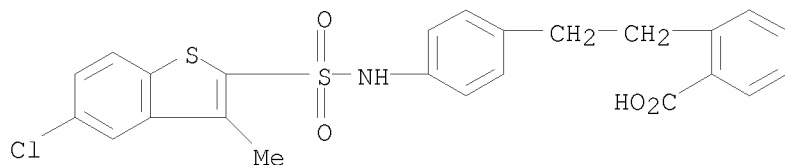
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CN Benzoic acid, 2-[2-[3-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]ethyl]- (CA INDEX NAME)

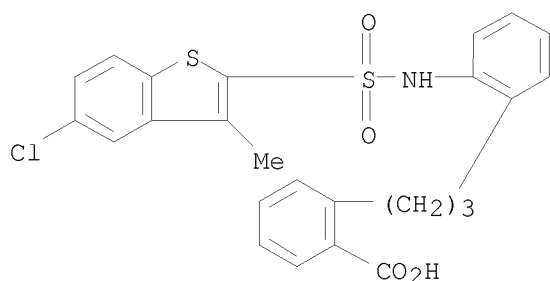


RN 872444-92-3 CAPLUS

CN Benzoic acid, 2-[2-[4-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]ethyl]- (CA INDEX NAME)



RN 872445-48-2 CAPLUS  
 CN Benzoic acid, 2-[3-[2-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]propyl]- (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 56 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2005:1350665 CAPLUS  
 DN 144:88049  
 TI Preparation of multi cyclic sulfonamide compounds as inhibitors of histone deacetylase  
 IN Malecha, James; Noble, Stewart; Hassig, Christian; Wash, Paul; Wiley, Brandon; Lawrence, Charles; Hoffman, Timothy  
 PA Kalypsys, Inc., USA  
 SO PCT Int. Appl., 146 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 5

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005123089	A2	20051229	WO 2005-US20769	20050609
WO 2005123089	A3	20060330		

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US 2004-865743 A 20040610

			WO 2004-US18502	A	20040610
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US 7271195	B2	20070918	US 2004-865743		20040610
			US 2003-477721P	P	20030610

PATENT FAMILY INFORMATION:

FAN 2004:1124614

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FAN	2006:123201					
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PI	US 20060030543	A1	20060209	US 2005-150500		20050609
				US 2004-865743	A2	20040610
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	US 20050026907	A1	20050203	US 2003-477721P	P	20030610
	US 7271195	B2	20070918	US 2004-865743		20040610
				US 2003-477721P	P	20030610
FAN	2006:125873					
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PI	US 20060030554	A1	20060209	US 2005-150783		20050609
	US 7381749	B2	20080603			
				US 2004-865743	A2	20040610
				WO 2004-US18502	A	20040610
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	US 20050026907	A1	20050203	US 2003-477721P	P	20030610
	US 7271195	B2	20070918	US 2004-865743		20040610
				US 2003-477721P	P	20030610
OS	CASREACT 144:88049; MARPAT 144:88049					
AB	Title compds. represented by the formula I [wherein R1-R5 = independently H, alkyl, (hetero)aryl, etc.; T = O, S, amino; R6, R7 = independently H, alkyl, or R6R7 = (un)substituted cycloalkyl; Q = a bond, alkylene(amino),					

alkylenecarbonyl, etc.; R8 = H, cyano, pyrrolidinyl, etc.; and pharmaceutically acceptable salts, amides, esters or prodrugs thereof] were prepared as histone deacetylase (HDAC) inhibitors. For example, II was provided in a multi-step synthesis starting from the reaction of 4-aminoacetophenone with naphthalenesulfonyl chloride. I were tested for inhibition of histone deacetylase with IC50 values of less than 1  $\mu$ M. Thus, I and their pharmaceutical compns. are useful as histone deacetylase inhibitors for the treatment of HDAC-related diseases, such as cancers (not in claim).

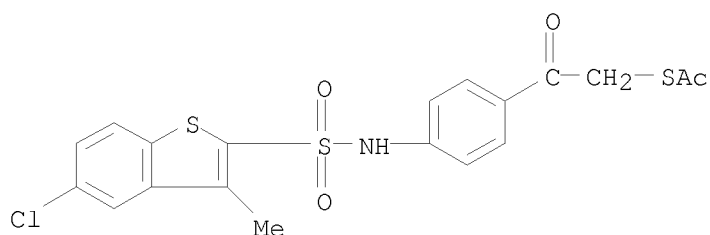
IT 872371-93-2P 872372-01-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of multi cyclic sulfonamide compds. as inhibitors of histone deacetylase)

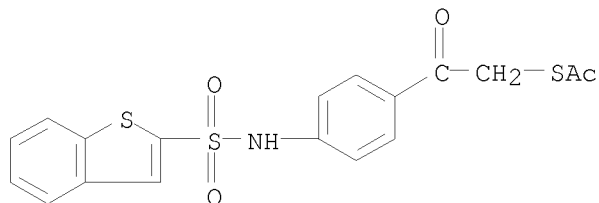
RN 872371-93-2 CAPLUS

CN Ethanethioic acid, S-[2-[4-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]-2-oxoethyl] ester (CA INDEX NAME)



RN 872372-01-5 CAPLUS

CN Ethanethioic acid, S-[2-[4-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]-2-oxoethyl] ester (CA INDEX NAME)



L6 ANSWER 57 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:1148637 CAPLUS

DN 144:16915

TI 5-HT6 receptor antagonists improve performance in an attentional set shifting task in rats

AU Hatcher, Paula D.; Brown, Verity J.; Tait, David S.; Bate, Simon; Overend, Philip; Hagan, Jim J.; Jones, Declan N. C.

CS Schizophrenia and Bipolar Disorders Research, Psychiatry CEDD, Essex, Harlow, CM19 5AW, UK

SO Psychopharmacology (Berlin, Germany) (2005), 181(2), 253-259

CODEN: PSCHDL; ISSN: 0033-3158

PB Springer GmbH

DT Journal

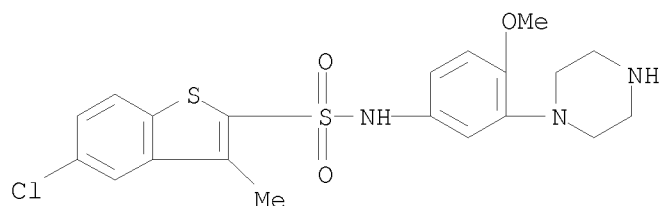
LA English

AB Rationale and Objective: Performance on the Wisconsin Card Sorting Test (WCST), which requires patients to shift attention between stimulus dimensions (sorting categories), is impaired in diseases such as schizophrenia. The rat attentional set shifting task is an analog of the WCST. Given that 5-HT6 receptor antagonists improve cognitive performance and influence cortical neurochem. in rats, the present study investigated the effects of 5-HT6 receptor antagonists upon attentional set shifting in rats. Methods: Rats were tested in this paradigm following sub-chronic SB-399885-T or SB-271046-A (both 10 mg kg<sup>-1</sup> bid, p.o. for 8 days prior to testing and either 4 or 2 h prior to testing on day 9, resp.). Rats were trained to dig in baited bowls for a food reward and to discriminate based on odor or digging media (Habituation, day 8). In a single session (day 9), rats performed a series of discriminations, including reversals (REV), intradimensional (ID) and extra-dimensional (ED) shifts. Results: Neither compound altered performance during Habituation. On the test day, both SB-399885-T and SB-271046-A reduced the total trials to reach criterion and the total errors made when data were collapsed across all discriminations (P<0.05-0.01). Further, both compds. significantly reduced the trials to criterion for REV-1 (P<0.05-0.01) and abolished the ID/ED shift. SB-399885-T, but not SB-271046-A, reduced trials required to complete the ED shift (P<0.05) and the number of errors made during completion of the ID (P<0.05) and ED shifts (P<0.01). Conclusion: 5-HT6 receptor antagonists improved performance in the attentional set shifting task and may have therapeutic potential in the treatment of disorders where cognitive deficits are a feature, including schizophrenia.

IT 209481-24-3, SB-271046-A  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (5-HT6 receptor antagonists improve performance in an attentional set shifting task in rats)

RN 209481-24-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 58 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2005:1024945 CAPLUS  
 DN 143:398885  
 TI Bicyclic heteroaryl piperazines as selective brain penetrant 5-HT6 receptor antagonists  
 AU Ahmed, Mahmood; Briggs, Michael A.; Bromidge, Steven M.; Buck, Tania; Campbell, Lorraine; Deeks, Nigel J.; Garner, Ashley; Gordon, Laurie; Hamprecht, Dieter W.; Holland, Vicky; Johnson, Christopher N.; Medhurst,



Andrew D.; Mitchell, Darren J.; Moss, Stephen F.; Powles, Jenifer; Seal, Jon T.; Stean, Tania O.; Stemp, Geoffrey; Thompson, Mervyn; Trail, Brenda; Upton, Neil; Winborn, Kim; Witty, David R.

CS Neurology and GI Centre of Excellence for Drug Discovery, GlaxoSmithKline, Essex, CM19 5AW, UK

SO Bioorganic & Medicinal Chemistry Letters (2005), 15(21), 4867-4871  
CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier B.V.

DT Journal

LA English

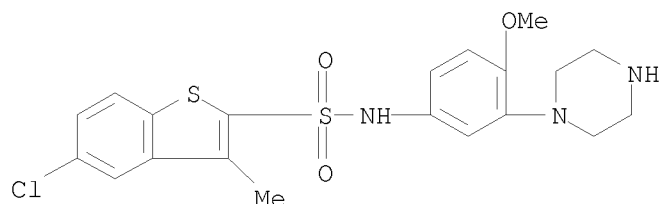
OS CASREACT 143:398885

AB Starting from the potent and selective but poorly brain penetrant 5-HT6 receptor antagonist SB-271046, a successful strategy for improving brain penetration was adopted involving conformational constraint with concomitant reduction in hydrogen bond count. This provided a series of bicyclic heteroarylpiperazines with high 5-HT6 receptor affinity. 5-Chloroindole I combined high 5-HT6 receptor affinity with excellent brain penetration and also had good oral bioavailability in both rat and dog.

IT 209481-20-9, SB-271046  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(bicyclic heteroarylpiperazines as selective brain penetrant 5-HT6 receptor antagonists)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 59 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:693723 CAPLUS

DN 143:172647

TI Preparation of sulfonamides and their use as acyl-CoA:diacylglycerol acyltransferase (DGAT) inhibitors

IN Yoshida, Masao; Hayakawa, Ichio; Kanno, Yuichi; Furuhashi, Takafumi; Tanimoto, Tatsuo; Karasawa, Hiroshi

PA Sankyo Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 186 pp.  
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

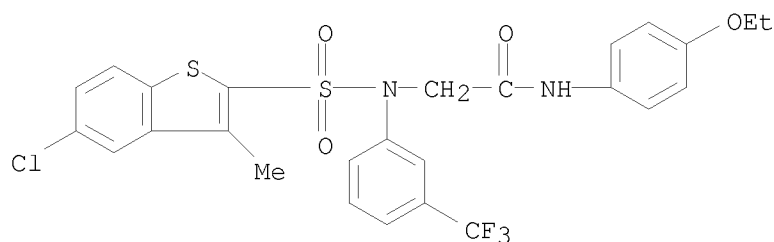
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2005206492	A	20050804	JP 2004-13099	20040121
				JP 2004-13099	20040121
OS	MARPAT 143:172647				

AB Title inhibitors, useful for prophylactic and therapeutic treatment of obesity, hyperlipidemia, diabetes, arteriosclerosis, etc., contain A1R1CHR2NA2SO2A3 [I: A1 = (un)substituted C1-8 alkyl, (un)substituted phenyl-(C1-6 alkyl), (un)substituted phenoxy-(C1-6 alkyl), (un)substituted C3-8 cycloalkyl, (un)substituted naphthyl, etc.; A2 = (un)substituted di(C1-6 alkyl)amino-(C1-6 alkyl), similar groups as in A1; A3 = (un)substituted naphthylmethyl, similar groups as in A1; R1 = NHCO (substituted with C1-6 alkyl), CO; R2 = H, C1-6 alkyl] or their pharmacol. acceptable salts as active ingredients. Thus, p-phenetidine was bromoacetylated, aminated with 3-trifluoromethylaniline, and amidated with PhSO2Cl in microreactor containing 2-(3,5-dimethoxy-4-formylphenoxy)ethoxymethylated polystyrene using the encoding method to give I (A1 = 4-EtOPh, A2 = 3-CF3Ph, A3 = Ph, R1 = NHCO, R2 = H), which at 1 µg/mL inhibited ≥40% murine DGAT1.

IT 861245-60-5P  
 RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)  
 (preparation of sulfonamides as acyl-CoA:diacylglycerol acyltransferase inhibitors for treatment of diseases)

RN 861245-60-5 CAPLUS

CN Acetamide, 2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl][3-(trifluoromethyl)phenyl]amino]-N-(4-ethoxyphenyl)- (CA INDEX NAME)



L6 ANSWER 60 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:474939 CAPLUS

DN 143:1317

TI Method of treating mental disorders using D4 and 5-HT2A antagonists, inverse agonists or partial agonists

IN Buntinx, Erik

PA Belg.

SO U.S. Pat. Appl. Publ., 14 pp.  
 CODEN: USXXCO

DT Patent

LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20050119253	A1	20050602	US 2003-725965	20031202
	US 20050119248	A1	20050602	US 2004-752423	20040106
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	US 20050203130	A1	20050915	US 2004-984683	20041109
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			JP 2004-349085	A	20041104
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PATENT FAMILY INFORMATION:

FAN 2005:474936

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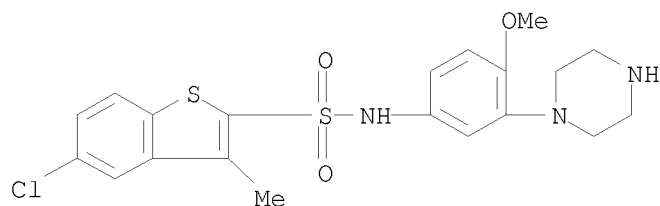
AB The present invention relates to methods of treating the underlying dysregulation of the emotional functionality of mental disorders (i.e. affect instability-hypersensitivity-hyperaesthesia-dissociative phenomena-...) using compds. and compns. of compds. having D4 and/or 5-HT2A antagonistic, partial agonistic or inverse agonistic activity. The invention also relates to methods comprising administering to a patient diagnosed as having a neuropsychiatric disorder a pharmaceutical composition containing (i) compds. having D4 antagonistic, partial agonistic or inverse agonistic activity and/or (ii) compds. having 5-HT2A antagonistic, partial agonistic or inverse agonistic, and/or (iii) any known medicinal compound and compns. of said compds. The combined D4 and 5-HT2A antagonistic, partial agonistic or inverse agonistic effects may reside within the same chemical or biol. compound or in two different chemical and/or biol. compds.

The combination can also be used to augment the therapeutic effect of or to provide a faster onset of the therapeutic effect of a selective serotonin re-uptake inhibitor, a norepinephrine re-uptake inhibitor, or a musculoskeletal disease-treating COX-2 inhibitor. Pharmaceutical compns. are also claimed.

IT 209481-20-9, SB-271046  
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (as neuroleptic agent, augmenting therapeutic effect of; treating underlying dysregulation of emotional functionality of mental disorders using D4 and 5-HT2A antagonists, inverse agonists or partial agonists)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



L6 ANSWER 61 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2005:474936 CAPLUS  
 DN 143:1315  
 TI Method of treating mental disorders using D4 and 5-HT2A antagonists,  
 inverse agonists or partial agonists  
 IN Buntinx, Erik  
 PA Belg.  
 SO U.S. Pat. Appl. Publ., 15 pp., Cont.-in-part of U.S. Ser. No. 725,965.  
 CODEN: USXXCO  
 DT Patent  
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 FAN.CNT 6

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FAN 2005:1004355

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RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,  
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AB The present invention relates to methods of treating of the underlying dysregulation of the emotional functionality of mental disorders (i.e.



affect instability-hypersensitivity-hyperaesthesia-dissociative phenomena-...) using compds. and compns. of compds. having D4 and/or 5-HT2A antagonistic, partial agonistic or inverse agonistic activity. The invention also relates to methods comprising administering to a patient diagnosed as having a neuropsychiatric disorder a pharmaceutical composition containing (i) compds. having D4 antagonistic, partial agonistic or inverse agonistic activity and/or (ii) compds. having 5-HT2A antagonistic, partial agonistic or inverse agonistic, and/or (iii) any known medicinal compound and compns. of said compds. The combined D4 and 5-HT2A antagonistic, partial agonistic or inverse agonistic effects may reside within the same chemical or biol. compound or in two different chemical and/or biol. compds.

The

combination can also be used to augment the therapeutic effect of or to provide a faster onset of the therapeutic effect of a selective serotonin re-uptake inhibitor, a norepinephrine re-uptake inhibitor, an NK1 antagonist, or a musculoskeletal disease-treating COX-2 inhibitor. Pharmaceutical compns. are also claimed.

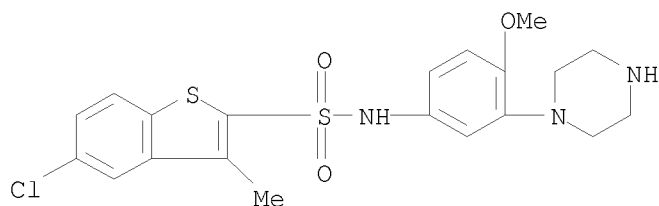
IT 209481-20-9, SB-271046

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(as neuroleptic agent, augmenting therapeutic effect of; treating underlying dysregulation of emotional functionality of mental disorders using D4 and 5-HT2A antagonists, inverse agonists or partial agonists)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



L6 ANSWER 62 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:470334 CAPLUS

DN 143:125834

TI A Three-Dimensional Pharmacophore Model for 5-Hydroxytryptamine6 (5-HT6) Receptor Antagonists

AU Lopez-Rodriguez, Maria L.; Benhamu, Bellinda; de la Fuente, Tania; Sanz, Arantxa; Pardo, Leonardo; Campillo, Mercedes

CS Departamento de Quimica Organica I, Facultad de Ciencias Quimicas, Universidad Complutense, Madrid, E-28040, Spain

SO Journal of Medicinal Chemistry (2005), 48(13), 4216-4219  
CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

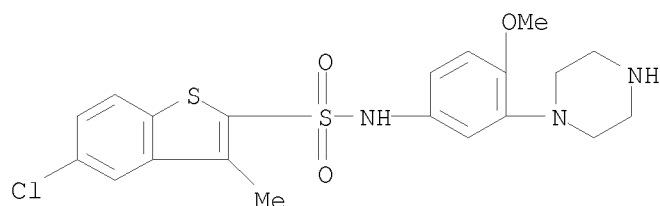
DT Journal

LA English

AB Forty-five structurally diverse 5-hydroxytryptamine6 receptor (5-HT6R) antagonists were selected to develop a 3D pharmacophore model with the Catalyst software. The structural features for antagonism at this receptor are a pos. ionizable atom interacting with Asp3.32, a hydrogen bond acceptor group interacting with Ser5.43 and Asn6.55, a hydrophobic site interacting with residues in a hydrophobic pocket between transmembranes 3, 4, and 5, and an aromatic-ring hydrophobic site interacting

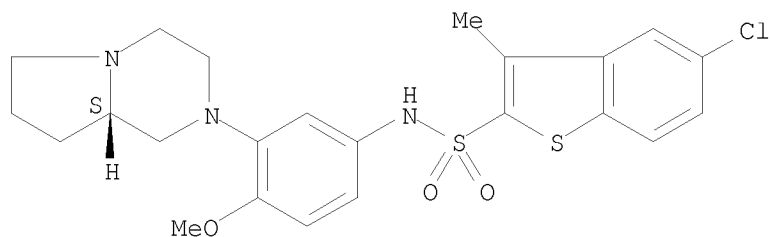
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IT 209481-20-9, SB-271046 239122-28-2 239122-29-3  
389622-71-3 389637-13-2, SB 331711 753020-71-2  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(three-dimensional pharmacophore model for 5-HT6 receptor antagonists)  
RN 209481-20-9 CAPLUS  
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piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



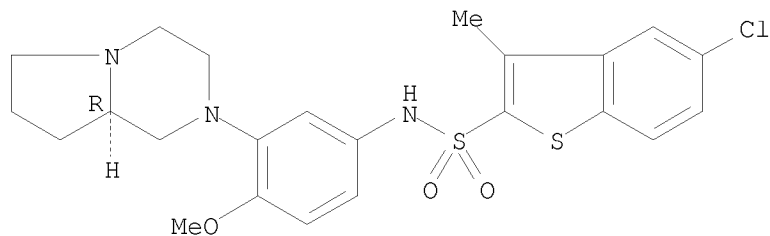
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CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(8aS)-hexahydropyrrolo[1,2-  
a]pyrazin-2(1H)-yl]-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.

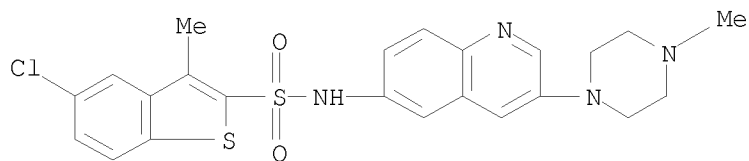


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CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(8aR)-hexahydropyrrolo[1,2-  
a]pyrazin-2(1H)-yl]-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.

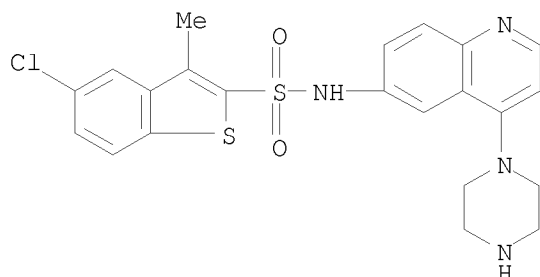


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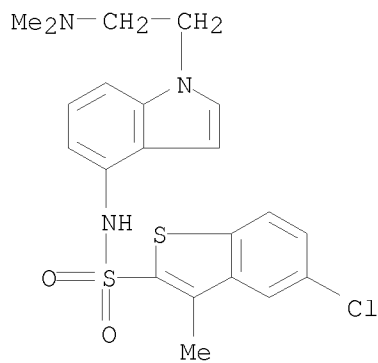
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CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)



RN 753020-71-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-4-yl]-3-methyl- (CA INDEX NAME)



RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 63 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:177913 CAPLUS

DN 142:266775

TI Drug containing chymase inhibitor as the active ingredient

IN Urata, Hidenori; Hase, Naoki; Tsuchiya, Naoki

PA Teijin Pharma Limited, Japan

SO PCT Int. Appl., 146 pp.

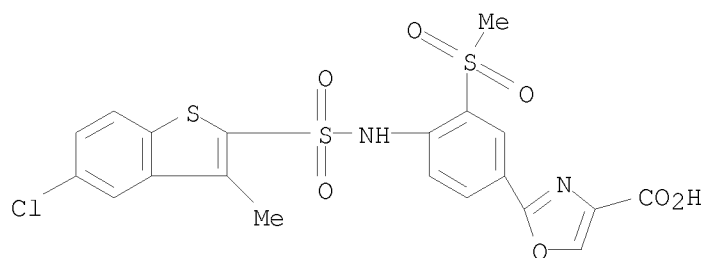
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DT Patent

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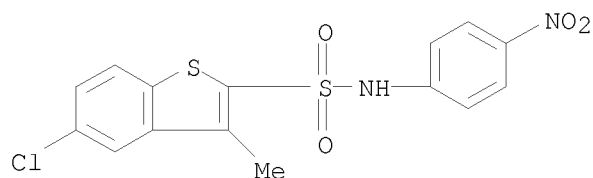
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OS	MARPAT 142:266775				
AB	Disclosed is an agent for improving abnormal glucose tolerance or a preventive and/or a remedy for diseases caused by abnormal glucose tolerance containing a chymase inhibitor as the active ingredient. Examples of the diseases caused by abnormal glucose tolerance include diabetes and/or complications of diabetes. Examples of the complications of diabetes include diabetic nephropathy, diabetic retinopathy, diabetic peripheral neuropathy, hyperinsulinemia, insulin resistance syndrome, arteriosclerosis, acute coronary syndrome, arteriosclerosis obliterans, vasculitis, brain infarction, hypertension, renal insufficiency, neuropathy, nephritis, renal aneurysm, renal infarction, obesity and so on. Claimed chymase inhibitors include 4-[1-[(3-indolyl)methyl]benzimidazol-2-ylthio]butanoic acid and 2-[2-[5-amino-2-(4-fluorophenyl)-6-oxo-1,6-dihydropyrimidin-1-yl]acetamido]-3-phenylpropionylbenzoxazol-5-carboxylic acid Me ester.				
IT	404963-99-1 404964-01-8 404964-02-9				
	404964-03-0 404964-12-1				
	RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
	(chymase inhibitors for treatment of abnormal glucose tolerance-related disorders)				
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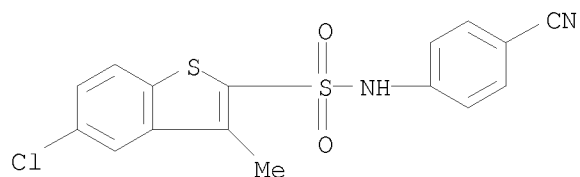
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CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-(4-nitrophenyl)- (CA INDEX NAME)



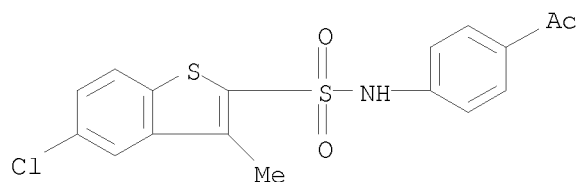
RN 404964-02-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-cyanophenyl)-3-methyl- (CA INDEX NAME)



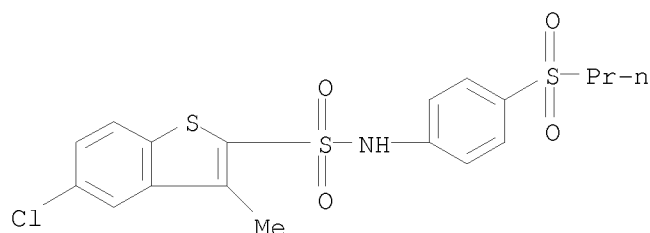
RN 404964-03-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(4-acetylphenyl)-5-chloro-3-methyl- (CA INDEX NAME)



RN 404964-12-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(propylsulfonyl)phenyl]- (CA INDEX NAME)



RE.CNT 76 THERE ARE 76 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 64 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2005:136598 CAPLUS  
DN 142:240323  
TI Active substance combination comprising a compound with NPY receptor  
affinity and a compound with 5-HT6 receptor affinity  
IN Torrens Jover, Antoni; Mas Prio, Josep; Dordal Zueras, Alberto; Codony  
Soler, Xavier; Merce Vidal, Ramon; Aurelio Castrillo Perez, Jose; Frigola  
Constansa, Jordi; Buschmann, Helmut-Heinrich  
PA Laboratorios del Esteve S. A., Spain  
SO PCT Int. Appl., 427 pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005014045	A1	20050217	WO 2004-EP8514	20040729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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EP 1660131	A1	20060531	EP 2004-741321	20040729
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US 20070009597	A1	20070111	US 2006-566402	20060705
			ES 2003-1815	A 20030730
			WO 2004-EP8514	W 20040729

OS CASREACT 142:240323; MARPAT 142:240323

AB The present invention relates to an active substance combination comprising at least one compound I [R1-R4 = H, halo, alkyl, etc.; R5 = H, alkyl, (un)saturated cycloalkyl; R6-R9 = H, alkyl, (un)saturated cycloalkyl, etc.;

A = CHR18, CHR18CH2; B = alkyl, (un)saturated cycloalkyl, etc.; R10 = H, alkyl, (un)saturated cycloalkyl, etc.; R11 = alkyl, (un)saturated cycloalkyl, etc.; NR10R11 = (un)saturated heterocyclyl; R18 = H, alkyl, (un)saturated cycloalkyl, etc.] with neuropeptide Y-receptor affinity, preferably neuropeptide Y5-receptor affinity, and at least one compound with 5-HT6 receptor affinity (such as II [R1 = H, alkyl, Ph, CH2PH; R2 = NR4R5, (un)saturated (hetero)cycloalkyl, etc.; R3 = H, alkyl; R4, R5 = H, alkyl; or NR4R5 = (un)saturated heterocyclyl; A = (un)substituted (hetero)aryl; n = 0-4]), a medicament comprising said active substance combination, and the use of said active substance combination for the manufacture of a medicament. Synthesis of amides I and sulfonamides such as II is described in examples. E.g., a multi-step synthesis of III.HCl, starting from 1-(tert-butoxycarbonyl)-4-piperidinone and Me anthranilate, was given. The amides I and sulfonamides such as II were tested against neuropeptide Y5 and 5-HT6 binding (data given for representative compds.).

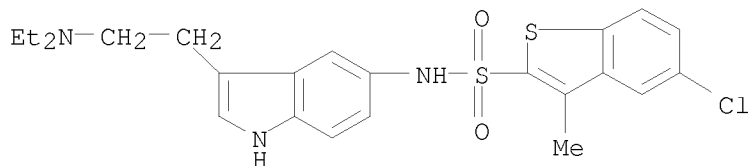
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 844832-14-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amides and sulfonamides as components of active combination with NPY receptor affinity and 5-HT6 receptor affinity)

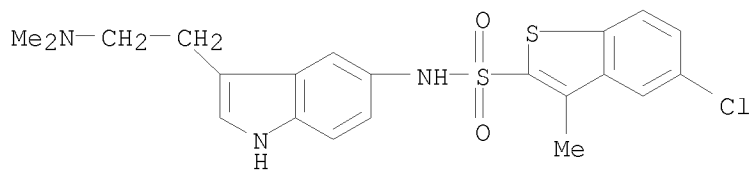
RN 528858-69-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(diethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



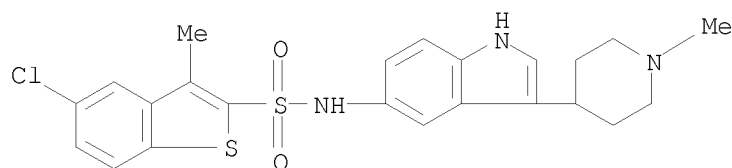
RN 528858-94-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



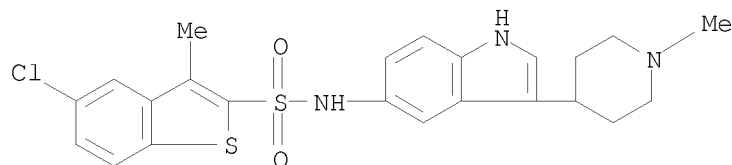
RN 528859-09-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (CA INDEX NAME)



RN 528859-12-3 CAPLUS

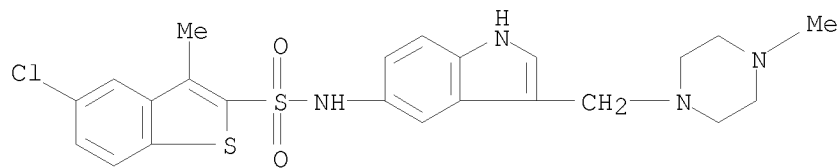
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 528859-48-5 CAPLUS

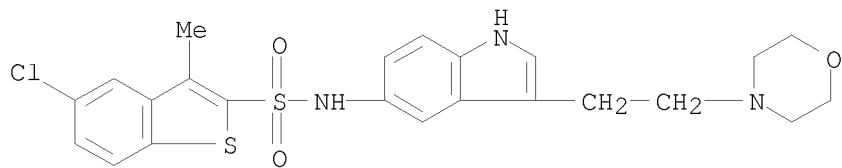
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[(4-methyl-1-piperazinyl)methyl]-1H-indol-5-yl]- (CA INDEX NAME)



RN 528859-75-8 CAPLUS

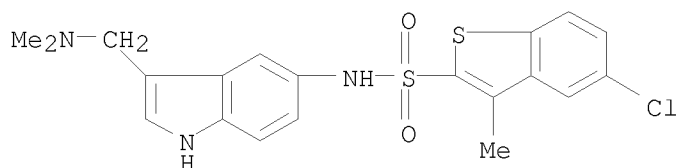
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[2-(4-morpholinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)





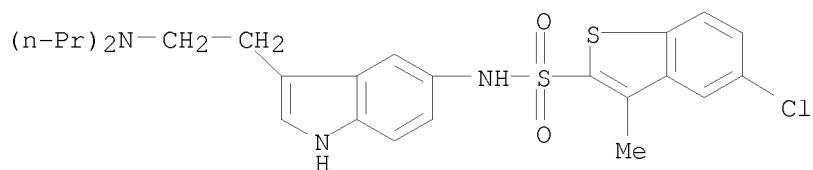
RN 528859-84-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(dimethylamino)methyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



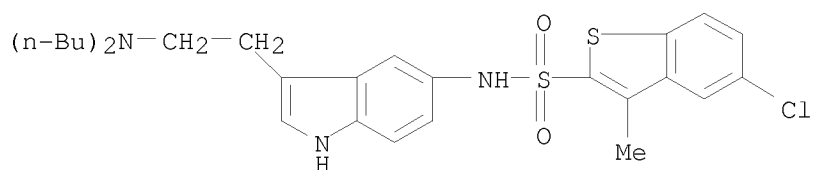
RN 528859-90-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



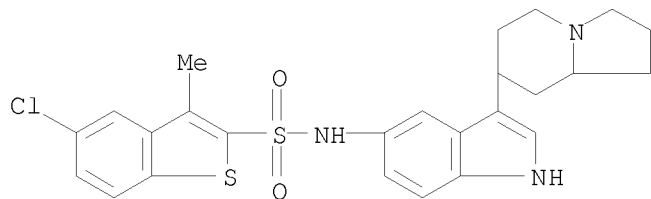
RN 528859-93-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(diethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



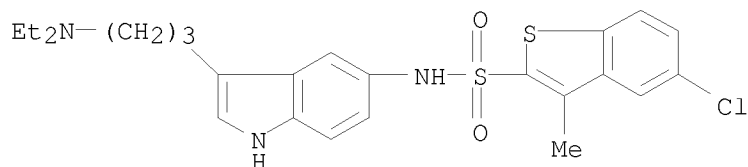
RN 528860-08-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(octahydro-7-indoliziny)-1H-indol-5-yl]- (CA INDEX NAME)



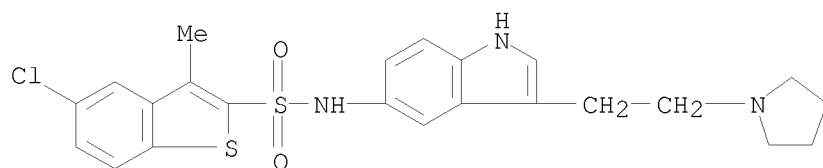
RN 528860-23-3 CAPLUS

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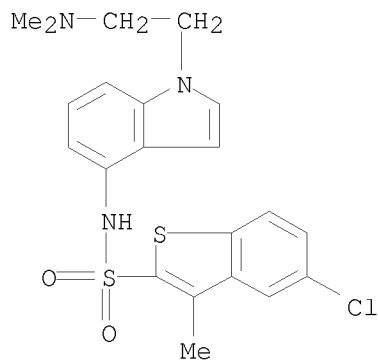
RN 528860-26-6 CAPLUS

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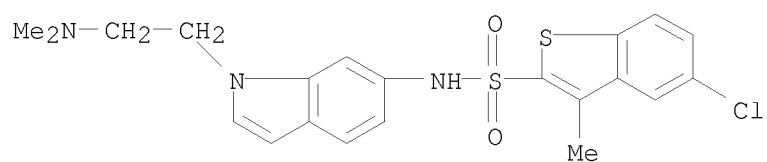
RN 753020-71-2 CAPLUS

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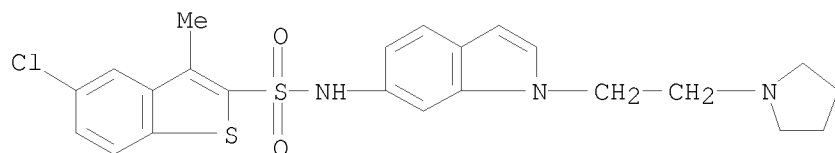
RN 844477-59-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-3-methyl- (CA INDEX NAME)



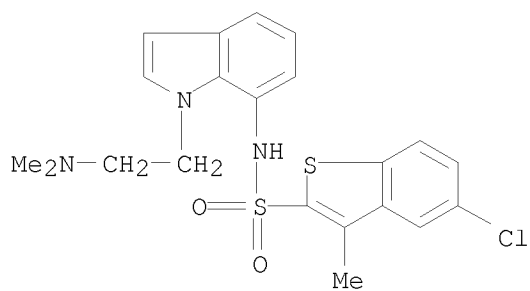
RN 844477-72-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[2-(1-(dimethylamino)ethyl)]-1H-indol-6-yl]- (CA INDEX NAME)



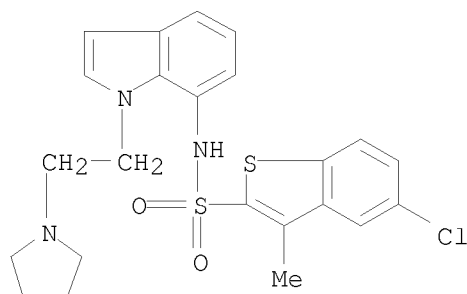
RN 844486-22-2 CAPLUS

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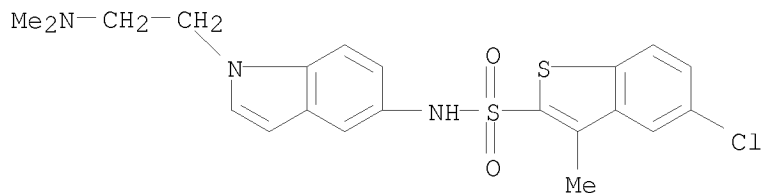
RN 844486-25-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[2-(1-(dimethylamino)ethyl)]-1H-indol-7-yl]- (CA INDEX NAME)



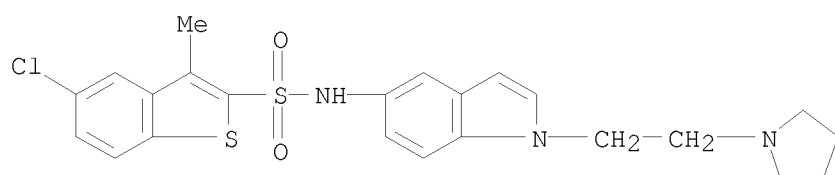
RN 844831-84-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



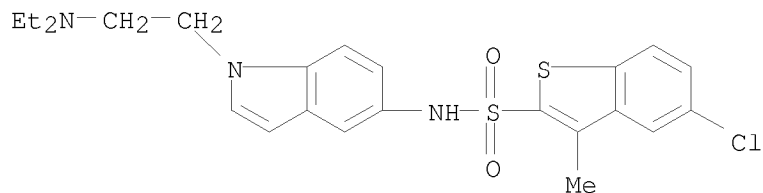
RN 844831-97-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)



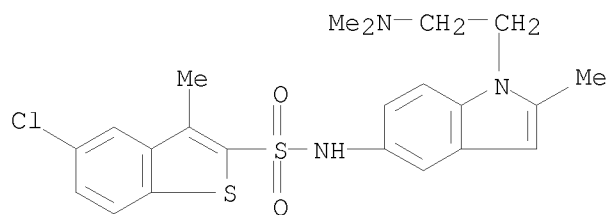
RN 844832-03-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(diethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



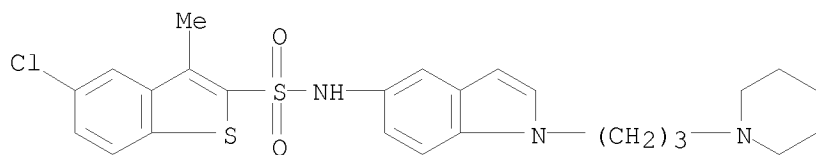
RN 844832-06-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-2-methyl-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



RN 844832-14-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[3-(1-piperidinyl)propyl]-1H-indol-5-yl]- (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 65 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2005:136568 CAPLUS  
DN 142:240322  
TI Active substance combination comprising a compound with NPY receptor  
affinity and a compound with 5-HT<sub>6</sub> receptor affinity  
IN Torrens Jover, Antoni; Mas Prio, Josep; Dordal Zuera, Alberto; Codony  
Soler, Xavier; Merce Vidal, Ramon; Aurelio Castrillo Perez, Jose; Frigola  
Constansa, Jordi; Buschmann, Helmut-Heinrich  
PA Laboratorios del Esteve S. A., Spain  
SO PCT Int. Appl., 451 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

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			WO 2004-EP8515	W	20040729

OS CASREACT 142:240322; MARPAT 142:240322

AB The present invention relates to an active substance combination comprising at least one compound I [R1-R4 = H, halo, alkyl, etc.; R5 = H, alkyl, (un)saturated (hetero)cycloalkyl; R6-R9 = H, alkyl, (un)saturated (hetero)cycloalkyl, etc.; A = CHR18, CHR18CH2; R10 = H, alkyl, (un)saturated cycloalkyl, etc.; R11 = alkyl, (un)saturated cycloalkyl, etc.; NR10R11 = (un)saturated heterocyclyl; R18 = H, alkyl, (un)saturated cycloalkyl, etc.]

with neuropeptide Y-receptor affinity, preferably neuropeptide Y5-receptor affinity, and at least one compound with 5-HT6 receptor affinity (such as II [R1 = H, alkyl, Ph, CH2PH; R2 = NR4R5, (un)saturated (hetero)cycloalkyl, etc.; R3 = H, alkyl; R4, R5 = H, alkyl; or NR4R5 = (un)saturated heterocyclyl; A = (un)substituted (hetero)aryl; n = 0-4]), a medicament comprising said active substance combination, and the use of said active substance combination for the manufacture of a medicament. Synthesis of amides I and sulfonamides such as II is described in examples. Thus, reacting 6-chloro-1-(4-piperidinyl)-1,4-dihydro-2H-3,1-benzoxazinone hydrochloride with 2-(2-chloroacetamide)-2',5-dichlorobenzophenone in the presence of K2CO3 in DMF followed by treating of the free base with HCl/EtOH afforded 61% III.HCl. The amides I and sulfonamides such as II were tested against neuropeptide Y5 and 5-HT6 binding (data given for representative compds.).

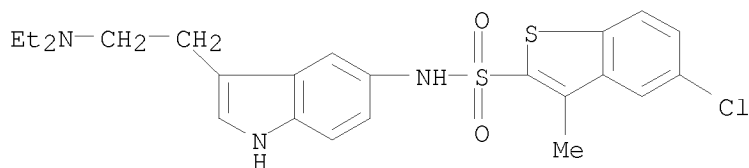
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 844831-97-6P 844832-03-7P 844832-06-0P  
 844832-14-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amides and sulfonamides as components of active combination with NPY receptor affinity and 5-HT6 receptor affinity)

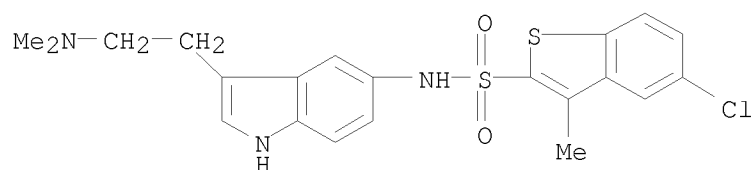
RN 528858-69-7 CAPLUS

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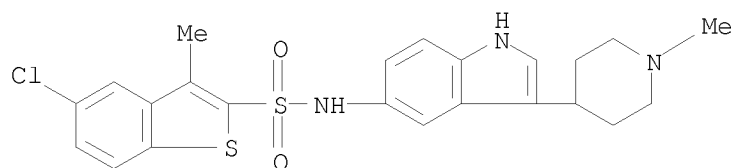
RN 528858-94-8 CAPLUS

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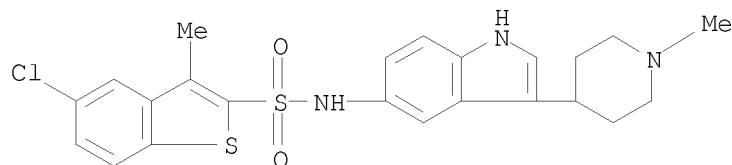
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CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (CA INDEX NAME)



RN 528859-12-3 CAPLUS

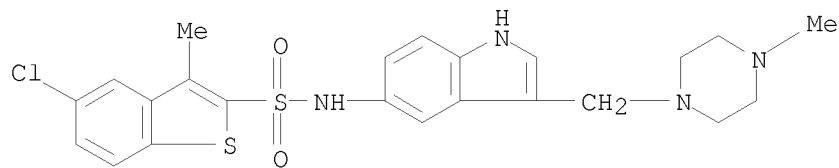
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

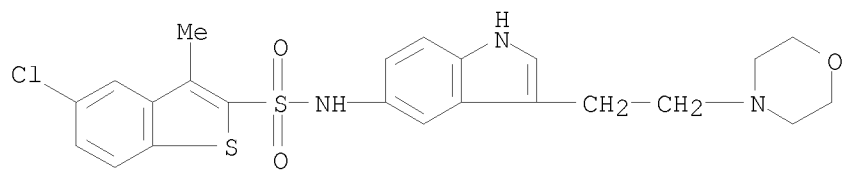
RN 528859-48-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[(4-methyl-1-piperazinyl)methyl]-1H-indol-5-yl]- (CA INDEX NAME)



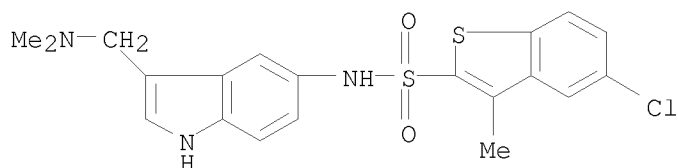
RN 528859-75-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[2-(4-morpholinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)



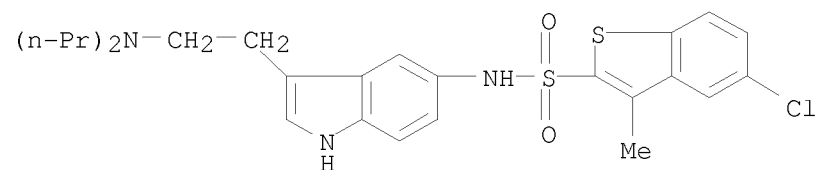
RN 528859-84-9 CAPLUS

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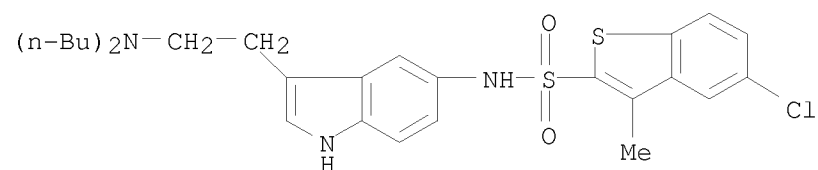
RN 528859-90-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



RN 528859-93-0 CAPLUS

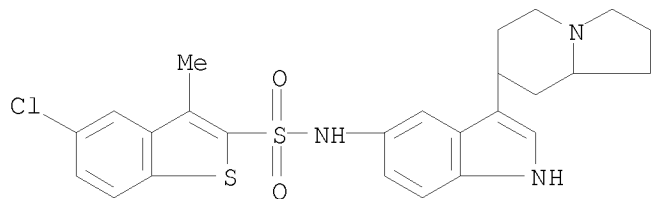
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(diethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



RN 528860-08-4 CAPLUS

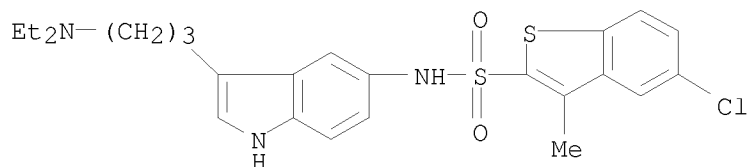
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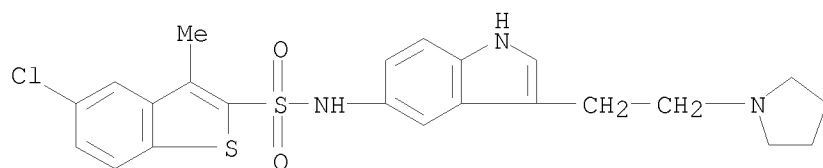
RN 528860-23-3 CAPLUS

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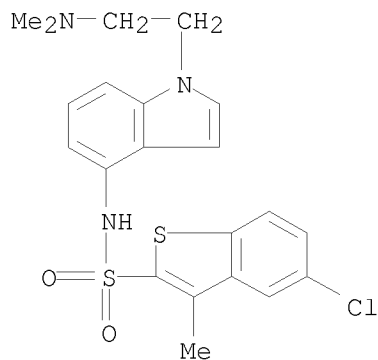
RN 528860-26-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[2-(1-pyrrolidinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)



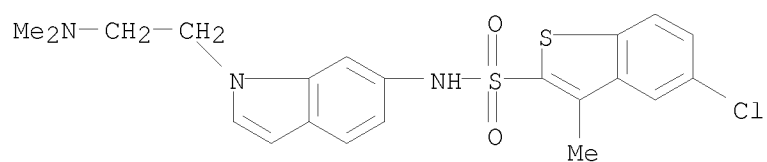
RN 753020-71-2 CAPLUS

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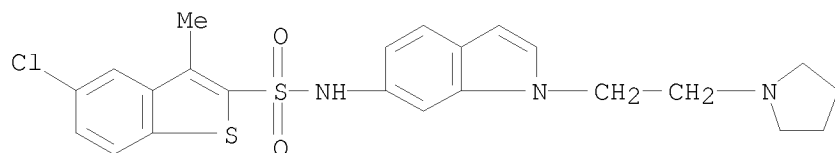
RN 844477-59-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-3-methyl- (CA INDEX NAME)



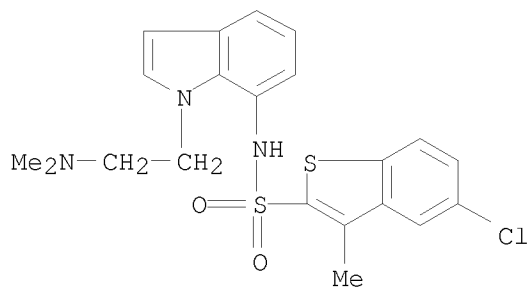
RN 844477-72-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[2-(1-(dimethylamino)ethyl)]-1H-indol-6-yl]- (CA INDEX NAME)



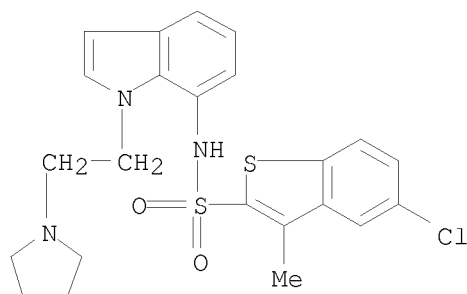
RN 844486-22-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-7-yl]-3-methyl- (CA INDEX NAME)



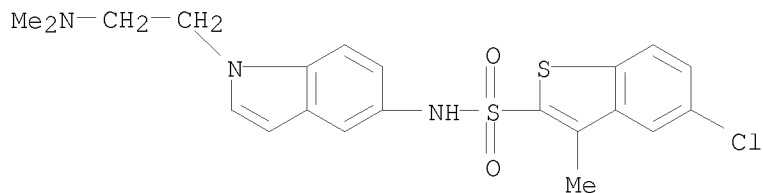
RN 844486-25-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[2-(1-(dimethylamino)ethyl)]-1H-indol-7-yl]- (CA INDEX NAME)



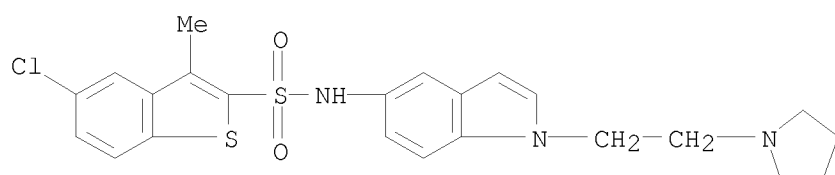
RN 844831-84-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



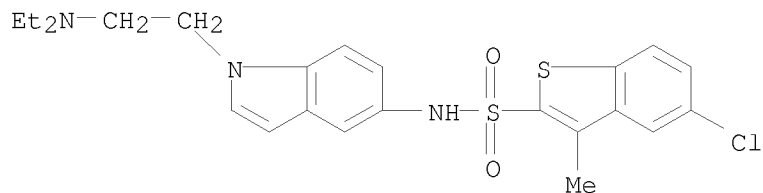
RN 844831-97-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)



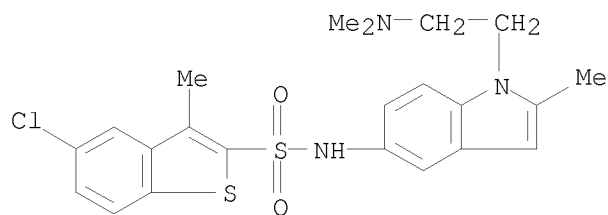
RN 844832-03-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(diethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



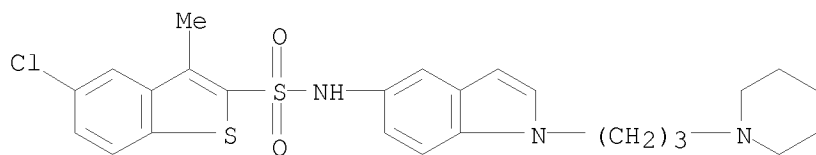
RN 844832-06-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-2-methyl-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



RN 844832-14-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[3-(1-piperidinyl)propyl]-1H-indol-5-yl]- (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 66 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2005:136551 CAPLUS  
DN 142:219149  
TI Preparation of indol-7-sulfonamide derivatives and their use as 5-HT6  
modulators  
IN Merce Vidal, Ramon; Codony Soler, Xavier; Dordal Zuera, Alberto  
PA Laboratorios del Esteve S. A., Spain  
SO PCT Int. Appl., 86 pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005013979	A1	20050217	WO 2004-EP8513	20040729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
ES 2222830	A1	20050201	ES 2003-1808	A 20030730
ES 2222830	B1	20060216	ES 2003-1808	20030730
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			WO 2004-EP8513	W 20040729
CA 2534136	A1	20050217	CA 2004-2534136	20040729
			ES 2003-1808	A 20030730
			WO 2004-EP8513	W 20040729
EP 1648444	A1	20060426	EP 2004-741320	20040729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
			ES 2003-1808	A 20030730
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			ES 2003-1808	A 20030730
			WO 2004-EP8513	W 20040729
BR 2004013001	A	20060926	BR 2004-13001	20040729
			ES 2003-1808	A 20030730
			WO 2004-EP8513	W 20040729
JP 2007500167	T	20070111	JP 2006-521531	20040729

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NZ 545298	A	20080630	NZ 2004-545298		20040729
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			WO 2004-EP8513	W	20040729
IN 2005DN06112	A	20080711	IN 2005-DN6112		20051228
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			WO 2004-EP8513	W	20040729
MX 2006PA01130	A	20060424	MX 2006-PA1130		20060127
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			WO 2004-EP8513	W	20040729
NO 2006000506	A	20060131	NO 2006-506		20060131
			ES 2003-1808	A	20030730
			WO 2004-EP8513	W	20040729
US 20070185207	A1	20070809	US 2006-566403		20060811
US 7414070	B2	20080819			

ES 2003-1808	A	20030730
WO 2004-EP8513	W	20040729

OS CASREACT 142:219149; MARPAT 142:219149

AB Title compds. I [R1 = NR8R9 radical or a (un)saturated, optionally at least monosubstituted cycloaliph. radical which may contain at least one heteroatom; R2-6 independently = H, halo, NO2, alkoxy, etc.; R7 = H or (un)saturated aliphatic radical optionally at least monosubstituted; R8 and R9

=

H or (un)saturated aliphatic radical optionally at least monosubstituted with provisions, or R8 and R9 together with the N atom form a (un)saturated heterocyclic ring optionally at least monosubstituted; A = mono or polycyclic aromatic ring system which may be bonded via (un)substituted alkylene, alkenylene or alkynylene group; n = 0-4], and their pharmaceutically acceptable salts, are prepared and disclosed as useful for medicaments in human and/or veterinary therapeutics for diseases/disorders related to 5-HT6 receptor. Thus, e.g., II was prepared by the reaction of naphthalene-1-sulfonyl chloride with 7-amino-3-(2-dimethylaminoethyl)-1H-indole. I are disclosed as modulators for the 5HT6-receptor (no data).

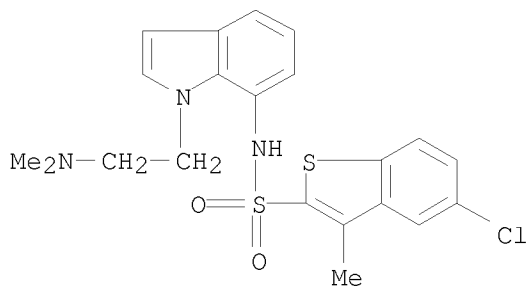
IT 844486-22-2P 844486-25-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of indol-7-ylsulfonamide derivs. as 5-HT6 receptor modulators)

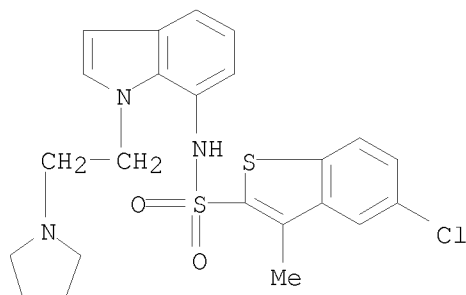
RN 844486-22-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-7-yl]-3-methyl- (CA INDEX NAME)



RN 844486-25-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-7-yl]- (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 67 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2005:136550 CAPLUS  
DN 142:219148  
TI Preparation of indol-4-yl sulfonamide derivatives and their use as 5-HT6  
modulators  
IN Merce Vidal, Ramon; Codony Soler, Xavier; Dordal Zueras, Alberto  
PA Laboratorios del Esteve S. A., Spain  
SO PCT Int. Appl., 86 pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005013978	A1	20050217	WO 2004-EP8512	20040729
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
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				WO 2004-EP8512	W 20040729
	CA 2534098	A1	20050217	CA 2004-2534098	20040729
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				WO 2004-EP8512	W 20040729
	EP 1648446	A1	20060426	EP 2004-763611	20040729
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
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CN 1829508	A	20060906	WO 2004-EP8512	W	20040729
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			ES 2003-1807	A	20030730
JP 2007500166	T	20070111	WO 2004-EP8512	W	20040729
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			ES 2003-1807	A	20030730
NZ 545300	A	20080530	WO 2004-EP8512	W	20040729
			NZ 2004-545300		20040729
			ES 2003-1807	A	20030730
IN 2005DN06116	A	20080711	WO 2004-EP8512	W	20040729
			IN 2005-DN6116		20051228
			ES 2003-1807	A	20030730
NO 2006000155	A	20060110	WO 2004-EP8512	W	20040729
			NO 2006-155		20060110
			ES 2003-1807	A	20030730
MX 2006PA01137	A	20060424	WO 2004-EP8512	W	20040729
			MX 2006-PA1137		20060127
			ES 2003-1807	A	20030730
US 20070185158	A1	20070809	WO 2004-EP8512	W	20040729
			US 2007-566164		20070116
			ES 2003-1807	A	20030730
			WO 2004-EP8512	W	20040729

OS CASREACT 142:219148; MARPAT 142:219148

AB Title compds. I [R1 = NR8R9 radical or a (un)saturated, optionally at least monosubstituted cycloaliph. radical which may contain at least one heteroatom; R2-3,5-7 independently = H, halo, NO2, alkoxy, etc.; R4 = H or (un)saturated aliphatic radical optionally at least monosubstituted; R8 and R9

=

H or (un)saturated aliphatic radical optionally at least monosubstituted with provisions, or R8 and R9 together with the N atom form a (un)saturated heterocyclic ring optionally at least monosubstituted; A = mono or polycyclic aromatic ring system which may be bonded via (un)substituted alkylene, alkenylene or alkynylene group; n = 0-4], and their pharmaceutically acceptable salts, are prepared and disclosed as useful for medicaments in human and/or veterinary therapeutics for diseases/disorders related to 5-HT6 receptor. Thus, e.g., II was prepared by the reaction of 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride with 4-amino-3-(2-dimethylaminoethyl)-1H-indole. Selected compds. of the invention were evaluated for binding with 5-HT6 receptor; % inhibition values reported to range from 46.6-104.3 at 10<sup>-6</sup>M concns.

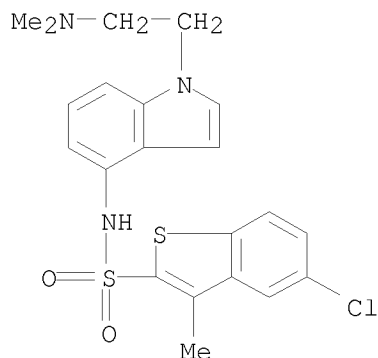
IT 753020-71-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of indol-4-ylsulfonamide derivs. as 5-HT6 receptor modulators)

RN 753020-71-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-4-yl]-3-methyl- (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 68 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2005:136549 CAPLUS  
DN 142:240310  
TI Preparation of indol-5-yl sulfonamide derivatives and their use as 5-HT6  
modulators  
IN Merce Vidal, Ramon; Codony Soler, Xavier; Dordal Zueras, Alberto  
PA Laboratorios del Esteve S. A., Spain  
SO PCT Int. Appl., 123 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2005013977	A1	20050217	WO 2004-EP8511	20040729	
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	RW:			BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
	ES 2222827	A1	20050201	ES 2003-1805	A 20030730	
	ES 2222827	B1	20060301	ES 2003-1805	20030730	
	AU 2004262485	A1	20050217	AU 2004-262485	20040729	
				ES 2003-1805	A 20030730	
				WO 2004-EP8511	W 20040729	
	CA 2533976	A1	20050217	CA 2004-2533976	20040729	
				ES 2003-1805	A 20030730	
				WO 2004-EP8511	W 20040729	
	EP 1648445	A1	20060426	EP 2004-763610	20040729	
	R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK		
				ES 2003-1805	A 20030730	
				WO 2004-EP8511	W 20040729	



CN 1832740	A	20060913	CN 2004-80022472	20040729
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			ES 2003-1805	A 20030730
			WO 2004-EP8511	W 20040729
JP 2007500165	T	20070111	JP 2006-521529	20040729
			ES 2003-1805	A 20030730
			WO 2004-EP8511	W 20040729
MX 2006PA01159	A	20060424	MX 2006-PA1159	20060127
			ES 2003-1805	A 20030730
			WO 2004-EP8511	W 20040729
NO 2006000865	A	20060222	NO 2006-865	20060222
			ES 2003-1805	A 20030730
			WO 2004-EP8511	W 20040729
US 20070032520	A1	20070208	US 2006-566094	20061003
			ES 2003-1805	A 20030730
			WO 2004-EP8511	W 20040729

OS CASREACT 142:240310; MARPAT 142:240310

AB Title compds. I [R1 = NR8R9 radical or (un)saturated-(un)substituted cycloaliph. radical optionally containing at least one heteroatom; R2-4,6-7 independently = H, NO2, alkoxy, CN, etc.; R5 = H or (un)saturated alkyl optionally at least monosubstituted; R8 or R9 independently = H or (un)saturated alkyl optionally at least monosubstituted with provisions; or R8 and R9 together with the bridging N atom form a (un)saturated-(un)substituted heterocyclic ring; A = (un)substituted mono or polycyclic aromatic ring; n = 0-4] and their pharmaceutically acceptable salts are prepared and disclosed as 5-HT6 modulators. Thus, e.g., II, was prepared via reaction of naphthalene-2-sulfonyl chloride with 5-amino-1-(2-dimethylaminoethyl)-1H-indole. Selected data from 5-HT6 receptor binding studies revealed Ki values (nM) ranging from 1.89-112.4.

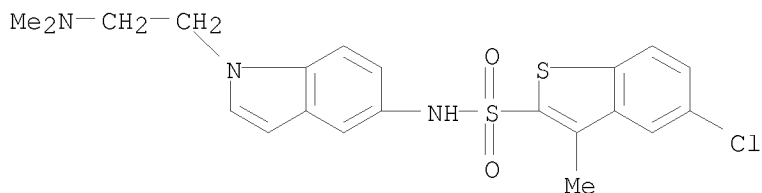
IT 844831-84-1P 844831-97-6P 844832-03-7P  
844832-06-0P 844832-14-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of indol-5-ylsulfonamide derivs. as 5-HT6 receptor modulators)

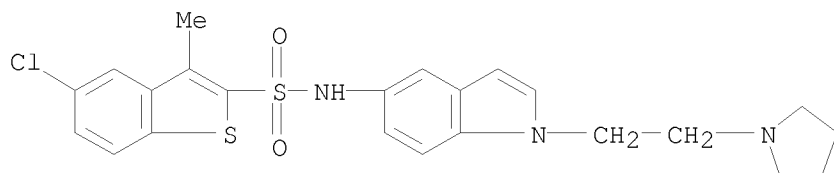
RN 844831-84-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



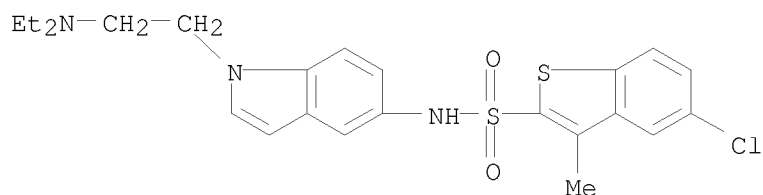
RN 844831-97-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)



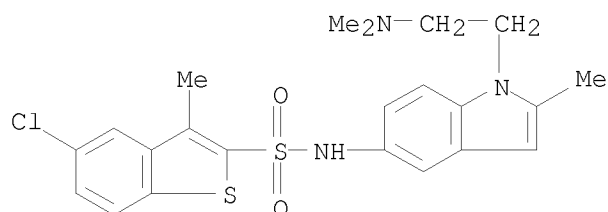
RN 844832-03-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(diethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



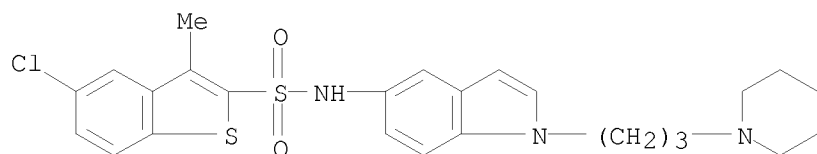
RN 844832-06-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-2-methyl-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



RN 844832-14-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[3-(1-piperidinyl)propyl]-1H-indol-5-yl]- (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 69 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:136548 CAPLUS

DN 142:240309

TI Preparation of indol-6-ylsulfonamide derivatives and their use as 5-HT<sub>6</sub> modulators

IN Merce Vidal, Ramon; Codony Soler, Xavier; Dordal Zueras, Alberto  
 PA Laboratorios del Esteve S. A., Spain  
 SO PCT Int. Appl., 92 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005013976	A1	20050217	WO 2004-EP8510	20040729
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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				ES 2003-1810	A 20030730
				WO 2004-EP8510	W 20040729
	CA 2533970	A1	20050217	CA 2004-2533970	20040729
				ES 2003-1810	A 20030730
				WO 2004-EP8510	W 20040729
	EP 1660077	A1	20060531	EP 2004-741319	20040729
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
				ES 2003-1810	A 20030730
				WO 2004-EP8510	W 20040729
	CN 1832738	A	20060913	CN 2004-80022271	20040729
				ES 2003-1810	A 20030730
				WO 2004-EP8510	W 20040729
	BR 2004013112	A	20061003	BR 2004-13112	20040729
				ES 2003-1810	A 20030730
				WO 2004-EP8510	W 20040729
	JP 2007500164	T	20070111	JP 2006-521528	20040729
				ES 2003-1810	A 20030730
				WO 2004-EP8510	W 20040729
	NZ 545301	A	20080530	NZ 2004-545301	20040729
				ES 2003-1810	A 20030730
				WO 2004-EP8510	W 20040729
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				WO 2004-EP8510	W 20040729
	NO 2006000682	A	20060210	NO 2006-682	20060210
				ES 2003-1810	A 20030730
				WO 2004-EP8510	W 20040729
	US 20070043041	A1	20070222	US 2006-566101	20060810
				ES 2003-1810	A 20030730
				WO 2004-EP8510	W 20040729

OS CASREACT 142:240309; MARPAT 142:240309

AB Title compds. I [R1 = NR8R9 radical or a (un)saturated, optionally at least monosubstituted cycloaliph. radical which may contain at least one

heteroatom; R2-5,7 independently = H, halo, NO2, alkoxy, etc.; R6 = H or (un)saturated aliphatic radical optionally at least monosubstituted; R8 and R9

=

H or (un)saturated aliphatic radical optionally at least monosubstituted with provisions, or R8 and R9 together with the N atom form a (un)saturated heterocyclic ring optionally at least monosubstituted; A = mono or polycyclic aromatic ring system which may be bonded via (un)substituted alkylene, alkenylene or alkynylene group; n = 0-4], and their pharmaceutically acceptable salts, are prepared and disclosed as useful for medicaments in human and/or veterinary therapeutics for diseases/disorders related to 5-HT6 receptor. Thus, e.g., II was prepared by the reaction of 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride with 6-amino-1-(2-dimethylaminoethyl)-1H-indole. Selected compds. of the invention were evaluated for binding with 5-HT6 receptor; % inhibition values reported to range from 86.9-98.6 at 10<sup>-6</sup>M concns.

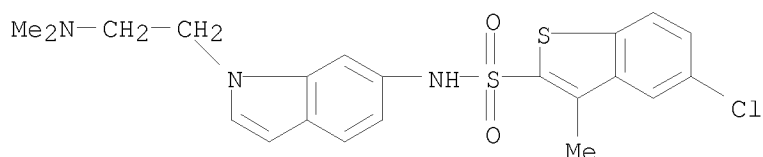
IT 844477-59-4P 844477-72-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of indol-6-ylsulfonamide derivs. as 5-HT6 receptor modulators)

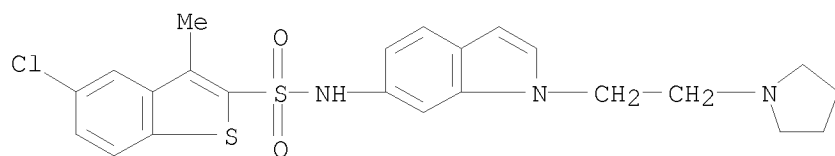
RN 844477-59-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-3-methyl- (CA INDEX NAME)



RN 844477-72-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 70 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:48564 CAPLUS

DN 142:211413

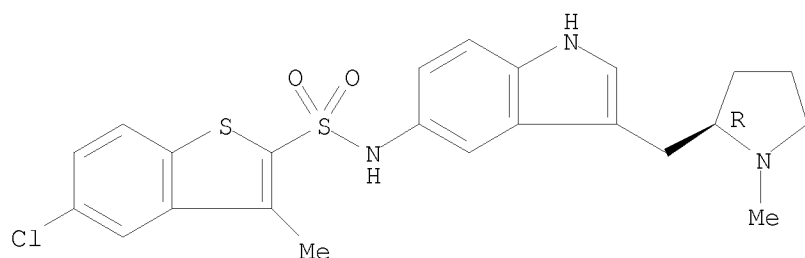
TI Discovery of 5-Arylsulfonamido-3- (pyrrolidin-2-ylmethyl)-1H-indole Derivatives as Potent, Selective 5-HT6 Receptor Agonists and Antagonists

AU Cole, Derek C.; Lennox, William J.; Lombardi, Sabrina; Ellingboe, John W.; Bernotas, Ronald C.; Tawa, Gregory J.; Mazandarani, Hossein; Smith, Deborah L.; Zhang, Guoming; Coupet, Joseph; Schechter, Lee E.

CS Chemical and Screening Sciences, Wyeth Research, Pearl River, NY, 10965,

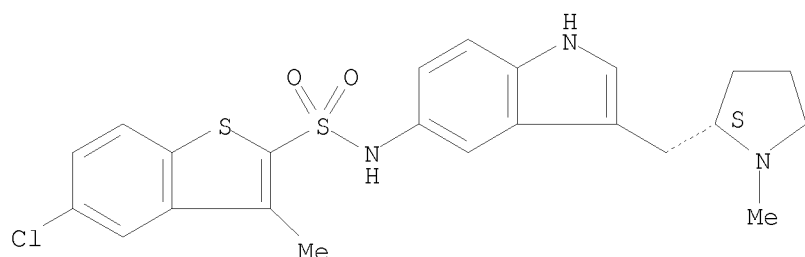
USA  
 SO Journal of Medicinal Chemistry (2005), 48(2), 353-356  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PB American Chemical Society  
 DT Journal  
 LA English  
 OS CASREACT 142:211413  
 AB 5-Arylsulfonylamido-3-(pyrrolidin-2-ylmethyl)-1H-indoles have been identified as high-affinity 5-HT6 receptor ligands. Within this class, several of the (R)-enantiomers were potent agonists having EC50 values of 1 nM or less and functioning as full agonists while the (S)-enantiomers displayed moderate antagonist activity.  
 IT 840527-41-5P 840527-64-2P 840527-92-6P  
 840528-24-7P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (Discovery of Arylsulfonamido(pyrrolidinylmethyl)indole Derivs. as Potent, Selective 5-HT6 Receptor Agonists and Antagonists)  
 RN 840527-41-5 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[[ (2R)-1-methyl-2-pyrrolidinyl]methyl]-1H-indol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.



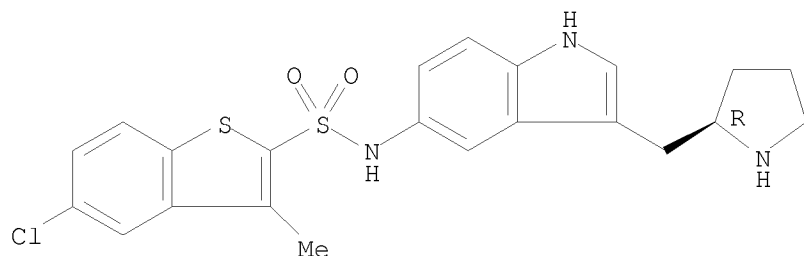
RN 840527-64-2 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[[ (2S)-1-methyl-2-pyrrolidinyl]methyl]-1H-indol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 840527-92-6 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[(2R)-2-pyrrolidinylmethyl]-1H-indol-5-yl]- (CA INDEX NAME)

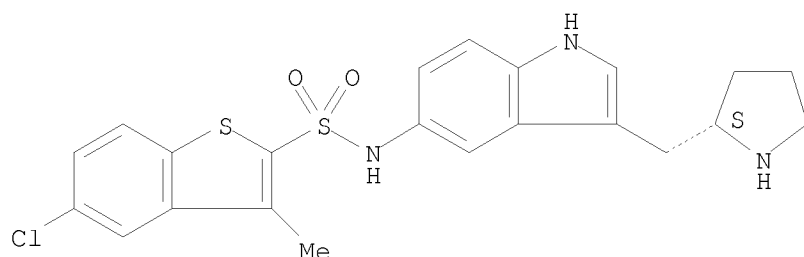
Absolute stereochemistry.



RN 840528-24-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[(2S)-2-pyrrolidinylmethyl]-1H-indol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 71 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:995963 CAPLUS

DN 141:410813

TI Preparation of N-(1H-indol-5-yl) sulfonamide derivatives with 5-HT6 receptor binding activity, their pharmaceutical compositions, and their use as medicaments for treatment of food ingestion disorders.

IN Merce-Vidal, Ramon; Andaluz, Mataro Blas; Frigola Constansa, Jordi

PA Laboratorios Del Esteve S.A., Spain

SO PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004098588	A1	20041118	WO 2004-EP4882	20040507
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

ES 2003-1077

A 20030509

ES 2219181	A1	20041116	ES 2003-1782	A	20030728
ES 2219181	B1	20051216	ES 2003-1077		20030509
AU 2004237420	A1	20041118	AU 2004-237420		20040507
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			WO 2004-EP4882	W	20040507
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			WO 2004-EP4882	W	20040507
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			WO 2004-EP4882	W	20040507
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			WO 2004-EP4882	W	20040507
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IN 2005DN05122	A	20071102	IN 2005-DN5122		20051108
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MX 2005PA12052	A	20060731	MX 2005-PA12052		20051109
			ES 2003-1077	A	20030509
			ES 2003-1782	A	20030728
			WO 2004-EP4882	W	20040507
NO 2005005492	A	20051121	NO 2005-5492		20051121
			ES 2003-1077	A	20030509
			ES 2003-1782	A	20030728
			WO 2004-EP4882	W	20040507

OS MARPAT 141:410813

AB The invention relates to the use of N-(1H-indol-5-yl)-substituted sulfonamide derivs. I, including stereoisomers (especially enantiomers or diastereomers), racemates or other stereochem. mixts., and their physiol. acceptable salts and solvates, for the manufacture of medicaments for the prophylaxis and/or treatment of disorders of food ingestion [wherein: A = (un)substituted mono- or polycyclic (hetero)aromatic ring which may be bonded via an (un)substituted alk(en/yn)ylene; R1 = H, (un)substituted alkyl, Ph, or benzyl; n = 0-4; R2 = NR4R5, (un)saturated (un)substituted (hetero)cycloaliph. radical, which may be condensed with a similar ring; R3 = H, (un)substituted alkyl; R4, R5 = H, (un)substituted alkyl; or NR4R5 = (un)saturated, (un)substituted heterocyclyl which may be condensed with a

similar ring]. Included in the disclosure are methods for and examples of the preparation of I. The use of 53 specific example compds. is claimed. Specifically claimed uses include appetite regulation, body weight modulation, and the treatment of obesity, bulimia, anorexia, cachexia, and type II diabetes. Phys. data for the same compds. is provided, and 5 example preps. are shown. For instance, sulfonamidation of 5-amino-3-[2-(dimethylamino)ethyl]-1H-indole with 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride in pyridine at room temperature gave 82% invention compound II. In a test for inhibition of binding

of [3H]-LSD to recombinant human 5-HT<sub>6</sub> receptors expressed in HEK-293 cell membranes, II had a K<sub>i</sub> of 0.13 nM, and gave complete (103.0%) inhibition at 10<sup>-6</sup> M. Thirteen other I had K<sub>i</sub> values ranging from 0.28 nM to 24.3 nM.

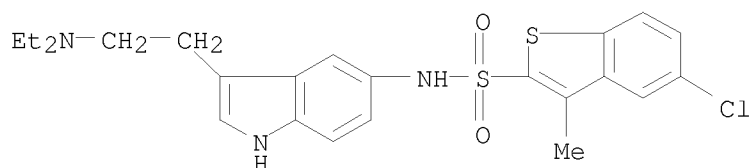
IT 528858-69-7P, N-[3-[2-(Diethylamino)ethyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528858-94-8P, N-[3-[2-(Dimethylamino)ethyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528859-09-8P, N-[3-(1-Methylpiperidin-4-yl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528859-12-3P, N-[3-(1-Methylpiperidin-4-yl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide hydrochloride 528859-48-5P, N-[3-[(4-Methylpiperazin-1-yl)methyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528859-75-8P, N-[3-[2-(Morpholin-4-yl)ethyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528859-84-9P, N-[3-[(Dimethylamino)methyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528859-90-7P, N-[3-[2-(Dipropylamino)ethyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528859-93-0P, N-[3-[2-(Dibutylamino)ethyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528860-08-4P, N-[3-(Octahydroindolizin-7-yl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528860-23-3P, N-[3-[3-(Diethylamino)propyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528860-26-6P, N-[3-[2-(Pyrrolidin-1-yl)ethyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of N-indolyl sulfonamide derivs. with 5-HT<sub>6</sub> receptor binding activity for treatment of food ingestion disorders)

RN 528858-69-7 CAPLUS

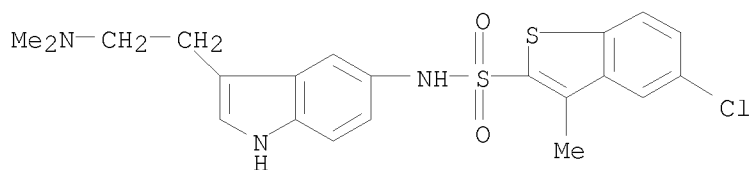
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(diethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



RN 528858-94-8 CAPLUS

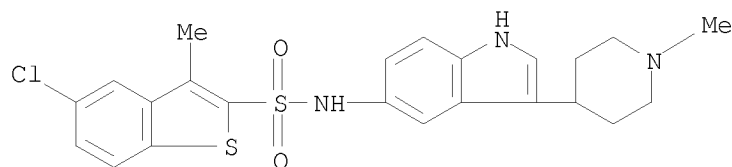
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)





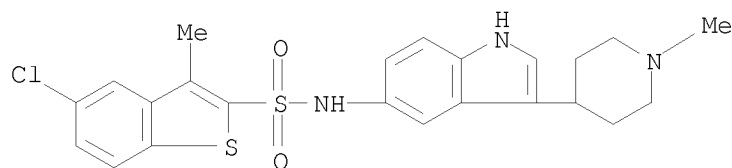
RN 528859-09-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (CA INDEX NAME)



RN 528859-12-3 CAPLUS

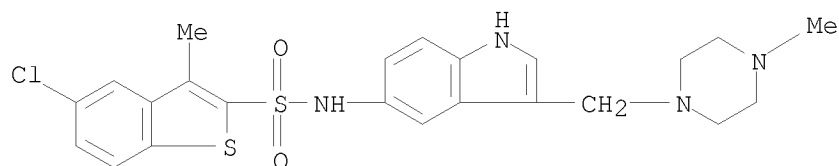
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

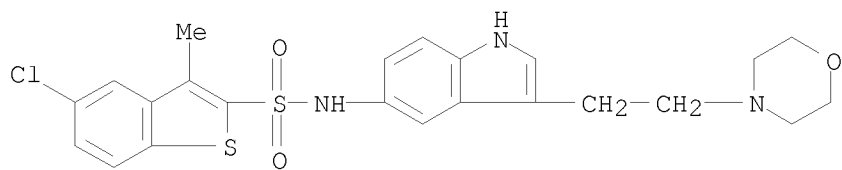
RN 528859-48-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[(4-methyl-1-piperazinyl)methyl]-1H-indol-5-yl]- (CA INDEX NAME)



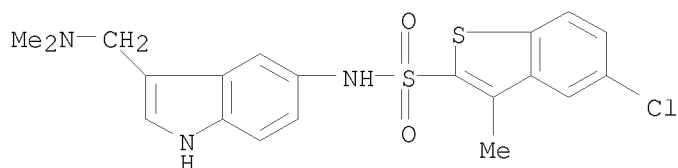
RN 528859-75-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[2-(4-morpholinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)



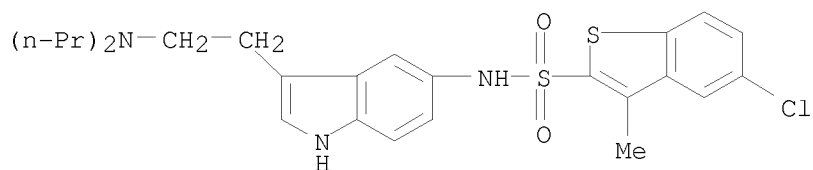
RN 528859-84-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(dimethylamino)methyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



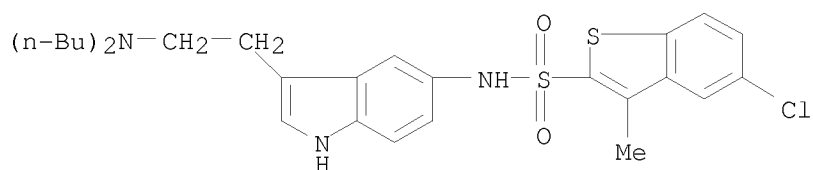
RN 528859-90-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



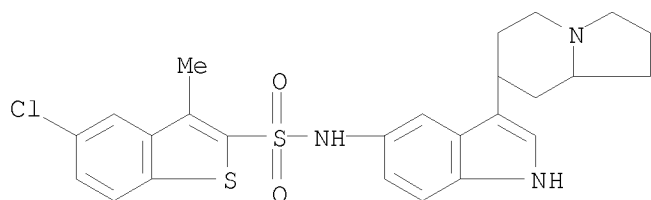
RN 528859-93-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(diethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



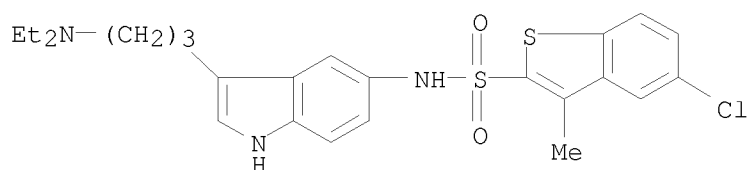
RN 528860-08-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(octahydro-7-indoliziny)-1H-indol-5-yl]- (CA INDEX NAME)



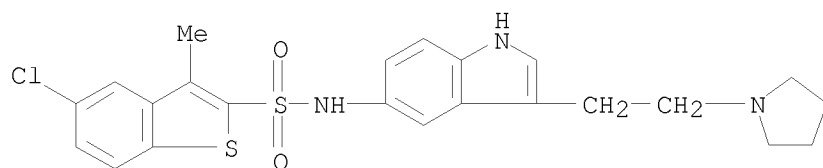
RN 528860-23-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[3-(diethylamino)propyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



RN 528860-26-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[2-(1-pyrrolidinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 72 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:902361 CAPLUS

DN 141:395802

TI Preparation of substituted phenylalkanoic acids, including amino acid derivatives

IN Van Zandt, Michael C.; Fang, Haiquan; Hu, Shaojing; Whitehouse, Darren

PA The Institutes for Pharmaceutical Discovery, LLC, USA

SO PCT Int. Appl., 131 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004092146	A2	20041028	WO 2004-US11650	20040414
	WO 2004092146	A3	20041229		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,				

NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,  
 SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,  
 TD, TG

AU 2004231106	A1	20041028	US 2003-463102P	P	20030414
			AU 2004-231106		20040414
			US 2003-463102P	P	20030414
CA 2522080	A1	20041028	WO 2004-US11650	W	20040414
			CA 2004-2522080		20040414
			US 2003-463102P	P	20030414
			WO 2004-US11650	W	20040414
US 20040248937	A1	20041209	US 2004-824057		20040414
			US 2003-463102P	P	20030414
EP 1633354	A2	20060315	EP 2004-750170		20040414
EP 1633354	B1	20080123			
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
			US 2003-463102P	P	20030414
			WO 2004-US11650	W	20040414
BR 2004009447	A	20060418	BR 2004-9447		20040414
			US 2003-463102P	P	20030414
			WO 2004-US11650	W	20040414
CN 1794989	A	20060628	CN 2004-80014576		20040414
			US 2003-463102P	P	20030414
JP 2006524248	T	20061026	JP 2006-510073		20040414
			US 2003-463102P	P	20030414
			WO 2004-US11650	W	20040414
AT 384526	T	20080215	AT 2004-750170		20040414
			US 2003-463102P	P	20030414
NO 2005004769	A	20060103	NO 2005-4769		20051017
			US 2003-463102P	P	20030414
			WO 2004-US11650	W	20040414
IN 2005KN02090	A	20061117	IN 2005-KN2090		20051024
			US 2003-463102P	P	20030414
			WO 2004-US11650	W	20040414

OS MARPAT 141:395802

AB The invention relates to compds. I [n is 0-3; R1 is H, alkyl, phenylalkyl or alkenyl; R2 is Ph, phenylalkyl, alkyl, carbamoylalkyl, alkylsulfonylalkyl, heterocycloalkyl, etc.; R3 is H or CO2R1; R20-R23 are independently H, arylalkoxy, arylalkyl, halo, alkyl, OH, alkoxy, NO2, NH2, alkylamino, etc.; L is SO2NH, sulfonyl(alkylimino), NHSO2, O, CONH, carbonyl(alkylimino), SO2, carbonylalkylene, alkylenecarbonyl, NH or alkylimino (the alkyl group are optionally substituted with Ph or substituted phenyl); L2 is a bond, CONR9, NR9CO, alkylene-CONR9, NR9, etc. (R9 is H or alkyl optionally substituted with CO2H, arylsulfonyl or arylalkyl); ring A is (un)substituted Ph, naphthyl, thiazolyl, pyrazolyl, furanyl, dihydropyrazolyl, benzofuranyl, dibenzofuranyl, pyrimidyl, pyridyl, quinolinyl, naphthyl, quinazolinyl, benzo[b]thiophene, imidazolyl, isothiazolyl, pyrrolyl, oxazolyl or triazolyl; Q is H, aryl, arylcarbonylaryl, alkyl, halo, etc.; L3 is a bond, alkyleneoxy, oxyalkylene, alkylene, alkenylene or CO; Z is absent, H, aroylamino, (un)substituted Ph or cycloalkylcycloalkanoyl(alkyl)amino] and their pharmaceutically-acceptable salts, which are useful in the treatment of metabolic disorders related to insulin resistance or hyperglycemia. These compds. include inhibitors of protein tyrosine phosphatase (PTP-1B) that are useful in the treatment of diabetes and other PTP-1B mediated diseases

such as cancer and neurodegenerative diseases. Thus, 2-[4-[4-(4-chlorophenyl)-5-(4-ethylphenyl)thiazol-2-yl]carbamoyl]benzenesulfonylamino]-3-phenylpropionic acid was prepared by cyclocondensation of 4-ClC<sub>6</sub>H<sub>4</sub>COCH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>Et-4 (preparation given) with thiourea, acylation with 4-ClSO<sub>2</sub>C<sub>6</sub>H<sub>4</sub>CO<sub>2</sub>H, and coupling with phenylalanine tert-Bu ester hydrochloride. The product was shown to increase the glucose infusion rate in rats at 30 mg/kg.

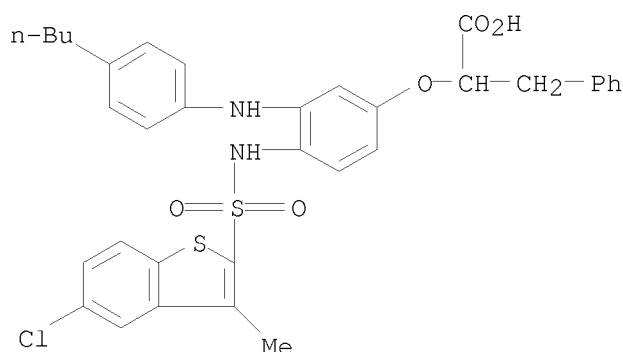
IT 782484-11-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted phenylalkanoic acids, including amino acid derivs., for treatment of diabetes)

RN 782484-11-1 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[3-[(4-butylphenyl)amino]-4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenoxy]- (CA INDEX NAME)



L6 ANSWER 73 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:725572 CAPLUS

DN 142:211383

TI Medicinal Chemistry Driven Approaches Toward Novel and Selective Serotonin 5-HT<sub>6</sub> Receptor Ligands

AU Holenz, Joerg; Merce, Ramon; Diaz, Jose Luis; Guitart, Xavier; Codony, Xavier; Dordal, Alberto; Romero, Gonzalo; Torrens, Antoni; Mas, Josep; Andaluz, Blas; Hernandez, Susana; Monroy, Xavier; Sanchez, Elisabeth; Hernandez, Enrique; Perez, Raquel; Cubi, Roger; Sanfeliu, Olga; Buschmann, Helmut

CS Departments of Medicinal Chemistry, Discovery Biology and Discovery Chemistry, Laboratorios Dr. Esteve S.A., Barcelona, 08041, Spain

SO Journal of Medicinal Chemistry (2005), 48(6), 1781-1795  
CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

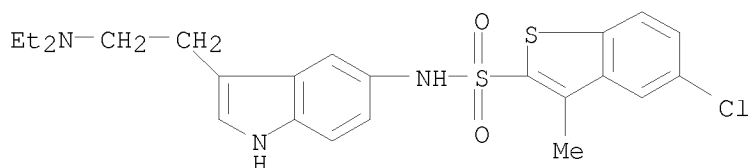
LA English

OS CASREACT 142:211383

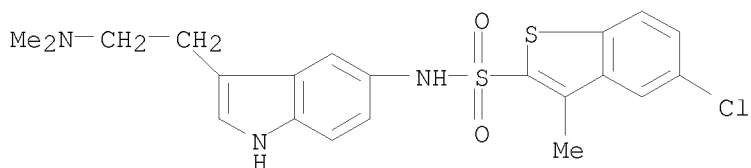
AB Based on a medicinal chemical guided hypothetical pharmacophore model, novel series of indolyl sulfonamides have been designed and prepared as selective and high-affinity serotonin 5-HT<sub>6</sub> receptor ligands. Furthermore, based on a screening approach of a discovery library, a series of benzoxazinepiperidiny sulfonamides were identified as selective 5-HT<sub>6</sub> ligands. Many of the compds. described in this paper possess excellent affinities, displaying pK<sub>i</sub> values greater than 8 (some even >9) and high selectivities against a wide range (>50) of other CNS relevant receptors.

First, structure-affinity relationships of these ligands are discussed. In terms of functionality, high-affinity antagonists, as well as agonists and even partial agonists, were prepared. Compds. 19c and 19g represent the highest-affinity 5-HT<sub>6</sub> agonists ever reported in the literature. These valuable tool compds. should allow for the detailed study of the role of the 5-HT<sub>6</sub> receptor in relevant animal models of disorders such as cognition deficits, depression, anxiety, or obesity.

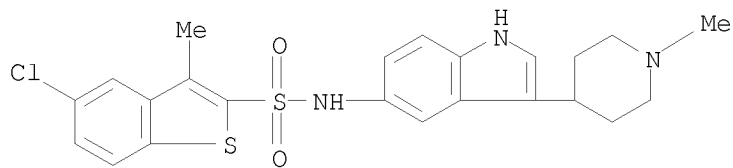
IT 528858-69-7P 528858-94-8P,  
N-[3-(2-Dimethylaminoethyl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528859-09-8P,  
N-[3-(1-Methylpiperidin-4-yl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528859-75-8P,  
N-[3-[2-(Morpholin-4-yl)ethyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528859-84-9P  
528859-90-7P 528860-26-6P 753020-71-2P  
753020-89-2P 753020-93-8P 753021-00-0P  
844477-72-1P  
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(medicinal chemical driven approaches toward novel and selective serotonin 5-HT<sub>6</sub> receptor ligands)  
RN 528858-69-7 CAPLUS  
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(diethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



RN 528858-94-8 CAPLUS  
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

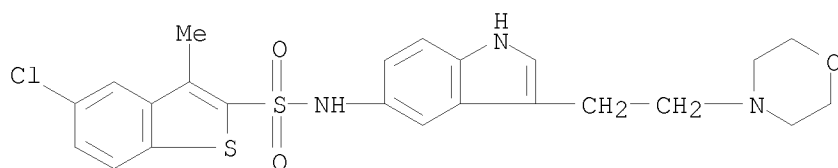


RN 528859-09-8 CAPLUS  
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (CA INDEX NAME)



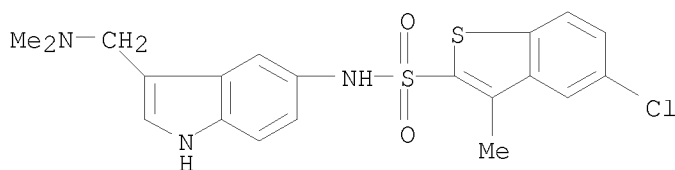
RN 528859-75-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[2-(4-morpholinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)



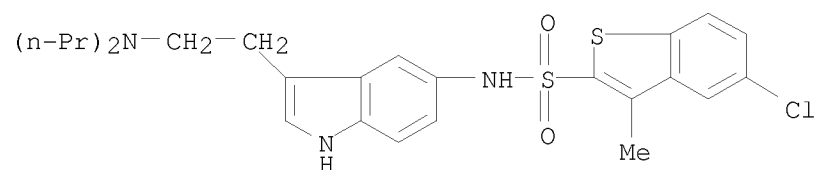
RN 528859-84-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(dimethylamino)methyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



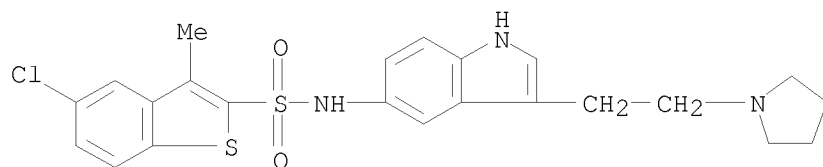
RN 528859-90-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dipropylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



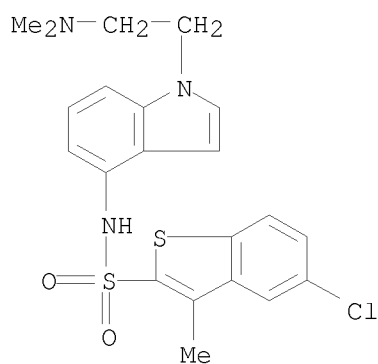
RN 528860-26-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[2-(1-pyrrolidinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)



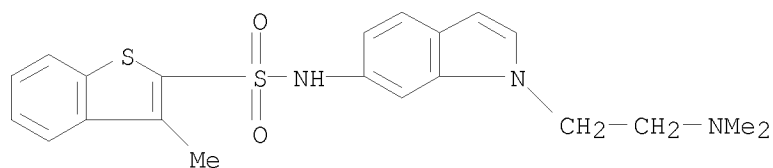
RN 753020-71-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-4-yl]-3-methyl- (CA INDEX NAME)



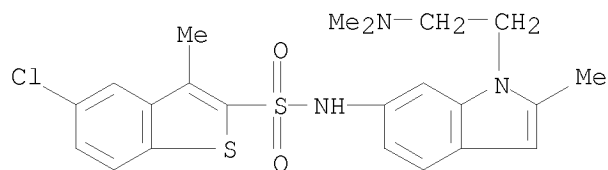
RN 753020-89-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-3-methyl- (CA INDEX NAME)



RN 753020-93-8 CAPLUS

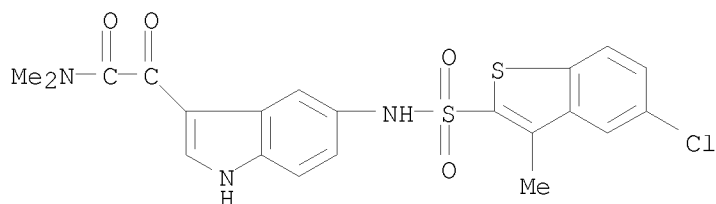
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-2-methyl-1H-indol-6-yl]-3-methyl- (CA INDEX NAME)



RN 753021-00-0 CAPLUS

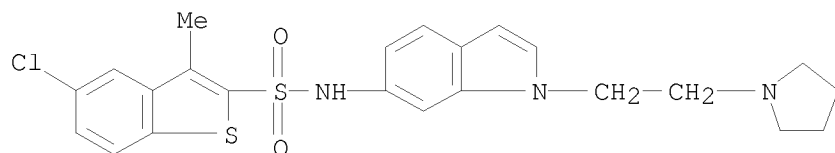
CN 1H-Indole-3-acetamide, 5-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-N,N-dimethyl-α-oxo- (CA INDEX NAME)





RN 844477-72-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



RE.CNT 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 74 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:718289 CAPLUS

DN 141:243332

TI Preparation of sulfonamide derivatives, in particular  
N,N-benzo[b]thiophene sulfonamides, as PPAR modulators, especially PPAR  
agonists

IN Conner, Scott Eugene; Gossett, Lynn Stacy; Green, Jonathan Edward; Jones,  
Winton Dennis, Jr.; Mantlo, Nathan Bryan; Matthews, Donald Paul; Mayhugh,  
Daniel Ray; Smith, Daryl Lynn; Vance, Jennifer Ann; Wang, Xiaodong;  
Warshawsky, Alan M.; Winneroski, Leonard Larry, Jr.; Xu, Yanping; Zhu,  
Guoxin

PA Eli Lilly and Company, USA

SO PCT Int. Appl., 435 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004073606	A2	20040902	WO 2004-US2015	20040210
	WO 2004073606	A3	20050331		
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	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU 2004212887	A1	20040902	US 2003-448307P	P 20030214
				AU 2004-212887	20040210
				US 2003-448307P	P 20030214
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CA 2512883	A1	20040902	CA 2004-2512883	20040210
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EP 1597248	A2	20051123	EP 2004-709806	20040210
EP 1597248	B1	20071226		
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BR 2004007180	A	20060207	BR 2004-7180	20040210
			US 2003-448307P	P 20030214
			WO 2004-US2015	W 20040210
CN 1751037	A	20060322	CN 2004-80004250	20040210
			US 2003-448307P	P 20030214
JP 2006520755	T	20060914	JP 2006-502992	20040210
			US 2003-448307P	P 20030214
			WO 2004-US2015	W 20040210
AT 382043	T	20080115	AT 2004-709806	20040210
			US 2003-448307P	P 20030214
ES 2297382	T3	20080501	ES 2004-709806	20040210
			US 2003-448307P	P 20030214
US 20060217433	A1	20060928	US 2005-542579	20050715
			US 2003-448307P	P 20030214
			WO 2004-US2015	W 20040210

OS MARPAT 141:243332

AB Title compds. I [wherein A = II, III; D = (CH<sub>2</sub>)<sub>o</sub>; B = R<sub>1b</sub>-[C]q-R<sub>1a</sub>; E = O, S, NH and derivs.; W = -Y-(CR<sub>4</sub>R<sub>5</sub>)-Q, H, cyclo/halo/alkyl, acyl; Q = CO<sub>2</sub>H and derivs.; CO<sub>2</sub>NH<sub>2</sub>, sulfonamide, etc.; X = a bond, C, O, S, S[O]p; Z = (un)substituted aliphatic group, aryl, 5- to 10-membered heteroaryl, bi(hetero)aryl, heterocyclyl; o = 0-4; q = 0-3; m = 1-4; n = 1-2; R<sub>1</sub>, R<sub>2</sub> = independently H, wherein when Z = Ph or naphthyl and R<sub>2</sub> = H, R<sub>1</sub> is not H, halo, (un)substituted alk(en/yn)yl, aryl, or R<sub>1</sub> and R<sub>2</sub> form a 5- to 8-membered heterocycle; R<sub>1a</sub>, R<sub>1b</sub> = independently H, alkyl, or R<sub>1</sub> and R<sub>1a</sub>, R<sub>1a</sub> and R<sub>1b</sub>, R<sub>2</sub> and R<sub>1b</sub>, or R<sub>1a</sub> and R<sub>1b</sub> form a 3- to 6-membered heterocyclyl or carbocyclyl, where at least one of R<sub>1a</sub> and or R<sub>1b</sub> is not H; R<sub>2a</sub> = H, halo, (un)substituted alkyl and wherein R<sub>2</sub> and R<sub>2a</sub> together being a 3- to 8-membered ring; R<sub>3</sub> = H, halo, CN, (un)substituted cyclo/alkyl, (alkyl)heterocyclyl, etc.; R<sub>4</sub>, R<sub>5</sub> = independently H, halo, alkyl, alkoxy, aryloxy, NH<sub>2</sub> and derivs., SH and derivs., or R<sub>4</sub>CR<sub>5</sub> = 3- to 8-membered ring; and pharmaceutically acceptable salts, solvates, hydrates or stereoisomers thereof] were prepared as PPAR modulators, especially PPAR agonists.

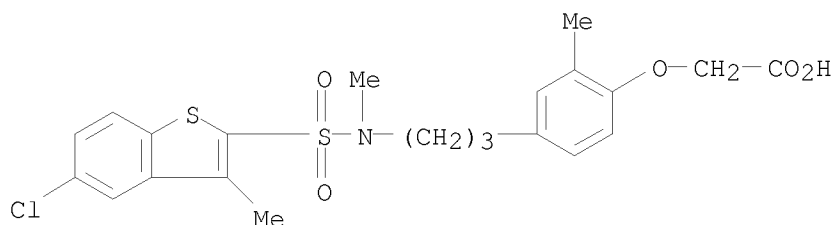
A multistep synthesis is given for sulfonamide IV. I displayed IC<sub>50</sub> and EC<sub>50</sub> in the range of about 1 nM to about 5 μM for binding to PPAR alpha, gamma, and delta receptors. I are useful in treating or preventing disorders mediated by a peroxisome proliferator activated receptor (PPAR) such as syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.

IT 752133-50-9P 752137-73-8P,  
2-[5-[3-[[[5-Fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]indol-1-yl]propionic acid  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(PPAR agonist; preparation of sulfonamides, in particular N,N-benzo[b]thiophene sulfonamides, as PPAR agonists)

RN 752133-50-9 CAPLUS

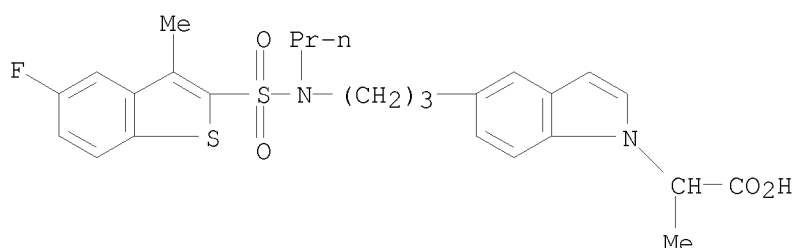
CN Acetic acid, 2-[4-[3-[[[5-chloro-3-methylbenzo[b]thien-2-

yl)sulfonyl]methylamino]propyl]-2-methylphenoxy]- (CA INDEX NAME)



RN 752137-73-8 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[3-[[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-α-methyl- (CA INDEX NAME)



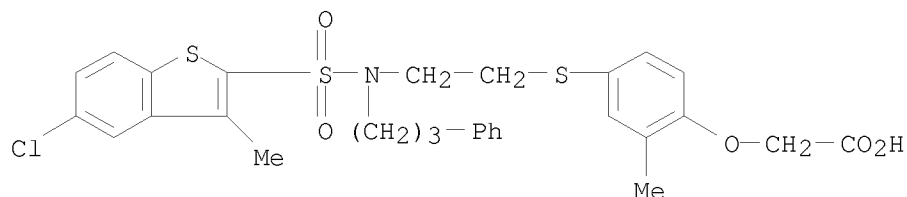
IT 752131-91-2P, 4-[[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-phenylpropyl)amino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752131-94-5P, 4-[[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752131-96-7P, 4-[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethoxy]-2-(methyl)phenoxyacetic acid 752131-97-8P, 3-[4-[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethoxy]phenyl]propionic acid 752131-98-9P, 2-[[4-[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethoxy]-2-methylphenyl]oxy]-2-methylpropionic acid 752131-99-0P, [5-[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethoxy]indol-1-yl]acetic acid 752132-00-6P 752132-03-9P, 3-[4-[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](benzyl)amino]ethoxy]-2-methylphenyl]propionic acid 752132-04-0P, 3-[4-[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-phenylpropyl)amino]ethoxy]-2-methylphenyl]propionic acid 752133-45-2P, [4-[3-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]acetic acid 752133-46-3P, 4-[3-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-2-(methyl)phenoxyacetic acid 752133-52-1P, 4-[3-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]-2-(methyl)phenoxyacetic acid 752136-19-9P, 2-[3-[3-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid 752136-21-3P, 2-[4-[3-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid sodium salt 752136-24-6P, 2-[4-[3-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid 2-(morpholin-4-yl)ethyl ester hydrochloride 752136-44-0P

752136-69-9P 752136-91-7P 752136-99-5P  
 752137-11-4P 752137-12-5P 752137-14-7P  
 752137-15-8P 752137-16-9P 752137-18-1P  
 752137-19-2P 752137-20-5P 752137-21-6P  
 752137-23-8P 752137-24-9P 752137-25-0P  
 752137-27-2P 752137-28-3P 752137-29-4P  
 752137-30-7P 752137-31-8P 752137-32-9P  
 752137-33-0P 752137-34-1P 752137-36-3P  
 752137-37-4P 752137-50-1P,  
 3-[4-[2-[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]phenyl]propionic acid 752137-51-2P  
 , 3-[4-[2-[[5-Fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]phenyl]propionic acid 752137-81-8P  
 , 2-[5-[3-[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]indol-1-yl]propionic acid  
 752137-82-9P, 2-[5-[3-[[3-Methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]indol-1-yl]propionic acid  
 752137-83-0P, 2-[5-[3-[[5-Fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]indol-1-yl]-2-methylpropionic acid  
 752137-89-6P, 2-[5-[3-[[Benzo[b]thien-2-yl)sulfonyl]propylamino]propyl]indol-1-yl]-2-methylpropionic acid  
 752137-90-9P, 2-[5-[3-[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]indol-1-yl]-2-methylpropionic acid  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PPAR agonist; preparation of sulfonamides, in particular  
 N,N-benzo[b]thiophene sulfonamides, as PPAR agonists)

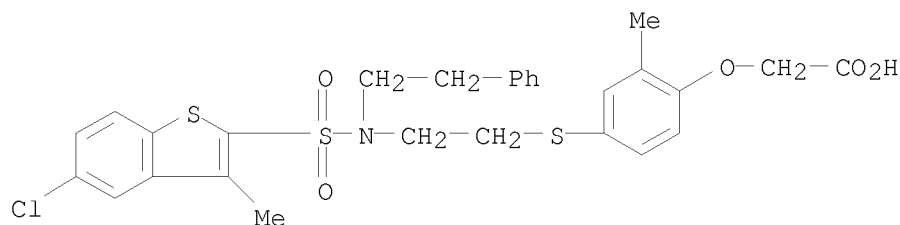
RN 752131-91-2 CAPLUS

CN Acetic acid, 2-[4-[2-[[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-phenylpropyl)amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



RN 752131-94-5 CAPLUS

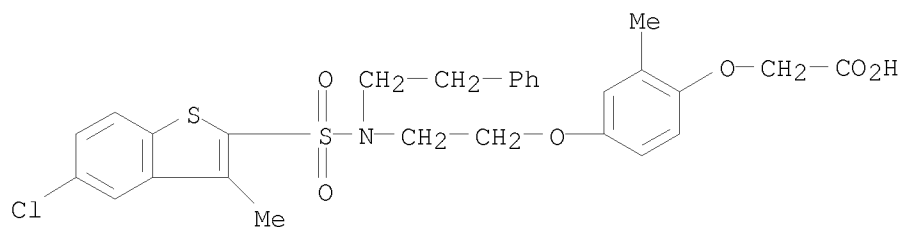
CN Acetic acid, 2-[4-[2-[[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



RN 752131-96-7 CAPLUS

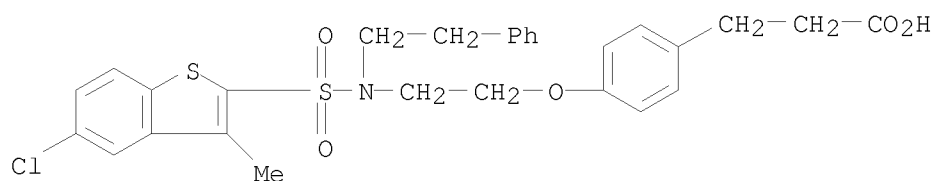
CN Acetic acid, 2-[4-[2-[[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-

phenylethyl)amino]ethoxy]-2-methylphenoxy]- (CA INDEX NAME)



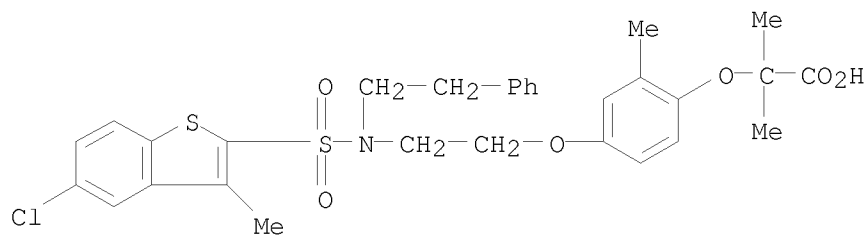
RN 752131-97-8 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2-methylphenoxy]- (CA INDEX NAME)



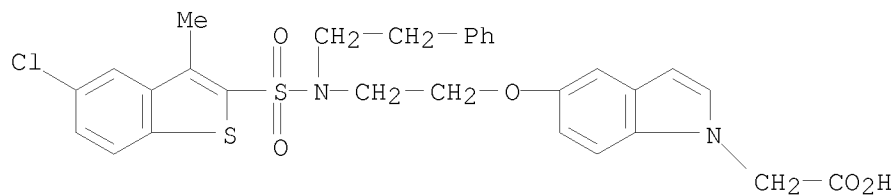
RN 752131-98-9 CAPLUS

CN Propanoic acid, 2-[4-[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)



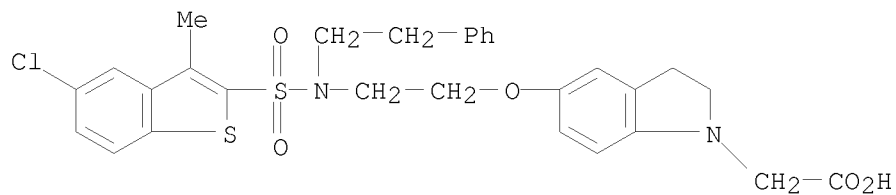
RN 752131-99-0 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2-methyl- (CA INDEX NAME)

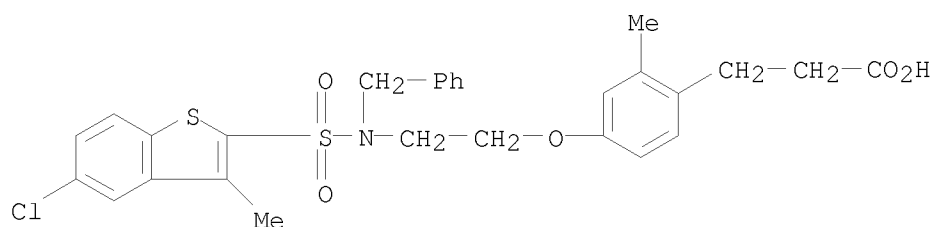


RN 752132-00-6 CAPLUS

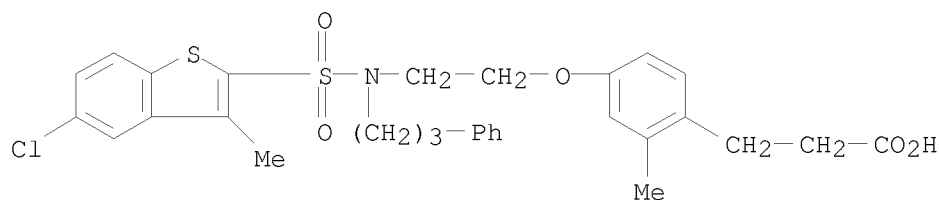
CN 1H-Indole-1-acetic acid, 5-[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2,3-dihydro- (CA INDEX NAME)



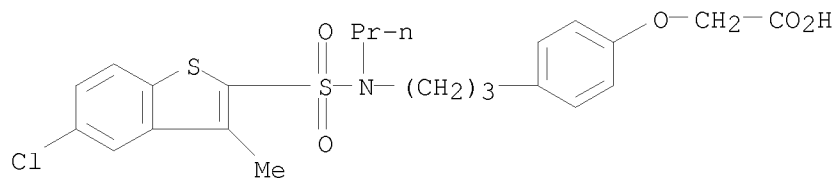
RN 752132-03-9 CAPLUS  
 CN Benzenepropanoic acid, 4-[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](phenylmethyl)amino]ethoxy]-2-methyl- (CA INDEX NAME)



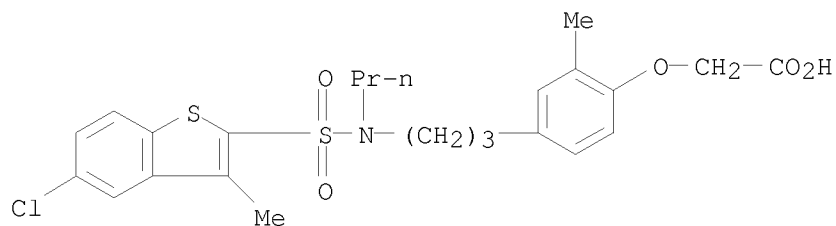
RN 752132-04-0 CAPLUS  
 CN Benzenepropanoic acid, 4-[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-phenylpropyl)amino]ethoxy]-2-methyl- (CA INDEX NAME)



RN 752133-45-2 CAPLUS  
 CN Acetic acid, 2-[4-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]- (CA INDEX NAME)

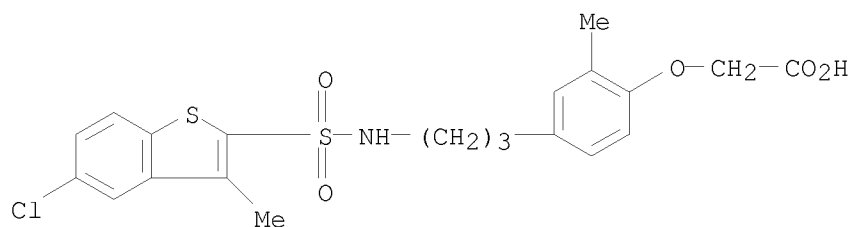


RN 752133-46-3 CAPLUS  
 CN Acetic acid, 2-[4-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-2-methylphenoxy]- (CA INDEX NAME)



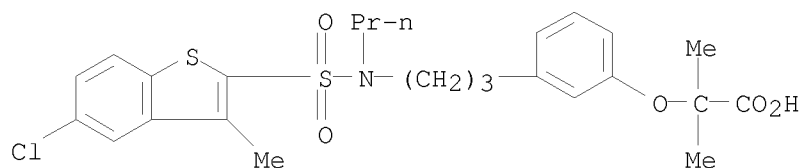
RN 752133-52-1 CAPLUS

CN Acetic acid, 2-[4-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]-2-methylphenoxy]- (CA INDEX NAME)



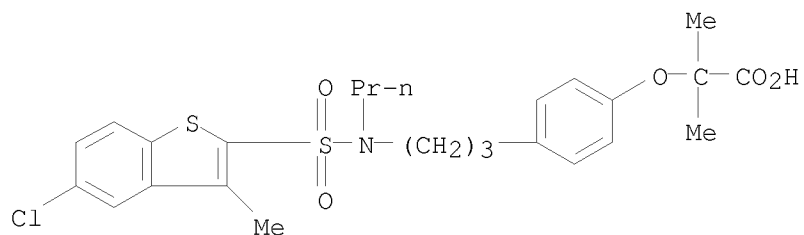
RN 752136-19-9 CAPLUS

CN Propanoic acid, 2-[3-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



RN 752136-21-3 CAPLUS

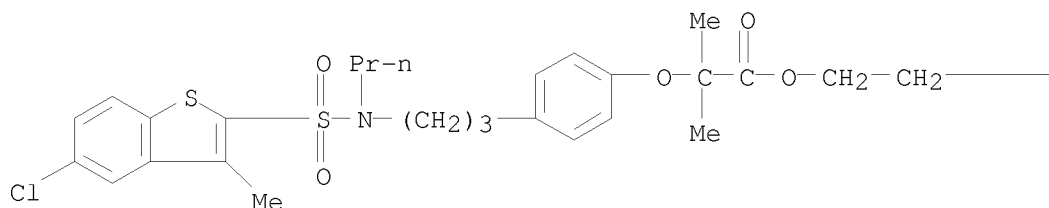
CN Propanoic acid, 2-[4-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl-, sodium salt (1:1) (CA INDEX NAME)



● Na

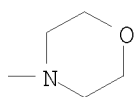
RN 752136-24-6 CAPLUS  
 CN Propanoic acid, 2-[4-[3-[[ (5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl-, 2-(4-morpholinyl)ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

PAGE 1-A

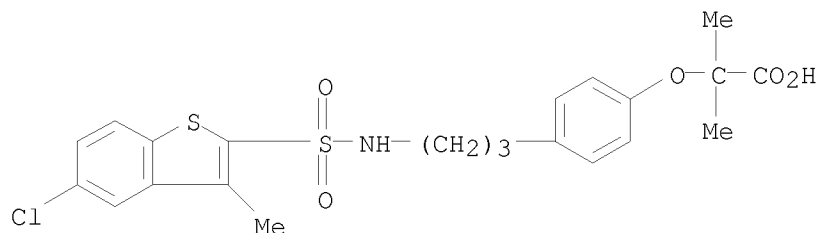


● HCl

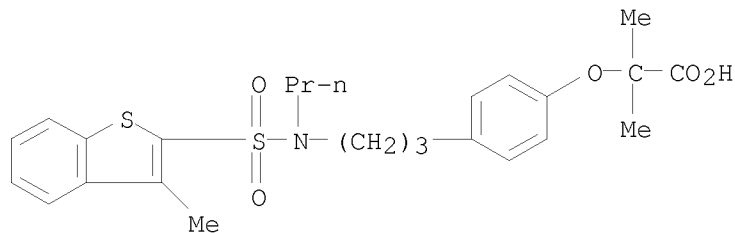
PAGE 1-B



RN 752136-44-0 CAPLUS  
 CN Propanoic acid, 2-[4-[3-[[ (5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

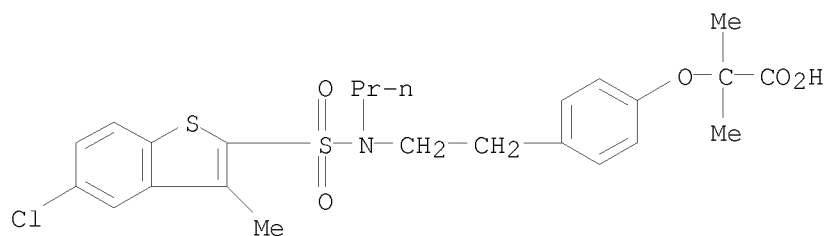


RN 752136-69-9 CAPLUS  
 CN Propanoic acid, 2-methyl-2-[4-[3-[[ (3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]- (CA INDEX NAME)

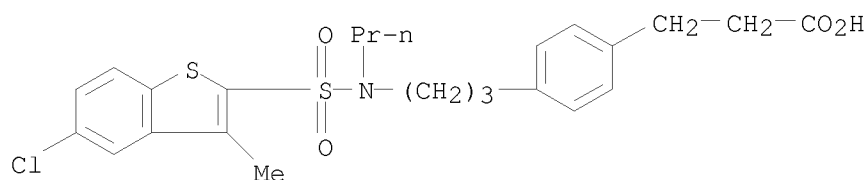




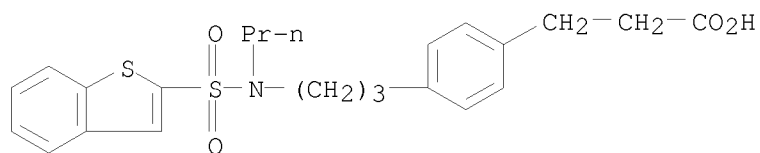
RN 752136-91-7 CAPLUS  
 CN Propanoic acid, 2-[4-[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]phenoxy]-2-methyl- (CA INDEX NAME)



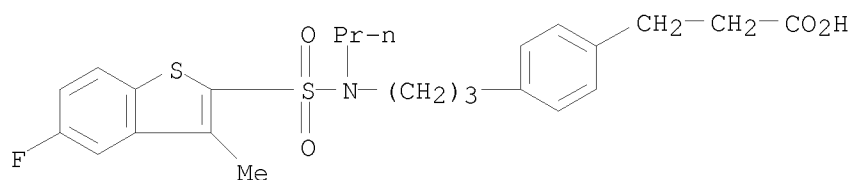
RN 752136-99-5 CAPLUS  
 CN Benzenepropanoic acid, 4-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- (CA INDEX NAME)



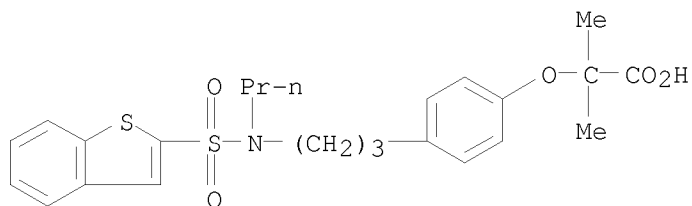
RN 752137-11-4 CAPLUS  
 CN Benzenepropanoic acid, 4-[3-[(benzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- (CA INDEX NAME)



RN 752137-12-5 CAPLUS  
 CN Benzenepropanoic acid, 4-[3-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- (CA INDEX NAME)

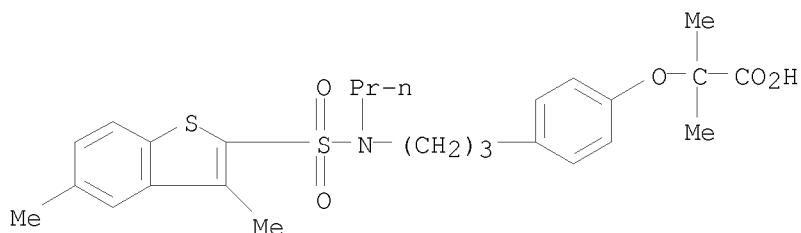


RN 752137-14-7 CAPLUS  
 CN Propanoic acid, 2-[4-[3-[(benzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



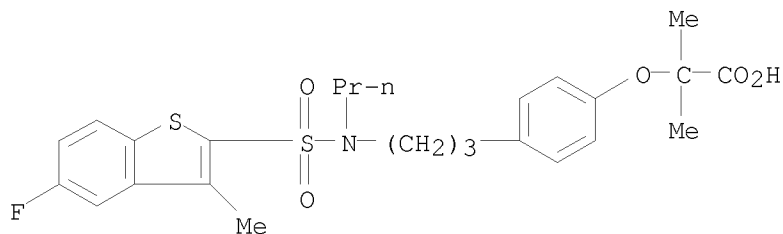
RN 752137-15-8 CAPLUS

CN Propanoic acid, 2-[4-[3-[(3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



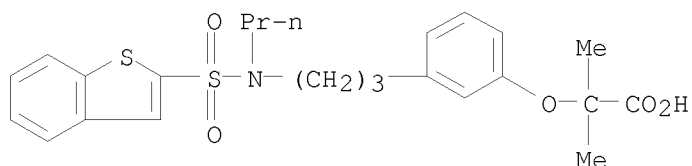
RN 752137-16-9 CAPLUS

CN Propanoic acid, 2-[4-[3-[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



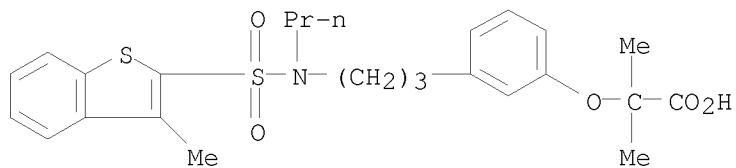
RN 752137-18-1 CAPLUS

CN Propanoic acid, 2-[3-[3-[(benzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



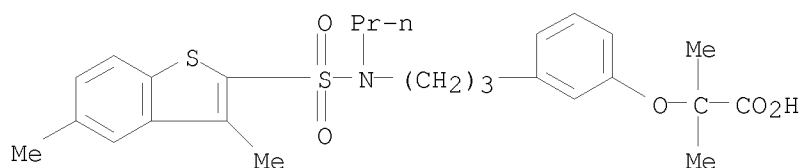
RN 752137-19-2 CAPLUS

CN Propanoic acid, 2-methyl-2-[3-[3-[(3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]- (CA INDEX NAME)



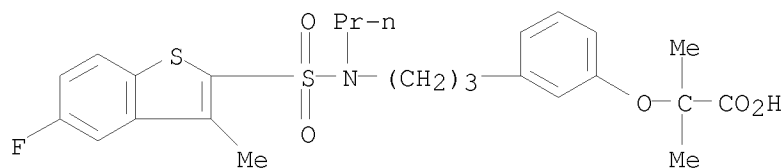
RN 752137-20-5 CAPLUS

CN Propanoic acid, 2-[3-[3-[(3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



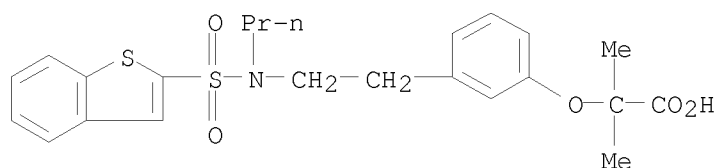
RN 752137-21-6 CAPLUS

CN Propanoic acid, 2-[3-[3-[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



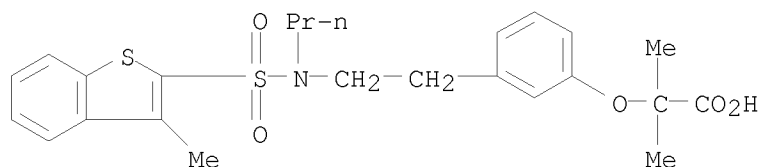
RN 752137-23-8 CAPLUS

CN Propanoic acid, 2-[3-[2-[(benzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]phenoxy]-2-methyl- (CA INDEX NAME)



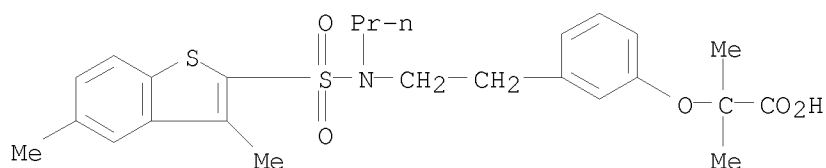
RN 752137-24-9 CAPLUS

CN Propanoic acid, 2-methyl-2-[3-[2-[(3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]phenoxy]- (CA INDEX NAME)



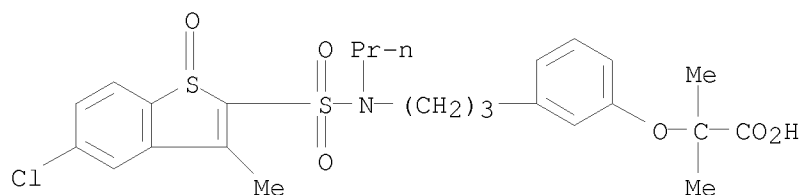
RN 752137-25-0 CAPLUS

CN Propanoic acid, 2-[3-[2-[(3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]phenoxy]-2-methyl- (CA INDEX NAME)



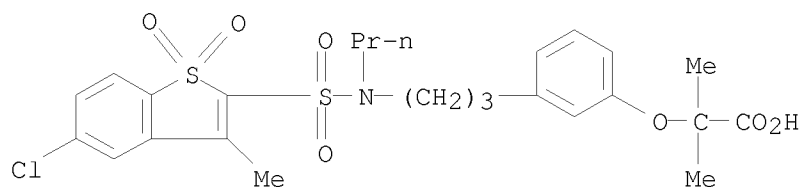
RN 752137-27-2 CAPLUS

CN Propanoic acid, 2-[3-[3-[(5-chloro-3-methyl-1-oxidobenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



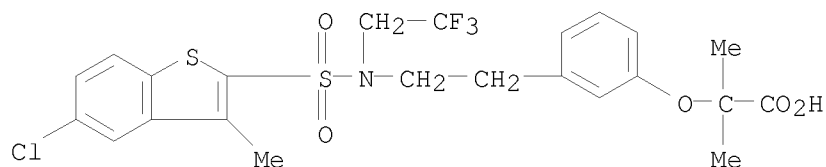
RN 752137-28-3 CAPLUS

CN Propanoic acid, 2-[3-[3-[(5-chloro-3-methyl-1,1-dioxidobenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



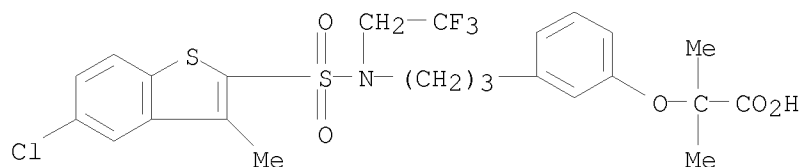
RN 752137-29-4 CAPLUS

CN Propanoic acid, 2-[3-[2-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2,2,2-trifluoroethyl)amino]ethyl]phenoxy]-2-methyl- (CA INDEX NAME)



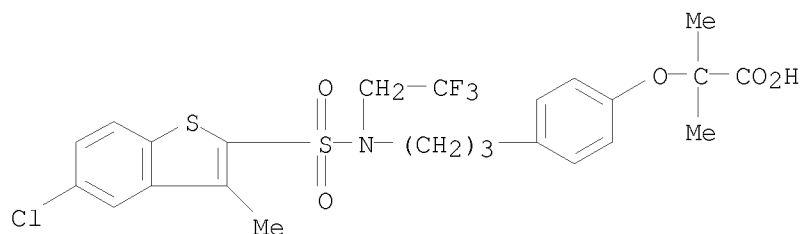
RN 752137-30-7 CAPLUS

CN Propanoic acid, 2-[3-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2,2,2-trifluoroethyl)amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



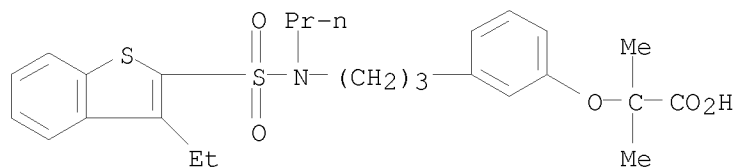
RN 752137-31-8 CAPLUS

CN Propanoic acid, 2-[4-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2,2,2-trifluoroethyl)amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



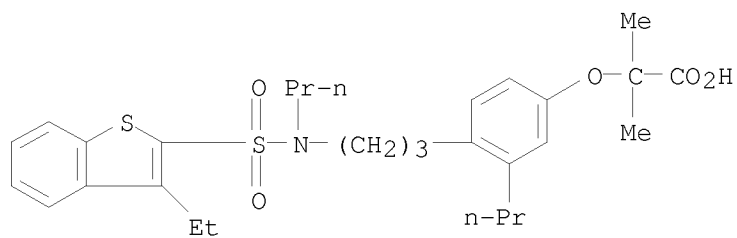
RN 752137-32-9 CAPLUS

CN Propanoic acid, 2-[3-[3-[[3-ethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



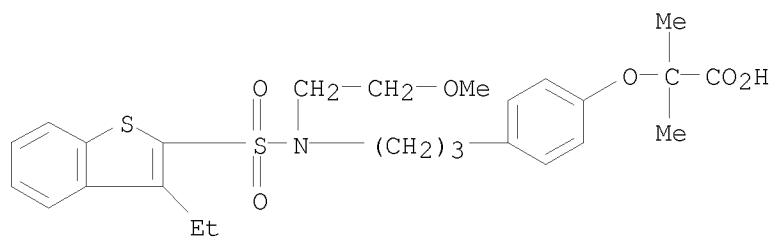
RN 752137-33-0 CAPLUS

CN Propanoic acid, 2-[4-[3-[[3-ethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-3-propylphenoxy]-2-methyl- (CA INDEX NAME)



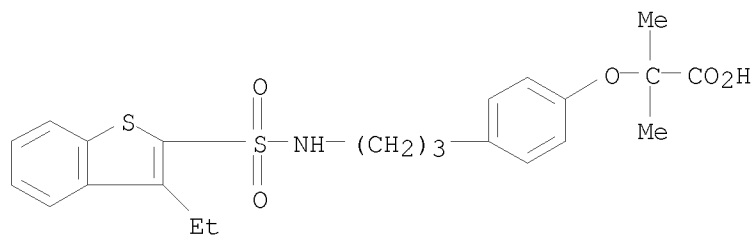
RN 752137-34-1 CAPLUS

CN Propanoic acid, 2-[4-[3-[[3-ethylbenzo[b]thien-2-yl)sulfonyl](2-methoxyethyl)amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



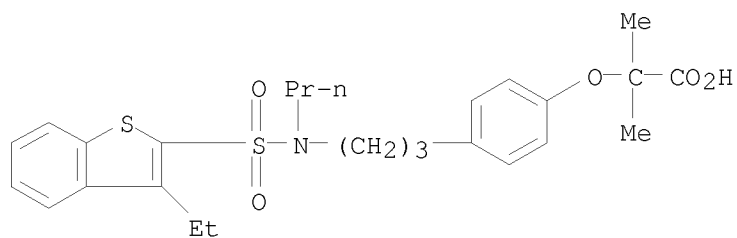
RN 752137-36-3 CAPLUS

CN Propanoic acid, 2-[4-[3-[[3-ethylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



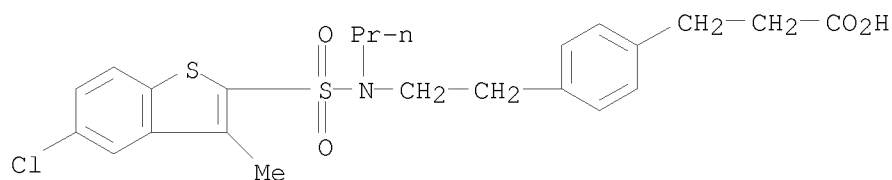
RN 752137-37-4 CAPLUS

CN Propanoic acid, 2-[4-[3-[[3-ethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



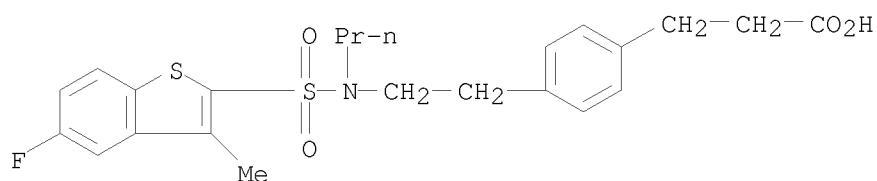
RN 752137-50-1 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl- (CA INDEX NAME)



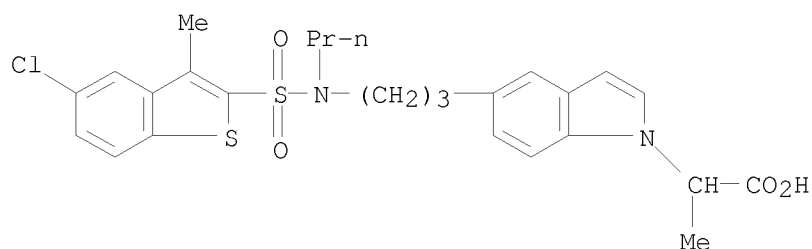
RN 752137-51-2 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl)- (CA INDEX NAME)



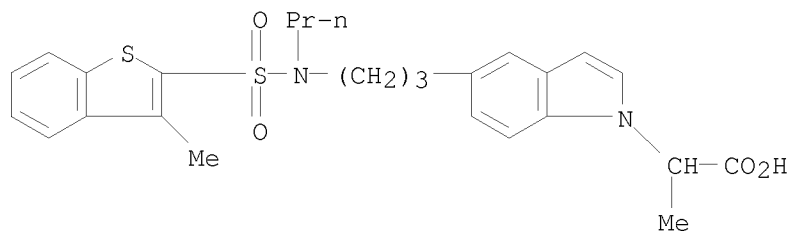
RN 752137-81-8 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- $\alpha$ -methyl- (CA INDEX NAME)



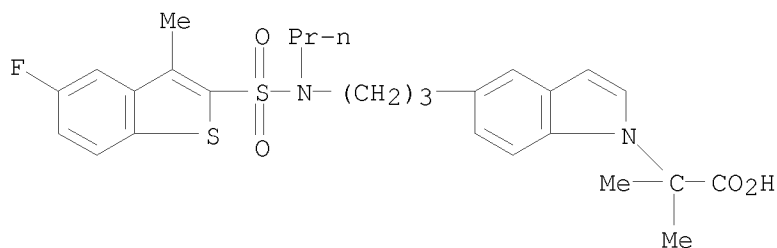
RN 752137-82-9 CAPLUS

CN 1H-Indole-1-acetic acid,  $\alpha$ -methyl-5-[3-[[3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- (CA INDEX NAME)

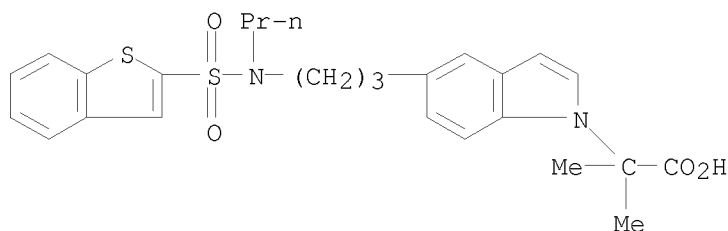


RN 752137-83-0 CAPLUS

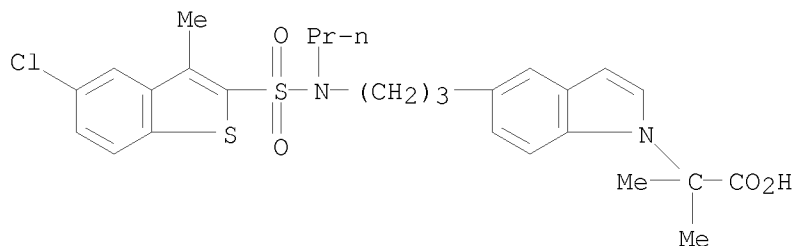
CN 1H-Indole-1-acetic acid, 5-[3-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- $\alpha$ , $\alpha$ -dimethyl- (CA INDEX NAME)



RN 752137-89-6 CAPLUS  
 CN 1H-Indole-1-acetic acid, 5-[3-[(benzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-α,α-dimethyl- (CA INDEX NAME)



RN 752137-90-9 CAPLUS  
 CN 1H-Indole-1-acetic acid, 5-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-α,α-dimethyl- (CA INDEX NAME)



IT 752131-92-3P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid  
 N-(2-bromoethyl)-N-(3-phenylpropyl)amide 752132-01-7P,  
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid  
 N-benzyl-N-(2-bromoethyl)amide 752132-02-8P,  
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid  
 N-benzyl-N-(2-hydroxyethyl)amide 752132-14-2P, Ethyl  
 2-[4-[1-[1-[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](4-methoxybenzyl)amino]methyl]propyl]sulfanyl]-2-(methyl)phenoxy]acetate  
 752133-51-0P, Ethyl 2-[4-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](methyl)amino]propyl]-2-(methyl)phenoxy]acetate  
 752133-53-2P, Ethyl 2-[4-[3-[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]-2-(methyl)phenoxy]acetate 752136-22-4P  
 , 2-[4-[3-[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid ethyl ester  
 752136-23-5P, 2-[4-[3-[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

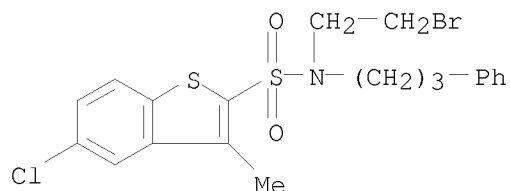


(Reactant or reagent)

(intermediate; preparation of sulfonamides, in particular  
N,N-benzo[b]thiophene sulfonamides, as PPAR agonists)

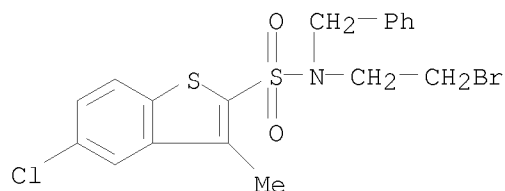
RN 752131-92-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(2-bromoethyl)-5-chloro-3-methyl-N-(3-phenylpropyl)- (CA INDEX NAME)



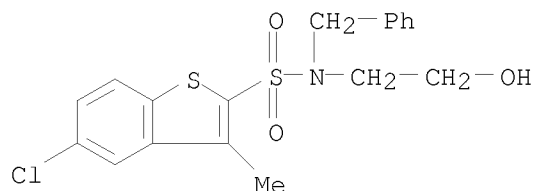
RN 752132-01-7 CAPLUS

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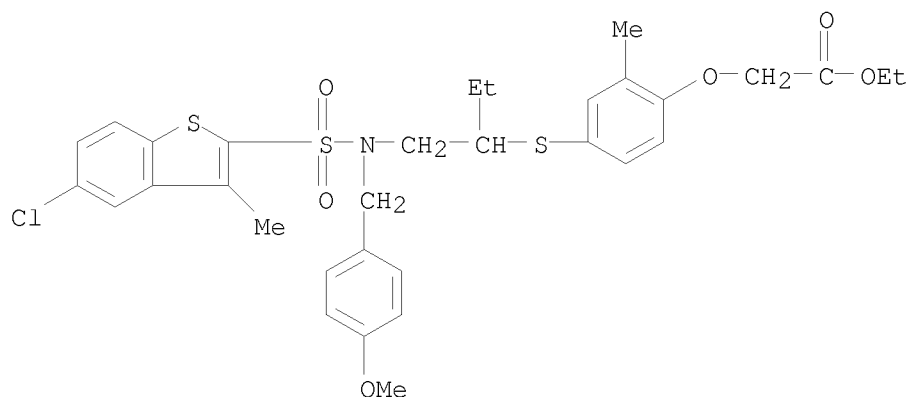
RN 752132-02-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2-hydroxyethyl)-3-methyl-N-(phenylmethyl)- (CA INDEX NAME)

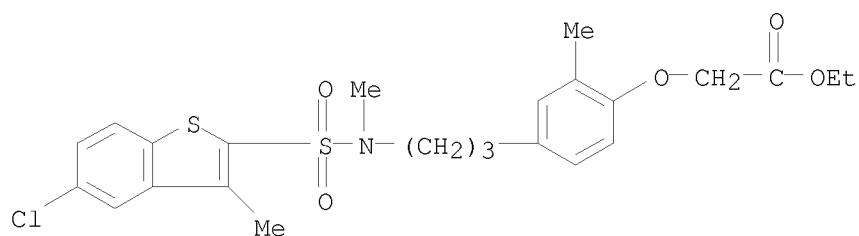


RN 752132-14-2 CAPLUS

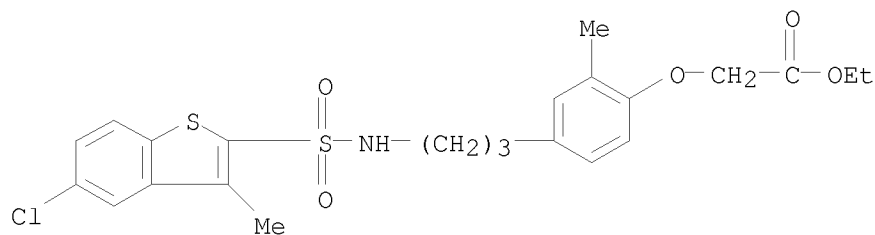
CN Acetic acid, 2-[4-[[1-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl][(4-methoxyphenyl)methyl]amino]methyl]propyl]thio]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)



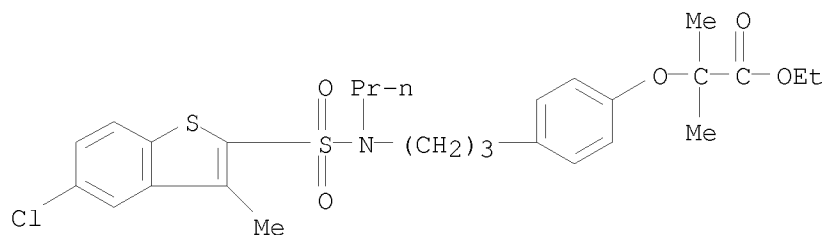
RN 752133-51-0 CAPLUS  
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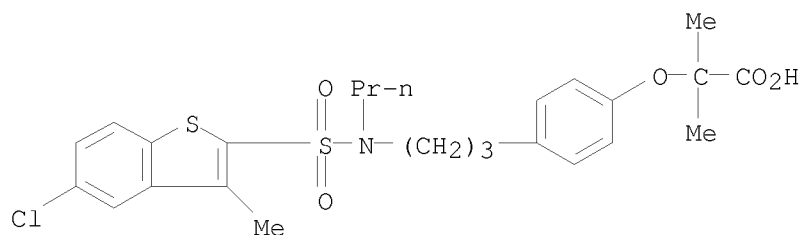
RN 752133-53-2 CAPLUS  
 CN Acetic acid, 2-[4-[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)



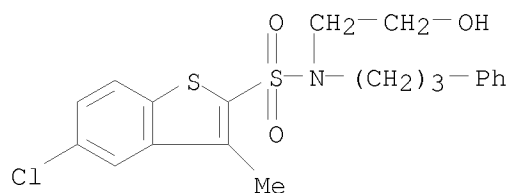
RN 752136-22-4 CAPLUS  
 CN Propanoic acid, 2-[4-[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)



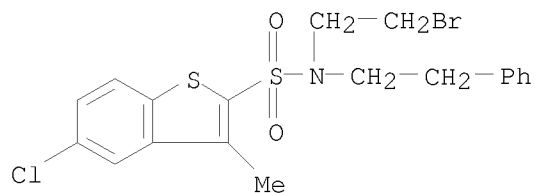
RN 752136-23-5 CAPLUS  
 CN Propanoic acid, 2-[4-[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



IT 752131-93-4, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid  
 N-(2-hydroxyethyl)-N-(3-phenylpropyl)amide 752131-95-6,  
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid  
 N-(2-bromoethyl)-N-phenethylamide  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of sulfonamides, in particular N,N-benzo[b]thiophene  
 sulfonamides, as PPAR agonists)  
 RN 752131-93-4 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2-hydroxyethyl)-3-methyl-N-(3-phenylpropyl)- (CA INDEX NAME)



RN 752131-95-6 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-(2-bromoethyl)-5-chloro-3-methyl-N-(2-phenylethyl)- (CA INDEX NAME)



L6 ANSWER 75 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:701804 CAPLUS

DN 141:173972

TI Preparation of sulfonamides having antiangiogenic and anticancer activity

IN Comess, Kenneth M.; Erickson, Scott A.; Henkin, Jack; Kalvin, Douglas M.; Kawai, Megumi; Kim, Ki H.; Bamaung, Nwe Y.; Park, Chang Hoon; Sheppard, George S.; Vasudevan, Anil; Wang, Jieyi; Barnes, David M.; Fidanze, Steve D.; Kolaczowski, Lawrence; Mantei, Robert A.; Park, David C.; Sanders, William J.; Tedrow, Jason S.; Wang, Gary T.

PA USA

SO U.S. Pat. Appl. Publ., 127 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20040167128	A1	20040826	US 2003-681784 US 2002-416793P	20031008 P 20021008

OS MARPAT 141:173972

AB The title compds. [I; A = 5-6 membered (non)aromatic ring containing 0-3 atoms selected from N, O, and S (wherein the ring is optionally fused to a second 5-7 membered (non)aromatic ring containing 0-3 atoms selected from N, O, and S); R1-R3 = H, alkenyl, alkoxy, etc.; R4 = H, alkyl, alkoxy, etc.; R5 = alkyl, NH2, aminoalkyl, aryl, etc.; R6 = H, alkyl, aryl, etc.; provided that when A = Ph, at least one of R1-R4 is other than H, alkyl, halo] having methionine aminopeptidase-2 inhibitory (MetAP2) activity, were prepared E.g., a multi-step synthesis of 5-ethyl-2-[(phenylsulfonyl)amino]benzoic acid, starting from 4-ethylaniline, was given. Representative compds. I had IC50's between about 0.005  $\mu$ M and >100  $\mu$ M against MetAP2. Also described are pharmaceutical compns. comprising the compds. I, methods of treatment using the compds. I, methods of inhibiting angiogenesis, and methods of treating cancer.

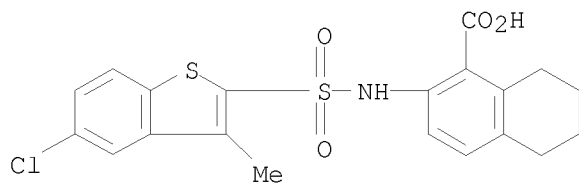
IT 681242-90-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamides having antiangiogenic and anticancer activity)

RN 681242-90-0 CAPLUS

CN 1-Naphthalenecarboxylic acid, 2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-5,6,7,8-tetrahydro- (CA INDEX NAME)



L6 ANSWER 76 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2004:652631 CAPLUS  
 DN 141:173970  
 TI Preparation of sulfonamides having antiangiogenic and anticancer activity  
 IN Comess, Kenneth M.; Erickson, Scott A.; Henkin, Jack; Kalvin, Douglas M.;  
 Kawai, Megumi; Kim, Ki H.; Bamaung, Nwe Y.; Park, Chang Hoon; Sheppard,  
 George S.; Vasudevan, Anil; Wang, Jieyi; Barnes, David M.; Fidanze, Steve  
 D.; Kolaczowski, Lawrence; Mantei, Robert A.; Park, David C.; Sanders,  
 William J.; Tedrow, Jason S.; Wang, Gary T.  
 PA USA  
 SO U.S. Pat. Appl. Publ., 129 pp., Cont.-in-part of U.S. Ser. No. 267,081.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 3

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PI	US 20040157836	A1	20040812	US 2003-667358	20030923
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	US 20040068012	A1	20040408	US 2002-267081	20021008
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				US 2003-667358	A 20030923
				WO 2003-US31671	W 20031006
EP	1549613	A1	20050706	EP 2003-773182	20031006
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
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PATENT FAMILY INFORMATION:  
 FAN 2004:293400

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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FAN	2004:333690				
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EP 1549613                      A1            20050706            EP 2003-773182                      20031006  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
US 2002-267081                      A    20021008  
US 2003-667358                      A    20030923  
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OS    MARPAT 141:173970

AB    The title compds. [I; A = 5-6 membered (non)aromatic ring containing 0-3 atoms selected from N, O, and S (wherein the ring is optionally fused to a second 5-7 membered (non)aromatic ring containing 0-3 atoms selected from N, O, and S); R1-R3 = H, alkenyl, alkoxy, etc.; R4 = H, alkyl, alkoxy, etc.; R5 = alkyl, NH2, aminoalkyl, aryl, etc.; R6 = H, alkyl, aryl, etc.; provided that when A = Ph, at least one of R1-R4 is other than H, alkyl, halo] having methionine aminopeptidase-2 inhibitory (MetAP2) activity, were prepared E.g., a multi-step synthesis of 5-ethyl-2-[(phenylsulfonyl)amino]benzoic acid, starting from 4-ethylaniline, was given. Representative compds. I had IC50's between about 0.005  $\mu$ M and >100  $\mu$ M against MetAP2. Also described are pharmaceutical compns. comprising the compds. I, methods of treatment using the compds. I, methods of inhibiting angiogenesis, and methods of treating cancer.

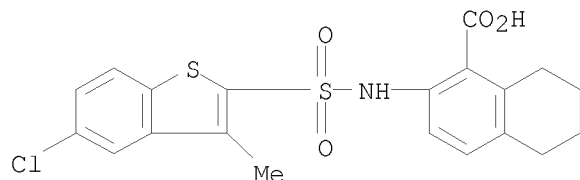
IT    681242-90-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamides having antiangiogenic and anticancer activity)

RN    681242-90-0    CAPLUS

CN    1-Naphthalenecarboxylic acid, 2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-5,6,7,8-tetrahydro- (CA INDEX NAME)



L6    ANSWER 77 OF 152    CAPLUS    COPYRIGHT 2008 ACS on STN

AN    2004:565050    CAPLUS

DN    141:123471

TI    Preparation of arylsulfonamide substituted carboxylic acids as asthma and allergic inflammation modulators

IN    Fu, Zice; Huang, Xi Alan; Liu, Jiwen; Medina, Julio C.; Schmitt, Michael J.; Tang, Lucy H.; Wang, Yingcai; Xu, Qingge

PA    Tularik, Inc., USA

SO    PCT Int. Appl., 132 pp.

CODEN: PIXXD2

DT    Patent

LA    English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004058164	A2	20040715	WO 2003-US40617	20031219
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GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,  
 LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,  
 OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,  
 TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,  
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2511214	A1	20040715	US 2002-435366P	P	20021220
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			WO 2003-US40617	W	20031219
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			WO 2003-US40617	W	20031219
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			WO 2003-US40617	W	20031219
US 20080085891	A1	20080410	US 2007-986863		20071126
			US 2002-435366P	P	20021220
			US 2003-742281	A3	20031219

OS MARPAT 141:123471

AB Title compds. I [Y = SO0-2; X = O, SO0-2; R2 = (un)substituted phenyl; R3, R5 = H, halo, alkyl, fluoroalkyl, etc.; R4 = H, carboxamido, etc.; R6 = H, halo, alkyl, fluoroalkyl, etc.; R10 = H, alkyl, fluoroalkyl, etc.; L = alkylene, heteroalkylene, etc.; Z = carboxy, carboxamido, etc.; R14 = halo, alkyl, fluoroalkyl, etc.] are prepared For instance, [4-(2-nitro-4-trifluoromethylphenoxy)phenyl]acetic acid Me ester (preparation given) is reduced to the corresponding aniline (MeOH, H2-Pd/C), sulfonylated with TsCl and saponified (MeOH/H2O, LiOH) to give II. II has IC50 < 15  $\mu$ M for the CRTH2 receptor. I modulate the function and/or expression of proteins involved in atopic diseases, inflammatory conditions and cancer.

IT 721947-80-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

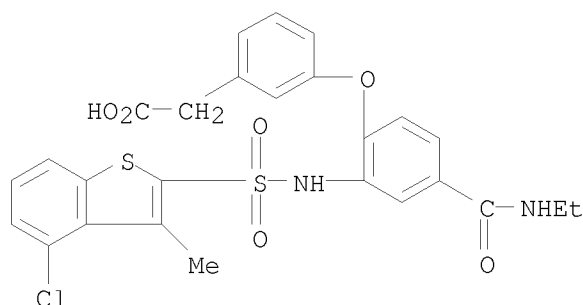
(preparation of arylsulfonamide substituted carboxylic acids as asthma and



allergic inflammation modulators)

RN 721947-80-4 CAPLUS

CN Benzeneacetic acid, 3-[2-[[[4-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-4-[(ethylamino)carbonyl]phenoxy]- (CA INDEX NAME)



L6 ANSWER 78 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:546510 CAPLUS

DN 141:106487

TI Preparation of pyrrolopyrimidine derivatives as antiproliferative agents

IN Arcari, Joel Thomas; Chen, Jinshan; Lagreca, Susan; Marx, Matthew Arnold; Wessel, Matthew David

PA Pfizer Products Inc., USA

SO PCT Int. Appl., 157 pp.

CODEN: PIXXD2

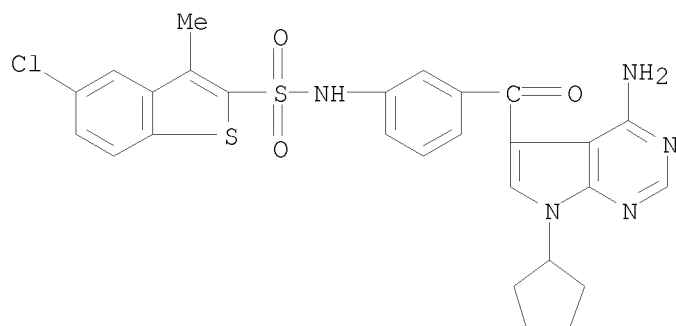
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004056830	A1	20040708	WO 2003-IB5841	20031208
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
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	CA 2510853	A1	20040708	US 2002-434568P	P 20021219
				CA 2003-2510853	20031208
				US 2002-434568P	P 20021219
				WO 2003-IB5841	W 20031208
AU	2003286317	A1	20040714	AU 2003-286317	20031208
				US 2002-434568P	P 20021219
				WO 2003-IB5841	W 20031208
EP	1578751	A1	20050928	EP 2003-777060	20031208
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				US 2002-434568P	P 20021219
				WO 2003-IB5841	W 20031208
BR	2003017524	A	20051116	BR 2003-17524	20031208
				US 2002-434568P	P 20021219

CN 1726218	A	20060125	WO 2003-IB5841	W	20031208
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JP 2006512356	T	20060413	US 2002-434568P	P	20021219
JP 4057013	B2	20080305	JP 2004-561818		20031208
			US 2002-434568P	P	20021219
			WO 2003-IB5841	W	20031208
NZ 540456	A	20071130	NZ 2003-540456		20031208
			US 2002-434568P	P	20021219
			WO 2003-IB5841	W	20031208
US 20050037999	A1	20050217	US 2003-732509		20031210
US 7271262	B2	20070918			
			US 2002-434568P	P	20021219
NL 1025068	A1	20040622	NL 2003-1025068		20031218
NL 1025068	C2	20041116			
			US 2002-434568P	P	20021219
ZA 2005004440	A	20060726	ZA 2005-4440		20050531
			US 2002-434568P	P	20021219
IN 2005DN02441	A	20070105	IN 2005-DN2441		20050607
			US 2002-434568P	P	20021219
			WO 2003-IB5841	W	20031208
NO 2005002802	A	20050719	NO 2005-2802		20050609
			US 2002-434568P	P	20021219
			WO 2003-IB5841	W	20031208
MX 2005PA06793	A	20050908	MX 2005-PA6793		20050620
			US 2002-434568P	P	20021219
			WO 2003-IB5841	W	20031208
KR 2007087020	A	20070827	KR 2007-715815		20070711
			US 2002-434568P	P	20021219
			WO 2003-IB5841	W	20031208
			KR 2005-711297	A3	20050617
OS	MARPAT 141:106487				
AB	<p>Pyrrolopyrimidines I (Q = CO, amino, S, sulfinyl, sulfonyl, etc.; A = bond, aryl, heteroarom. ring, alkyl, etc.; L = alkylene, O, S, sulfinyl, sulfonyl, amino, etc.; R1 = H, alkyl, cycloalkyl, substituted bicycloalkyl, etc.; R2 = H, halo, alkyl, cycloalkyl, heterocycloalkyl, amino, etc.; R3 = H, alkyl, cycloalkyl, heteroalkyl, etc.) and their pharmaceutically acceptable salts, useful for treatment of hyperproliferative disorders, are prepared Thus, reaction of 2,6-difluorophenyl isocyanate with (4-amino-7-cyclopentyl-7H-pyrrolo[2,3-d]pyrimidin-5-yl)-(3-aminophenyl)-methanone in pyridine at 90° for 3 h gave 28% 1-[3-(4-amino-7-cyclopentyl-7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)phenyl]-3-(2,6-difluorophenyl)-urea.</p>				
IT	<p>717895-57-3P            RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)            (preparation of pyrrolopyrimidines as antiproliferative agents)</p>				
RN	717895-57-3 CAPLUS				
CN	<p>Benzo[b]thiophene-2-sulfonamide, N-[3-[(4-amino-7-cyclopentyl-7H-pyrrolo[2,3-d]pyrimidin-5-yl)carbonyl]phenyl]-5-chloro-3-methyl- (CA INDEX NAME)</p>				



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 79 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:522146 CAPLUS

DN 141:150846

TI 5-HT6 receptor antagonists reverse delay-dependent deficits in novel object discrimination by enhancing consolidation—an effect sensitive to NMDA receptor antagonism

AU King, M. V.; Sleight, A. J.; Woolley, M. L.; Topham, I. A.; Marsden, C. A.; Fone, K. C. F.

CS Institute of Neuroscience, School of Biomedical Sciences, Queen's Medical  
Center, University of Nottingham, Nottingham, NG7 2UH, UK

SO Neuropharmacology (2004), 47(2), 195-204

CODEN: NEPHBW; ISSN: 0028-3908

PB Elsevier Science B.V.

DT Journal

LA English

AB 5-HT6 receptors are expressed in brain regions associated with learning and memory, and blockade of their function increases central cholinergic and glutamatergic neurotransmission and enhances cognitive processes. This study examined the effects of acute systemic administration of two selective 5-HT6 receptor antagonists Ro 04-6790 and SB-271046 (10 mg kg<sup>-1</sup> i.p.) on acquisition, consolidation, and retrieval in the novel object discrimination (NOD) task, a two-trial test of recognition memory in which rats exposed to two identical objects during a familiarization trial can discriminate a novel from a familiar object during the subsequent choice trial, following inter-trial delays of up to 3 h. 5-HT6 receptor antagonist administration 20 min prior to or immediately after the familiarization trial, but not 20 min prior to the choice trial reversed the deficit in object discrimination produced by a 4 h inter-trial interval. The nootropic effects of the 5-HT6 receptor antagonists in this task thus appear to involve enhanced consolidation. Pre-treatment with the non-competitive NMDA receptor antagonist MK-801 (0.05 mg kg<sup>-1</sup> i.p.) prevented the effect of Ro 04-6790 on delay-induced deficits in object discrimination. This suggests that the 5-HT6 receptor antagonist-induced enhancement of consolidation involves increased central glutamatergic neurotransmission.

IT 209481-20-9, SB-271046

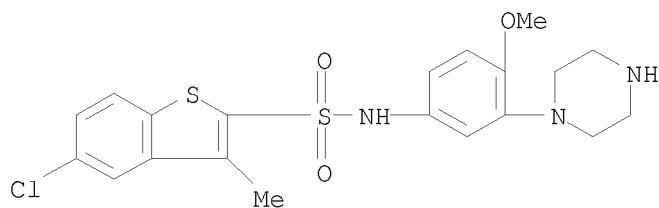
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(5-HT6 receptor antagonists reverse delay-dependent deficits in novel object discrimination)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-

piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 80 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:368273 CAPLUS

DN 140:399734

TI An antiarrhythmic effect of a chymase inhibitor after myocardial infarction

AU Jin, Denan; Takai, Shinji; Sakaguchi, Masato; Okamoto, Yukiko; Muramatsu, Michiko; Miyazaki, Mizuo

CS Department of Pharmacology, Osaka Medical College, Osaka, Japan

SO Journal of Pharmacology and Experimental Therapeutics (2004), 309(2), 490-497

CODEN: JPETAB; ISSN: 0022-3565

PB American Society for Pharmacology and Experimental Therapeutics

DT Journal

LA English

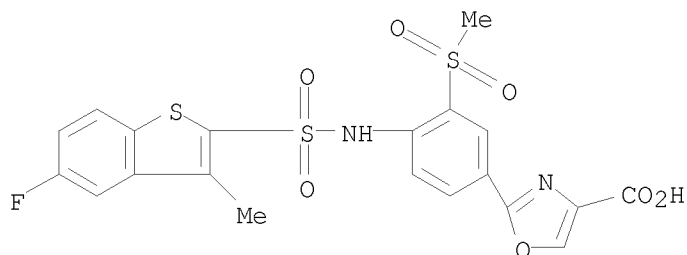
AB Chymase plays an important role in the regulation of local angiotensin (Ang) II formation in the cardiac tissue. We recently found that cardiac chymase was activated significantly and survival rate markedly improved by treatment with chymase inhibitors after myocardial infarction (MI) in hamsters. However, the mechanisms for this effect have not been established. Because lethal arrhythmias are generally believed to contribute to sudden cardiac death, we assessed whether inhibition of cardiac chymase would provide an antiarrhythmic effect during the 8-h ischemic period after 2-[4-(5-fluoro-3-methylbenzo-[b]thiophen-2-yl)sulfonamide-3-methanesulfonylphenyl]oxazole-4-carboxylic acid (TY51184) (a specific chymase inhibitor, 1 mg/kg i.v.) treatment by ligation of left anterior descending coronary artery (LAD) in dogs. Effects of candesartan (an Ang II type 1 receptor antagonist, 1 mg/kg i.v.) in this model were also assessed. Total Ang II-forming activity and chymase activity in the infarcted heart were increased significantly 8 h after LAD ligation. A time-dependent elevation of Ang II in plasma was also observed. A decrease in plasma Ang II levels after TY51184 treatment occurred concomitantly with suppression of cardiac chymase activity. LAD ligation resulted in a large number of ventricular arrhythmias (VAs). TY51184 and candesartan treatments largely suppressed the appearance of VAs, and the efficacy of the two agents was similar. These findings demonstrate that chymase inhibition can provide an antiarrhythmic effect after MI, and the reduction of Ang II by TY51184 may be mainly responsible for this beneficial effect. An antiarrhythmic effect of chymase inhibitors may contribute to redns. in the mortality rate during the acute phase after MI.

IT 404963-97-9, TY51184

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

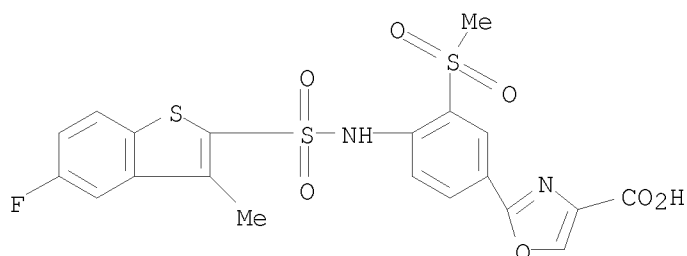
(antiarrhythmic effect of a chymase inhibitor after myocardial infarction)

RN 404963-97-9 CAPLUS  
CN 4-Oxazolecarboxylic acid, 2-[4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)



RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 81 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2004:356581 CAPLUS  
DN 140:385761  
TI A single treatment with a specific chymase inhibitor, TY-51184, prevents vascular proliferation in canine grafted veins  
AU Takai, Shinji; Jin, Denan; Sakaguchi, Masato; Miyazaki, Mizuo  
CS Department of Pharmacology, Osaka Medical College, Takatsuki City, 569-8686, Japan  
SO Journal of Pharmacological Sciences (Tokyo, Japan) (2004), 94(4), 443-448  
CODEN: JPSTGJ; ISSN: 1347-8613  
PB Japanese Pharmacological Society  
DT Journal  
LA English  
AB In this study, we evaluated whether a specific chymase inhibitor, TY-51184 (2-[4-(5-fluoro-3-methylbenzo[b]thiophen-2-yl)sulfonamido-3-methanesulfonylphenyl]oxazole-4-carboxylic acid), prevents the vascular proliferation in canine grafted veins. In the placebo- and chymase inhibitor-treated groups, the external jugular vein was infiltrated with saline and 10  $\mu$ M TY-51184, resp., and then it was grafted to the ipsilateral carotid artery. The non-surgical dogs were used as the control group. By 28 days after grafting, the chymase and ACE activities were significantly increased in the injured arteries. TY-51184 significantly reduced the chymase activity in the grafted veins, while it did not affect the ACE activity. The intimal areas in the placebo- and TY-51184-treated groups were  $3.32 \pm 0.16$  and  $1.96 \pm 0.52$  mm<sup>2</sup>, resp., and this difference was significant. The ratios of intimal area to medial area in the placebo- and TY-51184-treated groups were  $66.8 \pm 3.5\%$  and  $34.9 \pm 9.2\%$ , resp., and this difference was also significant. There was a significant relation between vascular proliferation and chymase activity, but not ACE activity. In this study, we demonstrated that a single treatment with a specific chymase inhibitor, TY-51184, could prevent the vascular proliferation in canine grafted veins.  
IT 404963-97-9, TY-51184  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(a single treatment with a specific chymase inhibitor, TY-51184, prevents vascular proliferation in canine grafted veins)  
RN 404963-97-9 CAPLUS  
CN 4-Oxazolecarboxylic acid, 2-[4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)



RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 82 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2004:353142 CAPLUS  
DN 140:357200  
TI Preparation of sulfonamidomethyl and carboxamidomethyl phosphonate  
inhibitors of  $\beta$ -lactamase  
IN Besterman, Jeffrey M.; Rahil, Jubrail; Vaisburg, Arkadii  
PA Methylgene, Inc., Can.  
SO U.S. Pat. Appl. Publ., 134 pp., Cont.-in-part of U.S. Pat. Appl. 2004  
29,836.  
CODEN: USXXCO

DT Patent  
LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20040082546	A1	20040429	US 2003-411484	20030408
	US 6921756	B2	20050726		
				US 1999-142362P	P 19990706
				US 2000-610456	A2 20000705
				US 2002-266213	A2 20021008
				US 2002-302124	A2 20021122
	US 6472406	B1	20021029	US 2000-610456	20000705
				US 1999-142362P	P 19990706
	US 20040059115	A1	20040325	US 2002-266213	20021008
	US 7030103	B2	20060418		
				US 1999-142362P	P 19990706
				US 2000-610456	A1 20000705
	US 20040029836	A1	20040212	US 2002-302124	20021122
	US 6884791	B2	20050426		
				US 1999-142362P	P 19990706
				US 2000-610456	A2 20000705
				US 2002-266213	A2 20021008
WO	2004048393	A2	20040610	WO 2003-US36929	20031119
WO	2004048393	A3	20040819		
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RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,				

TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

			US 2002-302124	A1	20021122
			US 2003-411484	A1	20030408
AU 2003295638	A1	20040618	AU 2003-295638		20031119
			US 2002-302124	A	20021122
			US 2003-411484	A	20030408
			WO 2003-US36929	W	20031119
US 20060105999	A1	20060518	US 2005-535391		20050518
			US 2002-302124	A2	20021122
			US 2003-411484	A2	20030408
			WO 2003-US36929	W	20031119

PATENT FAMILY INFORMATION:

FAN 2001:31512

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001002411	A1	20010111	WO 2000-US18344	20000705
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				US 1999-142362P	P 19990706
CA 2377762	A1	20010111	CA 2000-2377762		20000705
CA 2377762	C	20080930			
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EP 1194436	A1	20020410	EP 2000-943381		20000705
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AU 770599	B2	20040226	AU 2000-57858		20000705
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AT 311397	T	20051215	AT 2000-943381		20000705
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				WO 2000-US18344	W 20000705
ES 2250150	T3	20060416	ES 2000-943381		20000705
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MX 2002PA00246	A	20030820	MX 2002-PA246		20020107
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FAN 2004:120574

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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				US 2000-610456	A2 20000705
				US 2002-266213	A2 20021008
US 6472406	B1	20021029	US 2000-610456		20000705
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US 20040059115	A1	20040325	US 2002-266213		20021008

US 7030103	B2	20060418	US 1999-142362P	P	19990706
			US 2000-610456	A1	20000705
US 20040082546	A1	20040429	US 2003-411484		20030408
US 6921756	B2	20050726			
			US 1999-142362P	P	19990706
			US 2000-610456	A2	20000705
			US 2002-266213	A2	20021008
			US 2002-302124	A2	20021122
WO 2004048393	A2	20040610	WO 2003-US36929		20031119
WO 2004048393	A3	20040819			
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2002-302124	A1	20021122
			US 2003-411484	A1	20030408
AU 2003295638	A1	20040618	AU 2003-295638		20031119
			US 2002-302124	A	20021122
			US 2003-411484	A	20030408
US 20050043276	A1	20050224	WO 2003-US36929	W	20031119
US 7259172	B2	20070821	US 2004-884435		20040702
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			US 2000-610456	A2	20000705
			US 2002-266213	A2	20021008
			US 2002-302124	A3	20021122
US 20060105999	A1	20060518	US 2005-535391		20050518
			US 2002-302124	A2	20021122
			US 2003-411484	A2	20030408
			WO 2003-US36929	W	20031119
US 20070293675	A1	20071220	US 2007-830305		20070730
			US 1999-142362P	P	19990706
			US 2000-610456	A1	20000705
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			US 2004-884435	A3	20040702
FAN 2006:464674					
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
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			US 2002-302124	A2	20021122
			US 2003-411484	A2	20030408
			WO 2003-US36929	W	20031119
US 20040029836	A1	20040212	US 2002-302124		20021122
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			US 1999-142362P	P	19990706
			US 2000-610456	A2	20000705
			US 2002-266213	A2	20021008
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			US 2000-610456	A2	20000705



US 2002-266213 A2 20021008  
 US 2002-302124 A2 20021122  
 WO 2004048393 A2 20040610 WO 2003-US36929 20031119  
 WO 2004048393 A3 20040819  
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
 CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,  
 PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,  
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 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,  
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 US 2002-302124 A1 20021122  
 US 2003-411484 A1 20030408

OS MARPAT 140:357200

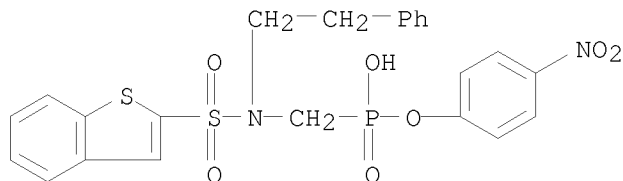
AB The invention relates to bacterial antibiotic resistance and, in particular, to compns. and methods for overcoming bacterial antibiotic resistance. The invention provides novel  $\beta$ -lactamase inhibitors I [R1 = (un)substituted (hetero)aryl; Z = C, CH2, S; n = 0-2; L = alkyl, alkoxy, CO, C(:NOMe); R2 = H, alkyl, cycloalkyl, aralkyl, aryl; R3 = H, alkyl, cycloalkyl, aryl, etc.; R4 = OH, F, SR7, N(R7)2; R5 = F, OR6, SR7, N(R7)2; R6 = H, alkyl, cycloalkyl, etc.; R7 = H, alkyl, cycloalkyl, etc.; with the provisos] which are structurally unrelated to the natural product and semi-synthetic  $\beta$ -lactamase inhibitors presently available and which do not require a  $\beta$ -lactam pharmacophore. The invention also provides pharmaceutical compns. and methods for inhibiting bacterial growth. Preparation of compds. I is described. E.g., a 4-step synthesis of sodium salt of II which showed IC50 of 622  $\mu$ M against  $\beta$ -lactamase, was given.

IT 318460-62-7P 318460-64-9P 318463-03-5P  
 318463-04-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of sulfonamidomethyl and carboxamidomethyl phosphonate  $\beta$ -lactamase inhibitors and their antibacterial use)

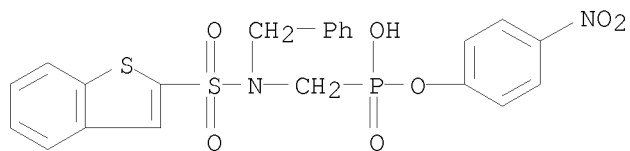
RN 318460-62-7 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)



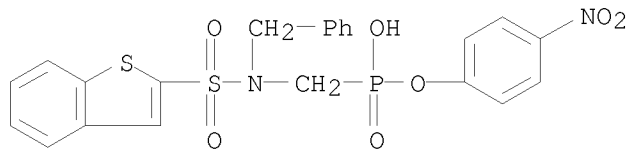
RN 318460-64-9 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)



RN 318463-03-5 CAPLUS

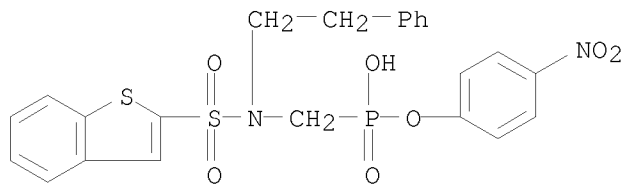
CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)



● NH<sub>3</sub>

RN 318463-04-6 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)



● NH<sub>3</sub>

RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 83 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:333690 CAPLUS

DN 140:357061

TI Preparation of sulfonamides having antiangiogenic and anticancer activity

IN Comess, Kenneth M.; Erickson, Scott A.; Henkin, Jack; Kalvin, Douglas M.; Kawai, Megumi; Kim, Ki H.; Bamaung, Nwe Y.; Park, Chan Hoon; Sheppard, George S.; Vasudevan, Anil; Wang, Jieyi; Barnes, David M.; Fidanze, Steve D.; Kolaczowski, Lawrence; Mantel, Robert A.; Park, David C.; Sanders, William J.; Tedrow, Jason S.; Wang, Gary T.

PA Abbott Laboratories, USA

SO PCT Int. Appl., 309 pp.

CODEN: PIXXD2

DT Patent  
LA English  
FAN.CNT 3

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	US 20040068012	A1	20040408	US 2002-267081	20021008
	US 20040157836	A1	20040812	US 2003-667358	20030923
				US 2002-267081	A2 20021008
	CA 2501520	A1	20040422	CA 2003-2501520	20031006
				US 2002-267081	A 20021008
				US 2003-667358	A 20030923
				WO 2003-US31671	W 20031006
	AU 2003279857	A1	20040504	AU 2003-279857	20031006
				US 2002-267081	A 20021008
				US 2003-667358	A 20030923
				WO 2003-US31671	W 20031006
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				US 2002-267081	A 20021008
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				WO 2003-US31671	W 20031006

PATENT FAMILY INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FAN	2004:293400				
PI	US 20040068012	A1	20040408	US 2002-267081	20021008
	US 20040157836	A1	20040812	US 2003-667358	20030923
				US 2002-267081	A2 20021008
	CA 2501520	A1	20040422	CA 2003-2501520	20031006
				US 2002-267081	A 20021008
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				WO 2003-US31671	W 20031006
	WO 2004033419	A1	20040422	WO 2003-US31671	20031006
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				US 2002-267081	A 20021008
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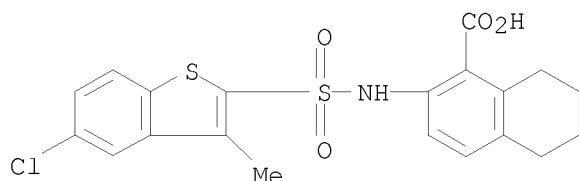
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			US 2003-667358	A 20030923
			WO 2003-US31671	W 20031006
FAN 2004:652631				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI US 20040157836	A1	20040812	US 2003-667358	20030923
			US 2002-267081	A2 20021008
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CA 2501520	A1	20040422	CA 2003-2501520	20031006
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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
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			US 2002-267081	A 20021008
			US 2003-667358	A 20030923
AU 2003279857	A1	20040504	AU 2003-279857	20031006
			US 2002-267081	A 20021008
			US 2003-667358	A 20030923
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EP 1549613	A1	20050706	EP 2003-773182	20031006
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			US 2002-267081	A 20021008
			US 2003-667358	A 20030923
			WO 2003-US31671	W 20031006
OS MARPAT 140:357061				
AB The title compds. [I; A = 5-6 membered (non)aromatic ring containing 0-3 atoms selected from N, O, and S (wherein the ring is optionally fused to a second 5-7 membered (non)aromatic ring containing 0-3 atoms selected from N, O, and S); R1-R3 = H, alkenyl, alkoxy, etc.; R4 = H, alkyl, alkoxy, etc.; R5 = alkyl, NH2, aminoalkyl, aryl, etc.; R6 = H, alkyl, aryl, etc.; provided that when A = Ph, at least one of R1-R4 is other than H, alkyl, halo] having methionine aminopeptidase-2 inhibitory (MetAP2) activity, were prepared E.g., a multi-step synthesis of 5-ethyl-2-[(phenylsulfonyl)amino]benzoic acid, starting from 4-ethylaniline, was given. Representative compds. I had IC50's between about 0.005 $\mu$ M and >100 $\mu$ M against MetAP2. Also described are pharmaceutical compns. comprising the compds. I, methods of treatment using the compds. I, methods of inhibiting angiogenesis, and methods of treating cancer.				
IT 681242-90-0P				

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamides having antiangiogenic and anticancer activity)

RN 681242-90-0 CAPLUS

CN 1-Naphthalenecarboxylic acid, 2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-5,6,7,8-tetrahydro- (CA INDEX NAME)



RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 84 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:271163 CAPLUS

DN 141:17253

TI Usefulness of chymase inhibitor for arrhythmia occurring rates in dogs with post myocardial infarction

AU Kin, Norio; Takai, Masashi; Okamoto, Yukiko; Muramatsu, Michiko; Miyazaki, Mizuo

CS Dep. of Pharmacology, Osaka Medical University, Japan

SO Ketsuatsu (2004), 11(3), 279-284

CODEN: KETSAH; ISSN: 1340-4598

PB Sentan Igakusha

DT Journal

LA Japanese

AB The effect of chymase inhibitor TY51184 for arrhythmia occurring rates in dogs with post myocardial infarction was studied. The concentration of angiotensin II in serum and heart tissue was measured after the ligation of dog coronary artery, and the inhibitory effect of TY51184 on chymase was investigated. The activation of chymase and angiotensin II after myocardial infarction was related with arrhythmia, and the inhibition of chymase related with antiarrhythmics was discussed. The results also indicated that arrhythmia occurring rates in dogs with post myocardial infarction was inhibited with AT1 receptor inhibitor candesartan, and the mechanism of antiarrhythmics related with AT1 receptor was confirmed.

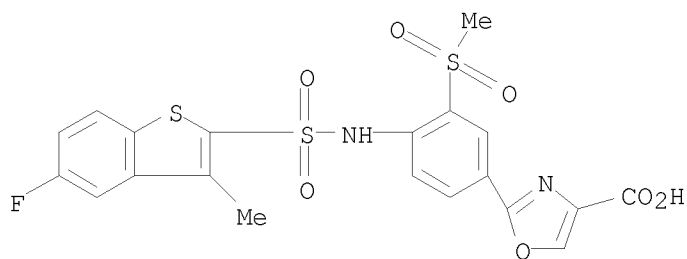
IT 404963-97-9, TY51184

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

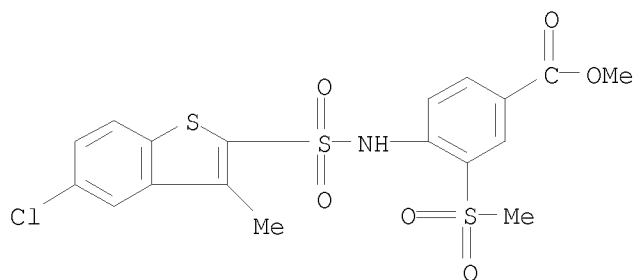
(usefulness of chymase inhibitor for arrhythmia occurring rates in dogs with post myocardial infarction)

RN 404963-97-9 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)

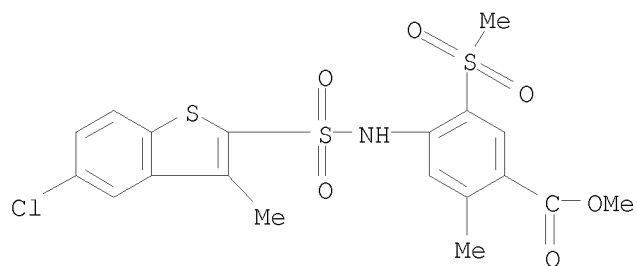


L6 ANSWER 85 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2004:214137 CAPLUS  
 DN 141:331992  
 TI Structure-activity relationship of benzo[b]thiophene-2-sulfonamide derivatives as novel human chymase inhibitors. [Erratum to document cited in CA140:076968]  
 AU 6505255Masaki, Hidekazu; Mizuno, Yusuke; Tatui, Akira; Murakami, Akira; Koide, Yuuki; Satoh, Shoji; Takahashi, Atsuo  
 CS Drug Research Department, Tokyo Research Laboratories, TOA EIYO Ltd., Omiya-ku, Saitama-shi, Saitama, 330-0834, Japan  
 SO Bioorganic & Medicinal Chemistry Letters (2004), 14(7), 1817  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PB Elsevier Science B.V.  
 DT Journal  
 LA English  
 AB The general structure in Table 1 was not shown in the article; the full table is given.  
 IT 404963-75-3 404963-79-7 404963-80-0  
 404963-81-1 404963-82-2 404963-91-3  
 404963-92-4 404963-93-5 404964-01-8  
 404964-02-9 404964-12-1 404964-36-9  
 603987-65-1 603987-66-2 640287-51-0  
 640287-52-1 640287-53-2 640287-54-3  
 640287-55-4 640287-56-5 640287-57-6  
 RL: PAC (Pharmacological activity); BIOL (Biological study)  
 (preparation, docking model, and structure-activity relationship of benzo[b]thiophene sulfonamide derivs. as novel human chymase inhibitors (Erratum))  
 RN 404963-75-3 CAPLUS  
 CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



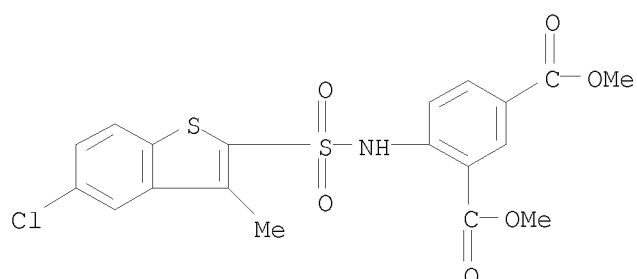
RN 404963-79-7 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-2-methyl-5-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



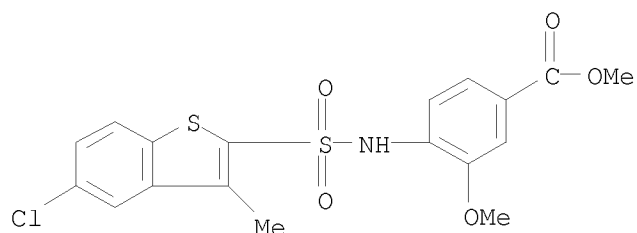
RN 404963-80-0 CAPLUS

CN 1,3-Benzenedicarboxylic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, 1,3-dimethyl ester (CA INDEX NAME)



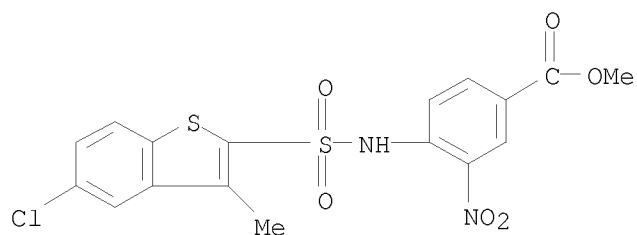
RN 404963-81-1 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxy-, methyl ester (CA INDEX NAME)



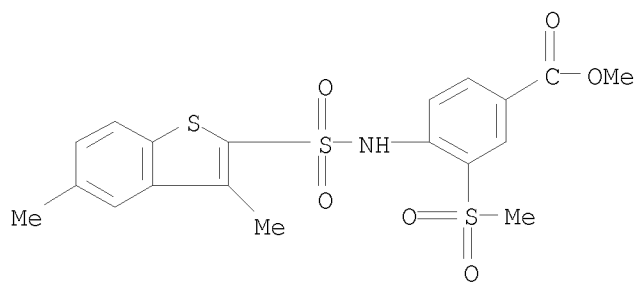
RN 404963-82-2 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-nitro-, methyl ester (CA INDEX NAME)



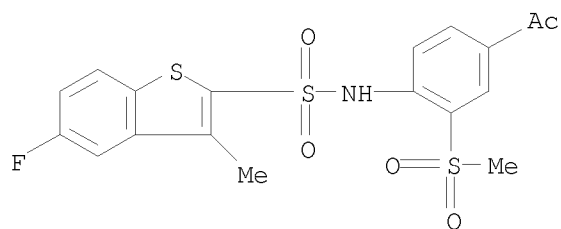
RN 404963-91-3 CAPLUS

CN Benzoic acid, 4-[[3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



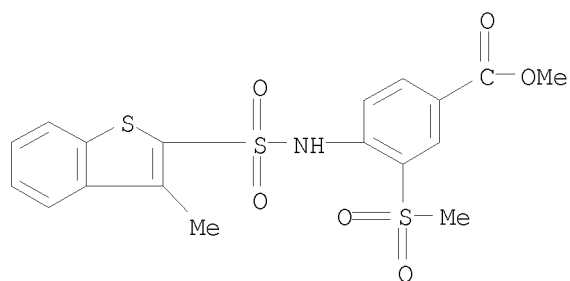
RN 404963-92-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-acetyl-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



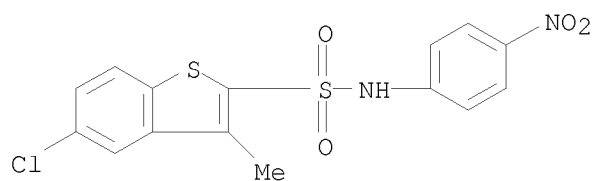
RN 404963-93-5 CAPLUS

CN Benzoic acid, 4-[[3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

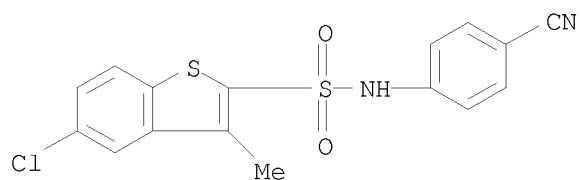




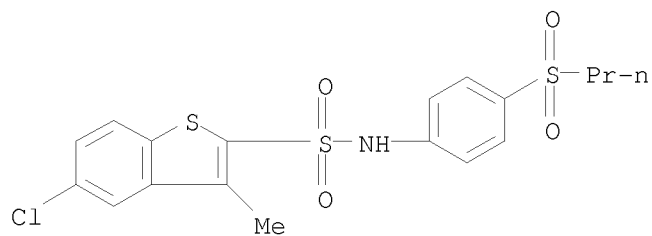
RN 404964-01-8 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-(4-nitrophenyl)- (CA INDEX NAME)



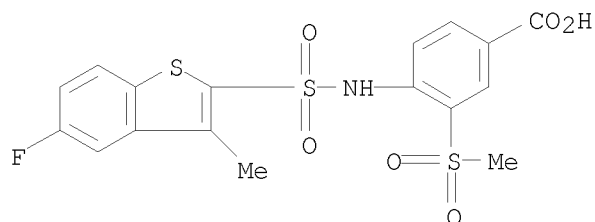
RN 404964-02-9 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-cyanophenyl)-3-methyl- (CA INDEX NAME)



RN 404964-12-1 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(propylsulfonyl)phenyl]- (CA INDEX NAME)

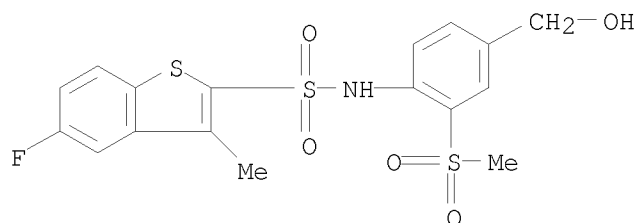


RN 404964-36-9 CAPLUS  
 CN Benzoic acid, 4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)



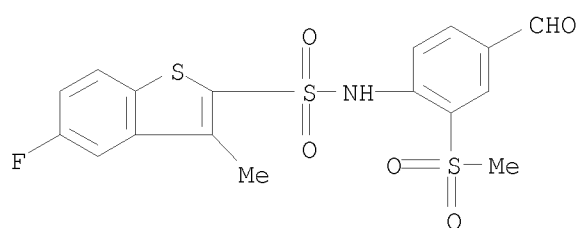
RN 603987-65-1 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(hydroxymethyl)-2-

(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



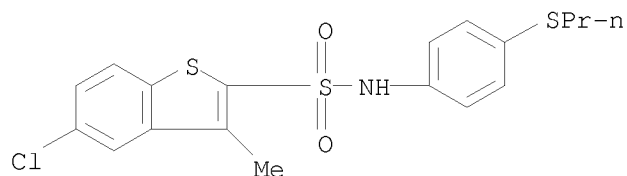
RN 603987-66-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-formyl-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



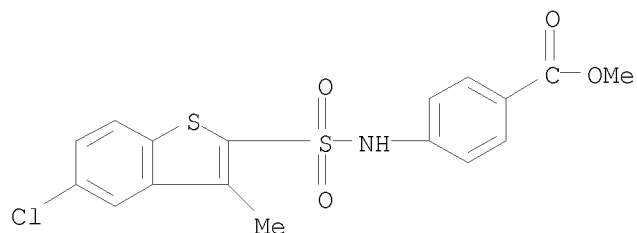
RN 640287-51-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(propylthio)phenyl]- (CA INDEX NAME)



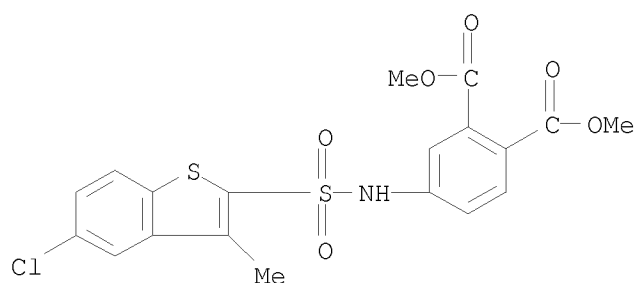
RN 640287-52-1 CAPLUS

CN Benzoic acid, 4-[[ (5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, methyl ester (CA INDEX NAME)



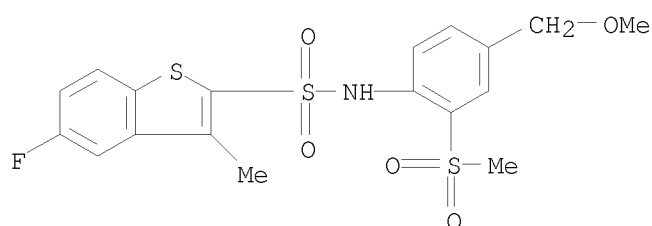
RN 640287-53-2 CAPLUS

CN 1,2-Benzenedicarboxylic acid, 4-[[ (5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, 1,2-dimethyl ester (CA INDEX NAME)



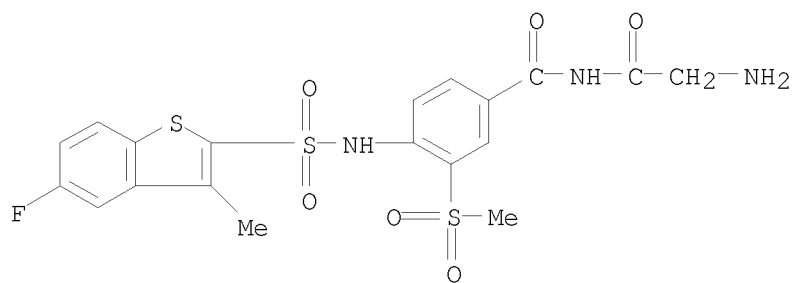
RN 640287-54-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(methoxymethyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 640287-55-4 CAPLUS

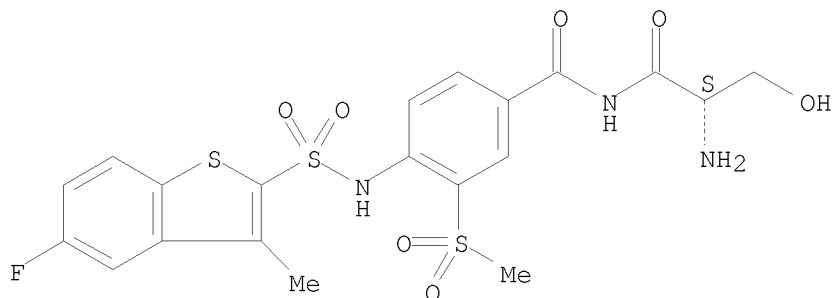
CN Benzamide, N-(2-aminoacetyl)-4-[[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)



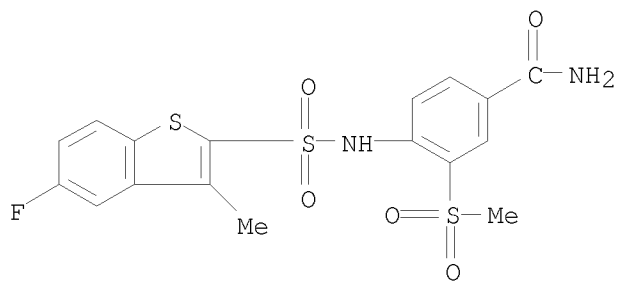
RN 640287-56-5 CAPLUS

CN Benzamide, N-[(2S)-2-amino-3-hydroxy-1-oxopropyl]-4-[[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)

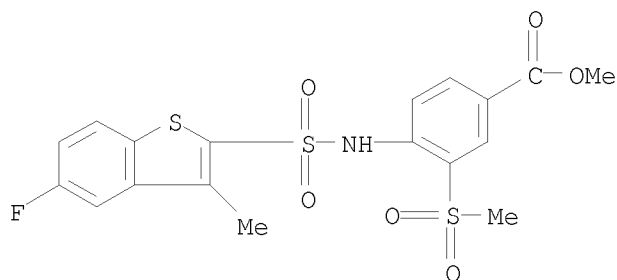
Absolute stereochemistry.



RN 640287-57-6 CAPLUS  
 CN Benzamide, 4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)



IT 404963-90-2P  
 RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation, docking model, and structure-activity relationship of benzothiophene sulfonamide derivs. as novel human chymase inhibitors (Erratum))  
 RN 404963-90-2 CAPLUS  
 CN Benzoic acid, 4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



L6 ANSWER 86 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2004:201685 CAPLUS  
 DN 140:314943  
 TI Effect of the acute and chronic administration of the selective 5-HT6

receptor antagonist SB-271046 on the activity of midbrain dopamine neurons in rats: an in vivo electrophysiological study

AU Minabe, Yoshio; Shirayama, Yukihiro; Hashimoto, Kenji; Routledge, Carol; Hagan, Jim J.; Ashby, Charles R., Jr.

CS Department of Psychiatry and Neurology, Hamamatsu University School of Medicine, Shizuoka, 431-3192, Japan

SO Synapse (New York, NY, United States) (2004), 52(1), 20-28

CODEN: SYNAET; ISSN: 0887-4476

PB Wiley-Liss, Inc.

DT Journal

LA English

AB This study examined the effect of the acute and repeated per os (p.o.) administration of the selective 5-HT<sub>6</sub> receptor antagonist SB-271046, on the number, as well as the firing pattern of spontaneously active dopamine (DA) neurons in the rat substantia nigra pars compacta (SNc) and ventral tegmental area (VTA) in anesthetized male Sprague-Dawley rats. This was accomplished using the technique of extracellular in vivo electrophysiol. A single p.o. administration of either 1, 3, or 10 mg/kg of SB-271046 did not significantly alter the number of spontaneously active SNc DA neurons per stereotaxic electrode tract compared to vehicle-treated animals. The acute administration of either 1 or 3 mg/kg of SB-271046 did not significantly alter the number of spontaneously active VTA DA neurons. In contrast, a significant decrease in the number of spontaneously active VTA DA neurons was observed after a single administration of 10 mg/kg of SB-271046 compared to vehicle-treated animals. The acute p.o. administration of SB-271046 significantly altered the firing pattern parameters of all (bursting + nonbursting DA neurons) DA neurons, particularly those in the VTA, compared to vehicle-treated animals. The repeated p.o. administration (once per day for 21 days) of 1, 3, or 10 mg/kg of SB-271046 did not significantly alter the number of spontaneously active VTA DA neurons compared to vehicle-treated animals. The repeated administration of 3 or 10 mg/kg of SB-271046 significantly increased the number of spontaneously active SNc DA neurons compared to vehicle controls. Overall, the repeated administration of SB-271046 had relatively little effect on the firing pattern of midbrain DA neurons. The results obtained following the chronic administration of SB-271046 show that this compound has a profile different from that of typical or atypical antipsychotic drugs in this model. Clin. studies are required to understand what role 5-HT<sub>6</sub> receptor blockade might eventually play in the treatment of schizophrenia.

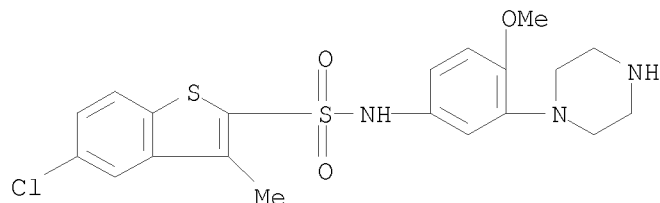
IT 209481-20-9, SB-271046

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(5-HT<sub>6</sub> receptor antagonist SB-271046 effect on midbrain dopamine neurons: possible schizophrenia therapy)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 87 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2004:120574 CAPLUS  
DN 140:181318  
TI Preparation of sulfonamidomethyl and carboxamidomethyl phosphonate  
inhibitors of  $\beta$ -lactamase  
IN Besterman, Jeffrey M.; Rahil, Jubrail; Vaisburg, Arkadii  
PA Methylgene, Inc., Can.  
SO U.S. Pat. Appl. Publ., 96 pp., Cont.-in-part of U.S. Ser. No. 266,213.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20040029836	A1	20040212	US 2002-302124	20021122
	US 6884791	B2	20050426		
				US 1999-142362P	P 19990706
				US 2000-610456	A2 20000705
				US 2002-266213	A2 20021008
	US 6472406	B1	20021029	US 2000-610456	20000705
				US 1999-142362P	P 19990706
	US 20040059115	A1	20040325	US 2002-266213	20021008
	US 7030103	B2	20060418		
				US 1999-142362P	P 19990706
				US 2000-610456	A1 20000705
	US 20040082546	A1	20040429	US 2003-411484	20030408
	US 6921756	B2	20050726		
				US 1999-142362P	P 19990706
				US 2000-610456	A2 20000705
				US 2002-266213	A2 20021008
				US 2002-302124	A2 20021122
WO	2004048393	A2	20040610	WO 2003-US36929	20031119
WO	2004048393	A3	20040819		
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	GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
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	PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,				
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	RW:				
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	ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,				
	TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2002-302124	A1 20021122
				US 2003-411484	A1 20030408
AU	2003295638	A1	20040618	AU 2003-295638	20031119
				US 2002-302124	A 20021122
				US 2003-411484	A 20030408
				WO 2003-US36929	W 20031119
	US 20050043276	A1	20050224	US 2004-884435	20040702
	US 7259172	B2	20070821		
				US 1999-142362P	P 19990706
				US 2000-610456	A2 20000705
				US 2002-266213	A2 20021008
				US 2002-302124	A3 20021122
	US 20060105999	A1	20060518	US 2005-535391	20050518

US 20070293675	A1	20071220	US 2002-302124	A2 20021122
			US 2003-411484	A2 20030408
			WO 2003-US36929	W 20031119
			US 2007-830305	20070730
			US 1999-142362P	P 19990706
			US 2000-610456	A1 20000705
			US 2002-266213	A2 20021008
			US 2002-302124	A3 20021122
			US 2004-884435	A3 20040702

PATENT FAMILY INFORMATION:

FAN 2001:31512

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001002411	A1	20010111	WO 2000-US18344	20000705
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
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				US 1999-142362P	P 19990706
	CA 2377762	A1	20010111	CA 2000-2377762	20000705
	CA 2377762	C	20080930		
				US 1999-142362P	P 19990706
				WO 2000-US18344	W 20000705
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EP 1194436	A1	20020410			
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				WO 2000-US18344	W 20000705
JP 2003503505	T	20030128		JP 2001-507847	20000705
				US 1999-142362P	P 19990706
				WO 2000-US18344	W 20000705
AU 770599	B2	20040226		AU 2000-57858	20000705
				US 1999-142362P	P 19990706
				WO 2000-US18344	W 20000705
AT 311397	T	20051215		AT 2000-943381	20000705
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				WO 2000-US18344	W 20000705
ES 2250150	T3	20060416		ES 2000-943381	20000705
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MX 2002PA00246	A	20030820		MX 2002-PA246	20020107
				US 1999-142362P	P 19990706
				WO 2000-US18344	W 20000705

FAN 2004:353142

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20040082546	A1	20040429	US 2003-411484	20030408
	US 6921756	B2	20050726		
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				US 2000-610456	A2 20000705
				US 2002-266213	A2 20021008
				US 2002-302124	A2 20021122
US 6472406	B1	20021029		US 2000-610456	20000705
				US 1999-142362P	P 19990706
US 20040059115	A1	20040325		US 2002-266213	20021008
US 7030103	B2	20060418			

				US 1999-142362P	P 19990706
				US 2000-610456	A1 20000705
US 20040029836	A1	20040212		US 2002-302124	20021122
US 6884791	B2	20050426			
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				US 2000-610456	A2 20000705
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WO 2004048393	A2	20040610		WO 2003-US36929	20031119
WO 2004048393	A3	20040819			
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				US 2003-411484	A1 20030408
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				US 2002-302124	A 20021122
				US 2003-411484	A 20030408
				WO 2003-US36929	W 20031119
US 20060105999	A1	20060518		US 2005-535391	20050518
				US 2002-302124	A2 20021122
				US 2003-411484	A2 20030408
				WO 2003-US36929	W 20031119
FAN 2006:464674					
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
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PI US 20060105999	A1	20060518	US 2005-535391	20050518	
			US 2002-302124	A2 20021122	
			US 2003-411484	A2 20030408	
			WO 2003-US36929	W 20031119	
US 20040029836	A1	20040212	US 2002-302124	20021122	
US 6884791	B2	20050426			
			US 1999-142362P	P 19990706	
			US 2000-610456	A2 20000705	
			US 2002-266213	A2 20021008	
US 20040082546	A1	20040429	US 2003-411484	20030408	
US 6921756	B2	20050726			
			US 1999-142362P	P 19990706	
			US 2000-610456	A2 20000705	
			US 2002-266213	A2 20021008	
			US 2002-302124	A2 20021122	
WO 2004048393	A2	20040610	WO 2003-US36929	20031119	
WO 2004048393	A3	20040819			
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US 2002-302124

A1 20021122

US 2003-411484

A1 20030408

OS MARPAT 140:181318

AB The intention relates to bacterial antibiotic resistance and, in particular, to compns. and methods for overcoming bacterial antibiotic resistance. The invention provides novel  $\beta$ -lactamase inhibitors I [R1 = (un)substituted (hetero)aryl; Z = C, CH<sub>2</sub>, S; n = 0-2 when Z = S; n = 1 when Z = C; n = 0 when Z = CH<sub>2</sub>; L = alkyl, alkoxy, CO, C(:NOMe); R2 = H, alkyl, cycloalkyl, etc.; R3 = H, alkyl, aryl, etc.; R4 = OH, F, SR7, N(R7)<sub>2</sub>; R5 = F, OR6, SR7, N(R7)<sub>2</sub>; R6 = H, alkyl, cycloalkyl, etc.; R7 = H, alkyl, cycloalkyl, etc.; with the provisos] which are structurally unrelated to the natural product and semi-synthetic  $\beta$ -lactamase inhibitors presently available and which do not require a  $\beta$ -lactam pharmacophore. The invention also provides pharmaceutical compns. and methods for inhibiting bacterial growth. Preparation of compds. I is described. E.g., a 4-step synthesis of sodium salt of II which showed IC<sub>50</sub> of 622  $\mu$ M against  $\beta$ -lactamase, was given.

IT 318460-62-7P 318460-64-9P 318463-03-5P

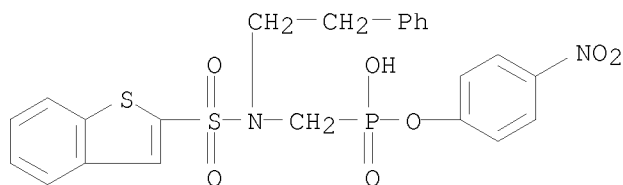
318463-04-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamidomethyl and carboxamidomethyl phosphonate  $\beta$ -lactamase inhibitors and their antibacterial use)

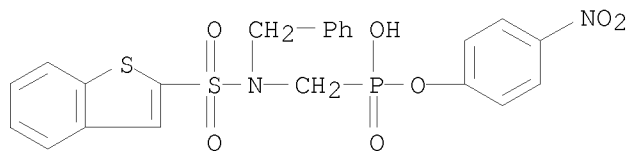
RN 318460-62-7 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)



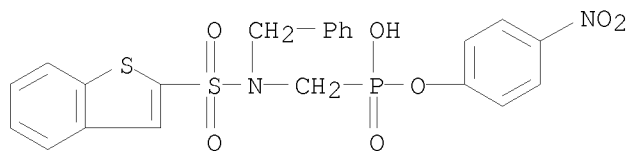
RN 318460-64-9 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)



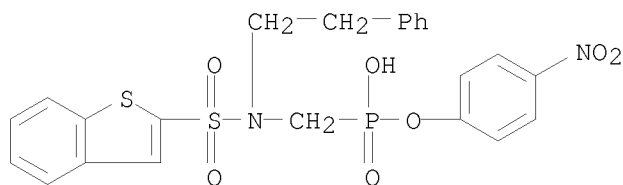
RN 318463-03-5 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)



● NH<sub>3</sub>

RN 318463-04-6 CAPLUS  
 CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI)  
 (CA INDEX NAME)



● NH<sub>3</sub>

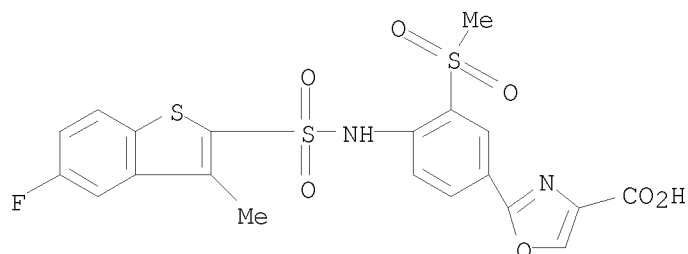
RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 88 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2004:78778 CAPLUS  
 DN 140:332085  
 TI Significance of chymase inhibition for prevention of adhesion formation  
 AU Okamoto, Yukiko; Takai, Shinji; Miyazaki, Mizuo  
 CS Department of Pharmacology, Osaka Medical College, Department of  
 Pharmaceutical Sciences, Osaka, Takatsuki City, 589-8686, Japan  
 SO European Journal of Pharmacology (2004), 484(2-3), 357-359  
 CODEN: EJPHAZ; ISSN: 0014-2999  
 PB Elsevier Science B.V.  
 DT Journal  
 LA English  
 AB To clarify the role of chymase in adhesion formation, we investigated  
 whether a chymase inhibitor could prevent adhesion formation after surgery  
 in hamsters. Hamsters received a lesion produced by uterus scraping. A  
 specific chymase inhibitor, 2-[4-(5-fluoro-3-methylbenzo[b]thiophen-2-  
 yl)sulfonamido-3-(methanesulfonyl)phenyl]oxazole-4-carboxylic acid  
 (TY-51184), or placebo was injected into the abdomen before closing and  
 scores for adhesion formation were assessed at 1, 4, and 12 wk. A single  
 peritoneal administration of TY-51184 significantly decreased the adhesion  
 scores even at 12 wk (placebo, 2.80±0.20; chymase inhibitor,  
 1.60±0.31). Thus, chymase inhibitors may be a novel strategy to  
 prevent adhesion formation.  
 IT 404963-97-9, TY 51184

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU  
(Therapeutic use); BIOL (Biological study); USES (Uses)  
(chymase inhibition with TY-51184 for prevention of peritoneal adhesion  
formation)

RN 404963-97-9 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[5-fluoro-3-methylbenzo[b]thien-2-  
yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 89 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:41460 CAPLUS

DN 140:111269

TI Preparation of bisarylsulfonamide compounds and their use in cancer  
therapy

IN Wang, Shudong; Gibson, Darren; Duncan, Kenneth; Bailey, Kevin; Thomas,  
Mark; MacCallum, David; Zheleva, Daniella; Turner, Nicholas John; Fischer,  
Peter Martin

PA Cyclacel Limited, UK

SO PCT Int. Appl., 149 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004005278	A1	20040115	WO 2003-GB2923	20030707
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2488816	A1	20040115	GB 2002-15650	A 20020705
				CA 2003-2488816	20030707
				GB 2002-15650	A 20020705
				WO 2003-GB2923	W 20030707
	AU 2003244847	A1	20040123	AU 2003-244847	20030707
				GB 2002-15650	A 20020705
				WO 2003-GB2923	W 20030707
	EP 1519932	A1	20050406	EP 2003-738323	20030707
	EP 1519932	B1	20071003		

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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

			GB 2002-15650	A	20020705
			WO 2003-GB2923	W	20030707
CN 1665802	A	20050907	CN 2003-815948		20030707
			GB 2002-15650	A	20020705
JP 2006508906	T	20060316	JP 2004-518989		20030707
			GB 2002-15650	A	20020705
			WO 2003-GB2923	W	20030707
NZ 536494	A	20061027	NZ 2003-536494		20030707
			GB 2002-15650	A	20020705
			WO 2003-GB2923	W	20030707
AT 374763	T	20071015	AT 2003-738323		20030707
			GB 2002-15650	A	20020705
US 20050215548	A1	20050929	US 2004-988388		20041112
			GB 2002-15650	A	20020705
			WO 2003-GB2923	A1	20030707

OS MARPAT 140:111269

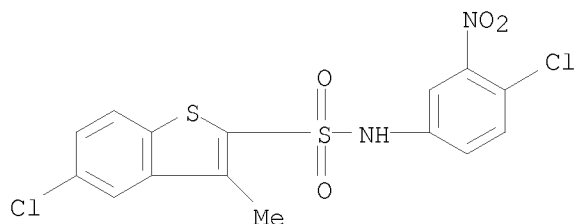
AB The title compds. Ar1SO2N(R1)WnAr2 [I; W = alkylene, alkenylene; n = 0-1; R1 = H, alkyl, alkenyl, aryl, aralkyl; Ar1 = substituted thienyl, Ph, benzothienyl, benzothiadiazolyl, etc.; Ar2 = substituted Ph, indolyl, benzimidazolyl], useful for modulating HDM2-dependent regulation of the tumor suppressor p53 and/or E2F transcription factors in living cells, were prepared. General methods for the preparation of the compds. I were given. The compds. I were tested in HDM2 binding assay as well as for anti-proliferative effect on cell line (data given for 131 compds.). The biol. effect of I on cellular level was studied using representative compds. I [mainly 5-chloro-4-nitrothiophene-2-sulfonic acid (4-chlorophenyl)amide] and number of cell lines with different HDM2 and p53 status. Further aspects of the invention relate to pharmaceutical compns. comprising I, and an assay for determining binding to HDM2.

IT 646040-35-9P 646040-62-2P 646040-63-3P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (hetero)arylsulfonamides as antitumor agents)

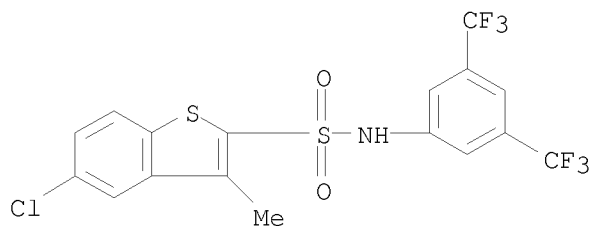
RN 646040-35-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-chloro-3-nitrophenyl)-3-methyl- (CA INDEX NAME)

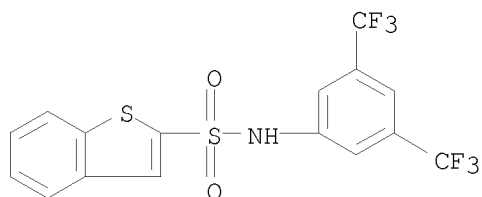


RN 646040-62-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[3,5-bis(trifluoromethyl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)



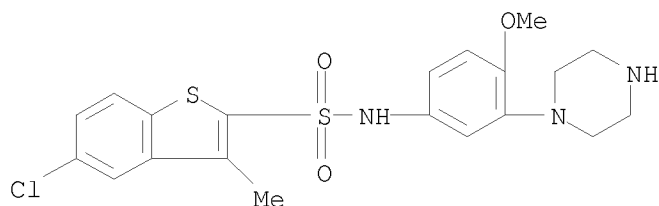
RN 646040-63-3 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-[3,5-bis(trifluoromethyl)phenyl]- (CA INDEX NAME)



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 90 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2004:10194 CAPLUS  
 DN 140:229219  
 TI 5-HT6 receptor antagonist SB-271046 enhances extracellular levels of monoamines in the rat medial prefrontal cortex  
 AU Lacroix, Laurent P.; Dawson, Lee A.; Hagan, Jim J.; Heidbreder, Christian A.  
 CS Centre of Excellence for Drug Discovery in Psychiatry, Department of Biology, GlaxoSmithKline Pharmaceuticals, Verona, 37135, Italy  
 SO Synapse (New York, NY, United States) (2003), Volume Date 2004, 51(2), 158-164  
 CODEN: SYNAET; ISSN: 0887-4476  
 PB Wiley-Liss, Inc.  
 DT Journal  
 LA English  
 AB The present study investigated the neurochem. effects of the selective 5-HT6 receptor antagonist SB-271046 in the rat medial prefrontal cortex (mPFC). The effect of SB-271046 on extracellular levels of dopamine (DA), norepinephrine (NE), and serotonin (5-HT) in the mPFC was examined using in vivo microdialysis in the freely moving rat. SB-271046 (10 mg/kg, p.o.) produced a significant increase in extracellular levels of both DA and NE without altering 5-HT neurotransmission. These results further support the rationale for the use of 5-HT6 receptor antagonists in the treatment of cognitive dysfunction associated with psychiatric diseases.  
 IT 209481-20-9, SB-271046  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (5-HT6 receptor antagonist SB-271046 enhances extracellular levels of monoamines in rat medial prefrontal cortex)  
 RN 209481-20-9 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-

piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



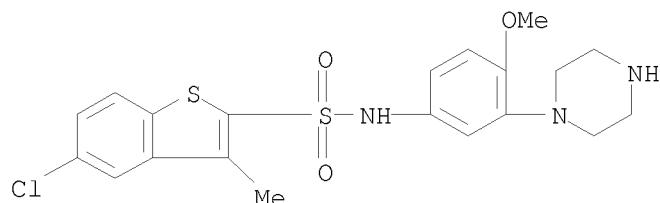
RE.CNT 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 91 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2003:1009205 CAPLUS  
DN 141:99494  
TI The 5-HT<sub>6</sub> Receptor Antagonist SB-271046 Reverses Scopolamine-Disrupted Consolidation of a Passive Avoidance Task and Ameliorates Spatial Task Deficits in Aged Rats  
AU Foley, Andrew G.; Murphy, Keith J.; Hirst, Warren D.; Gallagher, Helen C.; Hagan, Jim J.; Upton, Neil; Walsh, Frank S.; Regan, Ciaran M.  
CS Conway Institute, Department of Pharmacology, University College Dublin, Belfield, Ire.  
SO Neuropsychopharmacology (2004), 29(1), 93-100  
CODEN: NEROEW; ISSN: 0893-133X  
PB Nature Publishing Group  
DT Journal  
LA English  
AB The highly potent and selective 5-HT<sub>6</sub> receptor antagonist SB-271046 [5-chloro-N-(4-methoxy-3-piperazin-1-yl-phenyl)-3-methyl-2-benzothiophenesulfonamide] has previously been demonstrated to improve retention significantly in a spatial water maze paradigm in adult rats. However, SB-271046 did not have any effect on task acquisition. As these apparently contradictory findings may be reconciled by a prime influence of SB-271046 on memory consolidation, the ability of this compound to reverse the discrete temporal action of a cholinergic antagonist in the 6-h period following passive avoidance training was investigated. SB-271046, given orally, by gavage, 30 min prior to training Wistar rats in a step-through, light-dark passive avoidance task, was found to reverse significantly the amnesia produced by administering scopolamine (0.8 mg/kg, i.p.) in the 6-h post-training period. The effect was dose-dependent over a range of 3-20 mg/kg. Further, we investigated the cognition-enhancing effects of chronic SB-271046 administration (10 or 20 mg/kg/day; 40 days) on the acquisition and consolidation of a water maze spatial learning task in a population of 20-mo-old Wistar rats with age-related learning deficits. Drug treatment progressively and significantly decreased platform swim angle and escape latencies over the five sequential trials on four consecutive daily sessions compared to vehicle-treated controls. SB-271046 also improved task recall as measured by significant increases in the searching of the target quadrant on post-training days 1 and 3, when the animals would have been substantially drug-free. This significant improvement of task recall suggests SB-271046, in addition to inducing symptomatic cognition-enhancing actions, also attenuates age-related decline in neural function.  
IT 209481-20-9, SB-271046  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(5-HT6 receptor antagonist SB-271046 reverses scopolamine-disrupted consolidation of a passive avoidance task and ameliorates spatial task deficits in aged rats)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 92 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:991173 CAPLUS

DN 140:27762

TI Preparation of 1-(indol-3-yl)alkylidenehydrazine carboximidamides as 5-hydroxytryptamine-6 ligands

IN Cole, Derek Cecil; Kelly, Michael Gerard; Bravo, Byron Abel; Palmer, Yvette Latko

PA Wyeth, John, and Brother Ltd., USA

SO U.S. Pat. Appl. Publ., 27 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20030232843	A1	20031218	US 2003-434965	20030509
	US 6951881	B2	20051004		
				US 2002-379487P	P 20020510

OS MARPAT 140:27762

AB The title compds. [I; X = N, CR<sub>3</sub>; Y = N, CR<sub>4</sub>; R<sub>1</sub>-R<sub>4</sub> = H, halo, CN, etc.; R<sub>5</sub>-R<sub>7</sub> = H, alkyl, cycloalkyl, etc.; R<sub>8</sub> = H, alkyl, cycloalkyl; R<sub>9</sub> = H, halo, CN, NO<sub>2</sub>, etc.; or R<sub>8</sub> and R<sub>9</sub> may be taken together with the atoms to which they are attached to form (un)substituted 5-7 membered ring containing 1-2 heteroatoms; R<sub>10</sub> = H, alkyl, (hetero)aryl; with the provisos], useful for the therapeutic treatment of a disorder relating to or affected by the 5-HT<sub>6</sub> receptor, were prepared Thus, reacting 3-acetyl-5-[(phenylsulfonyl)amino]-1H-indole (preparation given) with aminoguanidine bicarbonate in the presence of concentrate HCl in iso-PrOH afforded 75% II.HCl which showed K<sub>i</sub> of 1.0 nM against 5-HT<sub>6</sub> receptor binding. Pharmaceutical composition comprising the compound I is claimed.

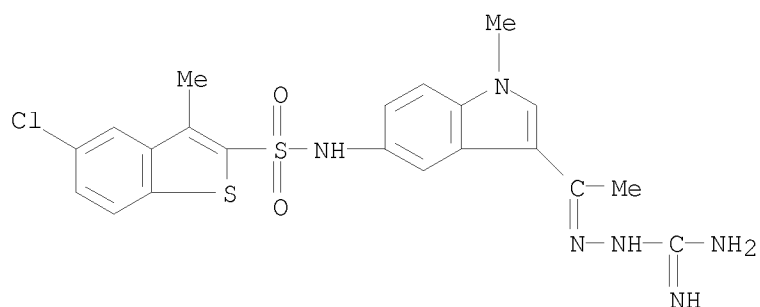
IT 634182-69-7P 634182-70-0P 634182-71-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

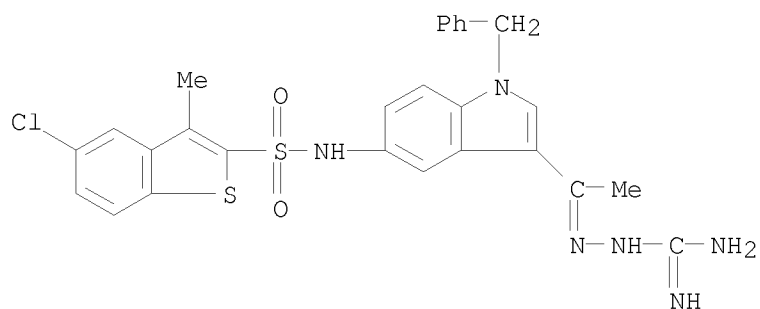
(preparation of 1-(indol-3-yl)alkylidenehydrazine carboximidamides as 5-hydroxytryptamine-6 ligands)

RN 634182-69-7 CAPLUS

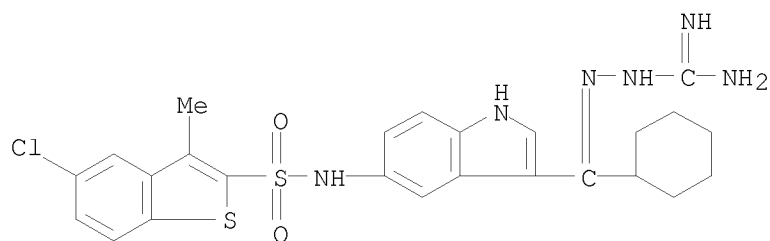
CN Hydrazinecarboximidamide, 2-[1-[5-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-1-methyl-1H-indol-3-yl]ethylidene]- (CA INDEX NAME)



RN 634182-70-0 CAPLUS  
 CN Hydrazinecarboximidamide, 2-[1-[5-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-1-(phenylmethyl)-1H-indol-3-yl]ethylidene]- (CA INDEX NAME)



RN 634182-71-1 CAPLUS  
 CN Hydrazinecarboximidamide, 2-[[1-[5-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-1H-indol-3-yl]cyclohexylmethylene]- (CA INDEX NAME)

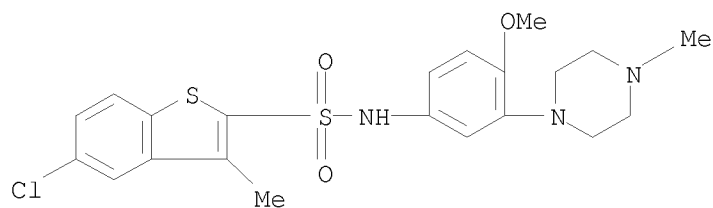


RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

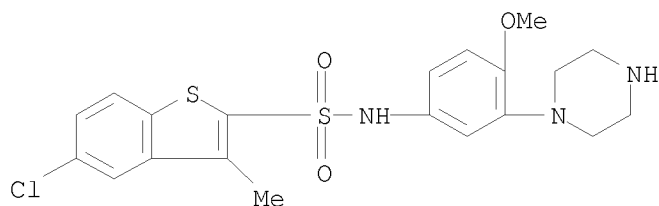
L6 ANSWER 93 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2003:967169 CAPLUS  
 DN 140:139648  
 TI Differences in the central nervous system distribution and pharmacology of the mouse 5-hydroxytryptamine-6 receptor compared with rat and human receptors investigated by radioligand binding, site-directed mutagenesis, and molecular modeling



AU Hirst, Warren D.; Abrahamsen, Bjarke; Blaney, Frank E.; Calver, Andrew R.; Aloj, Lucia; Price, Gary W.; Medhurst, Andrew D.  
 CS Neurology and Gl Centre of Excellence for Drug Discovery, GlaxoSmithKline, Essex, UK  
 SO Molecular Pharmacology (2003), 64(6), 1295-1308  
 CODEN: MOPMA3; ISSN: 0026-895X  
 PB American Society for Pharmacology and Experimental Therapeutics  
 DT Journal  
 LA English  
 AB There is increasing evidence for a role of 5-hydroxytryptamine-6 (5-HT6) receptors in cognitive function. In the rat and human brain, 5-HT6 receptors are widely expressed and highly enriched in the basal ganglia. However, in the mouse brain, only very low levels of 5-HT6 receptor mRNA and receptor protein, measured by TaqMan reverse transcriptase-polymerase chain reaction and selective radioligand binding, could be detected, with no evidence of enrichment in the basal ganglia. The mouse receptor was cloned and transiently expressed in human embryonic kidney 293 cells to characterize its pharmacol. profile. Despite significant sequence homol. between human, rat, and mouse 5-HT6 receptors, the pharmacol. profile of the mouse receptor was significantly different from the rat and human receptors. Four amino acid residues, conserved in rat and human and divergent in mouse receptors, were identified, and various mutant receptors were generated and their pharmacologies studied. Residues 188 (tyrosine in mouse, phenylalanine in rat and human) in transmembrane region 5 and 290 (serine in mouse, asparagine in rat and human) in transmembrane region 6 were identified as key amino acids responsible for the different pharmacol. profiles. Mol. modeling of the receptor and docking of selective and nonselective compds. was undertaken to elucidate the ligand receptor interactions. The binding pocket was predicted to be different in the mouse compared with rat and human 5-HT6 receptors, and the models were in excellent agreement with the observed mutation results and have been used extensively in the design of further selective 5-HT6 antagonists.  
 IT 209480-56-8, SB 258510 209481-20-9, SB-271046  
 RL: BSU (Biological study, unclassified); PKT (Pharmacokinetics); BIOL (Biological study)  
 (5-HT6 receptor ligand; different brain distribution, pharmacol. and structure of mouse, rat and human 5-HT6 receptor)  
 RN 209480-56-8 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 209481-20-9 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 94 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2003:950984 CAPLUS  
DN 140:5067  
TI Preparation of N-heteroaryl- and N-arylbenzenesulfonamide and  
-heterocyclesulfonamides as chemokine CCR9 inhibitors as antiinflammatory  
agents  
IN Fleming, Paul; Harriman, Geraldine C. B.; Shi, Zhan; Chen, Shaowu  
PA Millennium Pharmaceuticals, Inc., USA  
SO PCT Int. Appl., 110 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003099773	A1	20031204	WO 2003-US16090	20030521
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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				US 2002-383573P	P 20020524
	CA 2485681	A1	20031204	CA 2003-2485681	20030521
				US 2002-383573P	P 20020524
				WO 2003-US16090	W 20030521
	AU 2003248549	A1	20031212	AU 2003-248549	20030521
				US 2002-383573P	P 20020524
				WO 2003-US16090	W 20030521
	US 20040038976	A1	20040226	US 2003-443155	20030521
	US 7238717	B2	20070703		
				US 2002-383573P	P 20020524
	EP 1507756	A1	20050223	EP 2003-755422	20030521
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
				US 2002-383573P	P 20020524
				WO 2003-US16090	W 20030521
	JP 2005526857	T	20050908	JP 2004-507431	20030521
				US 2002-383573P	P 20020524
				WO 2003-US16090	W 20030521
	NZ 536504	A	20080430	NZ 2003-536504	20030521
				US 2002-383573P	P 20020524

ZA 2004009131	A	20050712	WO 2003-US16090	W	20030521
			ZA 2004-9131		20041111
			US 2002-383573P	P	20020524
MX 2004PA11465	A	20050214	MX 2004-PA11465		20041118
			US 2002-383573P	P	20020524
			WO 2003-US16090	W	20030521
US 20060167251	A1	20060727	US 2006-391633		20060328
US 7282502	B2	20071016			
			US 2002-383573P	P	20020524
			US 2003-443155	A3	20030521
JP 2006265259	A	20061005	JP 2006-124437		20060427
			US 2002-383573P	P	20020524
			JP 2004-507431	A3	20030521
US 20070066823	A1	20070322	US 2006-601025		20061117
			US 2002-383573P	P	20020524
			US 2003-443155	A1	20030521
US 20080103180	A1	20080501	US 2007-974850		20071016
			US 2002-383573P	P	20020524
			US 2003-443155	A1	20030521
			US 2006-391633	A3	20060328

OS MARPAT 140:5067

AB The title compds. [I; Y is C(O), O, S, S(O), or S(O)<sub>2</sub>; X1, X2, and X3 are each, independently, N or CR, provided that at least one of X1, X2, or X3 is CR; R for each occurrence and R1 are each, independently, H or a substituent; R6 is H, an aliphatic carbonyl group, or an aliphatic ester; ring

A is substituted or unsubstituted; and Ar1 and Ar2 are each, independently, an (un)substituted aryl or heteroaryl] or pharmaceutically acceptable salts, solvates or hydrates thereof are prepared. These compds. I can bind to CCR9 receptors and block the binding of a ligand (e.g., TECK) to the receptors. The invention also relates to a method of inhibiting a function of CCR9, in particular treating or preventing an inflammatory disease or condition and to the use the compds. I in research, therapeutic, prophylactic, and diagnostic methods. CCR9 and its associated chemokine TECK, have been implicated in chronic inflammatory diseases, such as inflammatory bowel diseases. Small mol. inhibitors of the interaction between CCR9 and its ligands (e.g., TECK), such as the compds. I, are useful for inhibiting harmful inflammatory processes triggered by receptor-ligand interactions and thus are useful for treating diseases mediated by CCR9, such as chronic inflammatory diseases. For example, 14 compds. including N-(2-benzoyl-4-bromophenyl)-4-methoxybenzenesulfonamide, 5-(oxazol-5-yl)thiophene-2-sulfonic acid (2-benzoyl-4-chlorophenyl)amine inhibited the binding of human TECK to human CCR9 receptors with IC<sub>50</sub> value less than or equal to .apprx.1.0  $\mu$ M.

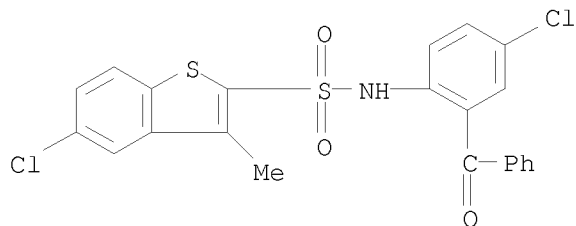
IT 628301-23-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-heteroaryl- and N-arylbenzenesulfonamide and -heterocyclesulfonamides as chemokine CCR9 inhibitors as antiinflammatory agents)

RN 628301-23-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(2-benzoyl-4-chlorophenyl)-5-chloro-3-methyl- (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 95 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2003:918694 CAPLUS  
DN 140:777  
TI Benzothiophen sulfonamide analogs as bioadhesion inhibitors  
IN Miyazaki, Mitsuo; Takai, Shinji; Sato, Shoji  
PA Toa Eiyo, Ltd., Japan  
SO Jpn. Kokai Tokkyo Koho, 29 pp.  
CODEN: JKXXAF  
DT Patent  
LA Japanese  
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2003335670	A	20031125	JP 2003-70126	20030314
				JP 2002-72306	A 20020315

PATENT FAMILY INFORMATION:

FAN 2002:220571

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002022595	A1	20020321	WO 2001-JP8061	20010917
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				JP 2000-282046	A 20000918
				JP 2001-122972	A 20010420
AU	2001088053	A	20020326	AU 2001-88053	20010917
				JP 2000-282046	A 20000918
				JP 2001-122972	A 20010420
CA	2422807	A1	20030318	WO 2001-JP8061	W 20010917
				CA 2001-2422807	20010917
				JP 2000-282046	A 20000918
				JP 2001-122972	A 20010420
				WO 2001-JP8061	W 20010917
EP	1325920	A1	20030709	EP 2001-967708	20010917
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
				JP 2000-282046	A 20000918
				JP 2001-122972	A 20010420
				WO 2001-JP8061	W 20010917

CN 1245400	C	20060315	CN 2001-815851		20010917
			JP 2000-282046	A	20000918
			JP 2001-122972	A	20010420
JP 3847711	B2	20061122	JP 2002-526848		20010917
			JP 2000-282046	A	20000918
			JP 2001-122972	A	20010420
			WO 2001-JP8061	W	20010917
US 20030229126	A1	20031211	US 2003-388378		20030313
US 7071220	B2	20060704			
			JP 2000-282046	A	20000918
			JP 2001-122972	A	20010420
			WO 2001-JP8061	A2	20010917
			JP 2002-72305	A	20020315
			JP 2002-72306	A	20020315
			JP 2002-72307	A	20020315
US 20060116408	A1	20060601	US 2006-329505		20060110
US 7399781	B2	20080715			
			JP 2000-282046	A	20000918
			JP 2001-122972	A	20010420
			WO 2001-JP8061	A2	20010917
			JP 2002-72305	A	20020315
			JP 2002-72306	A	20020315
			JP 2002-72307	A	20020315
			US 2003-388378	A3	20030313
FAN 2003:750639					
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
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PI JP 2003267870	A	20030925	JP 2002-72305		20020315
US 20030229126	A1	20031211	US 2003-388378		20030313
US 7071220	B2	20060704			
			JP 2000-282046	A	20000918
			JP 2001-122972	A	20010420
			WO 2001-JP8061	A2	20010917
			JP 2002-72305	A	20020315
			JP 2002-72306	A	20020315
			JP 2002-72307	A	20020315
US 20060116408	A1	20060601	US 2006-329505		20060110
US 7399781	B2	20080715			
			JP 2000-282046	A	20000918
			JP 2001-122972	A	20010420
			WO 2001-JP8061	A2	20010917
			JP 2002-72305	A	20020315
			JP 2002-72306	A	20020315
			JP 2002-72307	A	20020315
			US 2003-388378	A3	20030313
FAN 2003:757696					
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
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PI WO 2003078419	A1	20030925	WO 2003-JP3023		20030313
W: CA, CN, JP					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,					
IT, LU, MC, NL, PT, RO, SE, SI, SK, TR					
			JP 2002-72307	A	20020315
CA 2479353	A1	20030925	CA 2003-2479353		20030313
			JP 2002-72307	A	20020315
			WO 2003-JP3023	W	20030313
EP 1486494	A1	20041215	EP 2003-712691		20030313
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,					
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JP 2002-72307 A 20020315  
WO 2003-JP3023 W 20030313

OS MARPAT 140:777

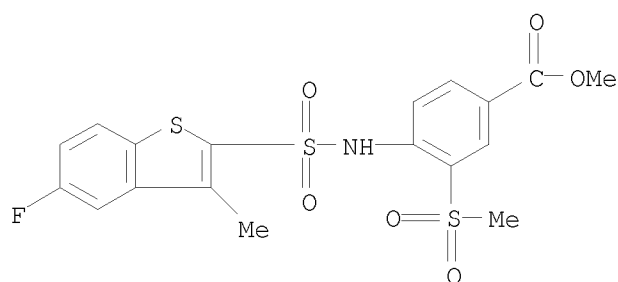
AB Benzothiophen sulfonamide analogs (I; Markush's structures given) and their pharmaceutically acceptable salts are claimed as bioadhesion inhibitors. I were prepared, and their chymase- and bioadhesion-inhibiting activities were tested. Formulation examples of tablets, injections, suppositories, and eyedrops were given.

IT 404963-90-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(benzothiophen sulfonamide analogs as bioadhesion inhibitors)

RN 404963-90-2 CAPLUS

CN Benzoic acid, 4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

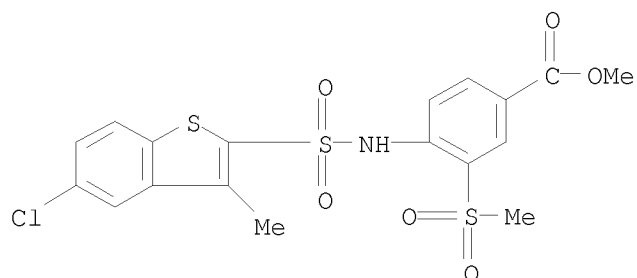


IT 404963-75-3P 404963-76-4P 404963-77-5P  
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404963-84-4P 404963-85-5P 404963-86-6P  
404963-87-7P 404963-88-8P 404963-89-9P  
404963-91-3P 404963-92-4P 404963-93-5P  
404963-94-6P 404963-96-8P 404963-97-9P  
404963-98-0P 404963-99-1P 404964-01-8P  
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404964-05-2P 404964-06-3P 404964-07-4P  
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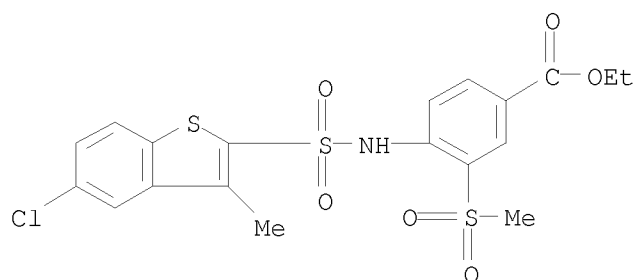
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(benzothiophen sulfonamide analogs as bioadhesion inhibitors)

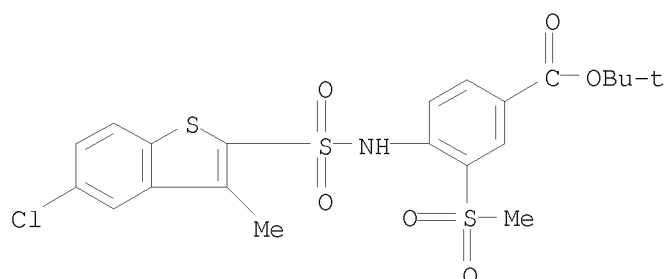
RN 404963-75-3 CAPLUS  
 CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



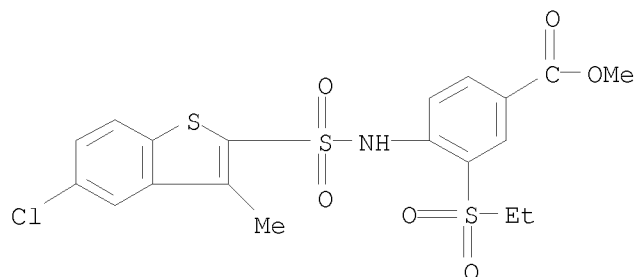
RN 404963-76-4 CAPLUS  
 CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 404963-77-5 CAPLUS  
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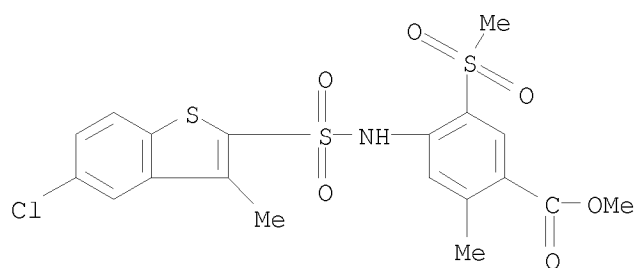


RN 404963-78-6 CAPLUS  
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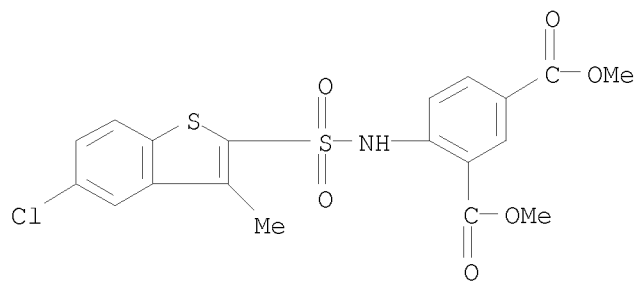
RN 404963-79-7 CAPLUS

CN Benzoic acid, 4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-2-methyl-5-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



RN 404963-80-0 CAPLUS

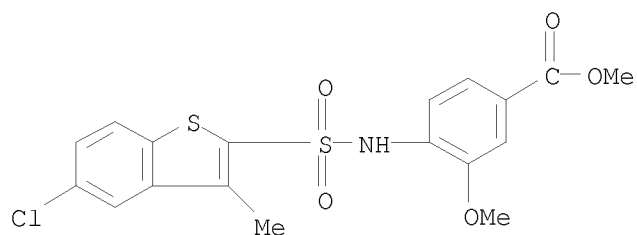
CN 1,3-Benzenedicarboxylic acid, 4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, 1,3-dimethyl ester (CA INDEX NAME)



RN 404963-81-1 CAPLUS

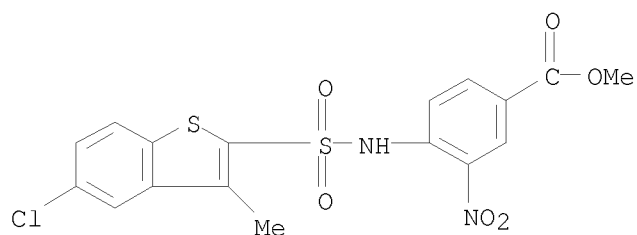
CN Benzoic acid, 4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxy-, methyl ester (CA INDEX NAME)





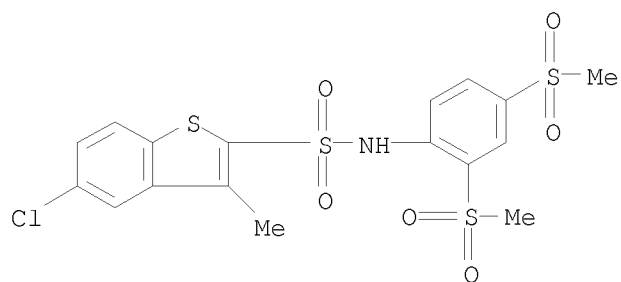
RN 404963-82-2 CAPLUS

CN Benzoic acid, 4-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-nitro-, methyl ester (CA INDEX NAME)



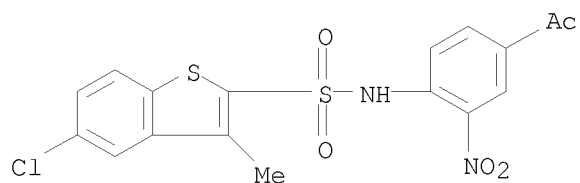
RN 404963-83-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2,4-bis(methylsulfonyl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)



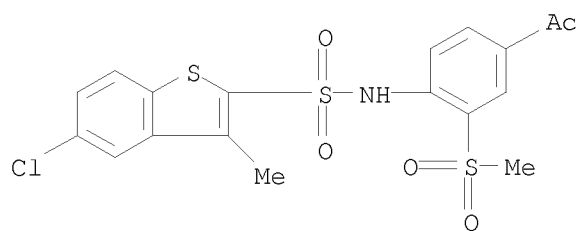
RN 404963-84-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(4-acetyl-2-nitrophenyl)-5-chloro-3-methyl- (CA INDEX NAME)



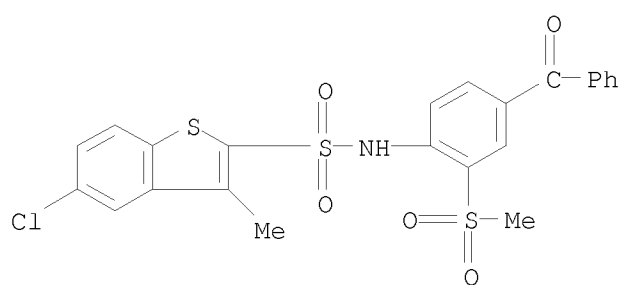
RN 404963-85-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-acetyl-2-(methylsulfonyl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)



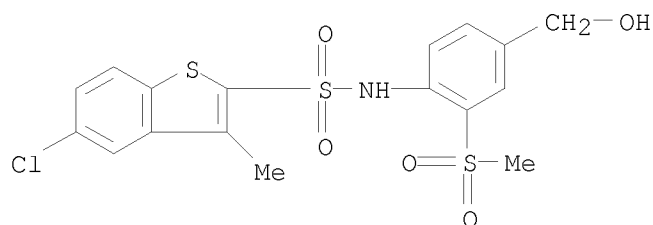
RN 404963-86-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-benzoyl-2-(methylsulfonyl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)



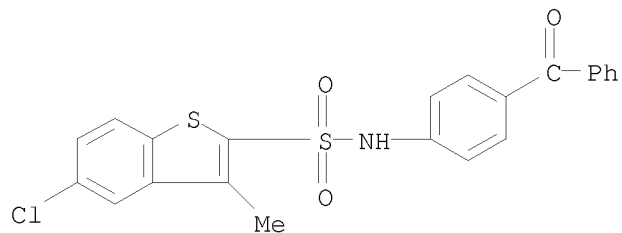
RN 404963-87-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(hydroxymethyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

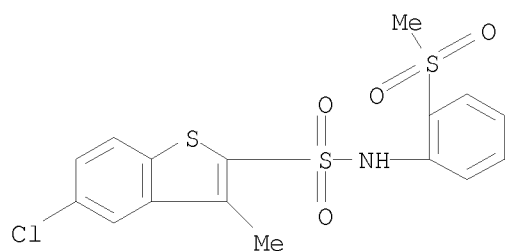


RN 404963-88-8 CAPLUS

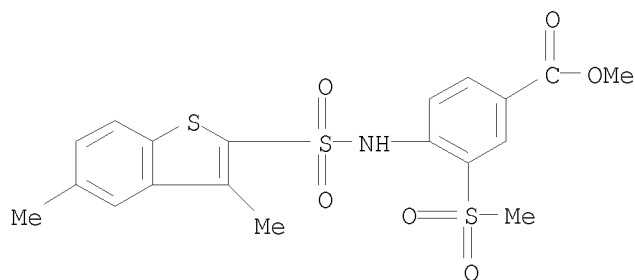
CN Benzo[b]thiophene-2-sulfonamide, N-(4-benzoylphenyl)-5-chloro-3-methyl- (CA INDEX NAME)



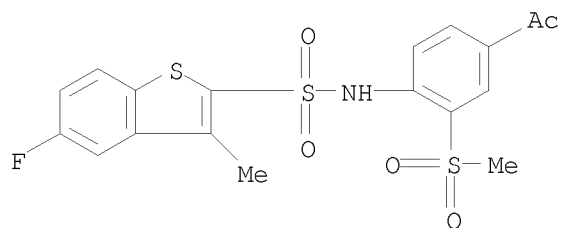
RN 404963-89-9 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[2-(methylsulfonyl)phenyl]- (CA INDEX NAME)



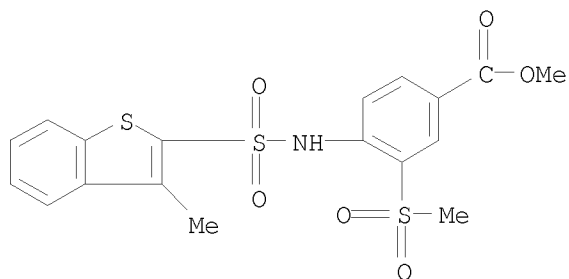
RN 404963-91-3 CAPLUS  
 CN Benzoic acid, 4-[[[3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



RN 404963-92-4 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-[4-acetyl-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



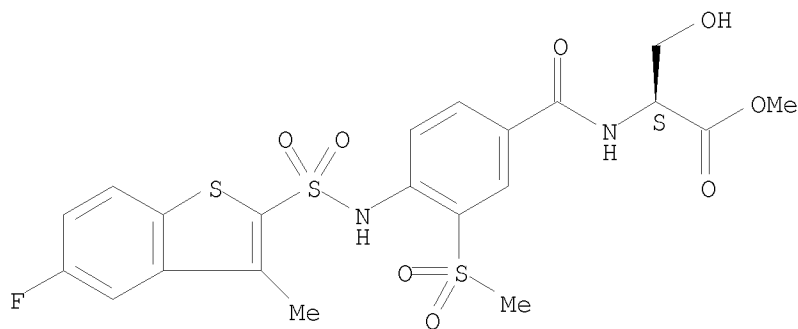
RN 404963-93-5 CAPLUS  
 CN Benzoic acid, 4-[[[3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



RN 404963-94-6 CAPLUS

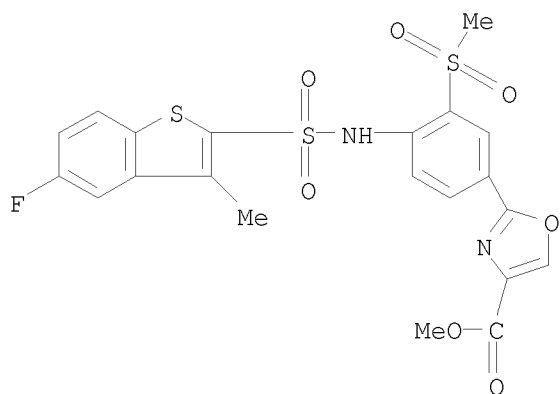
CN L-Serine, N-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



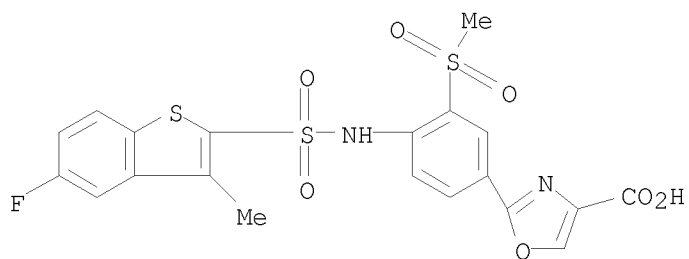
RN 404963-96-8 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)

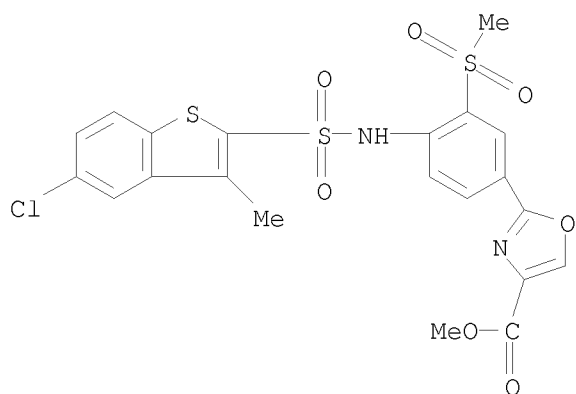


RN 404963-97-9 CAPLUS

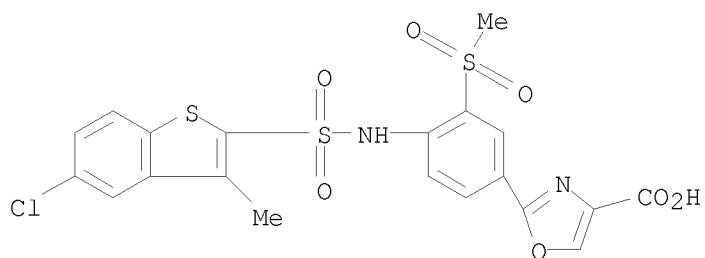
CN 4-Oxazolecarboxylic acid, 2-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)



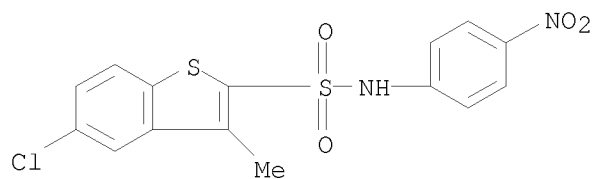
RN 404963-98-0 CAPLUS  
 CN 4-Oxazolecarboxylic acid, 2-[4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)



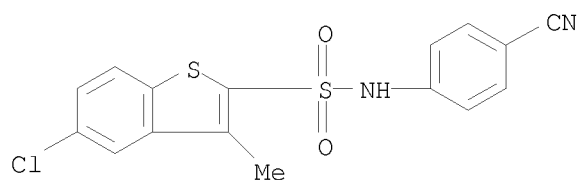
RN 404963-99-1 CAPLUS  
 CN 4-Oxazolecarboxylic acid, 2-[4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)



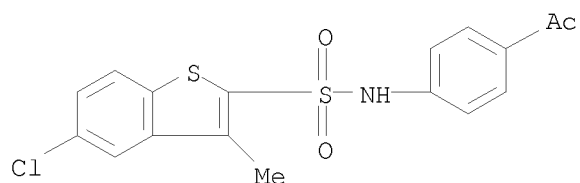
RN 404964-01-8 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-(4-nitrophenyl)- (CA INDEX NAME)



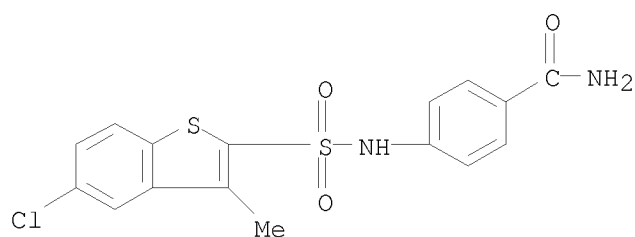
RN 404964-02-9 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-cyanophenyl)-3-methyl- (CA INDEX NAME)



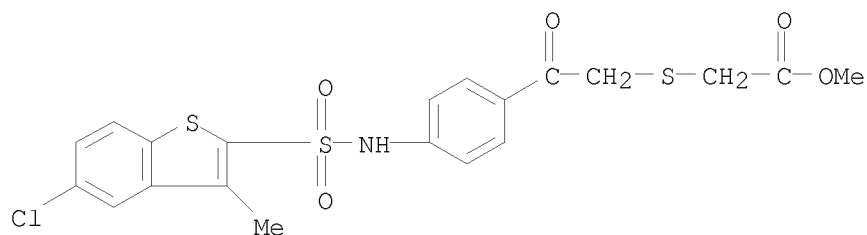
RN 404964-03-0 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-(4-acetylphenyl)-5-chloro-3-methyl- (CA INDEX NAME)



RN 404964-04-1 CAPLUS  
 CN Benzamide, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]- (CA INDEX NAME)

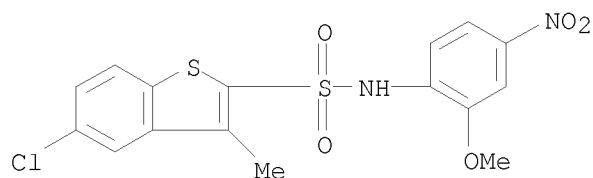


RN 404964-05-2 CAPLUS  
 CN Acetic acid, 2-[[2-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]-2-oxoethyl]thio]-, methyl ester (CA INDEX NAME)



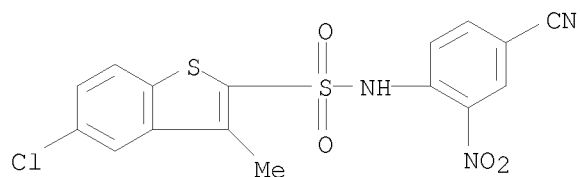
RN 404964-06-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2-methoxy-4-nitrophenyl)-3-methyl- (CA INDEX NAME)



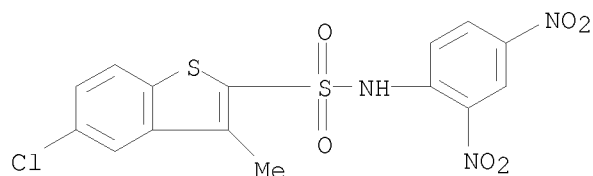
RN 404964-07-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-cyano-2-nitrophenyl)-3-methyl- (CA INDEX NAME)



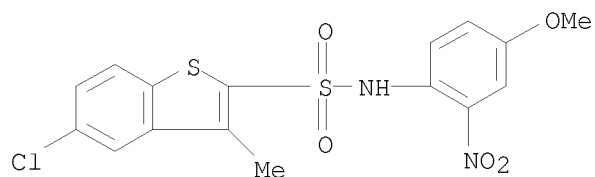
RN 404964-08-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2,4-dinitrophenyl)-3-methyl- (CA INDEX NAME)

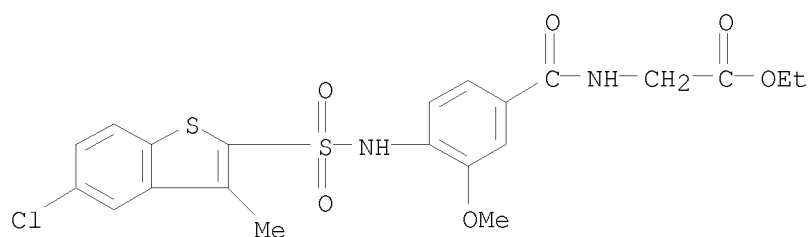


RN 404964-09-6 CAPLUS

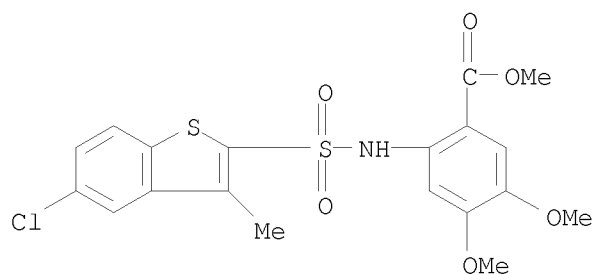
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-methoxy-2-nitrophenyl)-3-methyl- (CA INDEX NAME)



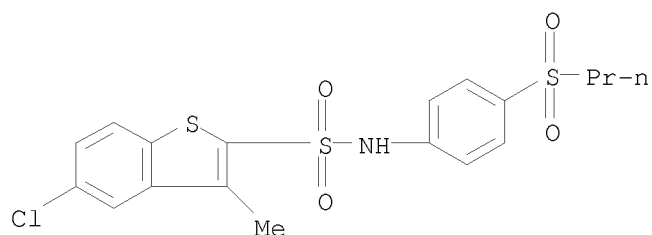
RN 404964-10-9 CAPLUS  
 CN Glycine, N-[4-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxybenzoyl]-, ethyl ester (CA INDEX NAME)



RN 404964-11-0 CAPLUS  
 CN Benzoic acid, 2-[[4-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-4,5-dimethoxy-], methyl ester (CA INDEX NAME)

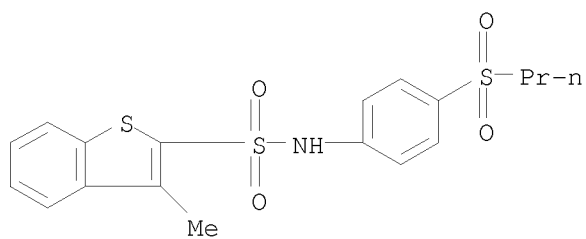


RN 404964-12-1 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(propylsulfonyl)phenyl]- (CA INDEX NAME)



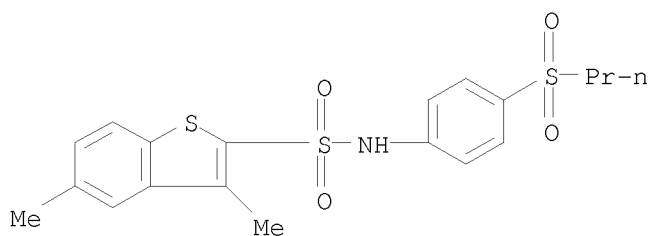
RN 404964-13-2 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 3-methyl-N-[4-(propylsulfonyl)phenyl]- (CA INDEX NAME)





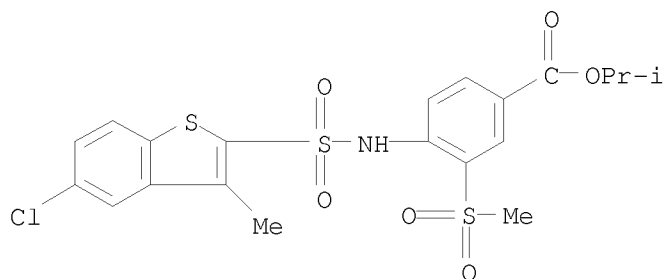
RN 404964-14-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 3,5-dimethyl-N-[4-(propylsulfonyl)phenyl]-  
(CA INDEX NAME)



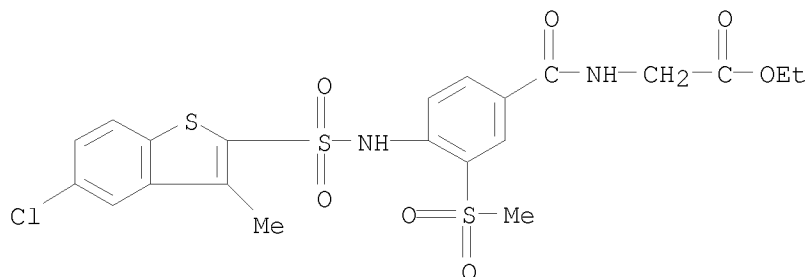
RN 404964-15-4 CAPLUS

CN Benzoic acid, 4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, 1-methylethyl ester (CA INDEX NAME)



RN 404964-16-5 CAPLUS

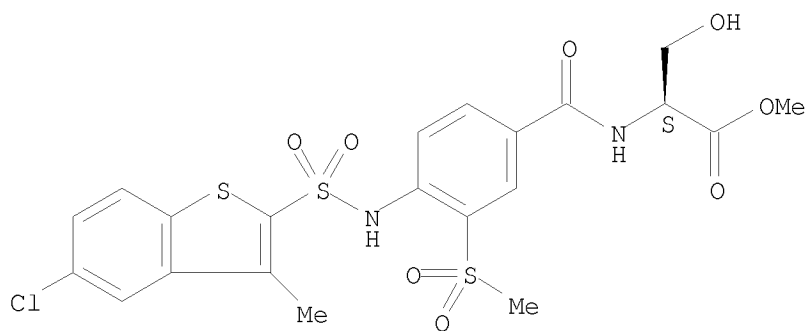
CN Glycine, N-[4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, ethyl ester (CA INDEX NAME)



RN 404964-17-6 CAPLUS

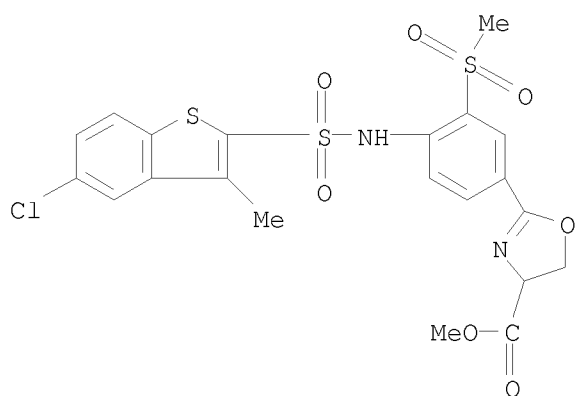
CN L-Serine, N-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



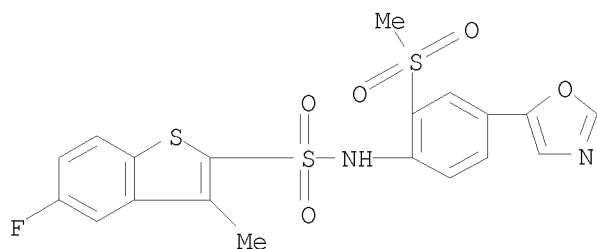
RN 404964-20-1 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-4,5-dihydro-, methyl ester (CA INDEX NAME)

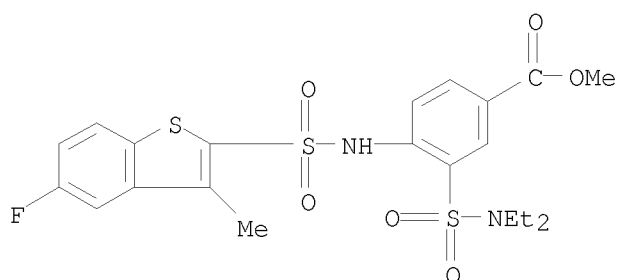


RN 404964-21-2 CAPLUS

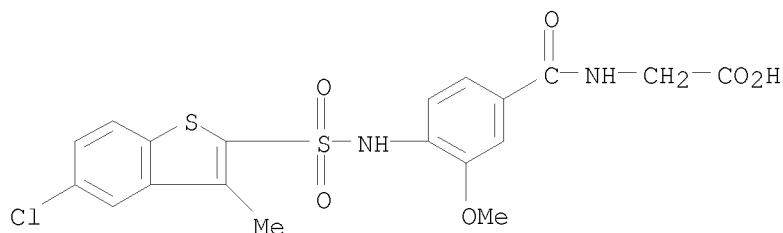
CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(5-oxazolyl)phenyl]- (CA INDEX NAME)



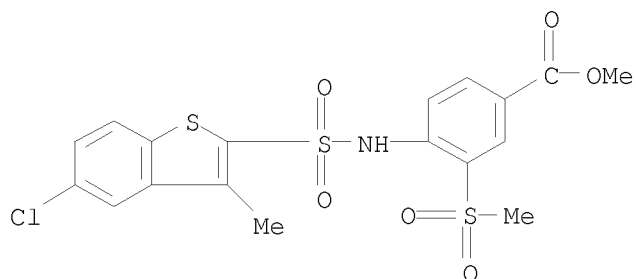
RN 404964-22-3 CAPLUS  
 CN Benzoic acid, 3-[(diethylamino)sulfonyl]-4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, methyl ester (CA INDEX NAME)



RN 404964-23-4 CAPLUS  
 CN Glycine, N-[4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxybenzoyl]- (CA INDEX NAME)

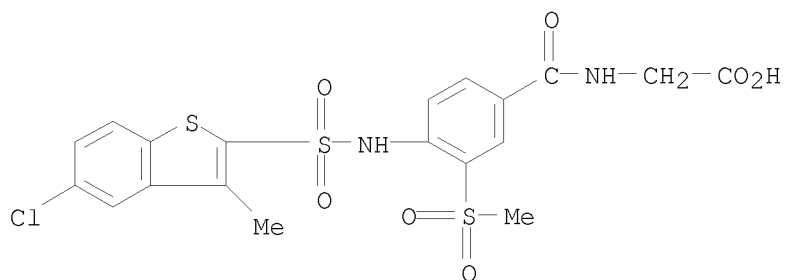


RN 404964-24-5 CAPLUS  
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● Na

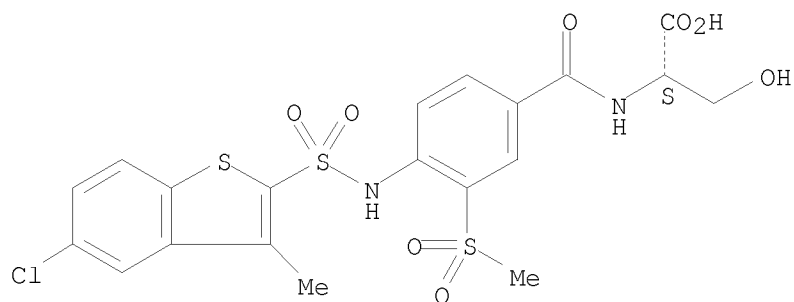
RN 404964-25-6 CAPLUS  
 CN Glycine, N-[4-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, monosodium salt (9CI) (CA INDEX NAME)



● Na

RN 404964-26-7 CAPLUS  
 CN L-Serine, N-[4-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, monosodium salt (9CI) (CA INDEX NAME)

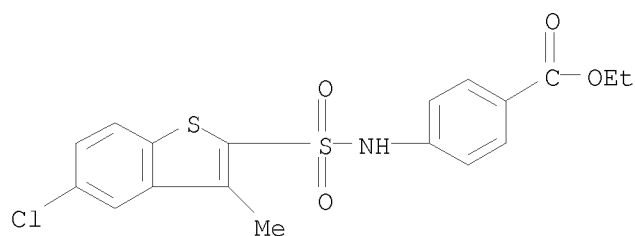
Absolute stereochemistry.



● Na

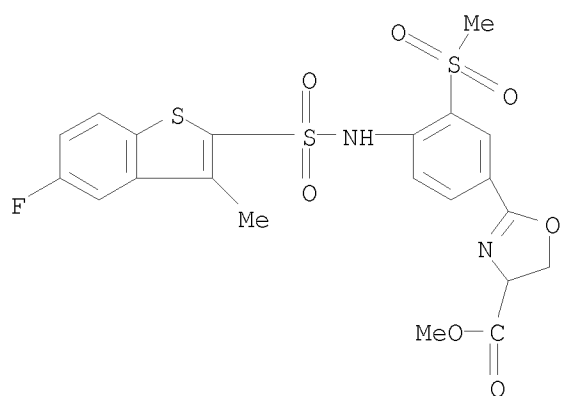
RN 603987-37-7 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, ethyl ester (CA INDEX NAME)



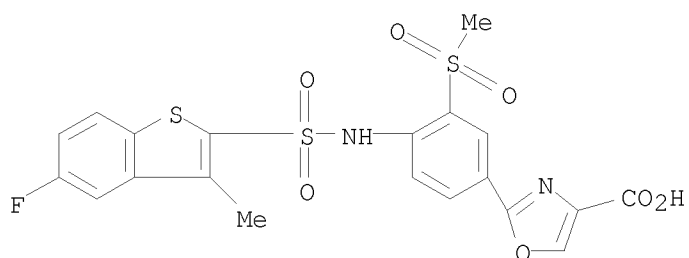
RN 603987-38-8 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-4,5-dihydro-, methyl ester (CA INDEX NAME)



RN 603987-39-9 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, sodium salt (1:2) (CA INDEX NAME)

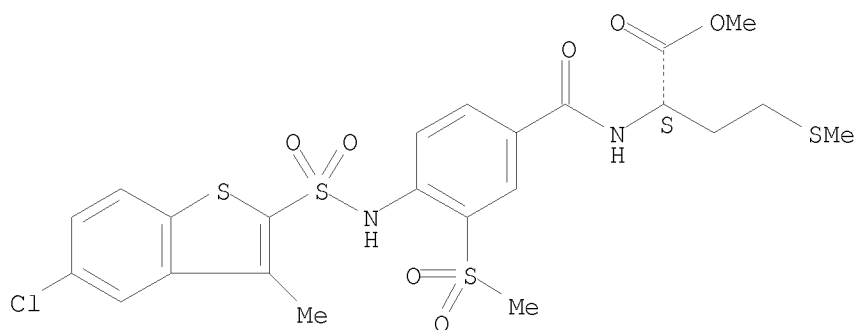


● 2 Na

RN 603987-40-2 CAPLUS

CN L-Methionine, N-[4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

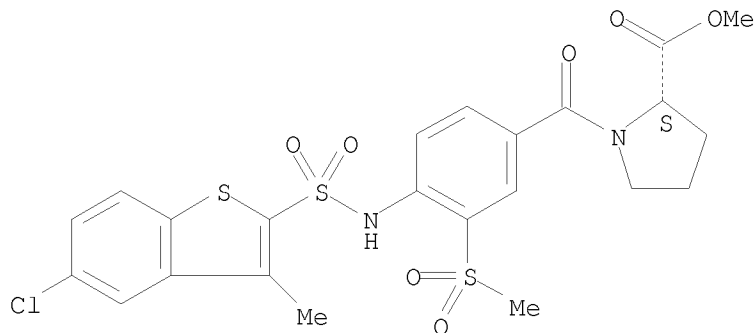
Absolute stereochemistry.



RN 603987-41-3 CAPLUS

CN L-Proline, 1-[4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

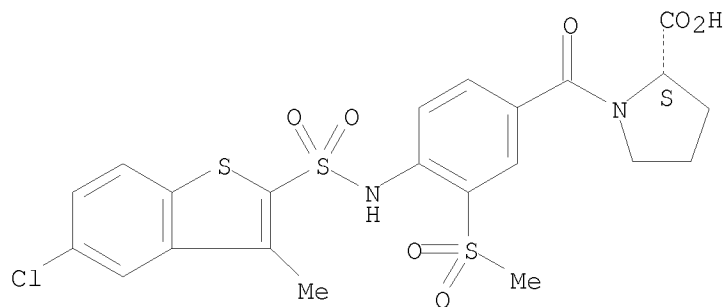


RN 603987-42-4 CAPLUS

CN L-Proline, 1-[4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-

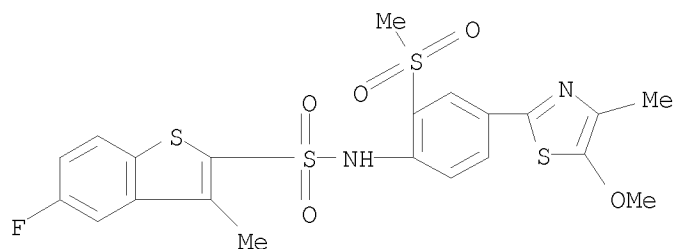
(methylsulfonyl)benzoyl]-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



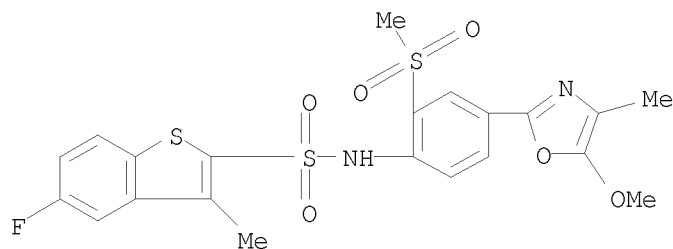
RN 603987-43-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(5-methoxy-4-methyl-2-thiazolyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



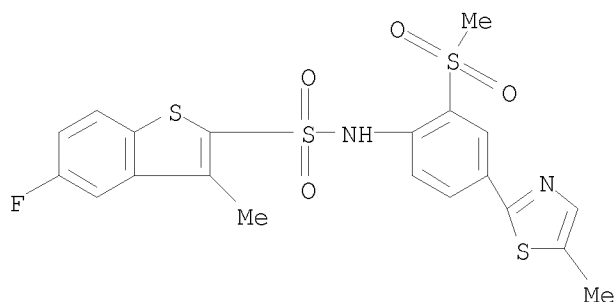
RN 603987-44-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(5-methoxy-4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



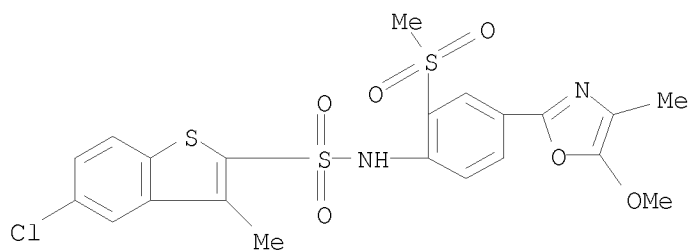
RN 603987-45-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(5-methyl-2-thiazolyl)phenyl]- (CA INDEX NAME)



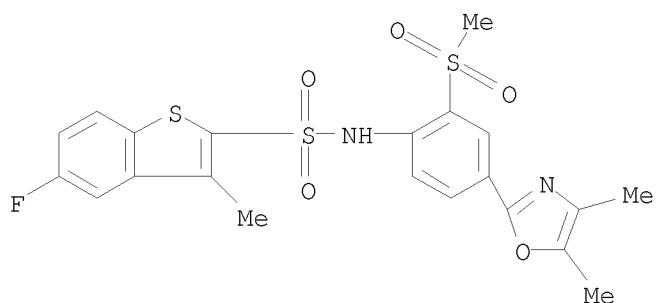
RN 603987-47-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(5-methoxy-4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 603987-48-0 CAPLUS

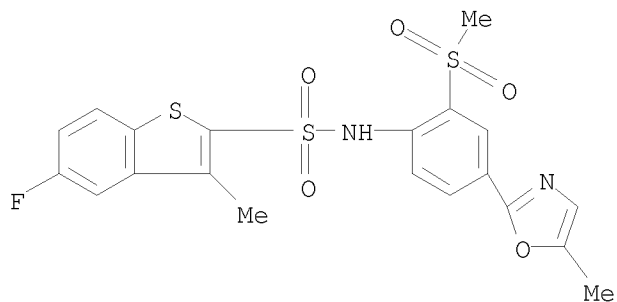
CN Benzo[b]thiophene-2-sulfonamide, N-[4-(4,5-dimethyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



RN 603987-49-1 CAPLUS

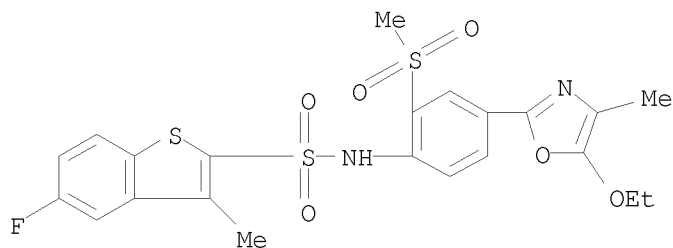
CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(5-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]- (CA INDEX NAME)





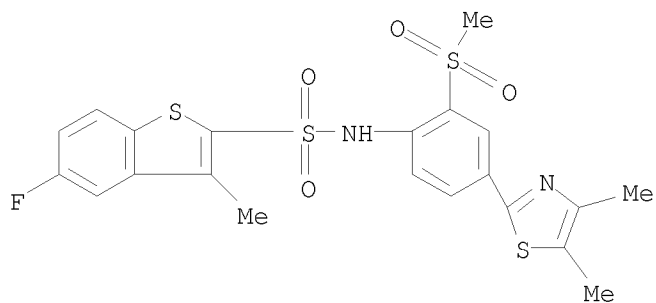
RN 603987-50-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(5-ethoxy-4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



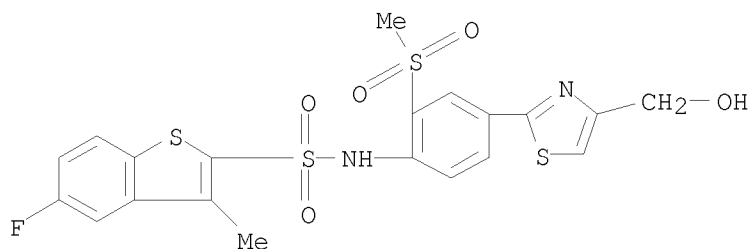
RN 603987-51-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(4,5-dimethyl-2-thiazolyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



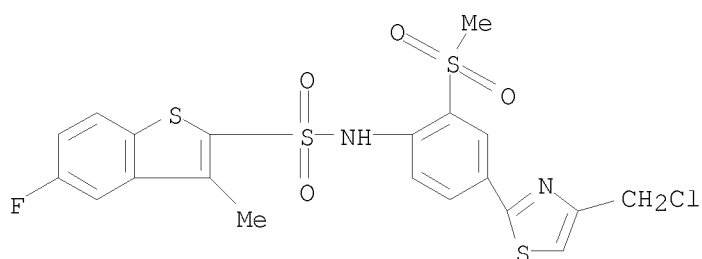
RN 603987-52-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-[4-(hydroxymethyl)-2-thiazolyl]-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



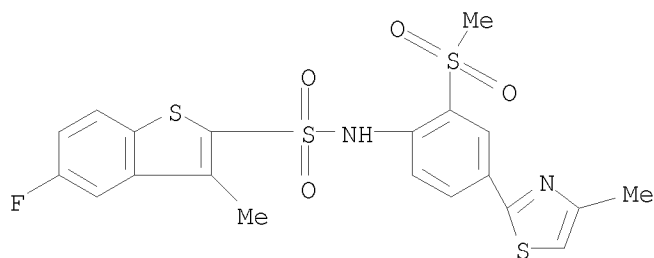
RN 603987-53-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[4-(chloromethyl)-2-thiazolyl]-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



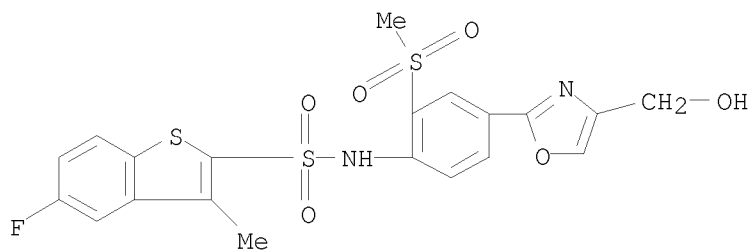
RN 603987-54-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(4-methyl-2-thiazolyl)phenyl]- (CA INDEX NAME)

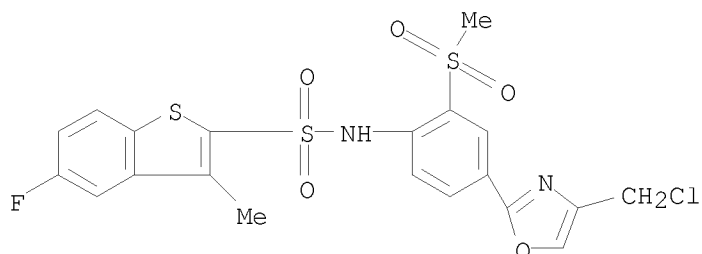


RN 603987-55-9 CAPLUS

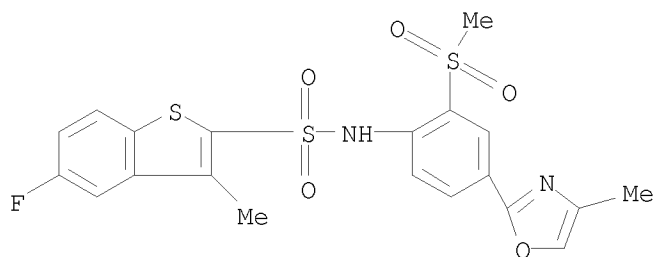
CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-[4-(hydroxymethyl)-2-oxazolyl]-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



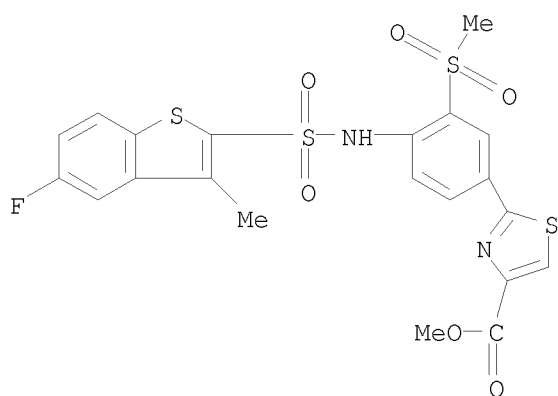
RN 603987-56-0 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-[4-[4-(chloromethyl)-2-oxazolyl]-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



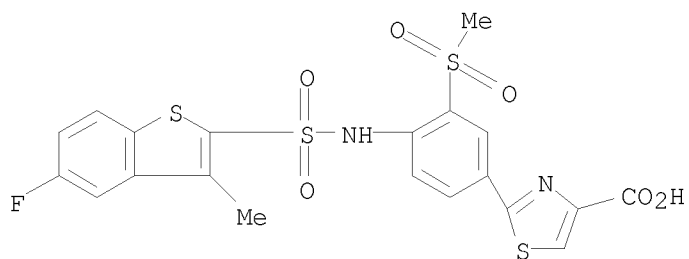
RN 603987-57-1 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]- (CA INDEX NAME)



RN 603987-58-2 CAPLUS  
 CN 4-Thiazolecarboxylic acid, 2-[4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)

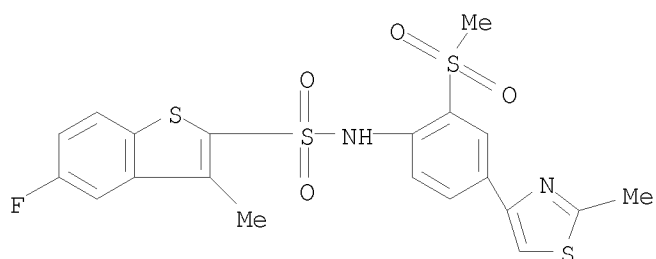


RN 603987-59-3 CAPLUS  
 CN 4-Thiazolecarboxylic acid, 2-[4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)



RN 603987-60-6 CAPLUS

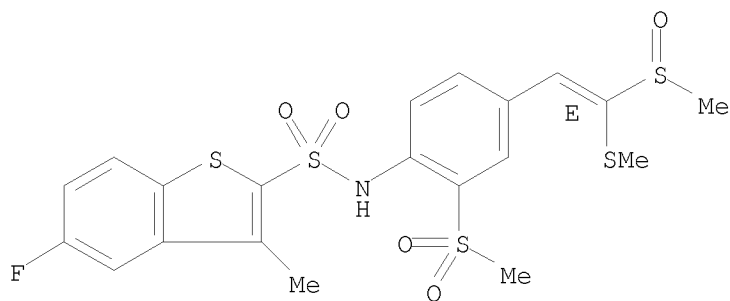
CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(2-methyl-4-thiazolyl)phenyl]- (CA INDEX NAME)



RN 603987-61-7 CAPLUS

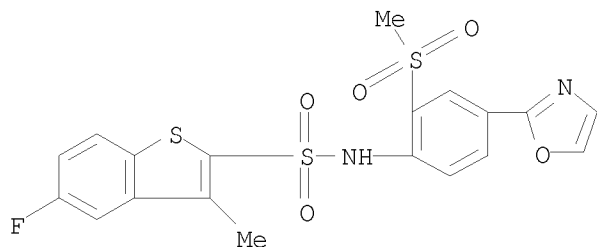
CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-[(1E)-2-(methylsulfinyl)-2-(methylthio)ethenyl]-2-(methylsulfonyl)phenyl]- (CA INDEX NAME)

Double bond geometry as shown.



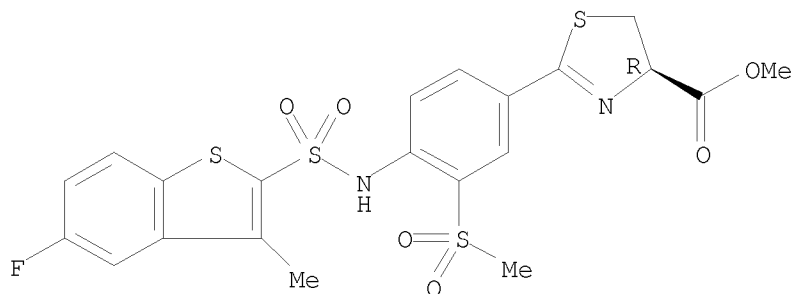
RN 603987-62-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(2-oxazolyl)phenyl]- (CA INDEX NAME)

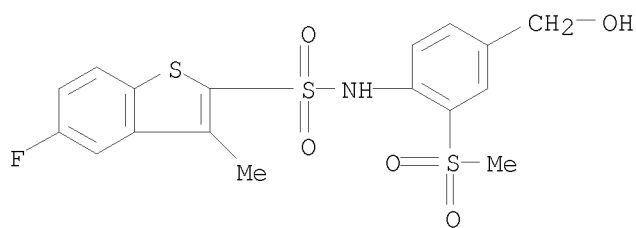


RN 603987-63-9 CAPLUS  
 CN 4-Thiazolecarboxylic acid, 2-[4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-4,5-dihydro-, methyl ester, (4R)- (CA INDEX NAME)

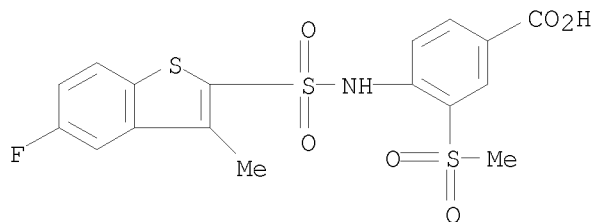
Absolute stereochemistry.



RN 603987-65-1 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(hydroxymethyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



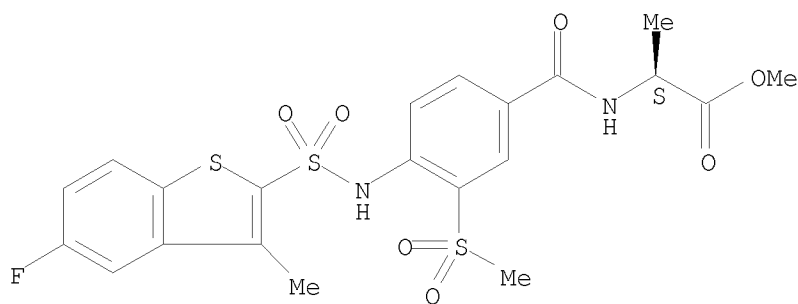
IT 404964-36-9P 603987-64-0P 603987-66-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (benzothienyl sulfonamide analogs as bioadhesion inhibitors)  
 RN 404964-36-9 CAPLUS  
 CN Benzoic acid, 4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)



RN 603987-64-0 CAPLUS

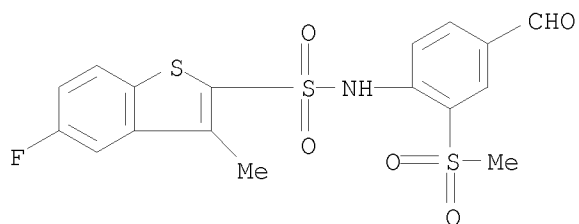
CN L-Alanine, N-[4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 603987-66-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-formyl-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



L6 ANSWER 96 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:860206 CAPLUS

DN 140:122660

TI An assessment of the effects of serotonin 6 (5-HT6) receptor antagonists in rodent models of learning

AU Lindner, Mark D.; Hodges, Donald B., Jr.; Hogan, John B.; Orie, Anitra F.; Corsa, Jason A.; Barten, Donna M.; Polson, Craig; Robertson, Barbara J.; Guss, Valerie L.; Gillman, Kevin W.; Starrett, John E., Jr.; Gribkoff, Valentin K.

CS Neuroscience Biology, Bristol-Myers Squibb Pharmaceutical Research Institute, Wallingford, CT, USA

SO Journal of Pharmacology and Experimental Therapeutics (2003), 307(2), 682-691

CODEN: JPETAB; ISSN: 0022-3565

PB American Society for Pharmacology and Experimental Therapeutics

DT Journal

LA English

AB Antagonists of serotonin 6 (5-HT<sub>6</sub>) receptors have been reported to enhance cognition in animal models of learning, although this finding has not been universal. We have assessed the therapeutic potential of the specific 5-HT<sub>6</sub> receptor antagonists 4-amino-N-(2,6-bis-methylamino-pyrimidin-4-yl)-benzenesulfonamide (Ro 04-6790) and 5-chloro-N-(4-methoxy-3-piperazin-1-yl-phenyl)-3-methyl-2-benzothiophenesulfonamide (SB-271046) in rodent models of cognitive function. Although mice express the 5-HT<sub>6</sub> receptor and the function of this receptor has been investigated in mice, all reports of activity with 5-HT<sub>6</sub> receptor antagonists have used rat models. In the present study, receptor binding revealed that the pharmacol. properties of the mouse receptor are different from the rat and human receptor: Ro 04-6790 does not bind to the mouse 5-HT<sub>6</sub> receptor, so all in vivo testing included in the present report was conducted in rats. We replicated previous reports that 5-HT<sub>6</sub> receptor antagonists produce a stretching syndrome previously shown to be mediated through cholinergic mechanisms, but Ro 04-6790 and SB-271046 failed to attenuate scopolamine-induced deficits in a test of contextual fear conditioning. We also failed to replicate the significant effects reported previously in both an autoshaping task and in a version of the Morris water maze. The results of our expts. are not consistent with previous reports that suggested that 5-HT<sub>6</sub> antagonists might have therapeutic potential for cognitive disorders.

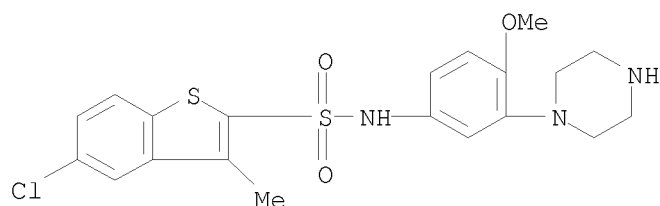
IT 209481-20-9, SB-271046

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(assessment of effects of serotonin 6 (5-HT<sub>6</sub>) receptor antagonists in rodent models of learning)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 97 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:841846 CAPLUS

DN 140:76968

TI Structure-activity relationship of benzo[b]thiophene-2-sulfonamide derivatives as novel human chymase inhibitors

AU Masaki, Hidekazu; Mizuno, Yusuke; Tatui, Akira; Murakami, Akira; Koide, Yuuki; Satoh, Shoji; Takahashi, Atsuo

CS Drug Research Department, Tokyo Research Laboratories, Toa Eiyo Ltd., 2-293-3 Amanuma-cho, Omiya-ku, Saitama-shi, Saitama, 330-0834, Japan

SO Bioorganic & Medicinal Chemistry Letters (2003), 13(22), 4085-4088  
CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science B.V.

DT Journal

LA English

OS CASREACT 140:76968

AB We have identified a new class of chymase inhibitor through a substituent anal. of MWP00965, which we previously discovered by in silico screening. TY-51076 showed high potency (IC<sub>50</sub>=56 nM) and excellent selectivity for chymase compared to chymotrypsin and cathepsin G (>400-fold). The synthesis and structure-activity relationship of this class are described.

IT 404963-75-3 404963-79-7 404963-80-0

404963-81-1 404963-82-2 404963-91-3

404963-92-4 404963-93-5 404964-01-8

404964-02-9 404964-12-1 404964-36-9

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640287-52-1 640287-53-2 640287-54-3

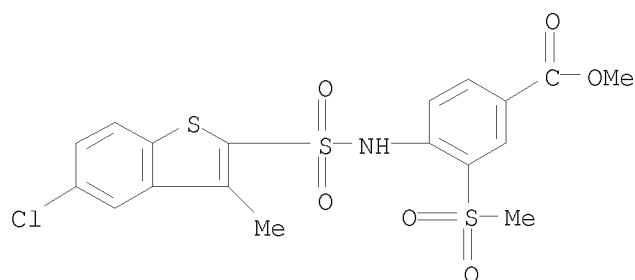
640287-55-4 640287-56-5 640287-57-6

RL: PAC (Pharmacological activity); BIOL (Biological study)

(preparation, docking model, and structure-activity relationship of benzothiophene sulfonamide derivs. as novel human chymase inhibitors)

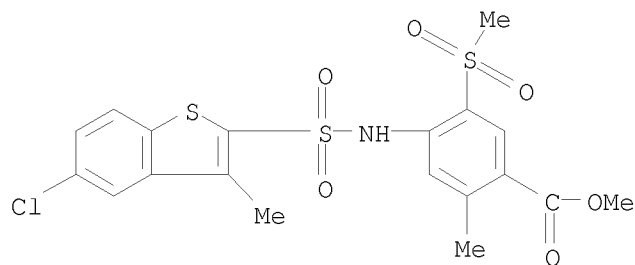
RN 404963-75-3 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



RN 404963-79-7 CAPLUS

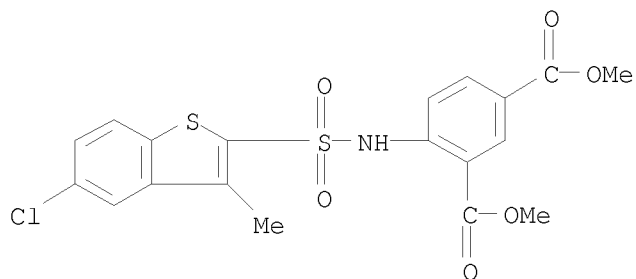
CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-2-methyl-5-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



RN 404963-80-0 CAPLUS

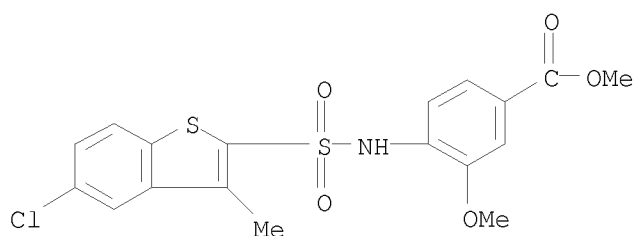
CN 1,3-Benzenedicarboxylic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, 1,3-dimethyl ester (CA INDEX NAME)





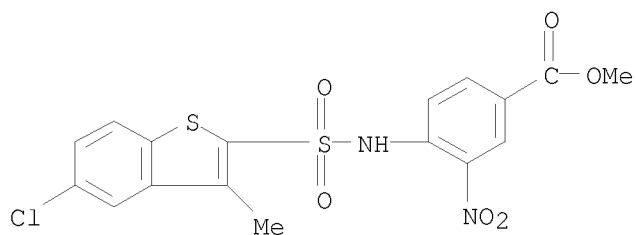
RN 404963-81-1 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxy-, methyl ester (CA INDEX NAME)



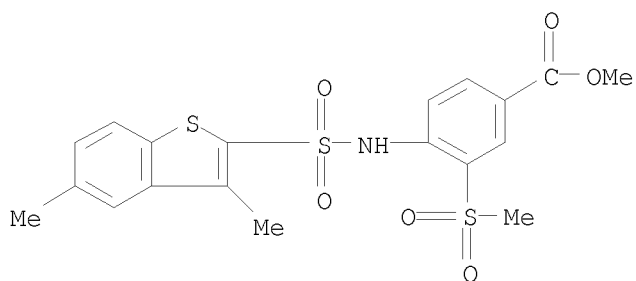
RN 404963-82-2 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-nitro-, methyl ester (CA INDEX NAME)

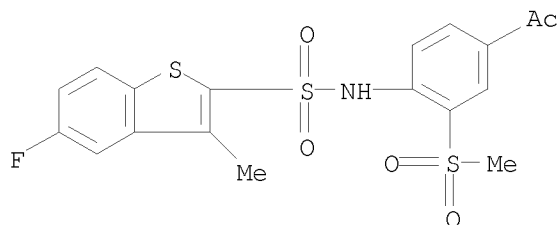


RN 404963-91-3 CAPLUS

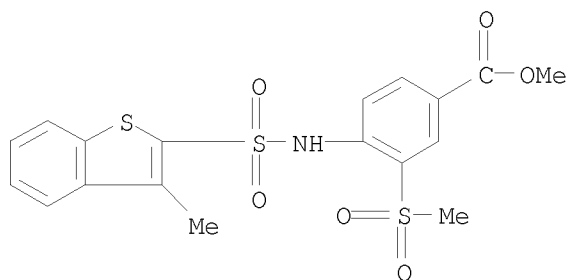
CN Benzoic acid, 4-[[[(3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



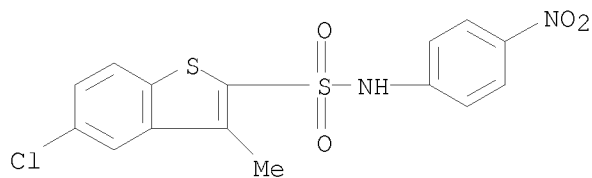
RN 404963-92-4 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-[4-acetyl-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



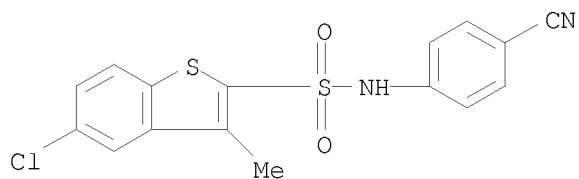
RN 404963-93-5 CAPLUS  
 CN Benzoic acid, 4-[[ (3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



RN 404964-01-8 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-(4-nitrophenyl)- (CA INDEX NAME)

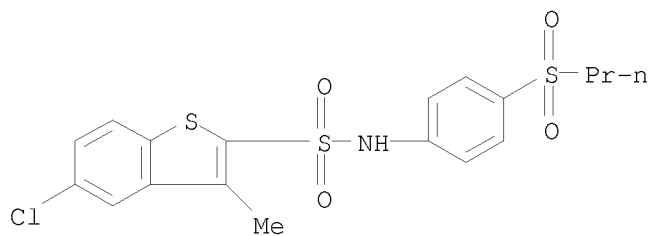


RN 404964-02-9 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-cyanophenyl)-3-methyl- (CA INDEX NAME)



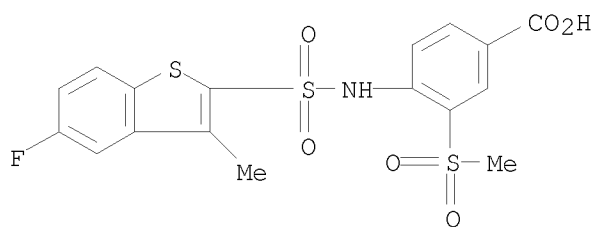
RN 404964-12-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(propylsulfonyl)phenyl]- (CA INDEX NAME)



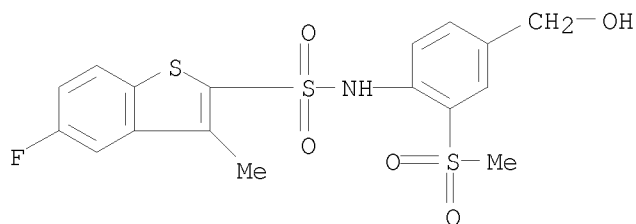
RN 404964-36-9 CAPLUS

CN Benzoic acid, 4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)



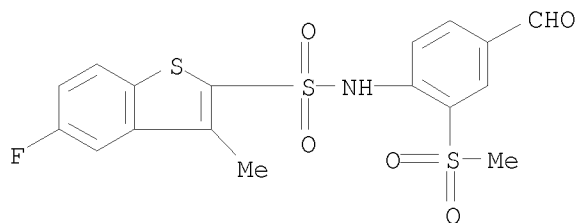
RN 603987-65-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(hydroxymethyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

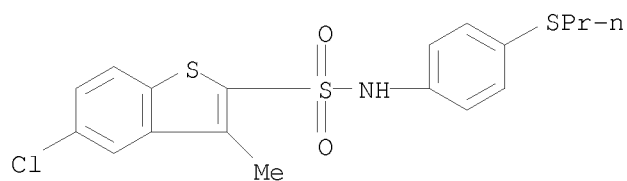


RN 603987-66-2 CAPLUS

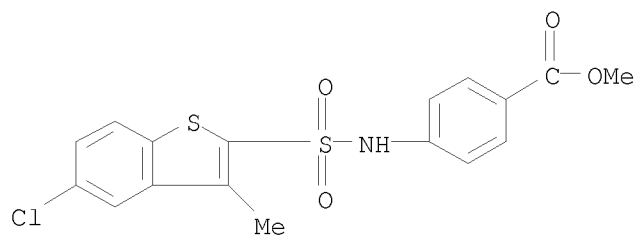
CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-formyl-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



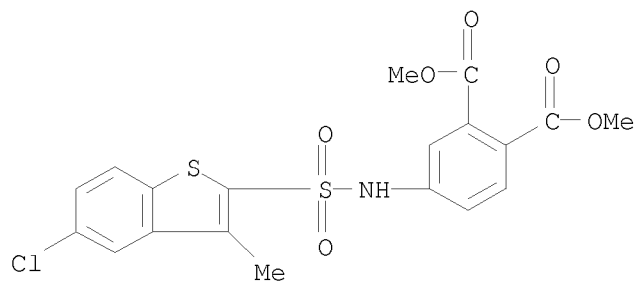
RN 640287-51-0 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(propylthio)phenyl]- (CA INDEX NAME)



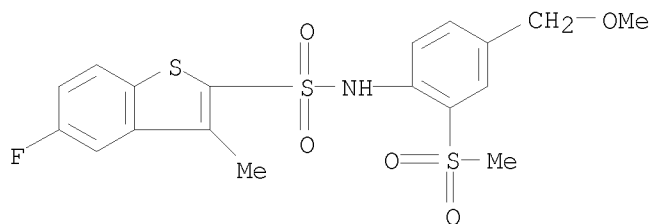
RN 640287-52-1 CAPLUS  
 CN Benzoic acid, 4-[[ (5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, methyl ester (CA INDEX NAME)



RN 640287-53-2 CAPLUS  
 CN 1,2-Benzenedicarboxylic acid, 4-[[ (5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, 1,2-dimethyl ester (CA INDEX NAME)

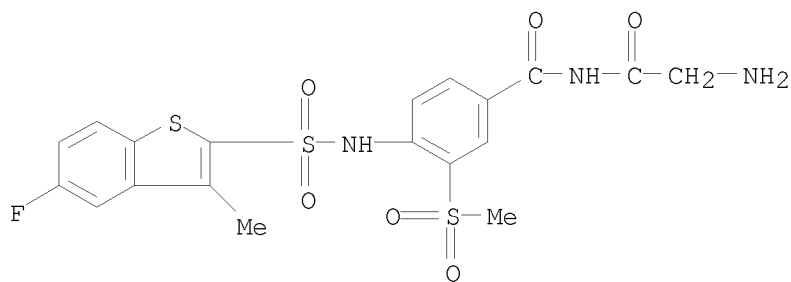


RN 640287-54-3 CAPLUS  
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RN 640287-55-4 CAPLUS

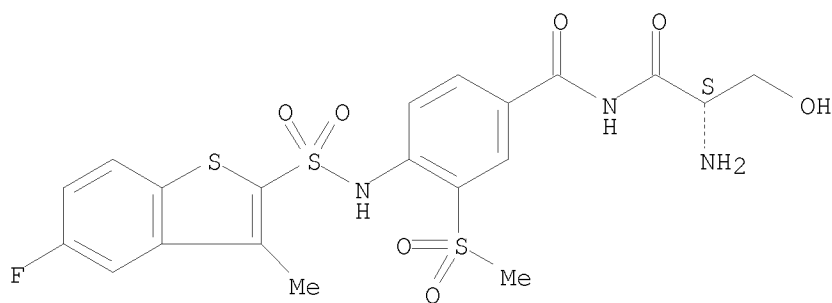
CN Benzamide, N-(2-aminoacetyl)-4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)



RN 640287-56-5 CAPLUS

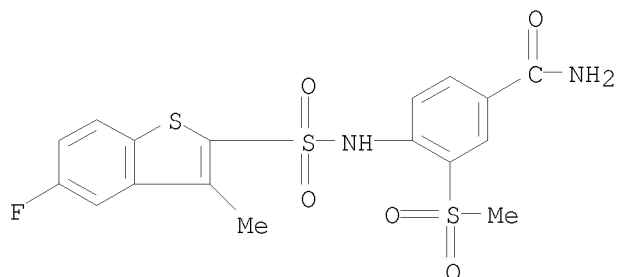
CN Benzamide, N-[(2S)-2-amino-3-hydroxy-1-oxopropyl]-4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)

Absolute stereochemistry.

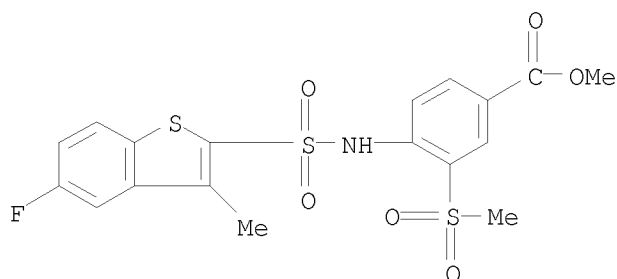


RN 640287-57-6 CAPLUS

CN Benzamide, 4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)



IT 404963-90-2P  
 RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation, docking model, and structure-activity relationship of benzothiophene sulfonamide derivs. as novel human chymase inhibitors)  
 RN 404963-90-2 CAPLUS  
 CN Benzoic acid, 4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 98 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2003:757696 CAPLUS  
 DN 139:276810  
 TI Preparation of benzothiophenesulfonamide derivatives as human chymase inhibitors  
 IN Sato, Shoji; Mizuno, Yusuke; Masaki, Hidekazu  
 PA Toa Eiyo Ltd., Japan  
 SO PCT Int. Appl., 36 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA Japanese  
 FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003078419	A1	20030925	WO 2003-JP3023	20030313
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	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
	CA 2479353	A1	20030925	JP 2002-72307	A 20020315
				CA 2003-2479353	20030313
				JP 2002-72307	A 20020315

EP 1486494 A1 20041215 WO 2003-JP3023 W 20030313  
 EP 2003-712691 20030313  
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 JP 2002-72307 A 20020315  
 WO 2003-JP3023 W 20030313

PATENT FAMILY INFORMATION:

FAN 2002:220571

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002022595	A1	20020321	WO 2001-JP8061	20010917
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
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			JP 2001-122972	A 20010420
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			JP 2000-282046	A 20000918
			JP 2001-122972	A 20010420
CA 2422807	A1	20030318	WO 2001-JP8061	W 20010917
			CA 2001-2422807	20010917
			JP 2000-282046	A 20000918
			JP 2001-122972	A 20010420
EP 1325920	A1	20030709	WO 2001-JP8061	W 20010917
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			JP 2000-282046	A 20000918
			JP 2001-122972	A 20010420
CN 1245400	C	20060315	WO 2001-JP8061	W 20010917
			CN 2001-815851	20010917
			JP 2000-282046	A 20000918
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JP 3847711	B2	20061122	JP 2002-526848	20010917
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US 20030229126	A1	20031211	WO 2001-JP8061	W 20010917
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			JP 2000-282046	A 20000918
			JP 2001-122972	A 20010420
			WO 2001-JP8061	A2 20010917
			JP 2002-72305	A 20020315
			JP 2002-72306	A 20020315
			JP 2002-72307	A 20020315
US 20060116408	A1	20060601	US 2006-329505	20060110
US 7399781	B2	20080715		
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			JP 2001-122972	A 20010420
			WO 2001-JP8061	A2 20010917
			JP 2002-72305	A 20020315
			JP 2002-72306	A 20020315
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FAN	2003:750639			US 2003-388378	A3 20030313
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	JP 2003267870	A	20030925	JP 2002-72305	20020315
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	JP 2000-282046	A	20000918
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	JP 2002-72305	A	20020315
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FAN	2003:918694				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	JP 2003335670	A	20031125	JP 2003-70126	20030314
				JP 2002-72306	A 20020315

OS MARPAT 139:276810

AB The title benzothiophenesulfonamide derivs. with general formula of I [wherein R1 = H, halo, or alkyl; R2 and R3 = independently alkyl; R4 = (un)substituted oxazolyl, imidazolyl, or thiazolyl] and pharmaceutically acceptable salt thereof are prepared as human chymase inhibitors. Thus, the compound II was prepared in a multi-step synthesis. II showed IC50 of 7 nmol/L against human chymase.

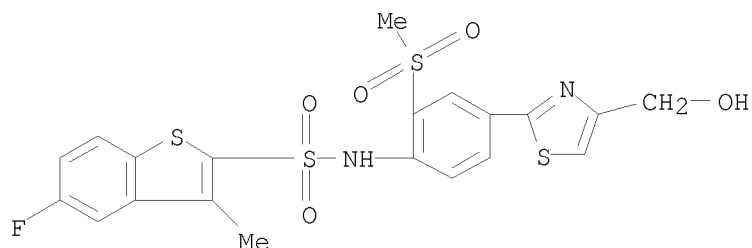
IT 603987-52-6P 603987-53-7P 603987-58-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of benzothiophenesulfonamide derivs. as human chymase inhibitors)

RN 603987-52-6 CAPLUS

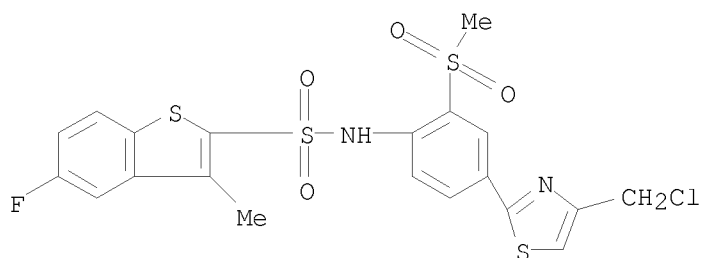
CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-[4-(hydroxymethyl)-2-thiazolyl]-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 603987-53-7 CAPLUS

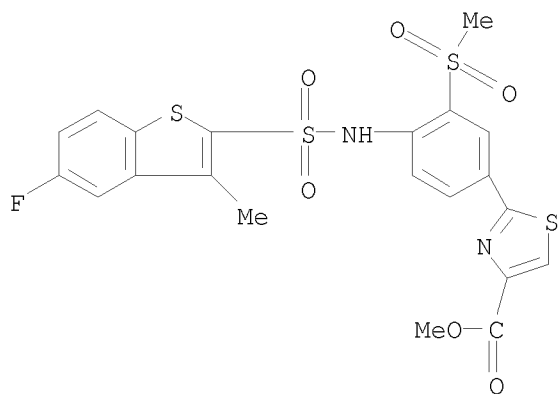
CN Benzo[b]thiophene-2-sulfonamide, N-[4-[4-(chloromethyl)-2-thiazolyl]-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)





RN 603987-58-2 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)



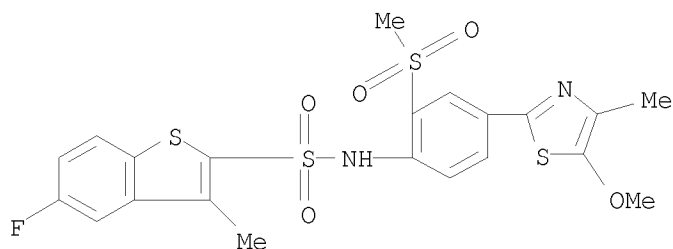
IT 603987-43-5P 603987-44-6P 603987-45-7P  
603987-47-9P 603987-48-0P 603987-49-1P  
603987-50-4P 603987-51-5P 603987-54-8P  
603987-55-9P 603987-56-0P 603987-57-1P  
603987-59-3P 603987-60-6P 603987-61-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzothiophenesulfonamide derivs. as human chymase inhibitors)

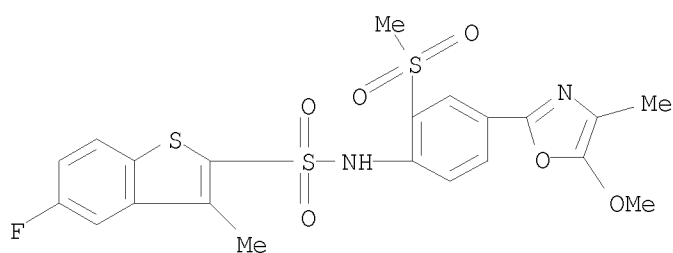
RN 603987-43-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(5-methoxy-4-methyl-2-thiazolyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



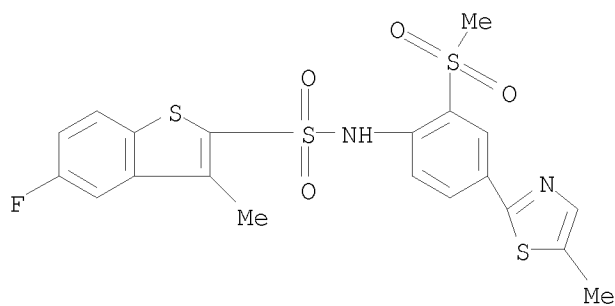
RN 603987-44-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(5-methoxy-4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



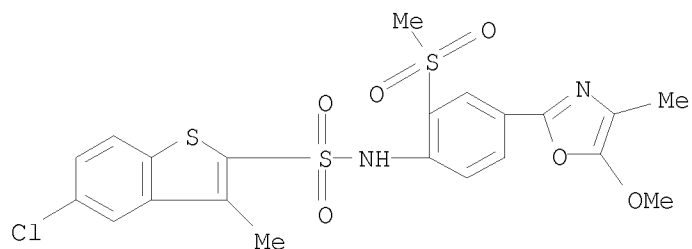
RN 603987-45-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(5-methyl-2-thiazolyl)phenyl]- (CA INDEX NAME)



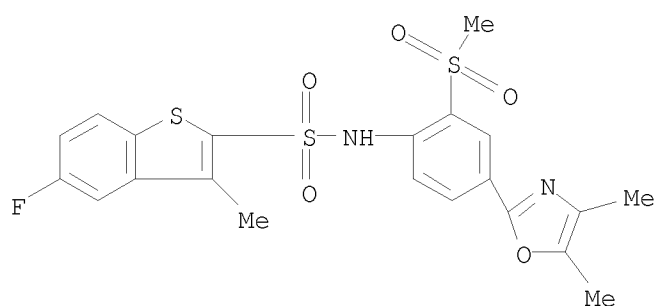
RN 603987-47-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(5-methoxy-4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



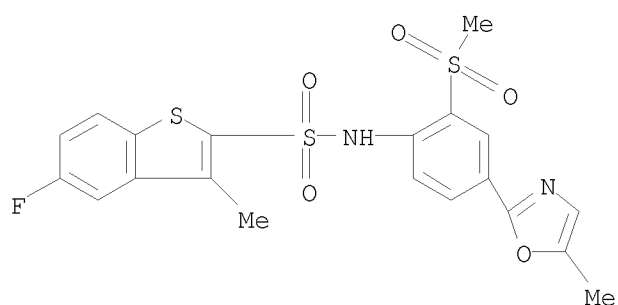
RN 603987-48-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(4,5-dimethyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



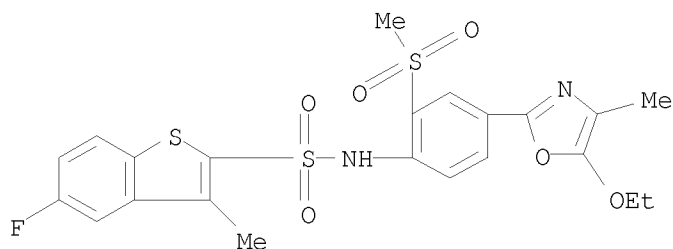
RN 603987-49-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(5-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]- (CA INDEX NAME)



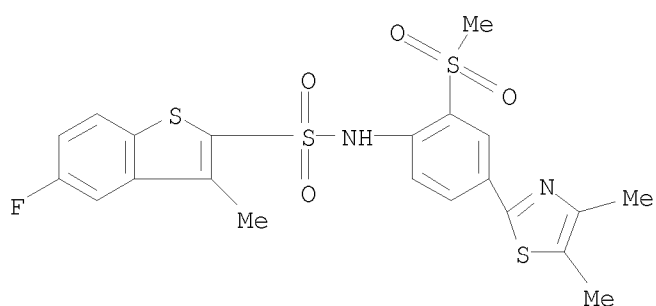
RN 603987-50-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(5-ethoxy-4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



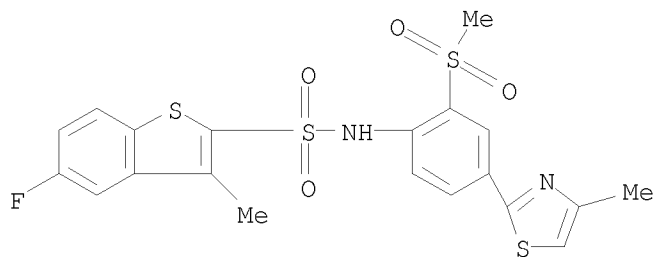
RN 603987-51-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(4,5-dimethyl-2-thiazolyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



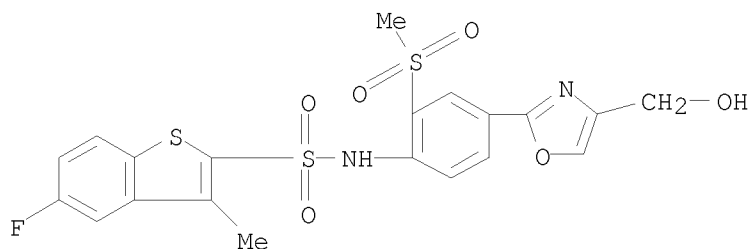
RN 603987-54-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(4-methyl-2-thiazolyl)phenyl]- (CA INDEX NAME)



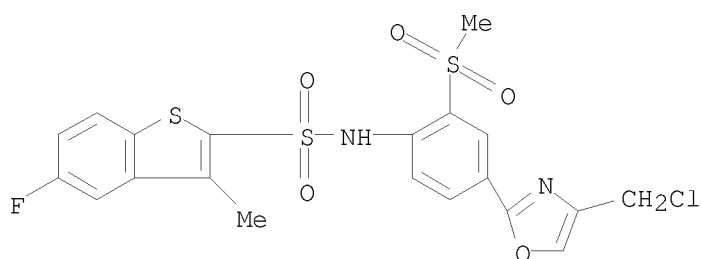
RN 603987-55-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-[4-(hydroxymethyl)-2-oxazolyl]-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



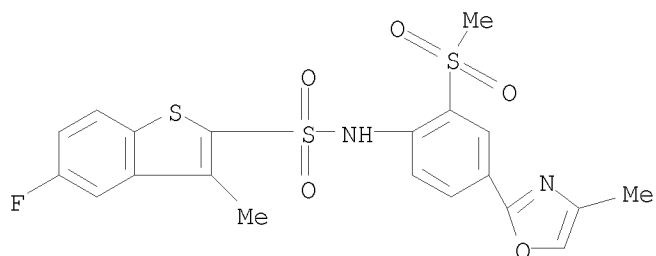
RN 603987-56-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[4-(chloromethyl)-2-oxazolyl]-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



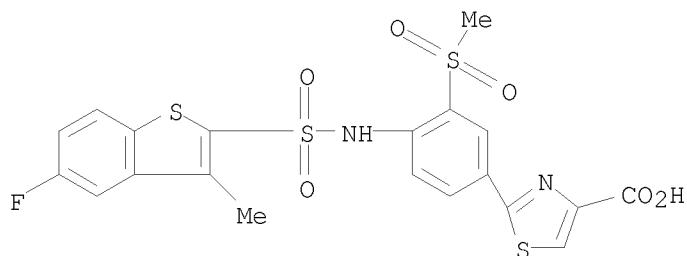
RN 603987-57-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]- (CA INDEX NAME)

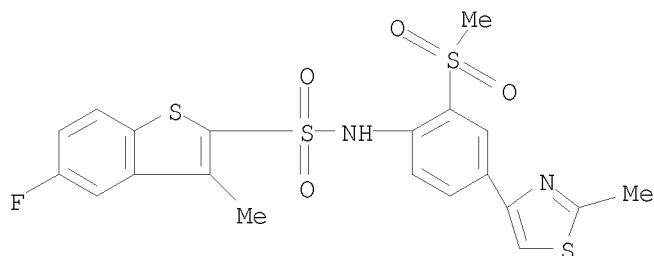


RN 603987-59-3 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[4-[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)

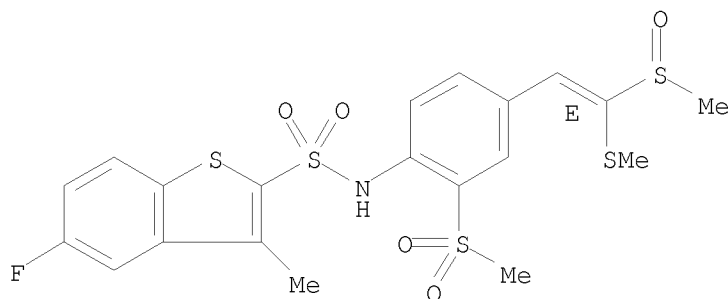


RN 603987-60-6 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(2-methyl-4-thiazolyl)phenyl]- (CA INDEX NAME)

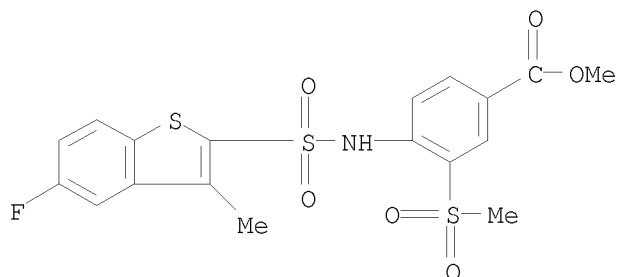


RN 603987-61-7 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-[(1E)-2-(methylsulfinyl)-2-(methylthio)ethenyl]-2-(methylsulfonyl)phenyl]- (CA INDEX NAME)

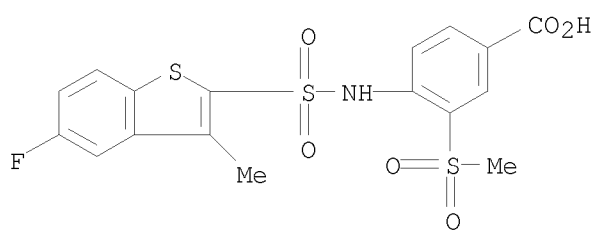
Double bond geometry as shown.



IT 404963-90-2P 404964-36-9P 603987-63-9P  
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 603987-70-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (intermediate; preparation of benzothiophenesulfonamide derivs. as human  
 chymase inhibitors)  
 RN 404963-90-2 CAPLUS  
 CN Benzoic acid, 4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

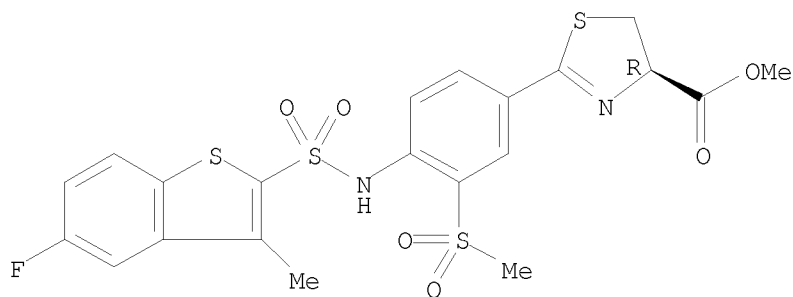


RN 404964-36-9 CAPLUS  
 CN Benzoic acid, 4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)



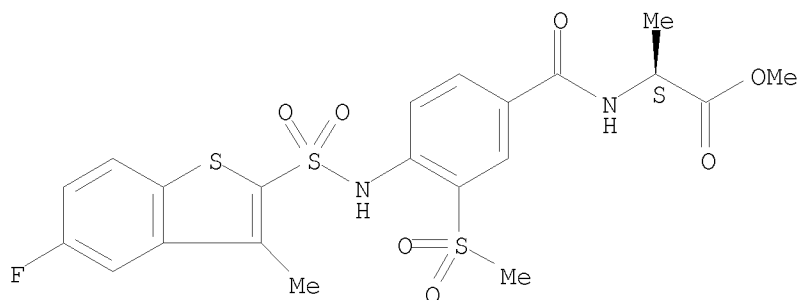
RN 603987-63-9 CAPLUS  
 CN 4-Thiazolecarboxylic acid, 2-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-4,5-dihydro-, methyl ester, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



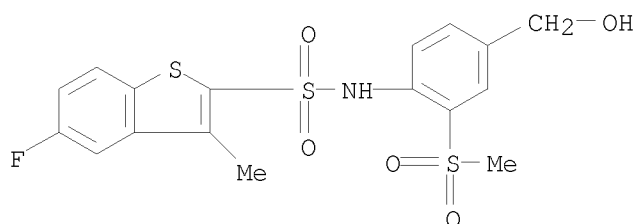
RN 603987-64-0 CAPLUS  
 CN L-Alanine, N-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



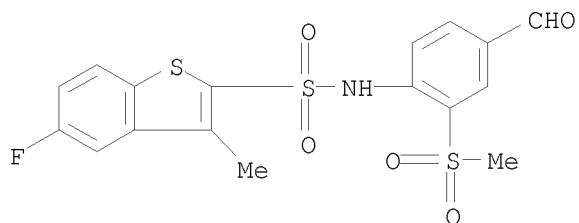
RN 603987-65-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(hydroxymethyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 603987-66-2 CAPLUS

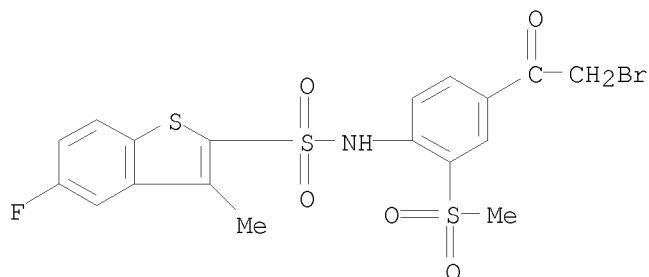
CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-formyl-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



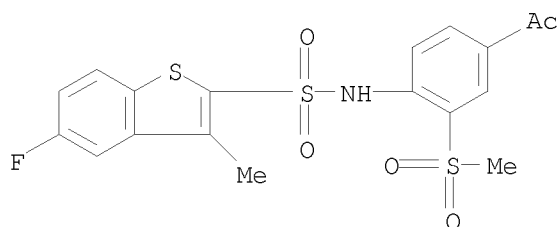
RN 603987-70-8 CAPLUS

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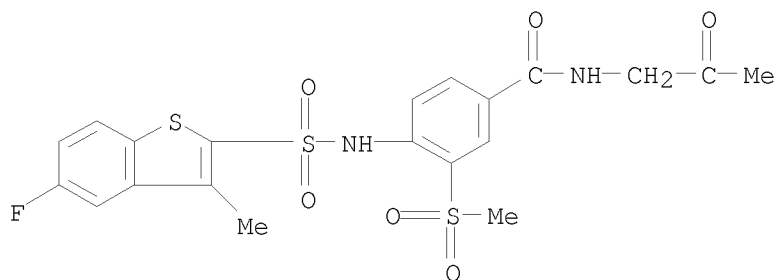




IT 404963-92-4 603987-69-5 603987-71-9  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of benzo[b]thiophenesulfonamide derivs. as human chymase  
 inhibitors)  
 RN 404963-92-4 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-[4-acetyl-2-(methylsulfonyl)phenyl]-5-  
 fluoro-3-methyl- (CA INDEX NAME)

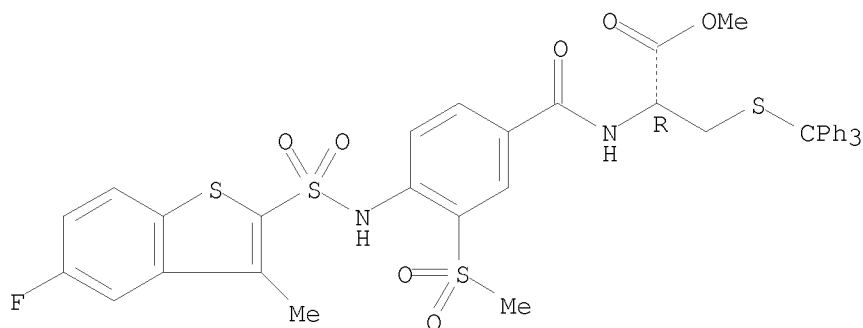


RN 603987-69-5 CAPLUS  
 CN Benzamide, 4-[[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-  
 (methylsulfonyl)-N-(2-oxopropyl)- (CA INDEX NAME)



RN 603987-71-9 CAPLUS  
 CN L-Cysteine, N-[4-[[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-  
 (methylsulfonyl)benzoyl]-S-(triphenylmethyl)-, methyl ester (CA INDEX  
 NAME)

Absolute stereochemistry.



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 99 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2003:750639 CAPLUS  
DN 139:271052  
TI Pharmaceuticals containing benzothiophenesulfonamides for prophylactic and  
therapeutic treatment of pulmonary hypertension  
IN Yoneyama, Fumiaki; Kuze, Tetsuro  
PA Toa Eiyo, Ltd., Japan  
SO Jpn. Kokai Tokkyo Koho, 31 pp.  
CODEN: JKXXAF  
DT Patent  
LA Japanese  
FAN.CNT 4

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PI	JP 2003267870	A	20030925	JP 2002-72305	20020315
	US 20030229126	A1	20031211	US 2003-388378	20030313
	US 7071220	B2	20060704		
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				WO 2001-JP8061	A2 20010917
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	US 20060116408	A1	20060601	US 2006-329505	20060110
	US 7399781	B2	20080715		
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PATENT FAMILY INFORMATION:

FAN 2002:220571

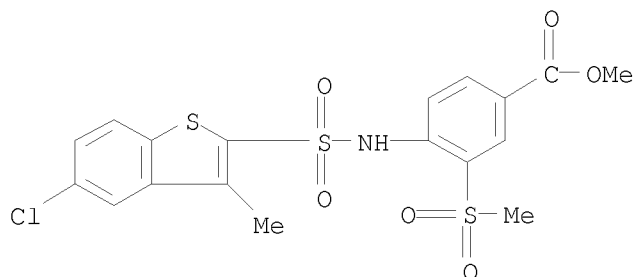
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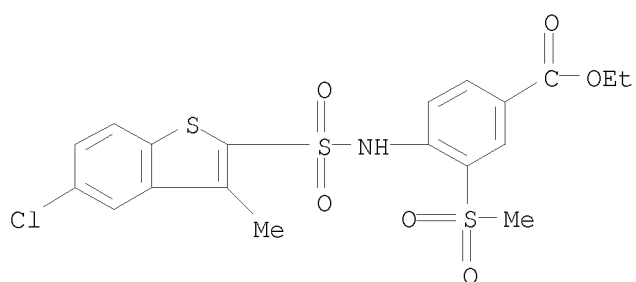
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AB	Title pharmaceuticals, which do not cause systemic hypotension, contain benzothiophenesulfonamides I (R1 = H, halo, lower alkyl; R2 = lower alkyl; R3, R4 = H, lower alkoxy, carbonyl, lower alkylsulfonyl, Bz, C1-4 acyl, NO2, etc.; R5 = H, lower alkoxy, lower alkyl) or their pharmacol. acceptable salts as active ingredients. Thus, Me 4-(5-chloro-3-methylbenzo[b]thiophene-2-sulfonylamino)-3-methanesulfonylbenzoate inhibited human chymase with IC50 of 203 nmol/L.					
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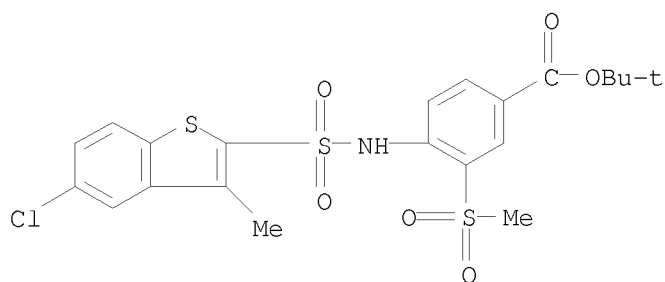
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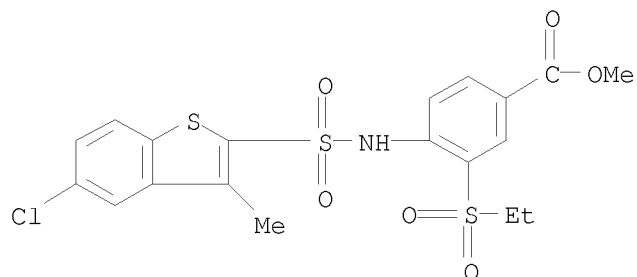
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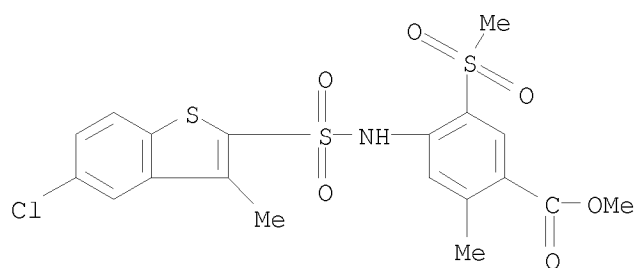
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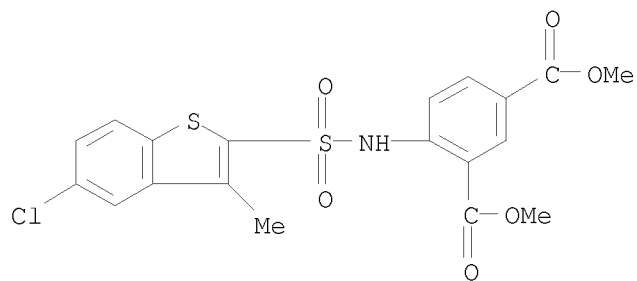
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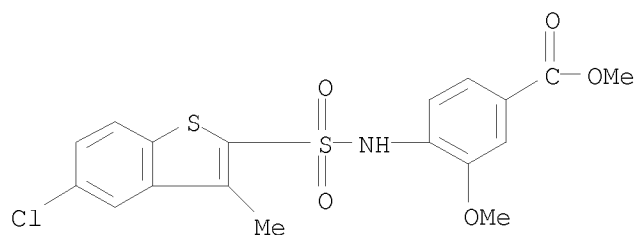
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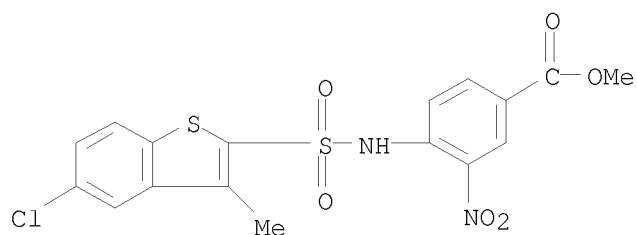
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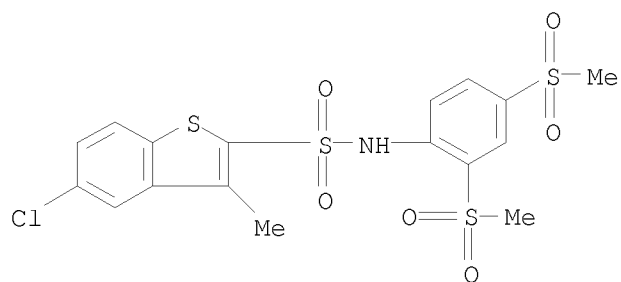
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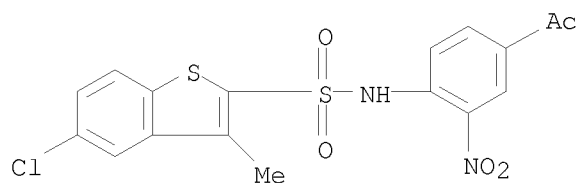
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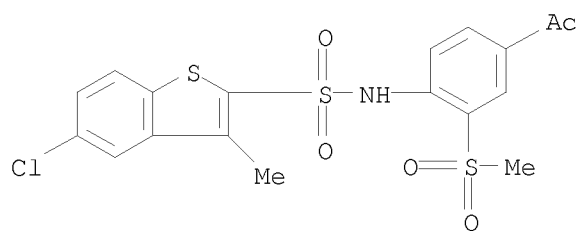
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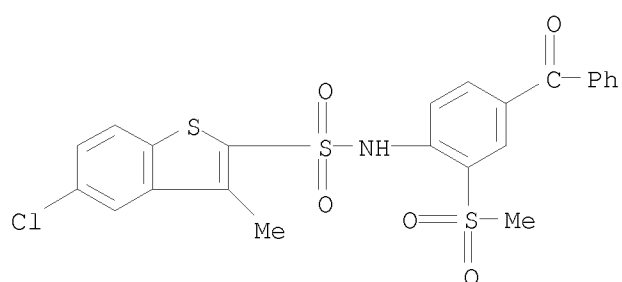
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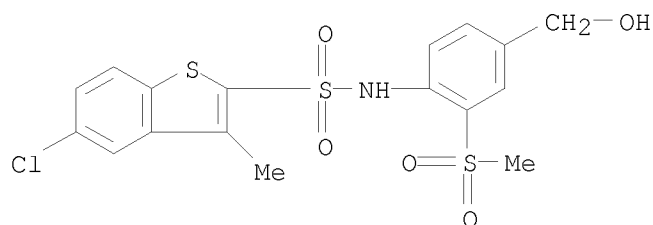
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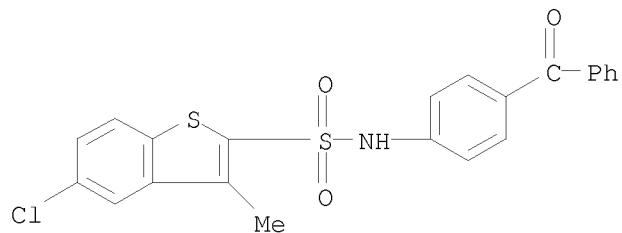
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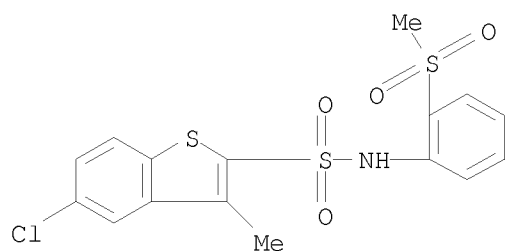
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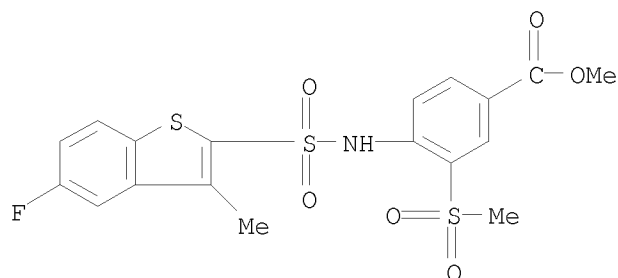




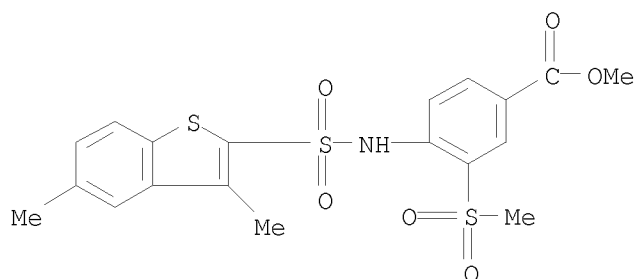
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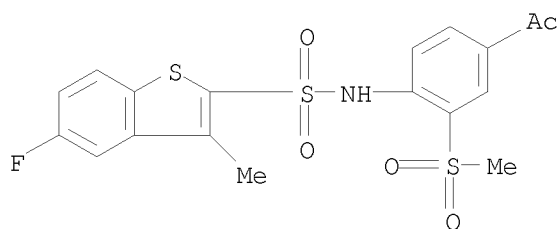
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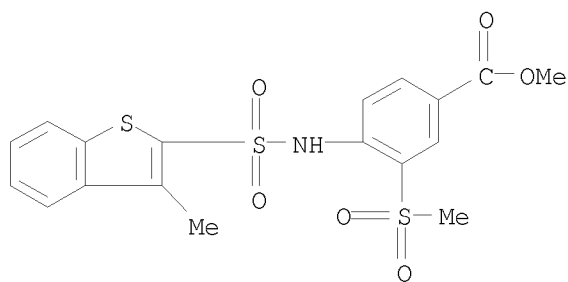


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RN 404963-93-5 CAPLUS

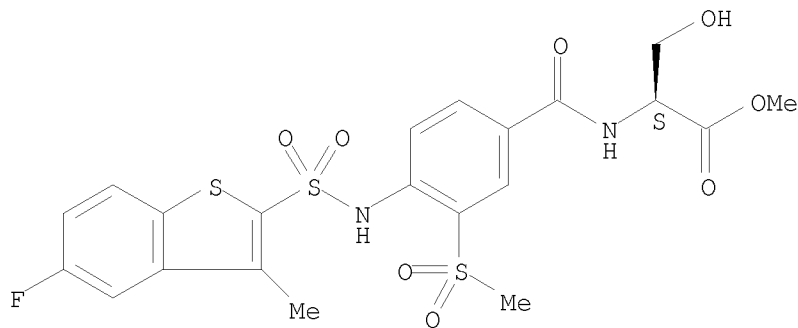
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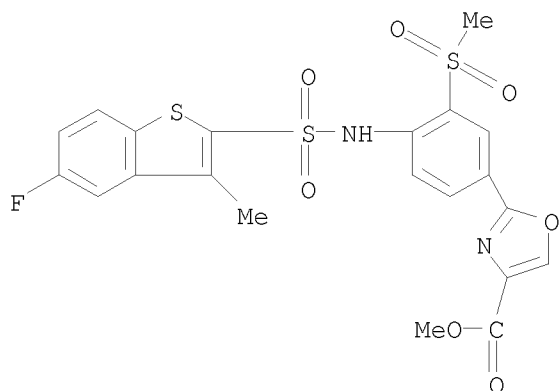
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Absolute stereochemistry.

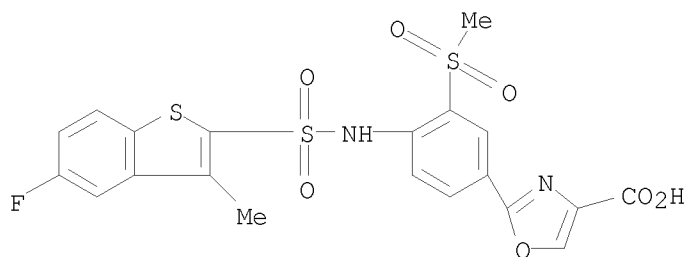


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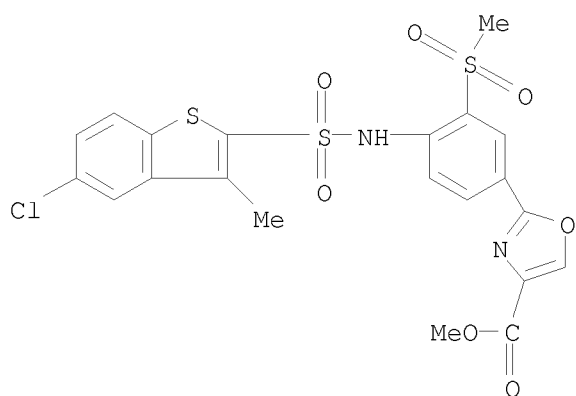
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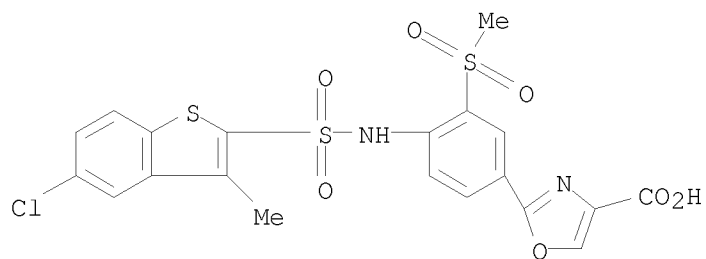
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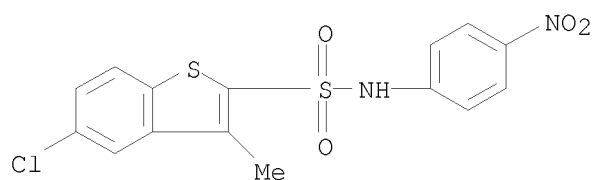
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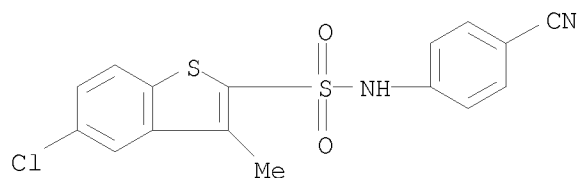
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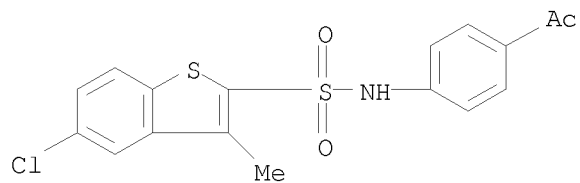
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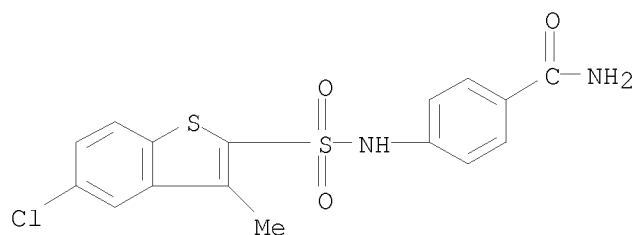
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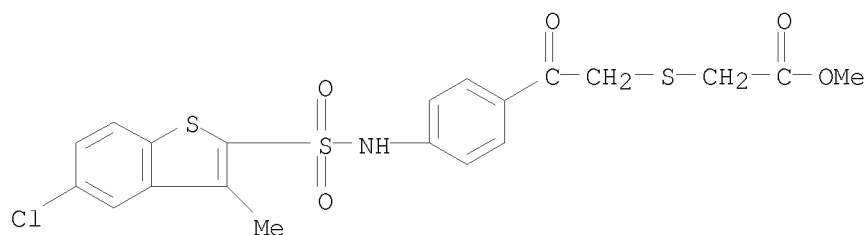
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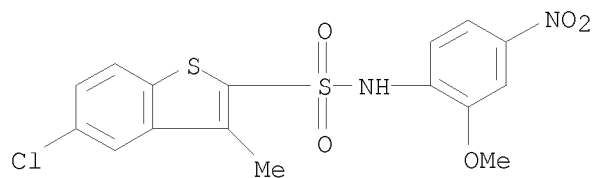
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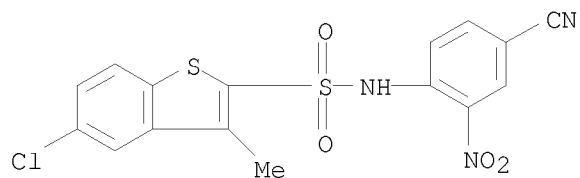
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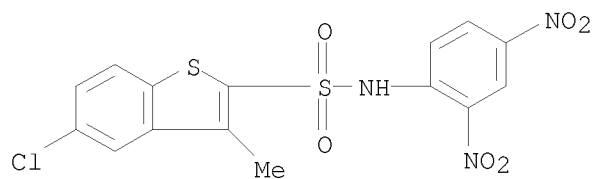
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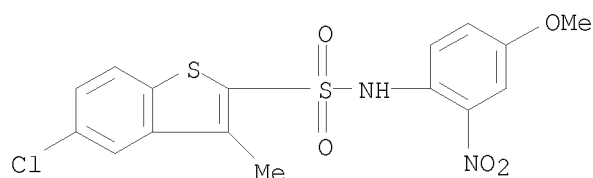
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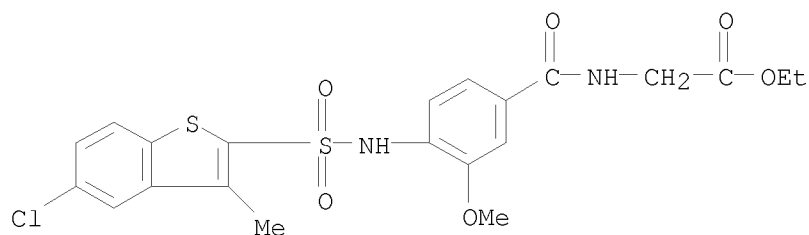
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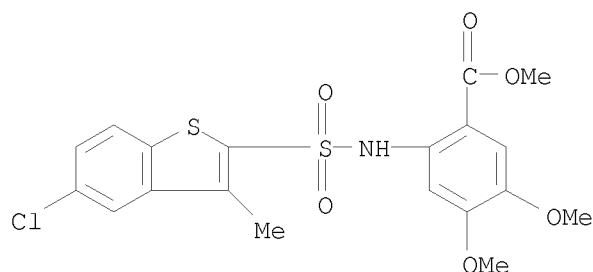
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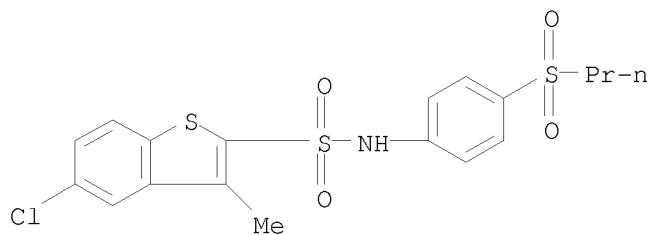
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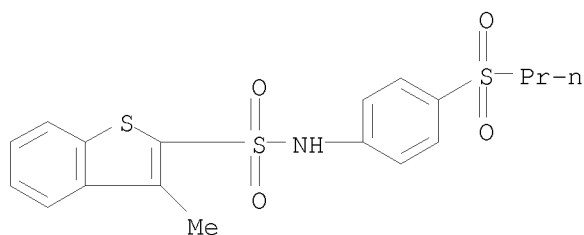


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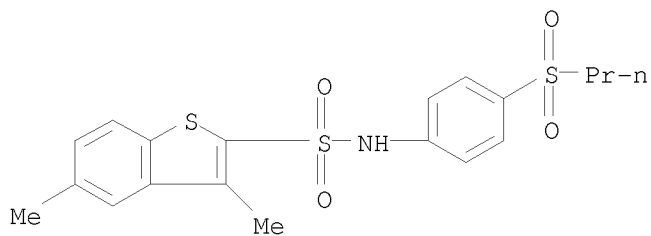
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CN Benzo[b]thiophene-2-sulfonamide, 3-methyl-N-[4-(propylsulfonyl)phenyl]-  
(CA INDEX NAME)



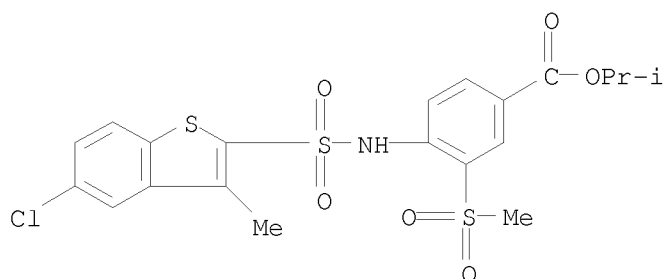
RN 404964-14-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 3,5-dimethyl-N-[4-(propylsulfonyl)phenyl]-  
(CA INDEX NAME)



RN 404964-15-4 CAPLUS

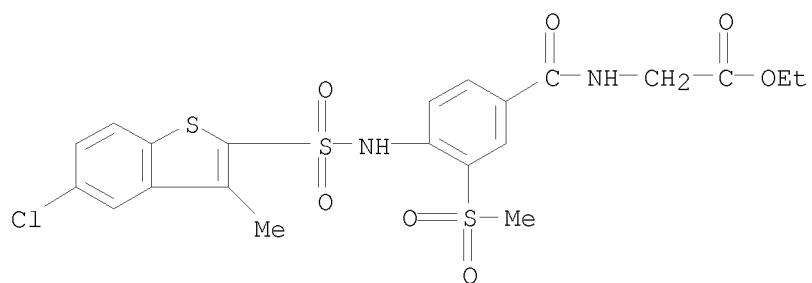
CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, 1-methylethyl ester (CA INDEX NAME)



RN 404964-16-5 CAPLUS

CN Glycine, N-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, 1-methylethyl ester (CA INDEX NAME)

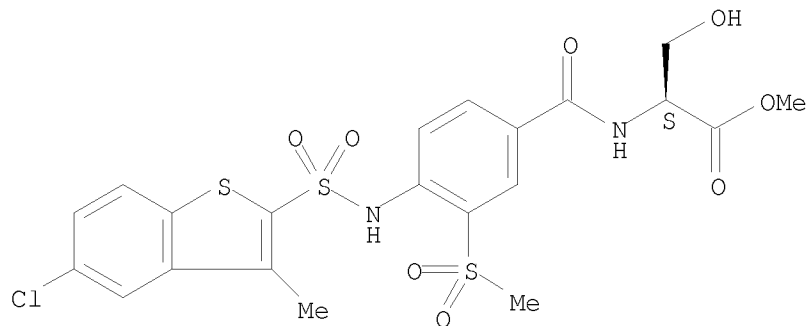
(methylsulfonyl)benzoyl]-, ethyl ester (CA INDEX NAME)



RN 404964-17-6 CAPLUS

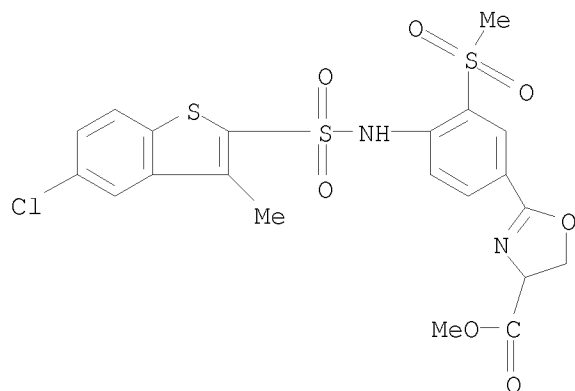
CN L-Serine, N-[4-[[ (5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 404964-20-1 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[ (5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-4,5-dihydro-, methyl ester (CA INDEX NAME)

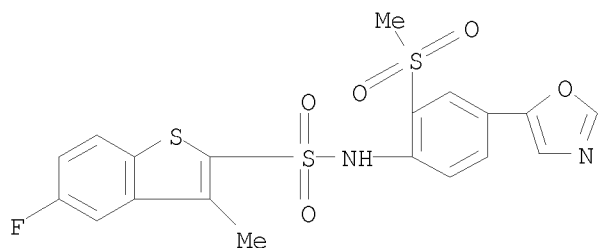


RN 404964-21-2 CAPLUS

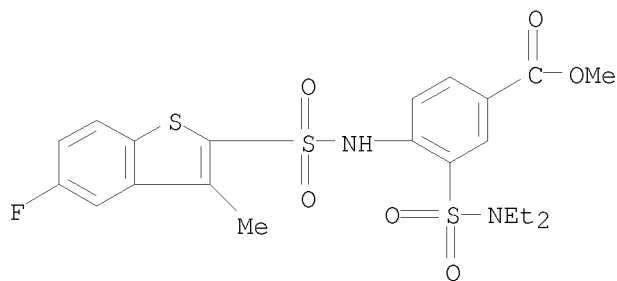
CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-



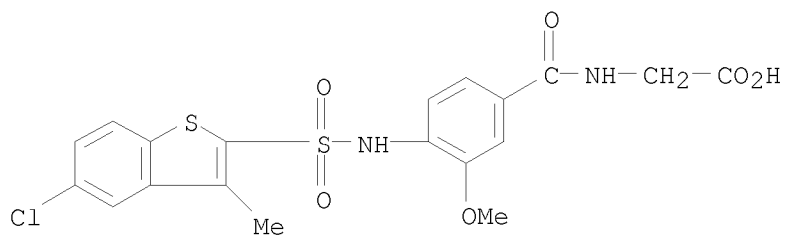
(5-oxazolyl)phenyl]- (CA INDEX NAME)



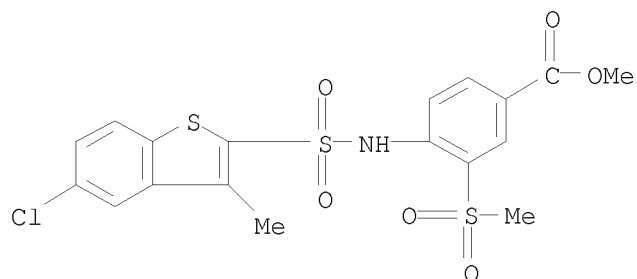
RN 404964-22-3 CAPLUS  
 CN Benzoic acid, 3-[(diethylamino)sulfonyl]-4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, methyl ester (CA INDEX NAME)



RN 404964-23-4 CAPLUS  
 CN Glycine, N-[4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxybenzoyl]- (CA INDEX NAME)

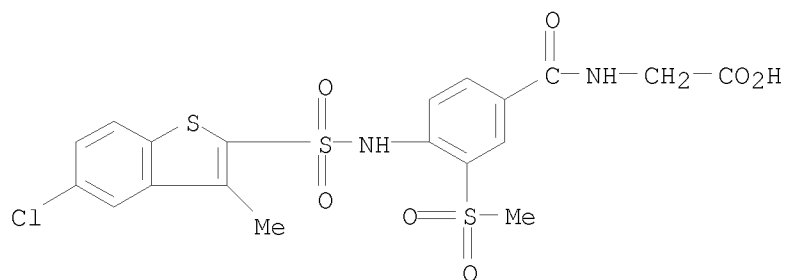


RN 404964-24-5 CAPLUS  
 CN Benzoic acid, 4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester, sodium salt (1:1) (CA INDEX NAME)



● Na

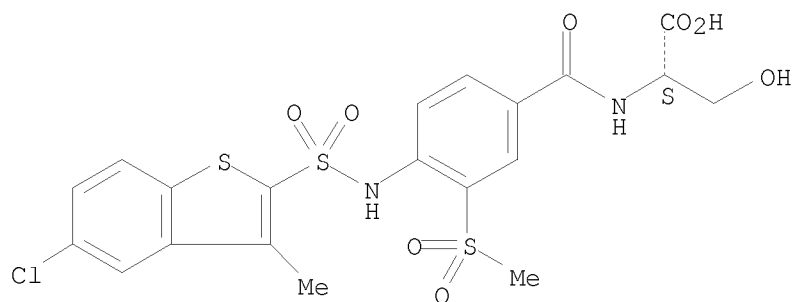
RN 404964-25-6 CAPLUS  
 CN Glycine, N-[4-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, monosodium salt (9CI) (CA INDEX NAME)



● Na

RN 404964-26-7 CAPLUS  
 CN L-Serine, N-[4-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, monosodium salt (9CI) (CA INDEX NAME)

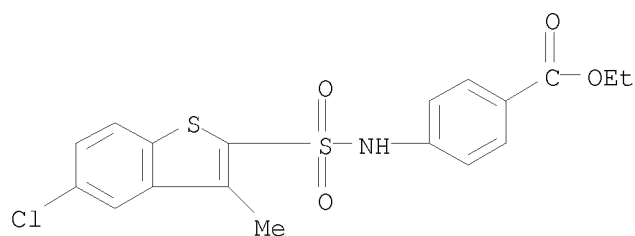
Absolute stereochemistry.



● Na

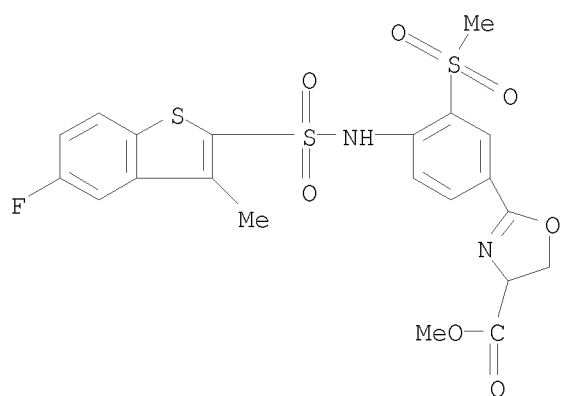
RN 603987-37-7 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, ethyl ester (CA INDEX NAME)



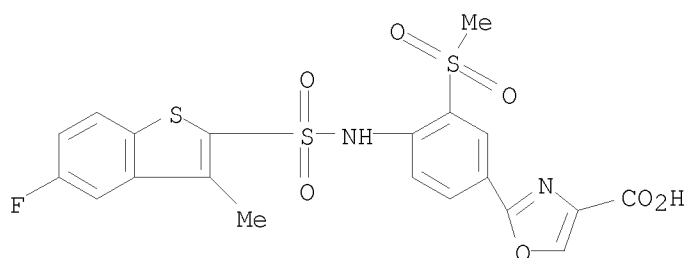
RN 603987-38-8 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-4,5-dihydro-, methyl ester (CA INDEX NAME)



RN 603987-39-9 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, sodium salt (1:2) (CA INDEX NAME)

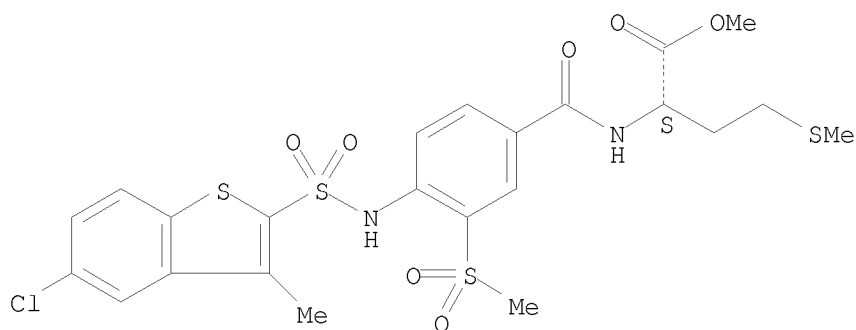


● 2 Na

RN 603987-40-2 CAPLUS

CN L-Methionine, N-[4-[[ (5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

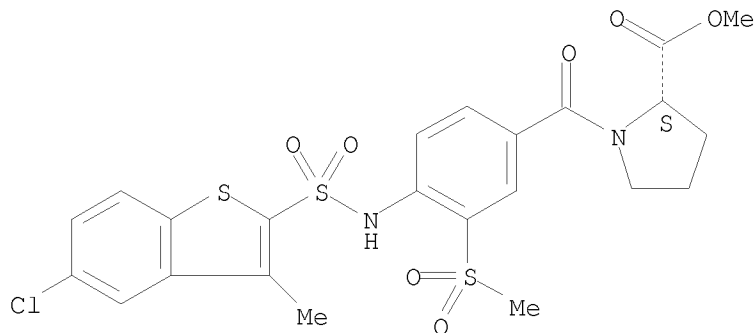
Absolute stereochemistry.



RN 603987-41-3 CAPLUS

CN L-Proline, 1-[4-[[ (5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

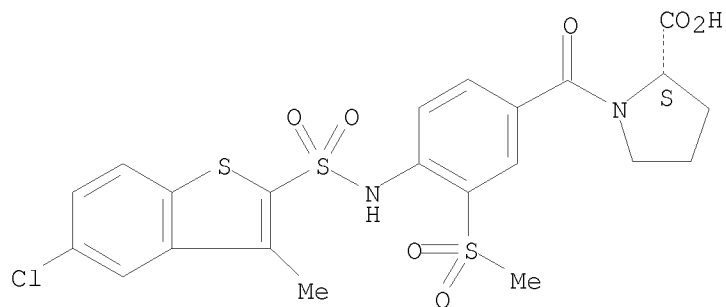


RN 603987-42-4 CAPLUS

CN L-Proline, 1-[4-[[ (5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-

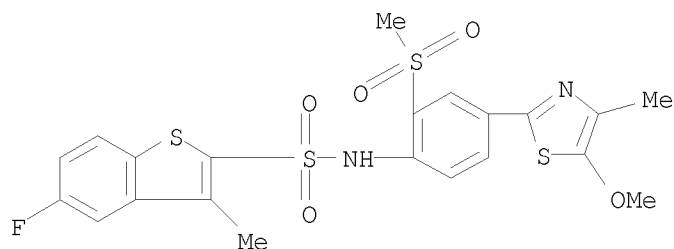
(methylsulfonyl)benzoyl]-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



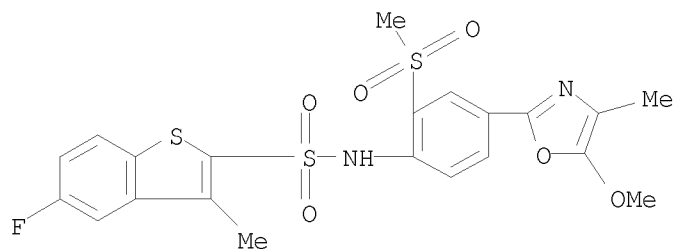
RN 603987-43-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(5-methoxy-4-methyl-2-thiazolyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



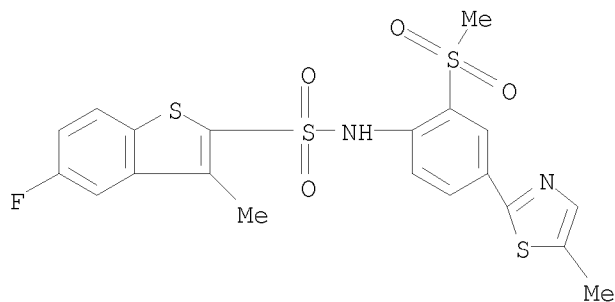
RN 603987-44-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(5-methoxy-4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



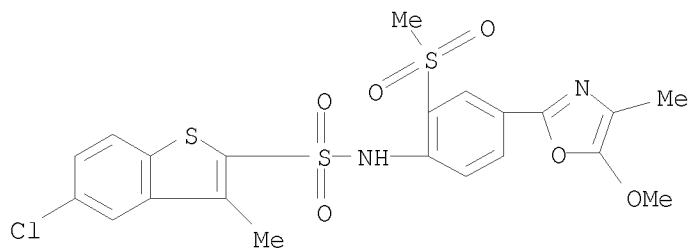
RN 603987-45-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(5-methyl-2-thiazolyl)phenyl]- (CA INDEX NAME)



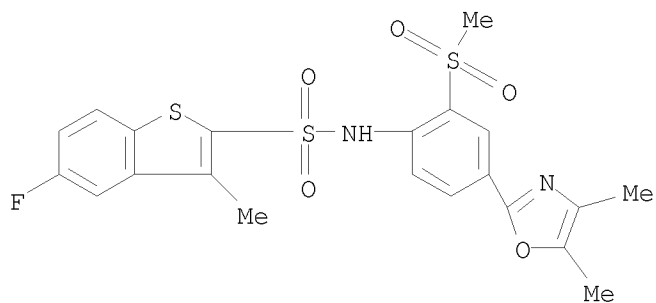
RN 603987-47-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(5-methoxy-4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



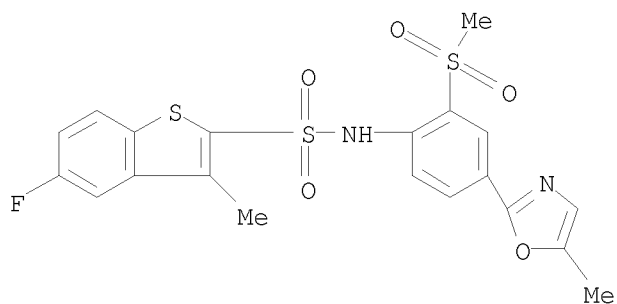
RN 603987-48-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(4,5-dimethyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



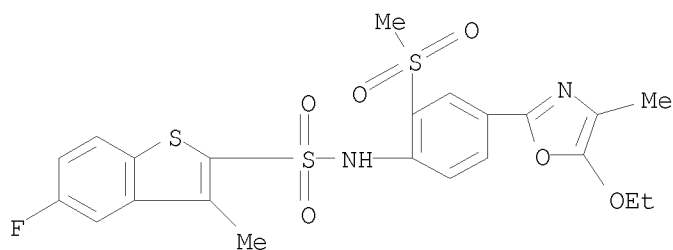
RN 603987-49-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(5-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]- (CA INDEX NAME)



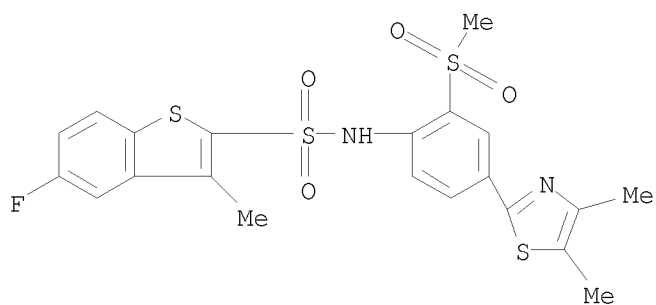
RN 603987-50-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(5-ethoxy-4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



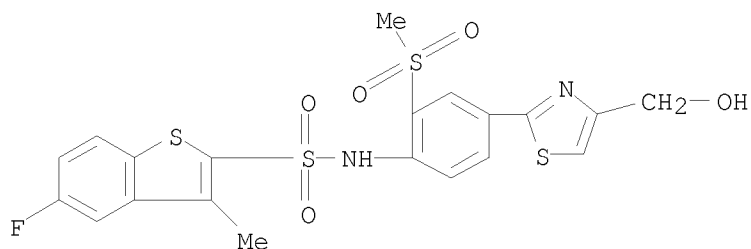
RN 603987-51-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(4,5-dimethyl-2-thiazolyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



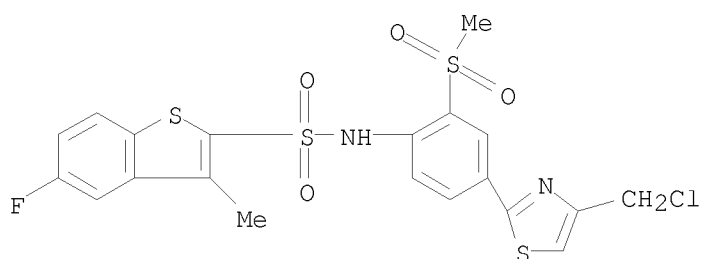
RN 603987-52-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-[4-(hydroxymethyl)-2-thiazolyl]-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



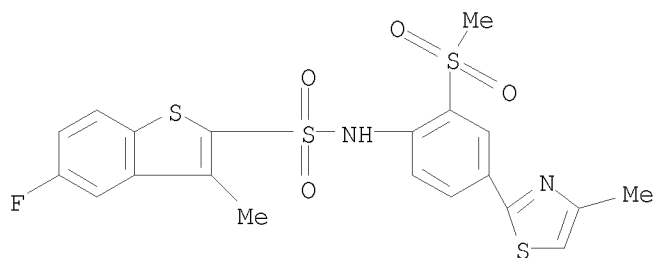
RN 603987-53-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[4-(chloromethyl)-2-thiazolyl]-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



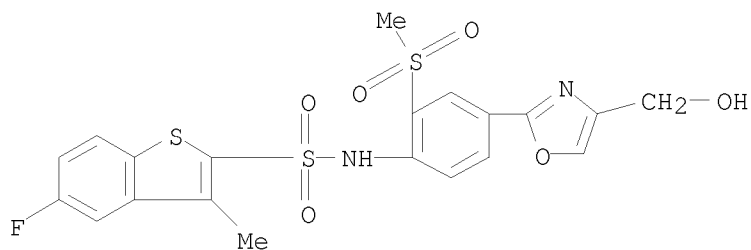
RN 603987-54-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(4-methyl-2-thiazolyl)phenyl]- (CA INDEX NAME)



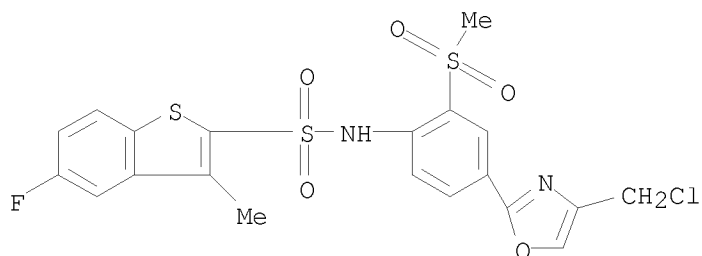
RN 603987-55-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-[4-(hydroxymethyl)-2-oxazolyl]-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

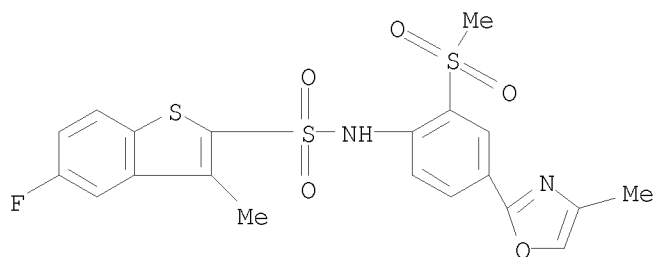




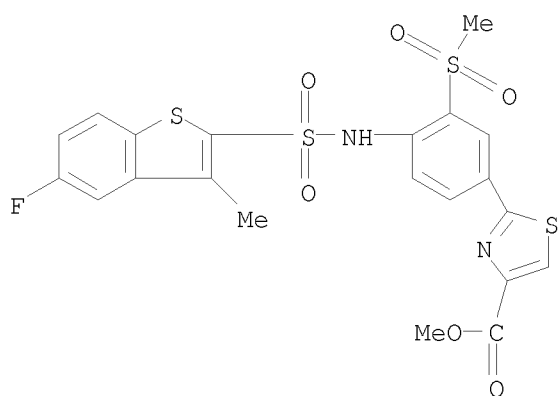
RN 603987-56-0 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-[4-[4-(chloromethyl)-2-oxazolyl]-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



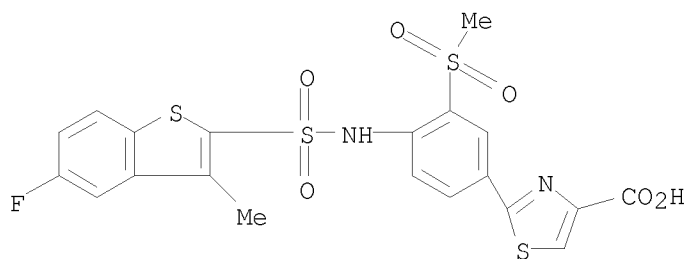
RN 603987-57-1 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]- (CA INDEX NAME)



RN 603987-58-2 CAPLUS  
 CN 4-Thiazolecarboxylic acid, 2-[4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)

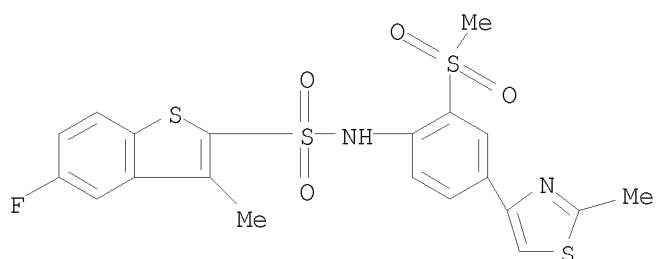


RN 603987-59-3 CAPLUS  
 CN 4-Thiazolecarboxylic acid, 2-[4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)



RN 603987-60-6 CAPLUS

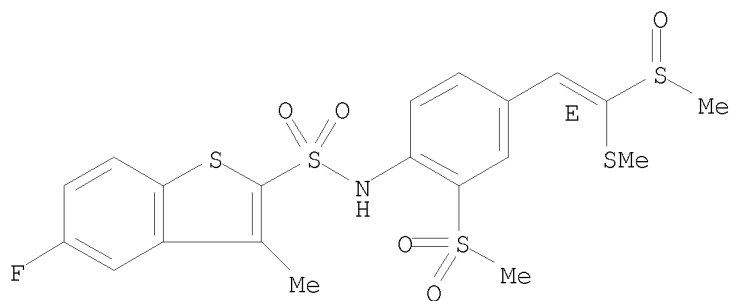
CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(2-methyl-4-thiazolyl)phenyl]- (CA INDEX NAME)



RN 603987-61-7 CAPLUS

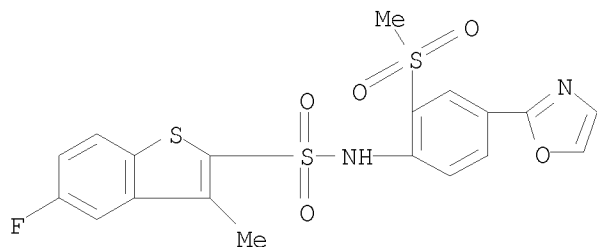
CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-[(1E)-2-(methylsulfinyl)-2-(methylthio)ethenyl]-2-(methylsulfonyl)phenyl]- (CA INDEX NAME)

Double bond geometry as shown.



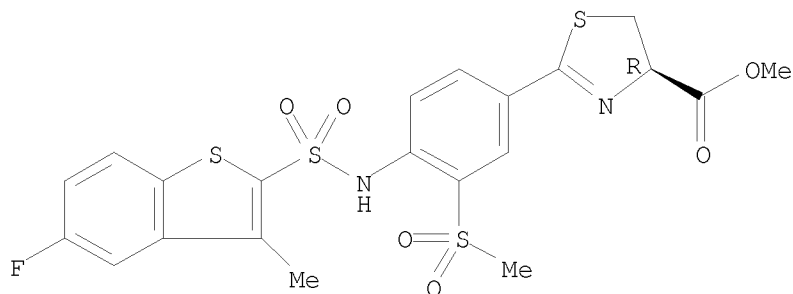
RN 603987-62-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(2-oxazolyl)phenyl]- (CA INDEX NAME)

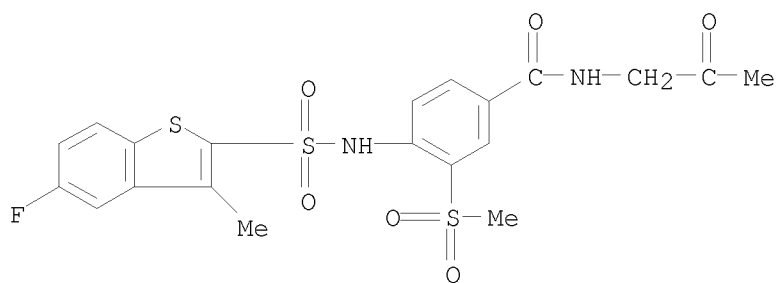


RN 603987-63-9 CAPLUS  
 CN 4-Thiazolecarboxylic acid, 2-[4-[[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-4,5-dihydro-, methyl ester, (4R)- (CA INDEX NAME)

Absolute stereochemistry.

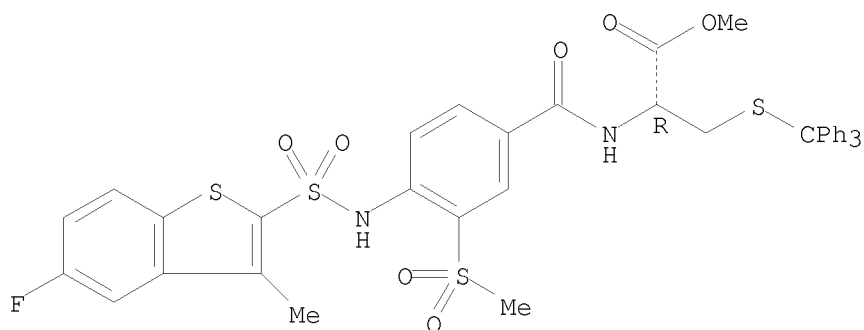


IT 603987-69-5 603987-71-9  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of benzothiophenesulfonamides as chymase inhibitors for treatment of pulmonary hypertension)  
 RN 603987-69-5 CAPLUS  
 CN Benzamide, 4-[[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-N-(2-oxopropyl)- (CA INDEX NAME)

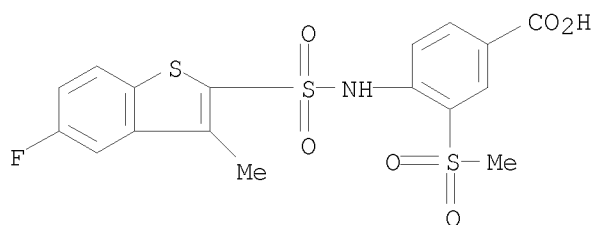


RN 603987-71-9 CAPLUS  
 CN L-Cysteine, N-[4-[[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-S-(triphenylmethyl)-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

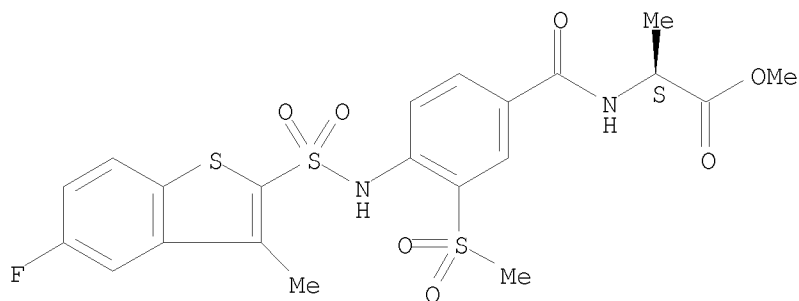


IT 404964-36-9P 603987-64-0P 603987-65-1P  
 603987-66-2P 603987-70-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of benzothiophenesulfonamides as chymase inhibitors for  
 treatment of pulmonary hypertension)  
 RN 404964-36-9 CAPLUS  
 CN Benzoic acid, 4-[[ (5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-  
 (methylsulfonyl)- (CA INDEX NAME)

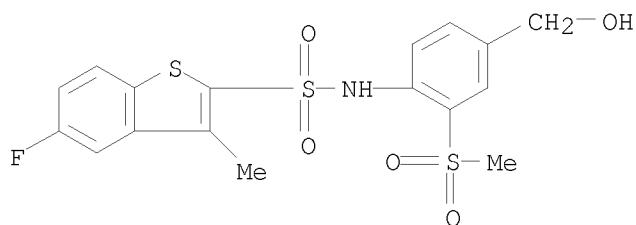


RN 603987-64-0 CAPLUS  
 CN L-Alanine, N-[4-[[ (5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-  
 (methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

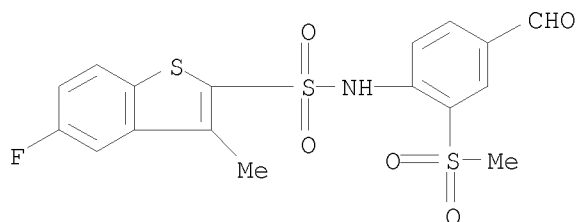


RN 603987-65-1 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(hydroxymethyl)-2-  
 (methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



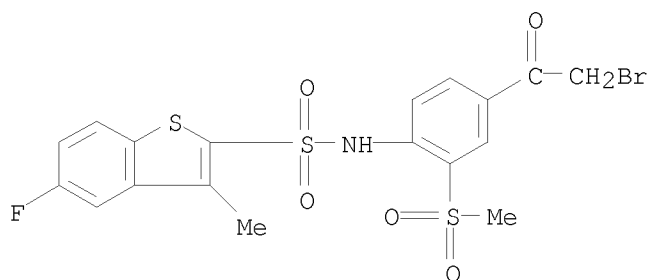
RN 603987-66-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-formyl-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 603987-70-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(2-bromoacetyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



L6 ANSWER 100 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:736210 CAPLUS

DN 140:139260

TI Effects of 5-HT6 receptor blockade on the neurochemical outcome of antidepressant treatment in the frontal cortex of the rat

AU Dawson, L. A.; Li, P.

CS Neuroscience Research, Wyeth-Ayerst, Princeton, NJ, USA

SO Journal of Neural Transmission (2003), 110(6), 577-590

CODEN: JNTRF3; ISSN: 0300-9564

PB Springer-Verlag Wien

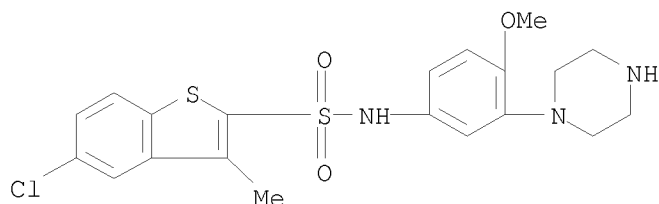
DT Journal

LA English

AB Using in vivo microdialysis in the freely moving rat we have examined the effects of 5-HT6 receptor antagonism on the neurochem. outcome of antidepressant treatment. Acute administration of both desipramine (10 mg/kg s.c.) and venlafaxine (10 mg/kg s.c.) produced a 2 fold increase in

extracellular noradrenaline (NA) but no change in frontal cortex dopamine (DA), 5-HT or glutamate. Fluoxetine (20 mg/kg s.c.) produced no change in extracellular levels of any of the neurotransmitters examined SB-271046 produced a 3-fold increase in extracellular glutamate. Combination treatment of SB-271046 with each antidepressant produced no change in the antidepressant-induced changes in NA, DA or 5-HT. In contrast, both fluoxetine and venlafaxine attenuated the SB-271046-induced increase in extracellular glutamate, suggesting that 5-HT and possibly NA may be having an inhibitory action on the excitatory pathways enhanced by 5-HT6 receptor blockade. Furthermore, these data indicate that the neurochem. effects induced by NA and/or 5-HT re-uptake inhibitors are not enhanced by 5-HT6 receptor blockade indicating that 5-HT6 receptor antagonists are unlikely to augment the therapeutic efficacy of these types of antidepressants.

IT 209481-20-9, SB-271046  
 RL: BUU (Biological use, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (effects of 5-HT6 receptor blockade on the neurochem. outcome of antidepressant treatment in the frontal cortex of the rat)  
 RN 209481-20-9 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

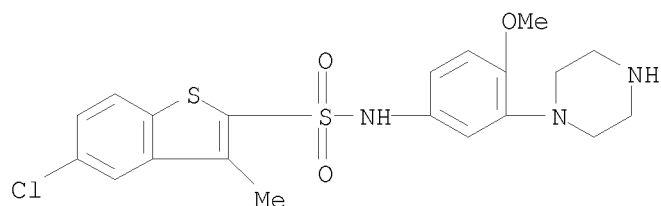
L6 ANSWER 101 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2003:633473 CAPLUS  
 DN 139:159959  
 TI Method using 5-HT6 receptor antagonists for promoting neuronal growth  
 IN Foley, Andrew; Gallagher, Helen; Hagan, James; Regan, Ciaran; Upton, Neil  
 PA Glaxo Group Limited, UK  
 SO PCT Int. Appl., 21 pp.  
 CODEN: PIXXD2

DT Patent  
 LA English

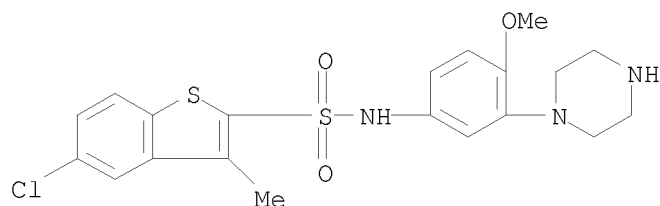
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003066056	A1	20030814	WO 2003-GB462	20030204
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BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 GB 2002-2680 A 20020205  
 GB 2002-22616 A 20020930  
 AU 2003244452 A1 20030902 AU 2003-244452 20030204  
 GB 2002-2680 A 20020205  
 GB 2002-22616 A 20020930  
 WO 2003-GB462 W 20030204  
 EP 1471912 A1 20041103 EP 2003-737355 20030204  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
 GB 2002-2680 A 20020205  
 GB 2002-22616 A 20020930  
 WO 2003-GB462 W 20030204  
 JP 2005522432 T 20050728 JP 2003-565480 20030204  
 GB 2002-2680 A 20020205  
 GB 2002-22616 A 20020930  
 WO 2003-GB462 W 20030204  
 US 20070270432 A1 20071122 US 2005-503679 20050912  
 GB 2002-2680 A 20020205  
 GB 2002-22616 A 20020930  
 WO 2003-GB462 W 20030204  
 AB The invention provides a method for promoting neuronal growth within the  
 central nervous system of a mammal, as well as 5-HT6 antagonist compds.  
 and pharmaceutical compns. for use in the method. Compds. of the  
 invention include e.g. N-(3,5-dichloro-2-methoxyphenyl)-4-methoxy-3-  
 piperazin-1-ylbenzenesulfonamide.  
 IT 209481-20-9 209481-24-3  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (5-HT6 receptor antagonists for promoting neuronal growth)  
 RN 209481-20-9 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-  
 piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 209481-24-3 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-  
 piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 102 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:601375 CAPLUS

DN 140:122574

TI Blockade of serotonin 5-HT1B and 5-HT2A receptors suppresses the induction of locomotor activity by 5-HT reuptake inhibitors, citalopram and fluvoxamine, in NMRI mice exposed to a novel environment: a comparison to other 5-HT receptor subtypes

AU Millan, Mark J.; Veiga, Sylvie; Girardon, Sylvie; Brocco, Mauricette

CS Centre de Recherches de Croissy, Psychopharmacology Department, Institut de Recherches Servier, Croissy/Seine, 78290, Fr.

SO Psychopharmacology (Berlin, Germany) (2003), 168(4), 397-409  
CODEN: PSCHDL; ISSN: 0033-3158

PB Springer-Verlag

DT Journal

LA English

AB Though 5-HT plays an important role in the modulation of motor function, which is perturbed in depressive states, little is known concerning the influence of serotonin reuptake inhibitors (SSRIs) on locomotor activity (LA). Recently, we demonstrated that SSRIs, such as citalopram, enhance LA in mice exposed to a novel environment. This study examined the role of multiple classes of 5-HT receptor in citalopram-induced LA. The most selective antagonists currently available were used. Citalopram-induced LA was dose-dependently attenuated by the 5-HT1B/1D receptor antagonists, SB18127, GR125,743 and GR127,935, and by the selective 5-HT1B antagonist, SB224,289, but unaffected by the selective 5-HT1A antagonist, WAY100,635. The selective antagonists at 5-HT2A receptors, MDL100,907 and SR46,349 also dose-dependently attenuated induction of locomotion by citalopram, whereas the 5-HT2B antagonist, SB204,741, and the 5-HT2B/2C antagonist, SB206,553 were ineffective. Further, the selective 5-HT2C antagonist, SB242,084, potentiated the response to citalopram. Selective antagonists at 5-HT3 (ondansetron), 5-HT4 (GR125,487), 5-HT6 (SB271,046) and 5-HT7 (SB269,970) receptors did not significantly modify the action of citalopram. Underpinning these findings, SB224,289, GR125,743, MDL100,907 and SR46,349 likewise attenuated induction of locomotion by a further SSRI, fluvoxamine. The locomotor response to SSRIs of mice exposed to a novel environment is mediated via 5-HT1B and 5-HT2A receptors. In view of the importance of motor function to the etiol. and treatment of depression, the significance of these observations to the clin. actions of SSRIs will be of interest to elucidate.

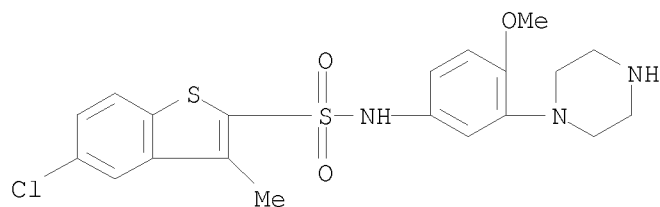
IT 209481-20-9, SB271046

RL: PAC (Pharmacological activity); BIOL (Biological study)

(role of multiple classes of 5-HT receptor in citalopram-induced



locomotor activity)  
 RN 209481-20-9 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 129 THERE ARE 129 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 103 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:542497 CAPLUS

DN 139:317826

TI Characterization of the 5-HT<sub>6</sub> receptor coupled to Ca<sup>2+</sup> signaling using an enabling chimeric G-protein

AU Zhang, Jean Y.; Nawoschik, Stanley; Kowal, Dianne; Smith, Deborah; Spangler, Taylor; Ochalski, Rafal; Schechter, Lee; Dunlop, John

CS Neuroscience Discovery Research, Wyeth Research, Princeton, NJ, 08543-8000, USA

SO European Journal of Pharmacology (2003), 472(1-2), 33-38  
 CODEN: EJPHAZ; ISSN: 0014-2999

PB Elsevier Science B.V.

DT Journal

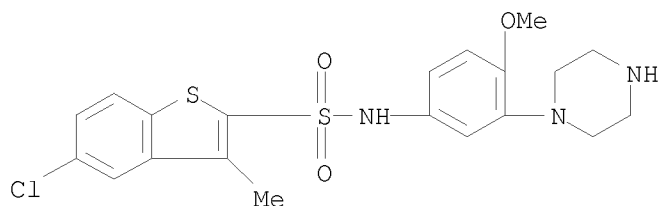
LA English

AB The authors examined the feasibility of coupling the 5-HT<sub>6</sub> receptor to a Ca<sup>2+</sup> signaling read-out using a chimeric G-protein, comprising of Gα<sub>q</sub> with the C-terminal five amino acids from Gas, to facilitate assays on the fluorometric imaging plate reader (FLIPR). Using a transient transfection assay in human embryonic kidney (HEK) cells, Ca<sup>2+</sup> signaling in response to serotonin (5-HT) was facilitated by co-transfection of the 5-HT<sub>6</sub> receptor with the Gα<sub>q</sub>/Gas chimera, but not with the 5-HT<sub>6</sub> receptor alone or with a similar chimera incorporating the C-terminal five amino acids of Gα<sub>i3</sub>. A series of agonist concentration-response curves were constructed using the 5-HT<sub>6</sub>-Gα<sub>q</sub>/Gas signaling assay generating the following rank order of agonist potency; 5-methoxytryptamine (EC<sub>50</sub>, 9 nM)=5-HT (12 nM)=2-Me 5-HT (13 nM)>tryptamine (86 nM)=5-carboxamidotryptamine (5-CT) (119 nM)>lisuride (>1 μM). In comparison, essentially identical EC<sub>50</sub> values were observed for the stimulation of cAMP accumulation with the same compds.; 5-methoxytryptamine (EC<sub>50</sub>, 6 nM)=5-HT (6 nM)=2-Me 5-HT (15 nM)>tryptamine (91 nM)=5-CT (153 nM)>lisuride (>350 nM). Clozapine and SB 271046 both produced a concentration-dependent antagonism of the 5-HT-stimulated

Ca<sup>2+</sup> response with IC<sub>50</sub> values of 45 and 11 nM, resp. In contrast, aripiprazole, a recently launched atypical anti-psychotic with a novel mechanism of action described as a dopamine/serotonin stabilizer, was essentially devoid of 5-HT<sub>6</sub> receptor antagonist activity. The authors' results demonstrate that a FLIPR-based Ca<sup>2+</sup> signaling assay is a feasible approach to the functional characterization of 5-HT<sub>6</sub> receptor ligands. Moreover, the equivalent coupling efficiency, as indexed by agonist potency, observed using this system compared with the native coupling assay to cAMP

suggests that the C-terminal five amino acids of Gas are the major determinant for the receptor/G-protein interaction of the 5-HT6 receptor subtype.

IT 209481-20-9, SB 271046  
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); BIOL (Biological study)  
 (characterization of 5-HT6 receptor coupled to Ca<sup>2+</sup> signaling using an enabling chimeric G-protein as evaluated in human embryonic kidney cells)  
 RN 209481-20-9 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 104 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2003:396852 CAPLUS  
 DN 138:401602  
 TI Preparation of N-(1H-indol-5-yl) sulfonamide derivatives with 5-HT6 receptor antagonist activity, their preparation, and their application as medicaments for CNS diseases  
 IN Merce-Vidal, Ramon; Andaluz-Mataro, Blas; Frigola-Constansa, Jordi  
 PA Laboratorios Del Esteve, S.A., Spain  
 SO PCT Int. Appl., 50 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA Spanish  
 FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003042175	A1	20030522	WO 2002-ES518	20021108
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
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ES 2187300	B1	20040616	ES 2001-2517	20011114
CA 2466965	A1	20030522	CA 2002-2466965	20021108
			ES 2001-2517	A 20011114
			WO 2002-ES518	W 20021108
AU 2002350743	A1	20030526	AU 2002-350743	20021108

			ES 2001-2517	A	20011114
			WO 2002-ES518	W	20021108
EP 1445252	A1	20040811	EP 2002-785439		20021108
EP 1445252	B1	20060308			
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			WO 2002-ES518	W	20021108
BR 2002014243	A	20041221	BR 2002-14243		20021108
			ES 2001-2517	A	20011114
			WO 2002-ES518	W	20021108
HU 2004002317	A2	20050228	HU 2004-2317		20021108
			ES 2001-2517	A	20011114
			WO 2002-ES518	W	20021108
CN 1599718	A	20050323	CN 2002-824080		20021108
CN 1271052	C	20060823			
			ES 2001-2517	A	20011114
JP 2005513016	T	20050512	JP 2003-544012		20021108
			ES 2001-2517	A	20011114
			WO 2002-ES518	W	20021108
NZ 533136	A	20060127	NZ 2002-533136		20021108
			ES 2001-2517	A	20011114
			WO 2002-ES518	W	20021108
AT 319684	T	20060315	AT 2002-785439		20021108
			ES 2001-2517	A	20011114
EP 1666462	A1	20060607	EP 2005-21228		20021108
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ES 2259387	T3	20061001	ES 2002-785439		20021108
			ES 2001-2517	A	20011114
			WO 2002-ES518	W	20021108
RU 2293082	C2	20070210	RU 2004-117850		20021108
			ES 2001-2517	A	20011114
			WO 2002-ES518	W	20021108
NZ 543393	A	20070427	NZ 1993-5433		20021108
			ES 2001-2517	A	20011114
			NZ 2002-533136	A3	20021108
TW 275585	B	20070311	TW 2002-91132989		20021111
			ES 2001-2517	A	20011114
US 20030191124	A1	20031009	US 2002-293206		20021113
US 7105515	B2	20060912			
			ES 2001-2517	A	20011114
ES 2249129	A1	20060316	ES 2004-1084		20040506
ES 2249129	B2	20070816			
			ES 2001-2517	A	20011114
MX 2004PA04601	A	20040813	MX 2004-PA4601		20040514
			ES 2001-2517	A	20011114
			WO 2002-ES518	W	20021108
HR 2004000429	B1	20071031	HR 2004-429		20040514
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			WO 2002-ES518	W	20021108
IN 2004KN00752	A	20060428	IN 2004-KN752		20040603
			ES 2001-2517	A	20011114
			WO 2002-ES518	W	20021108
NO 2004002478	A	20040812	NO 2004-2478		20040614

US 20050032791	A1	20050210	ES 2001-2517	A	20011114
US 7176200	B2	20070213	WO 2002-ES518	A	20021108
			US 2004-933951		20040903
HK 1070053	A1	20060728	ES 2001-2517	A	20011114
			US 2002-293206	A3	20021113
			HK 2005-101004		20050205
ZA 2004004073	A	20060531	ES 2001-2517	A	20011114
			WO 2002-ES518	W	20021108
US 20060258653	A1	20061116	ZA 2004-4073		20060317
			ES 2001-2517	A	20011114
			US 2006-487745		20060717
			ES 2001-2517	A	20011114
			US 2002-293206	A3	20021113
			US 2004-993951	A3	20041119
US 20070167448	A1	20070719	US 2007-707571		20070216
			ES 2001-2517	A	20011114
			US 2002-293206	A3	20021113
			US 2004-933951	A3	20040903
			US 2006-487745	A3	20060717
IN 2007KN00849	A	20081010	IN 2007-KN849		20070309
			ES 2001-2517	A	20011114
			WO 2002-ES518	W	20021108
			IN 2004-KN752	A3	20040603

PATENT FAMILY INFORMATION:

FAN 2005:488835

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005052999	A2	20050609	WO 2004-US39311	20041123
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2003-525412P	P 20031124
				US 2004-993951	A 20041119
	DE 112004002304	T5	20061102	DE 2004-112004002304	20041123
				US 2003-525412P	P 20031124
				US 2004-993951	A 20041119
				WO 2004-US39311	W 20041123
	US 20060258653	A1	20061116	US 2006-487745	20060717
				ES 2001-2517	A 20011114
				US 2002-293206	A3 20021113
				US 2004-993951	A3 20041119

OS MARPAT 138:401602

AB The invention relates to novel N-(1H-indol-5-yl)-substituted sulfonamide derivs. I and their physiol. acceptable salts [wherein: A = (un)substituted 5- or 6-membered heteroaryl, bicyclic heteroaryl, phenylalkyl,  $\beta$ -styryl, naphthyl, 2,2-diphenylethyl, aryl-W-aryl, or substituted Ph; R1 = H, alkyl, benzyl; n = 0-4; R2 = NR4R5, cyclic (un)saturated amino (e.g., piperidino, piperazino, etc.); R3, R4, R5 = H or alkyl; substituents on A = H, F, Cl, Br, alkyl, alkoxy, alkylthio, CF3, cyano, NO2, NR4R5; W = bond, CH2, O, S, or NR4]. The invention also

relates to methods of preparing I, to their application as medicaments for human and/or veterinary therapy, and to pharmaceutical compns. containing them. A group of 53 example compds. is listed and claimed, and 5 example preps. are given. For instance, sulfonamidation of 5-amino-3-[2-(dimethylamino)ethyl]-1H-indole with 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride in pyridine at room temperature gave 82% invention compound II. In a test for inhibition of

binding

of [3H]-LSD to recombinant human 5-HT6 receptors expressed in HEK-293 cell membranes, II had an IC50 of 0.13 nM. Thirteen other I had IC50 values ranging from 0.28 nM to 24.3 nM.

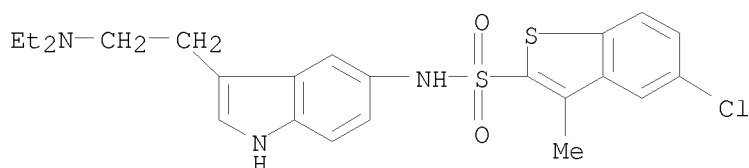
IT 528858-69-7P, N-[3-[2-(Diethylamino)ethyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528858-94-8P, N-[3-[2-(Dimethylamino)ethyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528859-09-8P, N-[3-(1-Methylpiperidin-4-yl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528859-12-3P, N-[3-(1-Methylpiperidin-4-yl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide hydrochloride 528859-48-5P, N-[3-[(4-Methylpiperazin-1-yl)methyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528859-75-8P, N-[3-[2-(Morpholin-4-yl)ethyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528859-84-9P, N-[3-[(Dimethylamino)methyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528859-90-7P, N-[3-[2-(Dipropylamino)ethyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528859-93-0P, N-[3-[2-(Dibutylamino)ethyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528860-08-4P, N-[3-(Octahydroindolizin-7-yl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528860-23-3P, N-[3-[3-(Diethylamino)propyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528860-26-6P, N-[3-[2-(Pyrrolidin-1-yl)ethyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of N-indolyl sulfonamide derivs. with 5-HT6 receptor antagonist activity for treatment of CNS diseases)

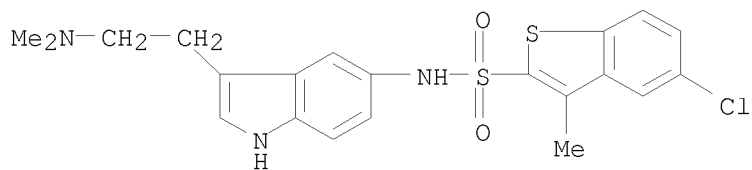
RN 528858-69-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(diethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



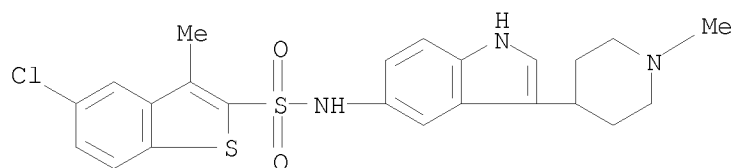
RN 528858-94-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



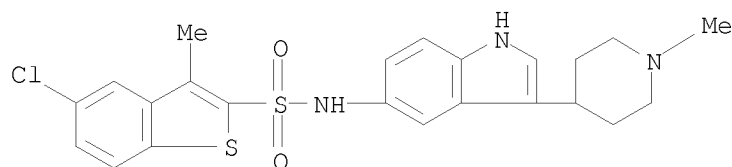
RN 528859-09-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (CA INDEX NAME)



RN 528859-12-3 CAPLUS

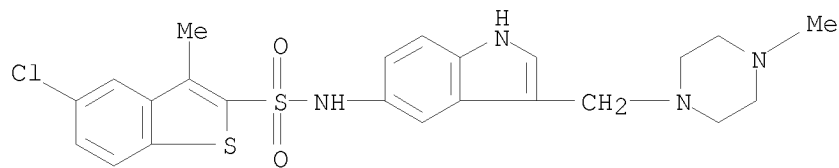
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

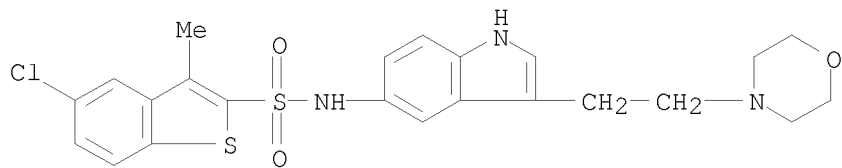
RN 528859-48-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[(4-methyl-1-piperazinyl)methyl]-1H-indol-5-yl]- (CA INDEX NAME)



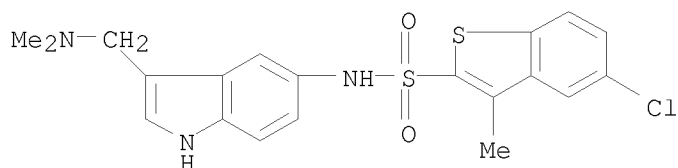
RN 528859-75-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[2-(4-morpholinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)



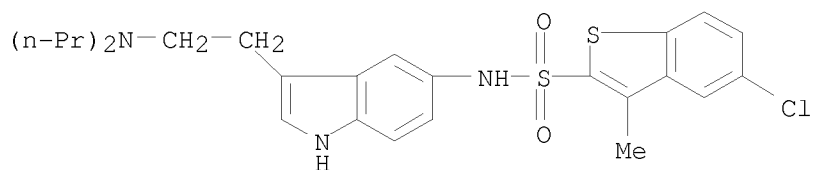
RN 528859-84-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(dimethylamino)methyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



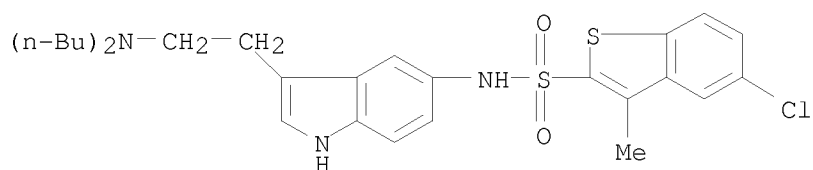
RN 528859-90-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dipropylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



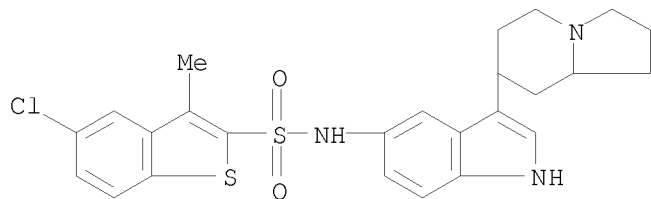
RN 528859-93-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dibutylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



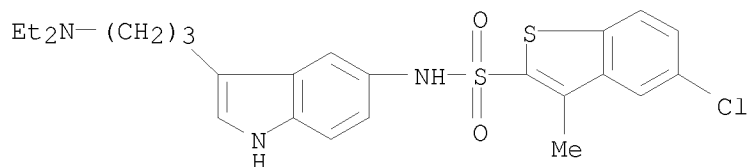
RN 528860-08-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(octahydro-7-indoliziny)-1H-indol-5-yl]- (CA INDEX NAME)



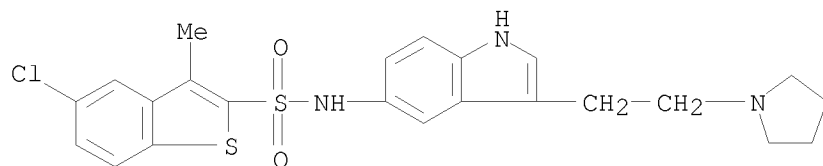
RN 528860-23-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[3-(diethylamino)propyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



RN 528860-26-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[2-(1-pyrrolidinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 105 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:394851 CAPLUS

DN 138:385174

TI Preparation of aryl-amidine derivatives as anticoagulants and thrombosis agents

IN Satoh, Takashi; Okamoto, Yasushi; Asano, Osamu; Watanabe, Nobuhisa; Nagakura, Tadashi; Saeki, Takao; Inoue, Atsushi; Sakurai, Masahiro

PA Eisai Co., Ltd., Japan

SO Eur. Pat. Appl., 54 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1312602	A1	20030521	EP 2002-25580	20021115
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	JP 2001-350637			A	20011115
	JP 2003212837	A	20030730	JP 2002-307358	20021022



US 20030181766 A1 20030925 JP 2001-350637 A 20011115  
 US 6916837 B2 20050712 US 2002-294198 20021114

JP 2001-350637 A 20011115  
 JP 2002-307358 A 20021022

OS MARPAT 138:385174

AB Title compds. I [X = alkyl, halo, NH<sub>2</sub>, etc.; Y = Ar<sub>2</sub>-CO<sub>2</sub>R<sub>5</sub>; Ar<sub>2</sub> = aryl, (un)substituted 5-14 membered heterocycle; R<sub>5</sub> = H, alkyl; R<sub>3</sub> = H, OH, acyl, alkoxy-carbonyl; Ar<sub>1</sub> = 2,6-naphthylene, 1,4-phenylene, etc.] are prepared as anticoagulants. For instance, tert-Bu 2-(6-cyano-2-naphthyloxy)-5-nitrobenzoate (preparation given) was reduced (EtOHaq, Fe, NH<sub>4</sub>Cl), reacted with MsCl (pyridine), H<sub>2</sub>NOH•HCl (EtOH, K<sub>2</sub>CO<sub>3</sub>, 60°, 12 h), Ac<sub>2</sub>O (HOAc, 15 min), reduced with H<sub>2</sub>/Pd-C (6 h) and finally deprotected (CH<sub>2</sub>Cl<sub>2</sub>, TFA) to give II as the trifluoroacetate. Selected invention compds. have IC<sub>50</sub> = 1.43 - 0.004 μM for blood clotting factor VIIa.

IT 526219-36-3P, 2'-(6-Amidino-2-naphthyloxy)-5'-[[[5-chloro-3-methylbenzo[b]thiophene-2-yl]sulfonyl]amino]-1,1'-biphenyl-2-carboxylic acid trifluoroacetate

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aryl-amidine derivs. as blood clotting factor VIIa inhibitors used for anticoagulants and thrombosis agents)

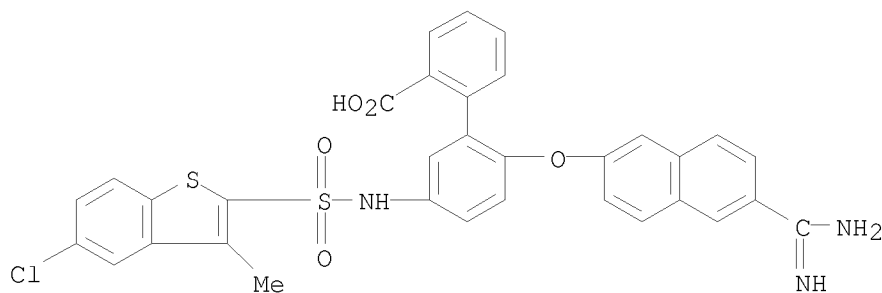
RN 526219-36-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 2'-[[[6-(aminoiminomethyl)-2-naphthalenyl]oxy]-5'-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 526219-35-2

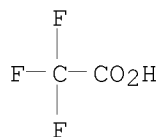
CMF C33 H24 Cl N3 O5 S2



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 106 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2003:376640 CAPLUS  
DN 138:379235  
TI Use of sulfonamide derivatives in the treatment of obesity or for the  
reduction of food intake  
IN Caldirola, Patrizia  
PA Biovitrum AB, Swed.  
SO PCT Int. Appl., 28 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003039547	A1	20030515	WO 2002-SE2019	20021106
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			SE 2001-3767	A 20011109
			US 2002-356890P	P 20020213
AU 2002347723	A1	20030519	AU 2002-347723	20021106
			SE 2001-3767	A 20011109
			US 2002-356890P	P 20020213
			WO 2002-SE2019	W 20021106
EP 1450806	A1	20040901	EP 2002-783922	20021106
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
			SE 2001-3767	A 20011109
			US 2002-356890P	P 20020213
			WO 2002-SE2019	W 20021106
JP 2005511594	T	20050428	JP 2003-541838	20021106
			SE 2001-3767	A 20011109
			US 2002-356890P	P 20020213
			WO 2002-SE2019	W 20021106
US 20030166663	A1	20030904	US 2002-290915	20021108
			SE 2001-3767	A 20011109
			US 2002-356890P	P 20020213
OS	MARPAT 138:379235			
AB	A method for the treatment or prophylaxis of obesity or for the reduction of food intake is described which comprises administering to a patient in need of such treatment a therapeutically effective amount of a sulfonamide			

compound [e.g., 4-tert-butyl-N-(4-piperazin-1-ylquinolin-6-yl)benzenesulfonamide].

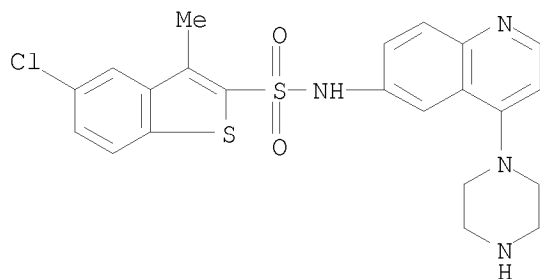
IT 389637-13-2

RL: COS (Cosmetic use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(use of sulfonamide derivs. in the treatment of obesity or for the reduction of food intake)

RN 389637-13-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 107 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:300646 CAPLUS

DN 138:304286

TI Preparation of 4-imidazole derivatives of benzyl and restricted benzyl sulfonamides, sulfamides, ureas, carbamates, and amides as  $\alpha$ 1A adrenoceptor agonists

IN Altenbach, Robert J.; Meyer, Michael D.; Kerwin, James F.; Khilevich, Albert; Kolasa, Teodozyj; Rohde, Jeffrey; Carroll, William A.; Searle, Xenia; Yang, Fan

PA USA

SO U.S. Pat. Appl. Publ., 85 pp., Cont.-in-part of U.S. 6,503,935.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI US 20030073850	A1	20030417	US 2000-506750	20000217
			US 1998-130799	B2 19980807
			US 1999-364901	A2 19990729
US 6503935	B1	20030107	US 1999-364901	19990729
			US 1998-130799	B2 19980807
CA 2399147	A1	20010823	CA 2001-2399147	20010201
			US 2000-506750	A 20000217
			WO 2001-US3466	W 20010201
WO 2001060802	A1	20010823	WO 2001-US3466	20010201
W: CA, JP, MX				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
			US 2000-506750	A 20000217
EP 1259491	A1	20021127	EP 2001-908800	20010201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, FI, CY, TR

			US 2000-506750	A	20000217
			WO 2001-US3466	W	20010201
JP 2003523333	T	20030805	JP 2001-560187		20010201
			US 2000-506750	A	20000217
			WO 2001-US3466	W	20010201
MX 2002PA08001	A	20030128	MX 2002-PA8001		20020816
			US 2000-506750	A	20000217
			WO 2001-US3466	W	20010201

PATENT FAMILY INFORMATION:

FAN 2000:117031

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000007997	A1	20000217	WO 1999-US17739	19990806
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				US 1998-130799	A 19980807
				US 1999-364901	A 19990729
US 6503935	B1	20030107	US 1999-364901		19990729
			US 1998-130799	B2	19980807
CA 2338594	A1	20000217	CA 1999-2338594		19990806
			US 1998-130799	A	19980807
			US 1999-364901	A	19990729
			WO 1999-US17739	W	19990806
AU 9953386	A	20000228	AU 1999-53386		19990806
			US 1998-130799	A	19980807
			US 1999-364901	A	19990729
			WO 1999-US17739	W	19990806
EP 1102754	A1	20010530	EP 1999-939019		19990806
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
			US 1998-130799	A	19980807
			US 1999-364901	A	19990729
			WO 1999-US17739	W	19990806
JP 2002522423	T	20020723	JP 2000-563631		19990806
			US 1998-130799	A	19980807
			US 1999-364901	A	19990729
			WO 1999-US17739	W	19990806
MX 2001PA01412	A	20000821	MX 2001-PA1412		20010207
			US 1998-130799	A	19980807
			WO 1999-US17739	W	19990806

FAN 2001:617982

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001060802	A1	20010823	WO 2001-US3466	20010201
	W: CA, JP, MX				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
				US 2000-506750	A 20000217
				US 2000-506750	20000217
US 20030073850	A1	20030417	US 1998-130799	B2	19980807
			US 1999-364901	A2	19990729
CA 2399147	A1	20010823	CA 2001-2399147		20010201

			US 2000-506750	A	20000217
			WO 2001-US3466	W	20010201
EP 1259491	A1	20021127	EP 2001-908800		20010201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR					
			US 2000-506750	A	20000217
			WO 2001-US3466	W	20010201
JP 2003523333	T	20030805	JP 2001-560187		20010201
			US 2000-506750	A	20000217
			WO 2001-US3466	W	20010201
MX 2002PA08001	A	20030128	MX 2002-PA8001		20020816
			US 2000-506750	A	20000217
			WO 2001-US3466	W	20010201
FAN 2003:17797					
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
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PI US 6503935	B1	20030107	US 1999-364901		19990729
			US 1998-130799	B2	19980807
CA 2338594	A1	20000217	CA 1999-2338594		19990806
			US 1998-130799	A	19980807
			US 1999-364901	A	19990729
			WO 1999-US17739	W	19990806
WO 2000007997	A1	20000217	WO 1999-US17739		19990806
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
			US 1998-130799	A	19980807
			US 1999-364901	A	19990729
AU 9953386	A	20000228	AU 1999-53386		19990806
			US 1998-130799	A	19980807
			US 1999-364901	A	19990729
			WO 1999-US17739	W	19990806
EP 1102754	A1	20010530	EP 1999-939019		19990806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
			US 1998-130799	A	19980807
			US 1999-364901	A	19990729
			WO 1999-US17739	W	19990806
JP 2002522423	T	20020723	JP 2000-563631		19990806
			US 1998-130799	A	19980807
			US 1999-364901	A	19990729
			WO 1999-US17739	W	19990806
TW 517050	B	20030111	TW 1999-88113524		19990914
			US 1998-130799	A	19980807
US 20030073850	A1	20030417	US 2000-506750		20000217
			US 1998-130799	B2	19980807
			US 1999-364901	A2	19990729
OS MARPAT 138:304286					
AB	The title compds. (I) [wherein R1 = SO2R9 or COR10; R2 = H, (halo)alkyl, aryl(alkyl), or cycloalkyl(alkyl); R3-R6 = independently H, alkoxy, alkenyl, (halo)alkyl, cycloalkyl, halo, or OH; or R6 and R7 together with the C to which they are attached form a 5-7 membered carbocycle or 5-6 membered (un)substituted heterocycle; or R7 and R8 together = :CR12R13; R8 = absent or H; R9 = (aryl)alkenyl, (aryl)alkyl, (aryl)alkynyl,				

cycloalkyl(alkyl), haloalkyl, heterocycle, or (un)substituted amine; R10 = (aryl)alkyl, alkenyl, (halo)alkoxy, aryl(oxy), cycloalkyl(alkyl), cycloalkyloxy, haloalkyl, or (un)substituted amine, azetidiny, piperaziny, piperidiny, pyrrolidiny, morpholiny, etc.; R12 and R13 = independently H, (aryl)alkyl, alkoxy, aryl, or cycloalkyl(alkyl); or R12 and R13 together with the C to which they are attached form a 3-7 membered carbocycle; R14 = H or alkyl] were prepared as  $\alpha$ 1A adrenoceptor agonists for the treatment of urinary incontinence or retrograde ejaculation. For example, 4-iodo-1-trityl-1H-imidazole was treated sequentially with EtMgBr, 5-nitrotetralone, and NH<sub>4</sub>Cl in CH<sub>2</sub>Cl<sub>2</sub> to give 4-(5-nitro-3,4-dihydro-1-naphthalenyl)-1H-imidazole. N-BOC protection, reduction using Pd/C in AcOEt, treatment with EtSO<sub>2</sub>Cl in the presence of TFA, and conversion to the salt afforded II•maleate. In radioligand binding assays, II•maleate showed good selectivity for binding to the  $\alpha$ 1A adrenoceptor subtype vs. the  $\alpha$ 1B and  $\alpha$ 1D subtypes with K<sub>i</sub> values of 176 nM, 4620 nM and 1590 nM, resp. In addition, II•maleate was efficacious in constricting the urethra with an IUP ED<sub>50</sub> (the mean dose causing a maximum increase in intraurethral pressure of 5 mm Hg) of 10.7 nmol/kg in anesthetized dogs.

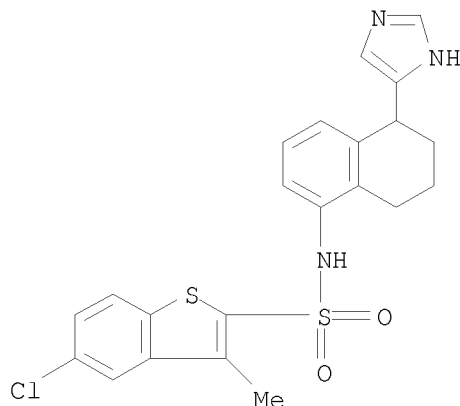
IT 258527-24-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazole derivs. of benzyl and restricted benzyl sulfonamides, sulfamides, ureas, carbamates, and amides as  $\alpha$ 1A adrenoceptor agonists)

RN 258527-24-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[5,6,7,8-tetrahydro-5-(1H-imidazol-5-yl)-1-naphthalenyl]- (CA INDEX NAME)



L6 ANSWER 108 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:282556 CAPLUS

DN 138:304161

TI Preparation of 2-(aminoalkyl)chromans as 5-hydroxytryptamine-6 ligands for treatment of CNS disorders

IN Greenblatt, Lynne Padilla; Kelly, Michael Gerard

PA Wyeth, John, and Brother Ltd., USA

SO PCT Int. Appl., 63 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003029238	A1	20030410	WO 2002-US30955	20020930
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2461381	A1	20030410	US 2001-326957P	P 20011004
				CA 2002-2461381	20020930
				US 2001-326957P	P 20011004
				WO 2002-US30955	W 20020930
AU 2002334722	A1	20030414	AU 2002-334722		20020930
			US 2001-326957P	P	20011004
			WO 2002-US30955	W	20020930
EP 1432696	A1	20040630	EP 2002-800383		20020930
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
			US 2001-326957P	P	20011004
			WO 2002-US30955	W	20020930
BR 2002013094	A	20041013	BR 2002-13094		20020930
			US 2001-326957P	P	20011004
			WO 2002-US30955	W	20020930
CN 1561338	A	20050105	CN 2002-819334		20020930
			US 2001-326957P	P	20011004
JP 2005505586	T	20050224	JP 2003-532487		20020930
			US 2001-326957P	P	20011004
			WO 2002-US30955	W	20020930
US 20030158175	A1	20030821	US 2002-263890		20021002
US 6706757	B2	20040316			
			US 2001-326957P	P	20011004
MX 2004PA03087	A	20040906	MX 2004-PA3087		20040401
			US 2001-326957P	P	20011004
			WO 2002-US30955	W	20020930
OS	MARPAT 138:304161				
AB	Title compds. I [wherein Y = SO <sub>2</sub> NR <sub>9</sub> R <sub>10</sub> or NR <sub>11</sub> ZR <sub>12</sub> ; Z = SO <sub>2</sub> , CONH, or CSNH; R = halo, CN, OR <sub>13</sub> , CO <sub>2</sub> R <sub>14</sub> , CONR <sub>15</sub> R <sub>16</sub> , SO <sub>x</sub> R <sub>17</sub> , or (un)substituted alkyl, alkenyl, alkynyl, cyclo(hetero)aryl, Ph, or heteroaryl; R <sub>1</sub> , R <sub>2</sub> , R <sub>5</sub> , R <sub>6</sub> , R <sub>7</sub> , R <sub>8</sub> , and R <sub>11</sub> = independently H or (un)substituted alkyl; R <sub>3</sub> and R <sub>4</sub> = independently H or (un)substituted alkyl or (hetero)cycloalkyl; or NR <sub>3</sub> R <sub>4</sub> = (un)substituted heterocyclyl; m = 0-3; n = 1-4; x = 0-2; R <sub>9</sub> and R <sub>10</sub> = independently H or (un)substituted alkyl or (hetero)aryl; R <sub>12</sub> and R <sub>17</sub> = independently (un)substituted alkyl or (hetero)aryl; R <sub>13</sub> = H, CO <sub>2</sub> R <sub>18</sub> , or (un)substituted alkyl, alkenyl, alkynyl, or (hetero)aryl; R <sub>14</sub> and R <sub>18</sub> = independently H or (un)substituted alkyl, alkenyl, alkynyl, cyclo(hetero)alkyl, or (hetero)aryl; R <sub>15</sub> and R <sub>16</sub> = independently H or (un)substituted alkyl; or stereoisomers or pharmaceutically acceptable salts thereof] were prepared as 5-hydroxytryptamine-6 (5-HT <sub>6</sub> ) ligands. For example, cycloaddn. of N-(4-acetyl-3-hydroxyphenyl)acetamide with di-Et oxalate in the presence of NaOEt in EtOH provided Et 7-amino-4-oxo-4H-chromene-2-carboxylate (61%). Hydrogenation of the chroman (89%) with Pd/C, followed by reduction of the ester using LiBH <sub>4</sub> gave 7-amino-2-(hydroxymethyl)chroman (90%). Addition of PhSO <sub>2</sub> Cl in pyridine				

afforded the N,O-disubstituted derivative (92%). Reaction with 3-amino-1-propanol in pyridine and conversion to the salt provided II•hemifumarate. The latter exhibited binding to the 5-HT<sub>6</sub> receptor with K<sub>i</sub> of 5 nM in cultured HeLa cells expressing human cloned 5-HT<sub>6</sub> receptors. Thus, I are useful for the treatment of CNS disorders, such as motor disorder, anxiety, cognitive disorder, schizophrenia, depression, Alzheimer's disease, Parkinson's disease, and attention deficit disorder (no data).

IT 507277-03-4P, 5-Chloro-3-methyl-N-[2-[[[(1R)-1-phenylethyl]amino]methyl]-3,4-dihydro-2H-chromen-7-yl]-1-benzothiophene-2-sulfonamide

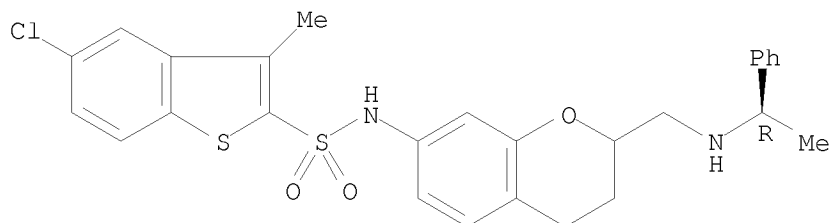
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(5-HT<sub>6</sub> ligand; preparation of (aminoalkyl)chroman 5-HT<sub>6</sub> ligands for treatment of CNS disorders)

RN 507277-03-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3,4-dihydro-2-[[[(1R)-1-phenylethyl]amino]methyl]-2H-1-benzopyran-7-yl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 109 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:221693 CAPLUS

DN 138:238197

TI Preparation of furo- and thienopyrimidines as TIE-2 and/or VEGFR-2 kinase inhibitors useful against hyperproliferative diseases

IN Adams, Jerry Leroy; Bryan, Deborah Lynne; Feng, Yanhong; Matsunaga, Shinichiro; Maeda, Yutaka; Miyazaki, Yasushi; Nakano, Masato; Rocher, Jean-Philippe; Sato, Hideyuki; Semones, Marcus; Silva, Domingos J.; Tang, Jun

PA Glaxosmithkline K.K., Japan; Smithkline Beecham Corporation

SO PCT Int. Appl., 265 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003022852	A2	20030320	WO 2002-US28650	20020910
	WO 2003022852	A3	20031127		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,



UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,  
 CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002333524	A1	20030324	US 2001-318766P	P	20010911
			AU 2002-333524		20020910
			US 2001-318766P	P	20010911
			WO 2002-US28650	W	20020910
EP 1425284	A2	20040609	EP 2002-798181		20020910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, SK			US 2001-318766P	P	20010911
			WO 2002-US28650	W	20020910
JP 2005508904	T	20050407	JP 2003-526926		20020910
			US 2001-318766P	P	20010911
			WO 2002-US28650	W	20020910
US 20050004142	A1	20050106	US 2004-489052		20040309
US 7427623	B2	20080923			
			US 2001-318766P	P	20010911
			WO 2002-US28650	W	20020910

OS MARPAT 138:238197

AB Furo- and thienopyrimidine derivs. (shown as I; variables defined below;  
 e.g. 4-Amino-3-(4-methoxyphenyl)-2-[3-(methylsulfonylamino)phenyl]furo[2,3-  
 d]pyrimidine), which are useful as TIE-2 (tyrosine kinase containing  
 immunoglobulin and EGF homol. domains) and/or VEGFR-2 kinase inhibitors  
 against hyperproliferative diseases are described herein. Enzyme  
 inhibitions by .apprx.60 examples of I are included as ranges; also,  
 4-amino-3-[4-[[2-fluoro-5-  
 (trifluoromethyl)phenyl]aminocarbonylamino]phenyl]thieno[2,3-d]pyrimidine  
 exhibited IC<sub>50</sub> = 0.0018  $\mu$ M in the TIE-2 fluorescence polarization  
 kinase activity assay. For I: X is O or S; A is H, halo, C1-C6 alkyl,  
 aryl, heteroaryl, aryl or heteroaryl substituted with  $\geq 1$  R<sub>3</sub>,  
 heterocyclyl, -RR<sub>3</sub>, -C(O)OR<sub>4</sub>, -C(O)NR<sub>5</sub>R<sub>6</sub>, -C(O)R<sub>4</sub>; D is H, halo, C1-C6  
 alkyl, aryl, heteroaryl, aryl or heteroaryl substituted with  $\geq 1$  R<sub>3</sub>,  
 heterocyclyl, -RR<sub>3</sub>, -C(O)OR<sub>4</sub>, -C(O)NR<sub>5</sub>R<sub>6</sub>, or -C(O)R<sub>4</sub>. R is C1-C6  
 alkylene, C3-C7 cycloalkylene, C1-C6 alkenylene, or C1-C6 alkynylene; R<sub>1</sub>  
 is H, C1-C6 alkyl, C1-C6 alkoxy, -SR<sub>4</sub>, -S(O)2R<sub>4</sub>, -NR<sub>7</sub>R<sub>7</sub>, -NR<sub>7</sub>N R<sub>7</sub>''',  
 -N(H)RR<sub>3</sub>, -C(O)OR<sub>7</sub>, or -C(O)NR<sub>7</sub>R<sub>7</sub>. R<sub>2</sub> is H, -OH, -NR<sub>7</sub>R<sub>7</sub> or :NH; R<sub>3</sub> is  
 halo, C1-C6 alkyl, C1-C6 haloalkyl, C1-C6 alkoxy, C3-C7 cycloalkoxy, C1-C6  
 haloalkoxy, aryl, aralkyl, aryloxy, heteroaryl, heterocyclyl, -CN,  
 -NHC(O)R<sub>4</sub>, -N(R<sub>8</sub>)HC(O)R<sub>4</sub>, -NHC(S)R<sub>4</sub>, -NR<sub>5</sub>R<sub>6</sub>, -RNR<sub>5</sub>R<sub>6</sub>, -SR<sub>4</sub>, -S(O)2R<sub>4</sub>,  
 -RC(O)OR<sub>4</sub>, -C(O)OR<sub>4</sub>, -C(O)R<sub>4</sub>, -C(O)NR<sub>5</sub>R<sub>6</sub>, -NHS(O)2R<sub>4</sub>, -N(S(O)2R<sub>4</sub>)S(O)2R<sub>4</sub>,  
 -S(O)2NR<sub>5</sub>R<sub>6</sub>, or -NHC(:NH)R<sub>4</sub>. R<sub>4</sub> is H, C1-C6 alkyl, aryl, heteroaryl,  
 heterocyclyl, -RR<sub>3</sub>, -NR<sub>7</sub>R<sub>7</sub>''', or -NR<sub>7</sub>N R<sub>7</sub>'''; R<sub>5</sub> is H, C1-C6 alkyl,  
 C3-C7 cycloalkyl, cyanoalkyl, -R<sub>7</sub>R<sub>7</sub>', aryl, aralkyl, heteroaryl,  
 -NHC(O)OR<sub>7</sub>''', -R<sub>7</sub>NHC(O)OR<sub>7</sub>''', -R<sub>7</sub>NHC(O)NR<sub>7</sub>R<sub>7</sub>''', or -R<sub>7</sub>C(O)OR<sub>7</sub>'''. R<sub>6</sub> is  
 H, C1-C6 alkyl, C3-C7 cycloalkyl, cyanoalkyl, -R<sub>7</sub>R<sub>7</sub>', aryl, aralkyl,  
 heteroaryl, -C(O)OR<sub>7</sub>''', or -R<sub>7</sub>C(O)NR<sub>7</sub>R<sub>7</sub>'''; R<sub>7</sub> is H, C1-C6 alkyl, aryl,  
 or -C(O)OR<sub>7</sub>'''; R<sub>8</sub> is C1-C3 alkyl; R<sub>7</sub>' is C1-C3 alkylene; R<sub>7</sub>'' is heteroalkyl  
 or NRR<sub>7</sub>R<sub>7</sub>'''; R<sub>7</sub>''' is H, C1-C6 alkyl, aryl, aralkyl, heteroaryl, or  
 C3-C7 cycloalkyl; R<sub>7</sub>'''' is H, C1-C6 alkyl, aryl, heteroaryl, or C3-C7  
 cycloalkyl. Although the methods of preparation are not claimed, several  
 example preps. of I are included and characterization data is given for  
 .apprx.480 examples of I.

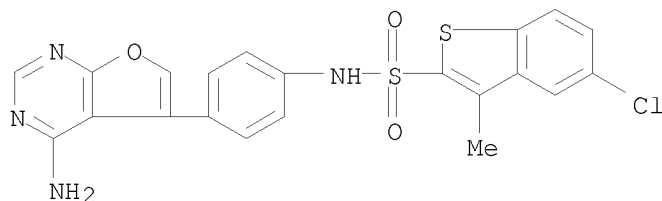
IT 501697-48-9P, 4-Amino-5-[4-[(5-chloro-3-methylbenzo[b]thiophene-2-  
 sulfonyl)amino]phenyl]furo[2,3-d]pyrimidine  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(drug candidate; preparation of furo- and thienopyrimidines as TIE-2 and/or VEGFR-2 kinase inhibitors useful against hyperproliferative diseases)

RN 501697-48-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(4-aminofuro[2,3-d]pyrimidin-5-yl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)



L6 ANSWER 110 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:17797 CAPLUS

DN 138:73257

TI Preparation of imidazoles and related compounds as  $\alpha$ 1A agonists

IN Altenbach, Robert J.; Meyer, Michael D.; Kerwin, James F., Jr.; Holladay, Mark W.; Khilevich, Albert; Kolasa, Teodozyj; Rohde, Jeffrey; Carroll, William A.

PA Abbott Laboratories, USA

SO U.S., 67 pp., Cont.-in-part of U.S. Ser. No. 130,799, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 6503935	B1	20030107	US 1999-364901	19990729
				US 1998-130799	B2 19980807
	CA 2338594	A1	20000217	CA 1999-2338594	19990806
				US 1998-130799	A 19980807
				US 1999-364901	A 19990729
				WO 1999-US17739	W 19990806
				WO 1999-US17739	19990806
WO 2000007997		A1	20000217	WO 1999-US17739	19990806
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9953386				US 1998-130799	A 19980807
				US 1999-364901	A 19990729
		A	20000228	AU 1999-53386	19990806
				US 1998-130799	A 19980807
				US 1999-364901	A 19990729
EP 1102754				WO 1999-US17739	W 19990806
		A1	20010530	EP 1999-939019	19990806
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				US 1998-130799	A 19980807
				US 1999-364901	A 19990729

JP 2002522423	T	20020723	WO 1999-US17739	W	19990806
			JP 2000-563631		19990806
			US 1998-130799	A	19980807
			US 1999-364901	A	19990729
			WO 1999-US17739	W	19990806
TW 517050	B	20030111	TW 1999-88113524		19990914
			US 1998-130799	A	19980807
US 20030073850	A1	20030417	US 2000-506750		20000217
			US 1998-130799	B2	19980807
			US 1999-364901	A2	19990729

PATENT FAMILY INFORMATION:

FAN 2000:117031

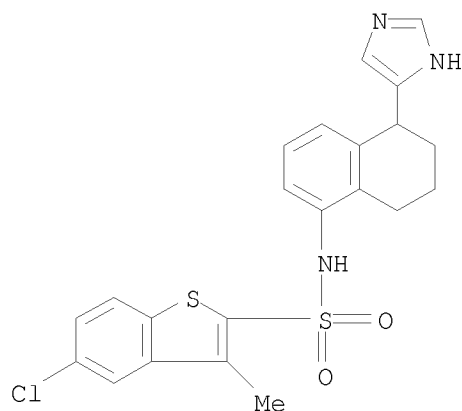
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PI	WO 2000007997	A1	20000217	WO 1999-US17739	19990806
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				US 1998-130799	A 19980807
				US 1999-364901	A 19990729
US 6503935	B1	20030107	US 1999-364901		19990729
			US 1998-130799	B2	19980807
CA 2338594	A1	20000217	CA 1999-2338594		19990806
			US 1998-130799	A	19980807
			US 1999-364901	A	19990729
			WO 1999-US17739	W	19990806
AU 9953386	A	20000228	AU 1999-53386		19990806
			US 1998-130799	A	19980807
			US 1999-364901	A	19990729
			WO 1999-US17739	W	19990806
EP 1102754	A1	20010530	EP 1999-939019		19990806
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			US 1999-364901	A	19990729
			WO 1999-US17739	W	19990806
JP 2002522423	T	20020723	JP 2000-563631		19990806
			US 1998-130799	A	19980807
			US 1999-364901	A	19990729
			WO 1999-US17739	W	19990806
MX 2001PA01412	A	20000821	MX 2001-PA1412		20010207
			US 1998-130799	A	19980807
			WO 1999-US17739	W	19990806

FAN 2001:617982

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001060802	A1	20010823	WO 2001-US3466	20010201
	W: CA, JP, MX				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
				US 2000-506750	A 20000217
US 20030073850	A1	20030417	US 2000-506750		20000217
			US 1998-130799	B2	19980807
			US 1999-364901	A2	19990729

CA 2399147	A1	20010823	CA 2001-2399147	20010201
			US 2000-506750	A 20000217
			WO 2001-US3466	W 20010201
EP 1259491	A1	20021127	EP 2001-908800	20010201
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			US 2000-506750	A 20000217
			WO 2001-US3466	W 20010201
JP 2003523333	T	20030805	JP 2001-560187	20010201
			US 2000-506750	A 20000217
			WO 2001-US3466	W 20010201
MX 2002PA08001	A	20030128	MX 2002-PA8001	20020816
			US 2000-506750	A 20000217
			WO 2001-US3466	W 20010201
FAN 2003:300646				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI US 20030073850	A1	20030417	US 2000-506750	20000217
			US 1998-130799	B2 19980807
			US 1999-364901	A2 19990729
US 6503935	B1	20030107	US 1999-364901	19990729
			US 1998-130799	B2 19980807
CA 2399147	A1	20010823	CA 2001-2399147	20010201
			US 2000-506750	A 20000217
			WO 2001-US3466	W 20010201
WO 2001060802	A1	20010823	WO 2001-US3466	20010201
W: CA, JP, MX				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
			US 2000-506750	A 20000217
EP 1259491	A1	20021127	EP 2001-908800	20010201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
			US 2000-506750	A 20000217
			WO 2001-US3466	W 20010201
JP 2003523333	T	20030805	JP 2001-560187	20010201
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			WO 2001-US3466	W 20010201
MX 2002PA08001	A	20030128	MX 2002-PA8001	20020816
			US 2000-506750	A 20000217
			WO 2001-US3466	W 20010201
OS	MARPAT 138:73257			
AB	The title compds. [I; R1 = SO2R9, COR9 (R9 = alkenyl, alkyl, alkynyl, etc.); R2 = H, alkenyl, alkoxy, etc.; R3 = H, alkenyloxy, alkyl, etc.; R4 = H, alkyl, alkoxy, haloalkyl, etc.; R3 and R4 together with the carbon atoms to which they are attached form a 5-7 membered carbocyclic ring, 5-6 membered ring containing 1 heteroatom selected from O, NR11, SOn (R11 = H, alkenyl, alkyl, etc.; n = 0-2); R5 = imidazolyl, pyrazolyl, oxazolyl, etc.; R6 = H, alkoxy, alkyl, etc.; R7 = H, alkenyl, alkyl, etc.; R8 = H, alkyl; R3 and R8 together with the carbon atom to which they are attached form a 3-6 membered carbocyclic ring, C:CR12R15 (R12, R15 = H, alkoxy, alkyl, etc.)], useful in treating diseases prevented by or ameliorated with $\alpha$ 1A agonists, were prepared E.g., a detailed multi-step synthesis of II.HCl, was given. Biol. data for compds. I were presented.			
IT	258527-24-1P			
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)			
	(preparation of imidazoles and related compds. as $\alpha$ 1A agonists)			

RN 258527-24-1 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[5,6,7,8-tetrahydro-5-(1H-imidazol-5-yl)-1-naphthalenyl]- (CA INDEX NAME)



RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 111 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2002:964319 CAPLUS  
 DN 138:39302  
 TI Preparation of substituted sulfonamides as 5-HT6 receptor modulators for the treatment of CNS disorders, obesity and type II diabetes  
 IN Beierlein, Katarina; Bremberg, Ulf; Caldirola, Patrizia; Jenmalm Jensen, Annika; Johansson, Gary; Mott, Andrew; Tedenborg, Lars; Thor, Markus  
 PA Biovitrum AB, Swed.  
 SO PCT Int. Appl., 131 pp.  
 CODEN: PIXXD2

DT Patent  
 LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100822	A1	20021219	WO 2002-SE1126	20020611
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2445653	A1	20021219	SE 2001-2048	A 20010611
			SE 2001-2386	A 20010703
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			SE 2001-2048	A 20010611
			SE 2001-2386	A 20010703
			SE 2001-3437	A 20011016
AU 2002309435	A1	20021223	WO 2002-SE1126	W 20020611
AU 2002309435	B2	20080814	AU 2002-309435	20020611
			SE 2001-2048	A 20010611

			SE 2001-2386	A	20010703
			SE 2001-3437	A	20011016
			WO 2002-SE1126	W	20020611
US 20030158202	A1	20030821	US 2002-167141		20020611
US 7144883	B2	20061205			
			SE 2001-2048	A	20010611
			SE 2001-2386	A	20010703
			SE 2001-3437	A	20011016
EP 1412325	A1	20040428	EP 2002-778916		20020611
			R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR		
			SE 2001-2048	A	20010611
			SE 2001-2386	A	20010703
			SE 2001-3437	A	20011016
			WO 2002-SE1126	W	20020611
BR 2002010291	A	20040713	BR 2002-10291		20020611
			SE 2001-2048	A	20010611
			SE 2001-2386	A	20010703
			SE 2001-3437	A	20011016
			WO 2002-SE1126	W	20020611
CN 1522245	A	20040818	CN 2002-810377		20020611
			SE 2001-2048	A	20010611
			SE 2001-2386	A	20010703
			SE 2001-3437	A	20011016
ZA 2003008097	A	20041018	ZA 2003-8097		20020611
			SE 2001-2048	A	20010611
JP 2004536080	T	20041202	JP 2003-503591		20020611
			SE 2001-2048	A	20010611
			SE 2001-2386	A	20010703
			SE 2001-3437	A	20011016
			WO 2002-SE1126	W	20020611
CN 1800185	A	20060712	CN 2005-10138144		20020611
			SE 2001-2048	A	20010611
			SE 2001-2386	A	20010703
			SE 2001-3437	A	20011016
			CN 2002-810377	A3	20020611
NZ 529032	A	20070427	NZ 2002-529032		20020611
			SE 2001-2048	A	20010611
			SE 2001-2386	A	20010703
			SE 2001-3437	A	20011016
			WO 2002-SE1126	W	20020611
MX 2003PA11083	A	20040708	MX 2003-PA11083		20031202
			SE 2001-2048	A	20010611
			SE 2001-2386	A	20010703
			SE 2001-3437	A	20011016
			WO 2002-SE1126	W	20020611
IN 2003CN01957	A	20060106	IN 2003-CN1957		20031209
			SE 2001-2048	A	20010611
			SE 2001-2386	A	20010703
			SE 2001-3437	A	20011016
			WO 2002-SE1126	W	20020611
US 20070066598	A1	20070322	US 2006-509914		20060825
			SE 2001-2048	A	20010611
			SE 2001-2386	A	20010703
			SE 2001-3437	A	20011016
			US 2002-167141	A3	20020611
US 20070066599	A1	20070322	US 2006-509989		20060825
			SE 2001-2048	A	20010611
			SE 2001-2386	A	20010703

			SE 2001-3437	A	20011016
			US 2002-167141	A3	20020611
US 20070066600	A1	20070322	US 2006-510324		20060825
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			SE 2001-2386	A	20010703
			SE 2001-3437	A	20011016
			US 2002-167141	A3	20020611
IN 2007CN03778	A	20071221	IN 2007-CN3778		20070830
			SE 2001-2048	A	20010611
			WO 2002-SE1126	W	20020611
			IN 2003-CN1957	A3	20031209
KR 2008080172	A	20080902	KR 2008-716920		20080711
			SE 2001-2048	A	20010611
			SE 2001-2386	A	20010703
			SE 2001-3437	A	20011016
			WO 2002-SE1126	W	20020611
			KR 2003-716203	A3	20031211

OS MARPAT 138:39302

AB The title compds. [I; ring B = II or III (wherein D = 5-membered heterocyclyl of heteroaryl; with the proviso that when D contains O, D is heteroaryl); W = N, CH (not more than three groups W are N in both rings A and B together); P = NR<sub>2</sub>SO<sub>2</sub>R<sub>1</sub>, SO<sub>2</sub>NR<sub>1</sub>R<sub>2</sub>; P and R<sub>3</sub> are bound to the same ring and are disposed in meta- or para-positions relative to each other; R<sub>1</sub> = alkyl, alkoxyalkyl, aryl, etc.; R<sub>2</sub> = H, alkyl, alkoxy, etc.; or R<sub>1</sub> and R<sub>2</sub> are linked to form (CH<sub>2</sub>)<sub>4</sub>O; one of R<sub>3</sub> = (un)substituted piperazino, diazepino, 4-piperidiny, etc.; X, Y = H, halo, alkyl, etc.], potentially useful for the prophylaxis and treatment of medical conditions relating to obesity, type II diabetes and/or disorders of the central nervous system, were prepared E.g., a multi-step synthesis of IV.HCl, starting from 1-chloro-4-nitronaphthalene and tert-Bu 1-piperazinecarboxylate, was given. The compds. I have a selective affinity to 5-HT<sub>6</sub> receptors with K<sub>i</sub> values between 0.5 nM and 5 μM.

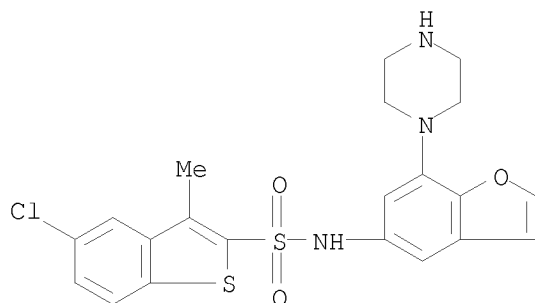
IT 478617-02-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamides as 5-HT<sub>6</sub> receptor modulators for the treatment of CNS disorders, obesity and type II diabetes)

RN 478617-02-6 CAPLUS

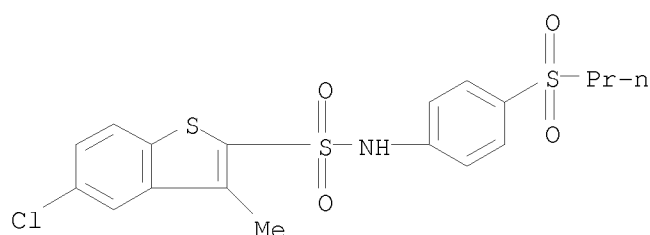
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[7-(1-piperazinyl)-5-benzofuranyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RE.CNT 8      THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 112 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2002:925014 CAPLUS  
DN 139:52462  
TI Identification of a stable chymase inhibitor using a pharmacophore-Based database search  
AU Koide, Yuuki; Tatsui, Akira; Hasegawa, Takeshi; Murakami, Akira; Satoh, Shoji; Yamada, Hideki; Kazayama, Shin-ichi; Takahashi, Atsuo  
CS Drug Research Department, Tokyo Research Laboratories, TOA EIYO Ltd., 2-293-3 Amanuma, Saitama, 330-0834, Japan  
SO Bioorganic & Medicinal Chemistry Letters (2003), 13(1), 25-29  
CODEN: BMCLE8; ISSN: 0960-894X  
PB Elsevier Science Ltd.  
DT Journal  
LA English  
AB In general, serine protease chymase inhibitors readily decompose in plasma. We previously found that thiazolidine-2,4-dione and thiadiazole derivs. are also unstable. Using a pharmacophore-based database search, we identified a benzo[b]thiophen-2-sulfonamide derivative as a stable chymase inhibitor. Finding a lead compound with adequate activity and stability by a pharmacophore-based approach is more efficient than modifying an unstable compound to reduce its instability without simultaneously decreasing its inhibitory activity. Our pharmacophore model of chymase inhibitors suggests that the two hydrophobic interactions in the S1 and S1' regions and the two H-bonding interactions between them play important roles in chymase inhibitors.  
IT 404964-12-1, MWP 00965  
RL: MSC (Miscellaneous); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(identification of stable chymase inhibitor using pharmacophore-based database search)  
RN 404964-12-1 CAPLUS  
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(propylsulfonyl)phenyl]- (CA INDEX NAME)



RE.CNT 25      THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 113 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2002:868929 CAPLUS  
DN 137:353045  
TI Preparation of sulfonamides as antagonists of urotensin II  
IN Dhanak, Dashyant; Gallagher, Timothy F.; Knight, Steven D.  
PA Smithkline Beecham Corporation, USA  
SO PCT Int. Appl., 23 pp.



CODEN: PIXXD2

DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002090353	A1	20021114	WO 2002-US14408	20020507
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002256483	A1	20021118	US 2001-289306P	P 20010507
				AU 2002-256483	20020507
				US 2001-289306P	P 20010507
				WO 2002-US14408	W 20020507
	EP 1385841	A1	20040204	EP 2002-725952	20020507
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				US 2001-289306P	P 20010507
				WO 2002-US14408	W 20020507
	JP 2004529170	T	20040924	JP 2002-587432	20020507
				US 2001-289306P	P 20010507
				WO 2002-US14408	W 20020507
	US 20040142948	A1	20040722	US 2003-477099	20031107
				WO 2002-US14408	W 20020507

OS MARPAT 137:353045

AB The title compds. [I; R1 = (un)substituted naphthyl, quinolinyl, benzothienyl, etc.; R2 = H, halo, CF3, etc.; R3, R4 = H, alkyl, CH2Ph; R9 = H, alkyl; X = O, S, CH2; n = 0-2], useful as antagonists of urotensin II, were prepared and formulated. E.g., a 6-step synthesis of (R)-II, starting from 2-chloro-5-nitroanisole, was given. Activity for the compds. I against h-U-II range from Ki = 10-10000 nM.

IT 474955-63-0P

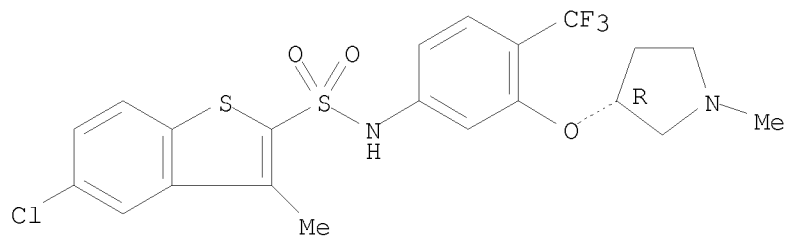
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamides as antagonists of urotensin II)

RN 474955-63-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[(3R)-1-methyl-3-pyrrolidinyl]oxy]-4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD

## ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 114 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2002:754370 CAPLUS  
 DN 137:279466  
 TI Preparation of N-(arylsulfonyl)- $\beta$ -amino acids having a substituted  
 aminomethyl group and their pharmaceutical compositions  
 IN Ferrari, Bernard; Gougat, Jean; Muneaux, Yvette; Perreaut, Pierre; Sarran,  
 Lionel  
 PA Sanofi-Synthelabo, Fr.  
 SO PCT Int. Appl., 195 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA French  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002076964	A1	20021003	WO 2002-FR1059	20020327
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	FR 2822827	A1	20021004	FR 2001-4315	A 20010328
	FR 2822827	B1	20030516	FR 2001-4315	20010328
	CA 2436225	A1	20021003	CA 2002-2436225	20020327
				FR 2001-4315	A 20010328
				WO 2002-FR1059	W 20020327
	AU 2002255077	A1	20021008	AU 2002-255077	20020327
	AU 2002255077	B2	20070816		
				FR 2001-4315	A 20010328
				WO 2002-FR1059	W 20020327
	EE 200300417	A	20031215	EE 2003-417	20020327
				FR 2001-4315	A 20010328
				WO 2002-FR1059	W 20020327
	EP 1373233	A1	20040102	EP 2002-724383	20020327
	EP 1373233	B1	20070905		
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				FR 2001-4315	A 20010328
				WO 2002-FR1059	W 20020327
	BR 2002008489	A	20040330	BR 2002-8489	20020327
				FR 2001-4315	A 20010328
				WO 2002-FR1059	W 20020327
	ZA 2003006037	A	20040805	ZA 2003-6037	20020327
				FR 2001-4315	A 20010328
	JP 2004525936	T	20040826	JP 2002-576224	20020327
				FR 2001-4315	A 20010328
				WO 2002-FR1059	W 20020327
	CN 1541211	A	20041027	CN 2002-807539	20020327
	CN 1297546	C	20070131		
				FR 2001-4315	A 20010328
	HU 2004001538	A2	20041129	HU 2004-1538	20020327
	HU 2004001538	A3	20080528		

			FR 2001-4315	A	20010328
			WO 2002-FR1059	W	20020327
TW 233923	B	20050611	TW 2002-91106017		20020327
			FR 2001-4315	A	20010328
NZ 527429	A	20050930	NZ 2002-527429		20020327
			FR 2001-4315	A	20010328
			WO 2002-FR1059	A	20020327
AT 372329	T	20070915	AT 2002-724383		20020327
			FR 2001-4315	A	20010328
ES 2291464	T3	20080301	ES 2002-724383		20020327
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US 20040116353	A1	20040617	US 2003-472674		20030918
US 7157454	B2	20070102			
			FR 2001-4315	A	20010328
			WO 2002-FR1059	W	20020327
NO 2003004267	A	20031128	NO 2003-4267		20030924
			FR 2001-4315	A	20010328
			WO 2002-FR1059	W	20020327
BG 108201	A	20040930	BG 2003-108201		20030925
			FR 2001-4315	A	20010328
			WO 2002-FR1059	W	20020327
MX 2003PA08756	A	20040218	MX 2003-PA8756		20030926
			FR 2001-4315	A	20010328
			WO 2002-FR1059	W	20020327
HK 1059931	A1	20080627	HK 2004-102735		20040419
			FR 2001-4315	A	20010328
			WO 2002-FR1059	W	20020327

OS MARPAT 137:279466

AB The invention relates to compds. R1SO2NR2CHR3CH2CONHCHR4CH2C6H4R5-p [R1 = phenylvinyl, tetrahydronaphthyl, (un)substituted Ph, naphthyl, or certain heterocyclic radicals; R2 = H, alkyl and R3 = (un)substituted Ph or heterocyclyl or R2 = (un)substituted Ph or heterocyclyl and R3 = H; R4 = (thio)carbamoyl or acyl groups, (un)substituted Ph or heterocyclyl; R5 = CH2NR11R12 or CH2N(O)NR11R12, where R11, R12 = H, (cyclo)alkyl, hydroxyalkyl, etc.] which have an affinity for bradykinin receptors, with a selectivity for B1 receptors, and can be used to prepare medicaments used to treat or prevent persistent or chronic inflammatory diseases and inflammation pathologies. Thus, N-[1-(4-aminomethylbenzyl)-2-oxo-2-pyrrolidinoethyl]-3-(2-naphthalenylsulfonylamino)-3-phenylpropionamide (isolated as HCl salt) was prepared by coupling of 2-amino-3-(4-cyanophenyl)-1-pyrrolidino-1-propanone trifluoroacetate with -3-(2-naphthalenylsulfonylamino)-3-phenylpropionic acid, followed by reduction of the cyano group by hydrogenation over Raney Ni. Synthesis of starting compds. is described.

IT 464932-37-4P

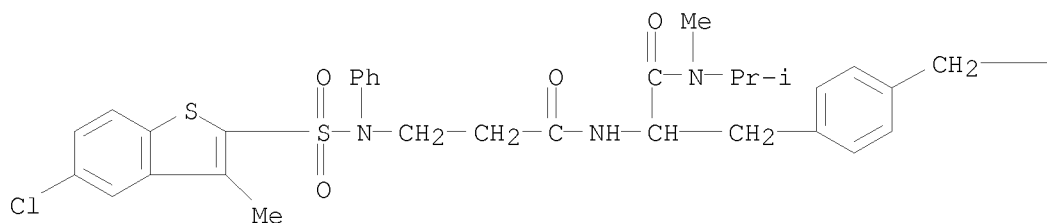
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(arylsulfonyl)- $\beta$ -amino acids as pharmaceuticals)

RN 464932-37-4 CAPLUS

CN Phenylalaninamide, N-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]-N-phenyl- $\beta$ -alanyl-4-[(diethylamino)methyl]-N-methyl-N-(1-methylethyl)-(9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

—NEt<sub>2</sub>

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 115 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2002:521465 CAPLUS  
DN 137:98994  
TI Pharmaceuticals containing a combination of norepinephrine reuptake  
inhibitors and neuroleptics  
IN Wong, Erik Ho Fong; Gallen, Christopher C.; Svensson, Torgny  
PA Pharmacia & Upjohn Company, USA; Pharmacia AB  
SO PCT Int. Appl., 22 pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002053140	A2	20020711	WO 2001-US45871	20011227
	WO 2002053140	A3	20021024		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
	CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
	GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
	LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,				
	PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,				
	UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,				
	CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,				
	BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2001-259286P	P 20010102
CA	2431041	A1	20020711	CA 2001-2431041	20011227
				US 2001-259286P	P 20010102
				WO 2001-US45871	W 20011227
AU	2002232470	A1	20020716	AU 2002-232470	20011227
AU	2002232470	B2	20051103		
				US 2001-259286P	P 20010102
				WO 2001-US45871	W 20011227
EP	1353675	A2	20031022	EP 2001-991997	20011227
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
				US 2001-259286P	P 20010102

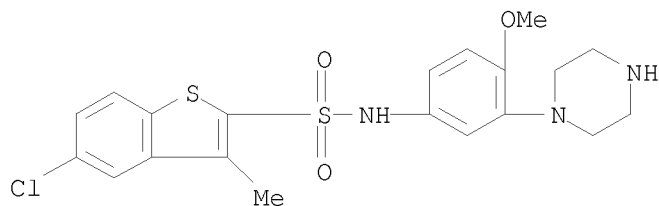
JP 2004517112	T	20040610	WO 2001-US45871	W	20011227
			JP 2002-554091		20011227
			US 2001-259286P	P	20010102
NZ 526801	A	20050729	WO 2001-US45871	W	20011227
			NZ 2001-526801		20011227
			US 2001-259286P	P	20010102
US 20020156067	A1	20021024	WO 2001-US45871	W	20011227
US 6964962	B2	20051115	US 2001-35100		20011228
MX 2003PA06003	A	20050908	US 2001-259286P	P	20010102
			MX 2003-PA6003		20030702
			US 2001-259286P	P	20010102
			WO 2001-US45871	W	20011227
US 20060003992	A1	20060105	US 2005-219901		20050906
			US 2001-259286P	P	20010102
			US 2001-35100	A3	20011228

AB A composition comprising: (a) a pharmaceutically effective amount of one or more norepinephrine reuptake inhibitors or a salt; and (b) 1 or more neuroleptics is provided. The composition is useful in treating disorders or diseases of the central nervous system, and particularly useful in treating schizophrenia. A pharmaceutical composition was prepared by combining reboxetine with a neuroleptic in an acceptable carrier. The composition contains 0.01-10 mg reboxetine and 25-300 mg clozapine.

IT 209481-20-9, SB-271046  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pharmaceuticals containing combination of norepinephrine reuptake inhibitors and neuroleptics)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



L6 ANSWER 116 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2002:486185 CAPLUS

DN 137:63256

TI Preparation of heterocyclyl benzamides as inhibitors of factor Xa and factor VIIa.

IN Nazare, Marc; Will, David William; Peyman, Anuschirwan; Matter, Hans; Zoller, Gerhard; Gerlach, Uwe

PA Aventis Pharma Deutschland GmbH, Germany

SO Eur. Pat. Appl., 101 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	EP 1217000	A1	20020626	EP 2000-128477	20001223
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	CA 2432572	A1	20020704	CA 2001-2432572	20011215
				EP 2000-128477	A 20001223
				WO 2001-EP14842	W 20011215
	WO 2002051831	A1	20020704	WO 2001-EP14842	20011215
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				EP 2000-128477	A 20001223
	AU 2002219193	A1	20020708	AU 2002-219193	20011215
	AU 2002219193	B2	20060608		
				EP 2000-128477	A 20001223
				WO 2001-EP14842	W 20011215
	EP 1349847	A1	20031008	EP 2001-272016	20011215
	EP 1349847	B1	20050420		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
				EP 2000-128477	A 20001223
				WO 2001-EP14842	W 20011215
	EE 200300306	A	20031015	EE 2003-306	20011215
				EP 2000-128477	A 20001223
				WO 2001-EP14842	W 20011215
	BR 2001016473	A	20040113	BR 2001-16473	20011215
				EP 2000-128477	A 20001223
				WO 2001-EP14842	W 20011215
	JP 2004516320	T	20040603	JP 2002-552926	20011215
				EP 2000-128477	A 20001223
				WO 2001-EP14842	W 20011215
	HU 2004001053	A2	20040928	HU 2004-1053	20011215
				EP 2000-128477	A 20001223
				WO 2001-EP14842	W 20011215
	NZ 526615	A	20041126	NZ 2001-526615	20011215
				EP 2000-128477	A 20001223
				WO 2001-EP14842	W 20011215
	AT 293617	T	20050515	AT 2001-272016	20011215
				EP 2000-128477	A 20001223
				WO 2001-EP14842	W 20011215
	ES 2240339	T3	20051016	ES 2001-272016	20011215
				EP 2000-128477	A 20001223
	US 20020198195	A1	20021226	US 2001-23933	20011221
	US 6953857	B2	20051011		
				EP 2000-128477	A 20001223
	ZA 2003004094	A	20040423	ZA 2003-4094	20030527
				EP 2000-128477	A 20001223
	MX 2003PA05398	A	20030925	MX 2003-PA5398	20030616
				EP 2000-128477	A 20001223
				WO 2001-EP14842	W 20011215
	IN 2003CN00957	A	20050422	IN 2003-CN957	20030617
				EP 2000-128477	A 20001223
				WO 2001-EP14842	W 20011215
	NO 2003002820	A	20030821	NO 2003-2820	20030619

			EP 2000-128477	A	20001223
			WO 2001-EP14842	W	20011215
US 20050165058	A1	20050728	US 2005-39107		20050119
US 7067665	B2	20060627			

EP 2000-128477	A	20001223
US 2001-23933	A3	20011221

OS MARPAT 137:63256

AB RQXQ1WUVGM [R = (substituted) aryl, heteroaryl; Q, Q1 = bond, CO, O, S, imino, carbonylimino, SO, SO2, (substituted) alkylene, etc.; X = bond, heteroaryl, (substituted) alkylene, heteroalkylene; W = (substituted) aryl, heteroaryl, mono-, polycyclic group; U, G = bond, (CH2)m, (CH2)mO(CH2)n, (CH2)mCO(CH2)n, (CH2)mS(CH2)n, etc.; m, n = 0-6; V = bond, (substituted) alkylene, aryl, heteroaryl, cyclic group; M = H, alkyl, (substituted) alkylaminocarbonyl, aryl, heteroaryl, cyclic group; with provisos], were prepared Thus, 3-[2-(2,4-dichlorophenyl)ethoxy]-4-methoxybenzoic acid, N-NEM, 1-(pyridin-4-ylmethyl)piperazine, and TOTU were stirred in DMF to give [3-[2-(2,4-dichlorophenyl)ethoxy]-4-methoxyphenyl](4-pyridin-4-ylmethylpiperazin-1-yl)methanone. The latter inhibited factor Xa with Ki = 0.600  $\mu$ M.

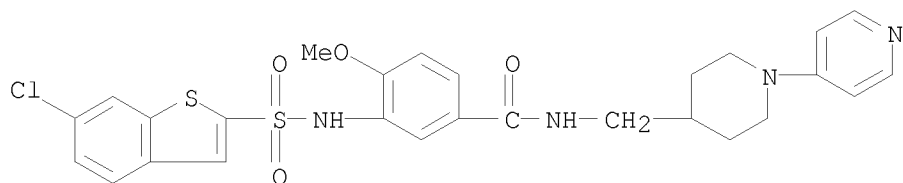
IT 438570-96-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclyl benzamides as inhibitors of factor Xa and factor VIIa)

RN 438570-96-8 CAPLUS

CN Benzamide, 3-[[[6-chlorobenzo[b]thien-2-yl)sulfonyl]amino]-4-methoxy-N-[[1-(4-pyridinyl)-4-piperidinyl)methyl]- (CA INDEX NAME)



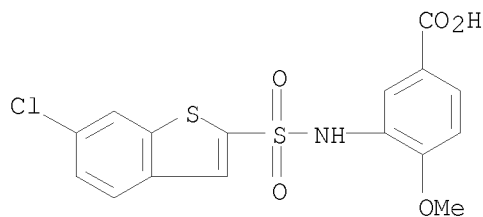
IT 438571-24-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of heterocyclyl benzamides as inhibitors of factor Xa and factor VIIa)

RN 438571-24-5 CAPLUS

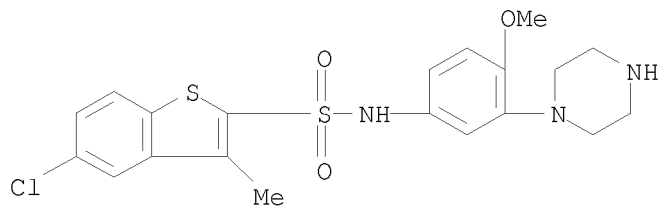
CN Benzoic acid, 3-[[[6-chlorobenzo[b]thien-2-yl)sulfonyl]amino]-4-methoxy- (CA INDEX NAME)



RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD

## ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 117 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2002:479060 CAPLUS  
 DN 138:50  
 TI Memories are made of this (perhaps): a review of serotonin 5-HT<sub>6</sub> receptor ligands and their biological functions  
 AU Russell, Michael G. N.; Dias, Rebecca  
 CS Neuroscience Research Centre, Merck Sharp and Dohme Research Laboratories, Essex, CM20 2QR, UK  
 SO Current Topics in Medicinal Chemistry (Hilversum, Netherlands) (2002), 2(6), 643-654  
 CODEN: CTMCCL; ISSN: 1568-0266  
 PB Bentham Science Publishers Ltd.  
 DT Journal; General Review  
 LA English  
 AB A review. The possible role of 5-HT<sub>6</sub> receptor antagonists in the treatment of learning and memory disorders has stimulated significant recent work in this area. The first selective antagonists of this receptor were identified by Roche (Ro 04-6790 and Ro 63-0563) and SmithKline Beecham (SB-271046), although they only had poor to modest brain penetration, resp. Recently, several structurally different series of selective antagonists have been reported. Glennon's group and Merck Sharp & Dohme have discovered N,N-dimethyl-1-benzenesulfonyl-5-methoxytryptamine as a reasonably selective, high affinity antagonist, while Allelix went on to find that a 6-bicyclopiperazinyl-1-naphthylsulfonylindole had improved affinity and selectivity. Roche have reported subsequently on more lipophilic analogs of Ro 04-6790 that appear to penetrate the brain better. Reversing the sulfonamide linkage of SB-271046 led to a new series of compds., producing SB-357134, which also had increased CNS penetration. A series of selective partial agonists containing a 4-piperazinylquinoline system has also been described. Recent studies in the Morris water maze with both Ro 04-6790 and SB-271046 have concluded that 5-HT<sub>6</sub> receptor antagonists improved retention performance, although these results are open to interpretation. Other behavioral studies have also implicated a role for 5-HT<sub>6</sub> in cognition enhancement and this has been supported by in vivo microdialysis studies that showed SB-271046 produced an increase in extracellular glutamate levels in the frontal cortex. However, we have been unable to replicate these effects with either SB-271046 or Ro 04-6790, and clearly further work is required before we can be certain of the functional role of this receptor.  
 IT 209481-20-9, SB-271046  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (serotonin 5-HT<sub>6</sub> receptor ligands and their biol. functions)  
 RN 209481-20-9 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)





RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 118 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2002:391688 CAPLUS  
DN 136:386032  
TI Preparation of (dihydro)isoquinolines as phosphodiesterase inhibitors  
IN Bundschuh, Daniela; Kley, Hans-Peter; Steinhilber, Wolfram; Grundler, Gerhard; Gutterer, Beate; Hatzelmann, Armin; Stadlwieser, Josef; Sterk, Geert Jan; Weinbrenner, Steffen  
PA BYK Gulden Lomberg Chemische Fabrik Gmbh, Germany  
SO PCT Int. Appl., 60 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002040450	A1	20020523	WO 2001-EP12918	20011108
	W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CU, CZ, EC, EE, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MK, MX, NO, NZ, PH, PL, RO, SG, SI, SK, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				TM
				EP 2000-124774	A 20001114
				DE 2001-10103547	A 20010126
	CA 2428527	A1	20020523	CA 2001-2428527	20011108
				EP 2000-124774	A 20001114
				DE 2001-10103547	A 20010126
	AU 2002029541	A	20020527	WO 2001-EP12918	W 20011108
				AU 2002-29541	20011108
				EP 2000-124774	A 20001114
				DE 2001-10103547	A 20010126
				WO 2001-EP12918	W 20011108
	EP 1337515	A1	20030827	EP 2001-990399	20011108
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
				EP 2000-124774	A 20001114
				DE 2001-10103547	A 20010126
	BR 2001015318	A	20040203	WO 2001-EP12918	W 20011108
				BR 2001-15318	20011108
				EP 2000-124774	A 20001114
				DE 2001-10103547	A 20010126
				WO 2001-EP12918	W 20011108
	JP 2004513938	T	20040513	JP 2002-542778	20011108
				EP 2000-124774	A 20001114
				DE 2001-10103547	A 20010126
				WO 2001-EP12918	W 20011108
	HU 2004000011	A2	20040528	HU 2004-11	20011108
	HU 2004000011	A3	20080328		
				EP 2000-124774	A 20001114
				DE 2001-10103547	A 20010126
				WO 2001-EP12918	W 20011108
	NZ 525147	A	20041029	NZ 2001-525147	20011108
				EP 2000-124774	A 20001114
				DE 2001-10103547	A 20010126
				WO 2001-EP12918	W 20011108
	AU 2002229541	B2	20070118	AU 2002-229541	20011108
				EP 2000-124774	A 20001114

IN 2003MN00334	A	20050211	DE 2001-10103547	A	20010126
			WO 2001-EP12918	W	20011108
			IN 2003-MN334		20030324
			EP 2000-124774	A	20001114
			DE 2001-10103547	A	20010126
ZA 2003002759	A	20040423	WO 2001-EP12918	W	20011108
			ZA 2003-2759		20030409
MX 2003PA04262	A	20030922	EP 2000-124774	A	20001114
			MX 2003-PA4262		20030514
			EP 2000-124774	A	20001114
			DE 2001-10103547	A	20010126
US 20040044212	A1	20040304	WO 2001-EP12918	W	20011108
US 6818651	B2	20041116	US 2003-381461		20030821
			EP 2000-124774	A	20001114
			DE 2001-10103547	A	20010126
			WO 2001-EP12918	W	20011108

OS MARPAT 136:386032

AB The title compds. [I; R1 = H and R2 = F, Cl, Br, CN, CF3, OPh; or R1 = H, F, Cl, Br, CF3, CN and R2 = H; R3 and R4 both denote hydrogen or together represent a bond; Ar = II-IV (wherein R5 = H, OH, NO2, NH2, etc.; R6 = alkyl, naphthalenyl, (un)substituted Ph, etc.)] which are novel effective PDE7 inhibitors, were prepared Thus, amidation of 1-(4-amino-3-methoxyphenyl)-7-chloro-3,4-dihydroisoquinoline with 4-trifluoromethoxybenzenesulfonyl chloride in the presence of Na2CO3 in dioxane afforded V which showed -logIC50 of 7.49 mol/L against PDE7.

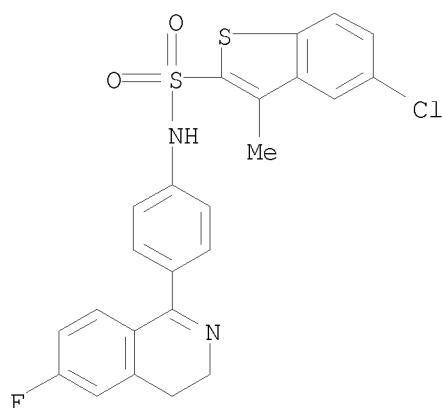
IT 426837-82-3P 426838-01-9P 426838-29-1P  
426838-46-2P 426838-69-9P 426839-03-4P  
426839-24-9P 426839-45-4P 426839-66-9P  
426839-82-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (dihydro)isoquinolines as phosphodiesterase inhibitors)

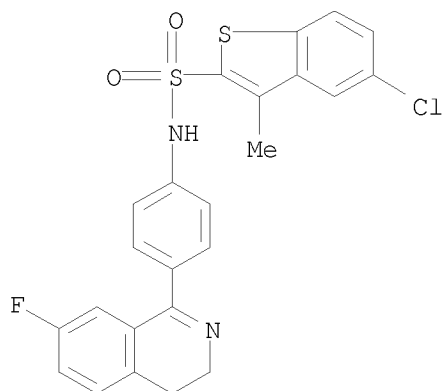
RN 426837-82-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(6-fluoro-3,4-dihydro-1-isoquinolinyl)phenyl]-3-methyl- (CA INDEX NAME)

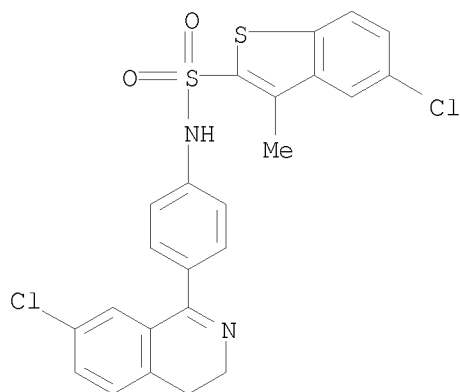


RN 426838-01-9 CAPLUS

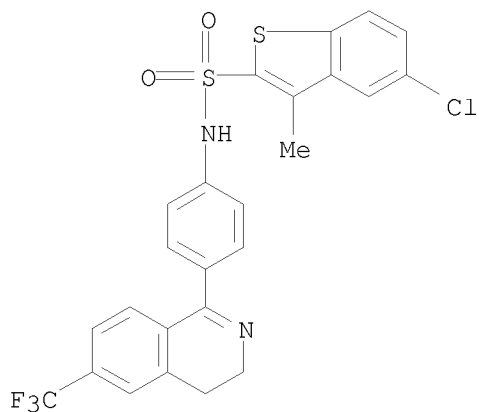
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(7-fluoro-3,4-dihydro-1-isoquinolinyl)phenyl]-3-methyl- (CA INDEX NAME)



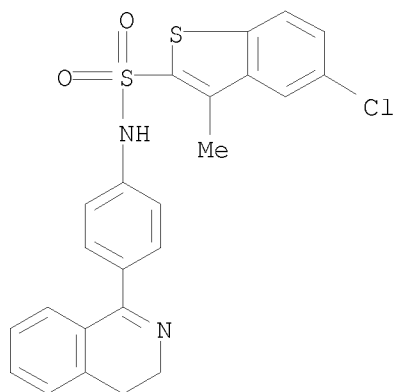
RN 426838-29-1 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(7-chloro-3,4-dihydro-1-isoquinolinyl)phenyl]-3-methyl- (CA INDEX NAME)



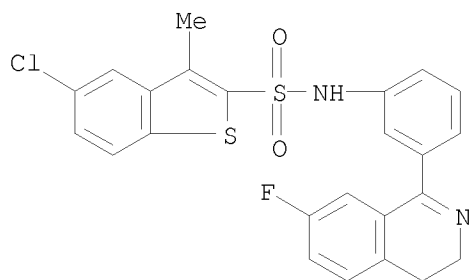
RN 426838-46-2 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-[3,4-dihydro-6-(trifluoromethyl)-1-isoquinolinyl]phenyl]-3-methyl- (CA INDEX NAME)



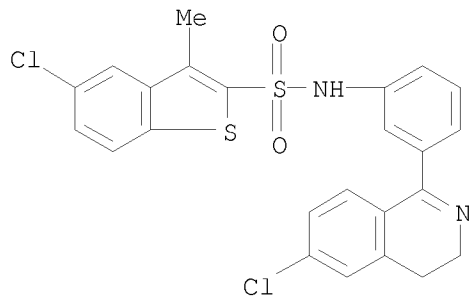
RN 426838-69-9 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(3,4-dihydro-1-isoquinolinyl)phenyl]-3-methyl- (CA INDEX NAME)



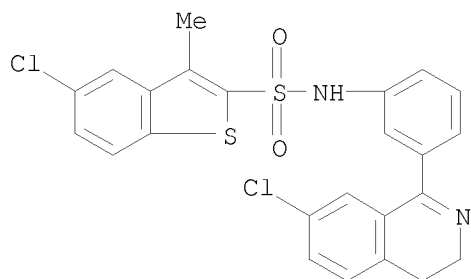
RN 426839-03-4 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(7-fluoro-3,4-dihydro-1-isoquinolinyl)phenyl]-3-methyl- (CA INDEX NAME)



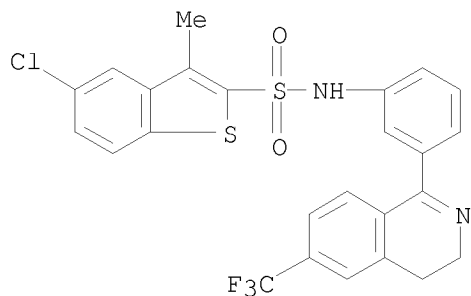
RN 426839-24-9 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(6-chloro-3,4-dihydro-1-isoquinolinyl)phenyl]-3-methyl- (CA INDEX NAME)



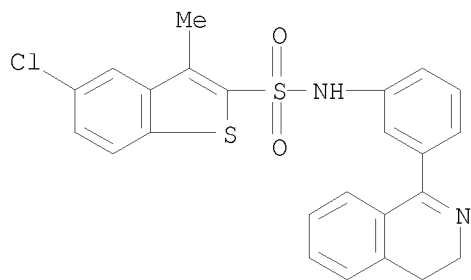
RN 426839-45-4 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(7-chloro-3,4-dihydro-1-isoquinolinyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 426839-66-9 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[3,4-dihydro-6-(trifluoromethyl)-1-isoquinolinyl]phenyl]-3-methyl- (CA INDEX NAME)



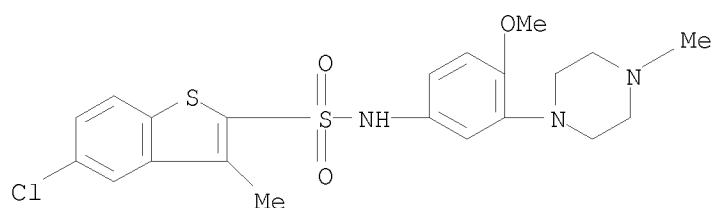
RN 426839-82-9 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(3,4-dihydro-1-isoquinolinyl)phenyl]-3-methyl- (CA INDEX NAME)



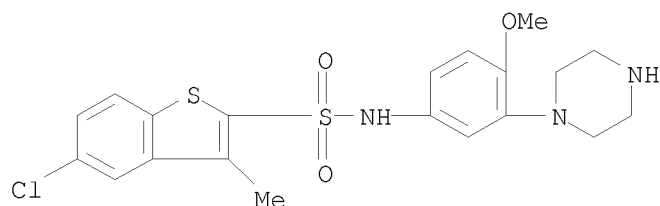
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 119 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2002:324921 CAPLUS  
 DN 137:247666  
 TI Bicyclic piperazinylbenzenesulphonamides are potent and selective 5-HT<sub>6</sub> receptor antagonists  
 AU Bromidge, Steven M.; Clarke, Stephen E.; King, Frank D.; Lovell, Peter J.; Newman, Helen; Riley, Graham; Routledge, Carol; Serafinowska, Halina T.; Smith, Douglas R.; Thomas, David R.

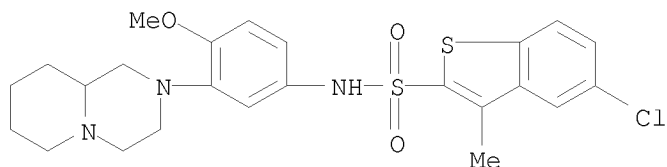
CS Department of Psychiatry, GlaxoSmithKline, Essex, Harlow, CM19 5AW, UK  
 SO Bioorganic & Medicinal Chemistry Letters (2002), 12(10), 1357-1360  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PB Elsevier Science Ltd.  
 DT Journal  
 LA English  
 OS CASREACT 137:247666  
 AB The synthesis of novel 3-(octahydropyrido[1,2-a]pyrazin-2-yl)- and  
 3-(hexahydropyrrolo[1,2-a]pyrazin-2-yl)phenyl-2-benzo[b]thiophene  
 sulfonamide derivs. is described. The compds. show high affinity for the  
 5-HT6 receptor, excellent selectivity against a range of other receptors,  
 and good brain penetration.  
 IT 209480-56-8 209481-20-9  
 RL: PAC (Pharmacological activity); BIOL (Biological study)  
 (preparation of bicyclic piperazinylbenzenesulfonamides as 5-HT6 receptor  
 antagonists)  
 RN 209480-56-8 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-  
 piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 209481-20-9 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-  
 piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



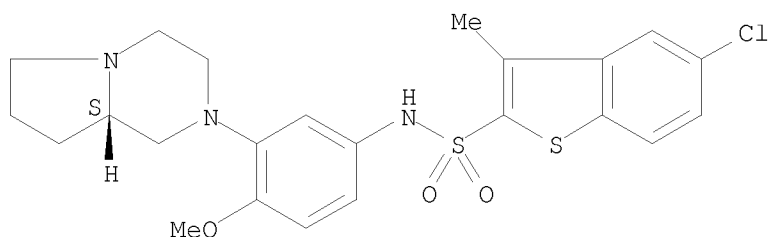
IT 239122-27-1P 239122-28-2P 239122-29-3P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL  
 (Biological study); PREP (Preparation)  
 (preparation of bicyclic piperazinylbenzenesulfonamides as 5-HT6 receptor  
 antagonists)  
 RN 239122-27-1 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(octahydro-2H-  
 pyrido[1,2-a]pyrazin-2-yl)phenyl]-3-methyl- (CA INDEX NAME)



RN 239122-28-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(8aS)-hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl]-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)

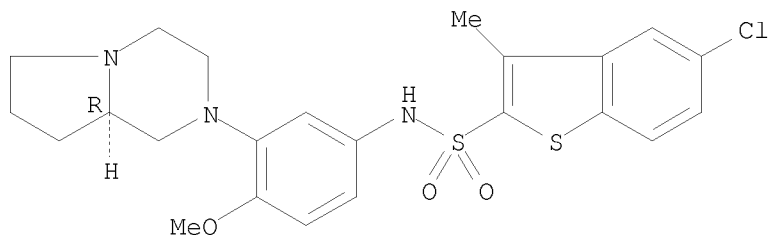
Absolute stereochemistry.



RN 239122-29-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(8aR)-hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl]-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 120 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2002:315827 CAPLUS

DN 137:41990

TI Selective enhancement of glutamatergic neurotransmission in the frontal cortex and dorsal hippocampus by antagonism of the 5-HT6 receptor

AU Dawson, L. A.; Nguyen, H. Q.; Li, P.

CS Neuroscience Research, Wyeth Ayerst, Princeton, NJ, USA

SO Monitoring Molecules in Neuroscience, Proceedings of the International Conference on In Vivo Methods, 9th, Dublin, Ireland, June 16-19, 2001 (2001), 318-319. Editor(s): O'Connor, William T. Publisher: University College Dublin, Dublin, Ire.

CODEN: 69CMPU; ISBN: 1-902277-47-3

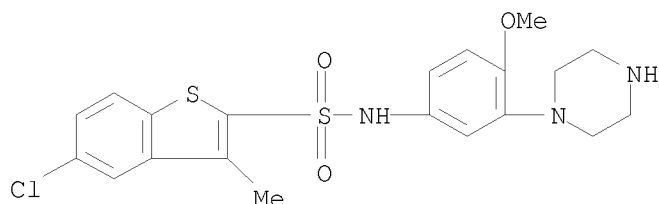
DT Conference

LA English

AB The role of the 5-HT6 receptor in the in vivo modulation of multiple

neurotransmitters in those brain regions shown to have the highest receptor expression levels was studied to gain insight into the neurochem. mechanism responsible for the observed cognitive enhancement. A microdialysis probe guide cannula was implanted into either the striatum, frontal cortex, dorsal hippocampus, or nucleus accumbens. SB-271046 produced no change in basal extracellular levels of DA, NA, or 5-HT in the striatum, frontal cortex, dorsal hippocampus or nucleus accumbens. This compound also yielded no change in basal concns. of glutamate in the striatum and nucleus accumbens. SB-271046 produced considerable increases in extracellular glutamate levels in both frontal cortex and dorsal hippocampus with maximum values of  $375.4 \pm 82.3$  and  $217.8 \pm 34.8\%$  of preinjection levels, resp. The infusion of the voltage-dependent sodium channel blocker tetrodotoxin attenuated these effects but were unaffected by the muscarinic antagonist, atropine. The selective enhancement of excitatory neurotransmission by SB-271046, in those brain regions implicated in cognitive and memory function and provide mechanistic evidence in support of a possible therapeutic role for 5-HT<sub>6</sub> receptor antagonists in the treatment of cognitive and memory dysfunction was demonstrated.

IT 209481-20-9, SB-271046  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (selective enhancement of glutamatergic neurotransmission in frontal cortex and dorsal hippocampus by antagonism of 5-HT<sub>6</sub> receptor)  
 RN 209481-20-9 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 121 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2002:275953 CAPLUS  
 DN 136:309851  
 TI Preparation of diphenylamines and N-nitrosodiphenylamines for treatment of oxidative stress and unavailability of endothelial nitric oxide.  
 IN Lardy, Claude; Nioche, Jean-Yves; Caputo, Lidia; Decerprit, Jacques; Ortholand, Jean-Yves; Festal, Didier; Guerrier, Daniel  
 PA Merck Patent G.m.b.H., Germany  
 SO PCT Int. Appl., 142 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002028820	A1	20020411	WO 2001-EP10761	20010918
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				



GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,  
 RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,  
 UZ, VN, YU, ZA, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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AU 2001089891	A	20020415	AU 2001-89891		20010918
			FR 2000-12749	A	20001005
			WO 2001-EP10761	W	20010918
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			WO 2001-EP10761	W	20010918
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			WO 2001-EP10761	W	20010918
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			WO 2001-EP10761	W	20010918
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MX 2003PA02999	A	20030714	MX 2003-PA2999		20030404
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IN 2003KN00563	A	20050121	IN 2003-KN563		20030502
			FR 2000-12749	A	20001005

OS MARPAT 136:309851

AB Title compds. [I; X, Ra = H, (unsatd.) alipharyl, AY; A = CO, SO<sub>2</sub>, CONRa, CONRaSO<sub>2</sub>; T = H, halo, NO<sub>2</sub>, cyano, (unsatd.) (halogenated) alipharyl optionally interrupted by O and/or S; Y = organic substituent; with provisos], and des-nitroso compds. (II; variables as above), were prepared Thus, a mixture of nicotinoyl chloride hydrochloride, 4-amino-4'-methoxy-N-tert-butoxycarbonyldiphenylamine, and Et<sub>3</sub>N was stirred in CH<sub>2</sub>Cl<sub>2</sub> to give 100% 4-nicotinoylamino derivative which was N-deprotected with CF<sub>3</sub>CO<sub>2</sub>H to give 95.2% 4-methoxy-4'-nicotinoylamino-diphenylamine. The latter in HOAc was treated dropwise with aqueous NaNO<sub>2</sub> to give 88% N-nitroso-4-methoxy-4'-nicotinoylamino-diphenylamine. Tested II inhibited oxidation of human low mol. weight lipoproteins by Cu<sup>2+</sup> with IC<sub>50</sub> = 1.7-13.4 μM.

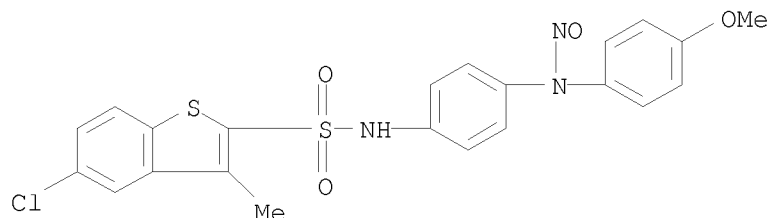
IT 409353-03-3P 409353-10-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diphenylamines and N-nitrosodiphenylamines for treatment of oxidative stress and unavailability of endothelial nitric oxide)

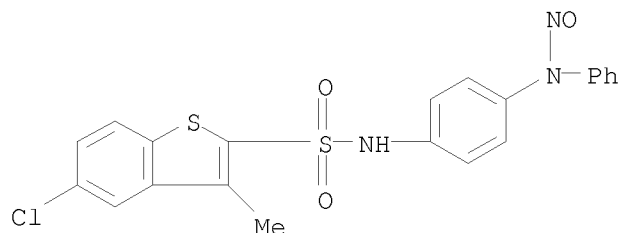
RN 409353-03-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-[(4-methoxyphenyl)nitrosoamino]phenyl]-3-methyl- (CA INDEX NAME)



RN 409353-10-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(nitrosophenylamino)phenyl]- (CA INDEX NAME)



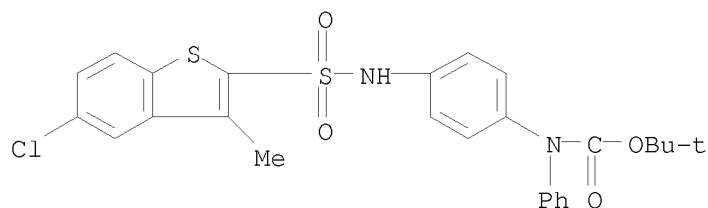
IT 409356-89-4P 409357-09-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of diphenylamines and N-nitrosodiphenylamines for treatment of oxidative stress and unavailability of endothelial nitric oxide)

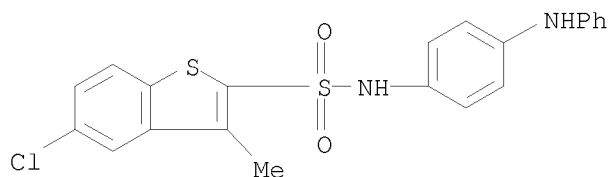
RN 409356-89-4 CAPLUS

CN Carbamic acid, [4-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]phenyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 409357-09-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(phenylamino)phenyl]- (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 122 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2002:220571 CAPLUS  
DN 136:263085  
TI Preparation of N-phenylbenzothiophenesulfonamide derivatives as selective  
chymase inhibitors  
IN Satoh, Shoji; Tatsui, Akira; Hasegawa, Takeshi; Yamada, Hideki; Kazayama,  
Shin-ichi; Morita, Takahiro; Masaki, Hidekazu; Takahashi, Atsuo  
PA Toa Eiyo Ltd., Japan  
SO PCT Int. Appl., 53 pp.  
CODEN: PIXXD2  
DT Patent  
LA Japanese  
FAN.CNT 4

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				WO 2001-JP8061	W 20010917
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				JP 2001-122972	A 20010420

US 20030229126	A1	20031211	WO 2001-JP8061	W	20010917
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			WO 2001-JP8061	A2	20010917
			JP 2002-72305	A	20020315
			JP 2002-72306	A	20020315
			JP 2002-72307	A	20020315
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			JP 2002-72306	A	20020315
			JP 2002-72307	A	20020315
			US 2003-388378	A3	20030313

PATENT FAMILY INFORMATION:

FAN 2003:750639

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				JP 2002-72307	A 20020315
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				WO 2001-JP8061	A2 20010917
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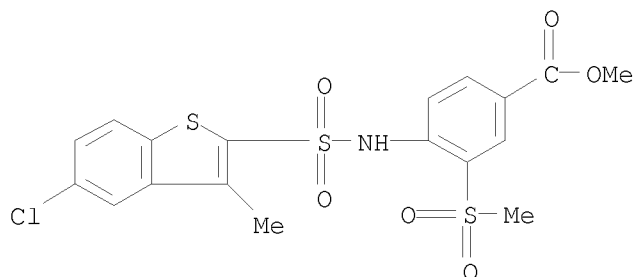
FAN 2003:757696

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				CA 2003-2479353	20030313
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				WO 2003-JP3023	W 20030313
	EP 1486494	A1	20041215	EP 2003-712691	20030313
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FAN 2003:918694

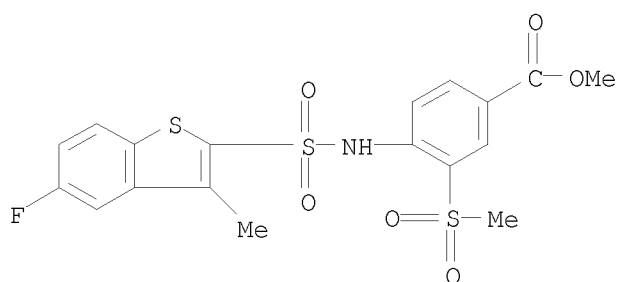
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RN 404963-90-2 CAPLUS

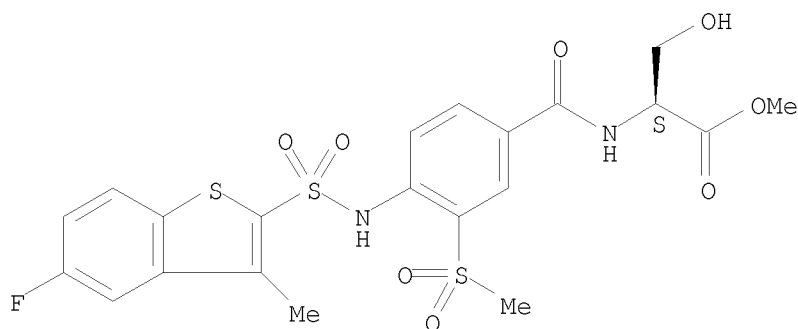
CN Benzoic acid, 4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



RN 404963-94-6 CAPLUS

CN L-Serine, N-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

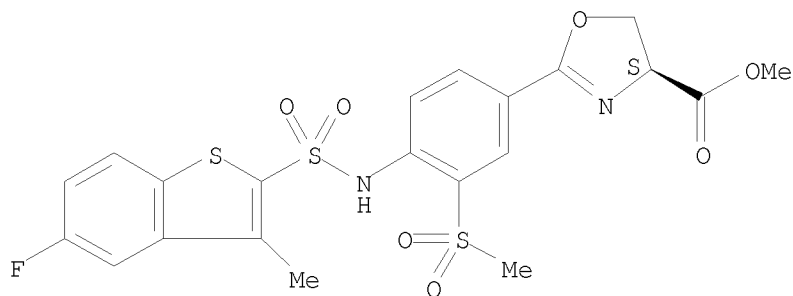
Absolute stereochemistry.



RN 404963-95-7 CAPLUS

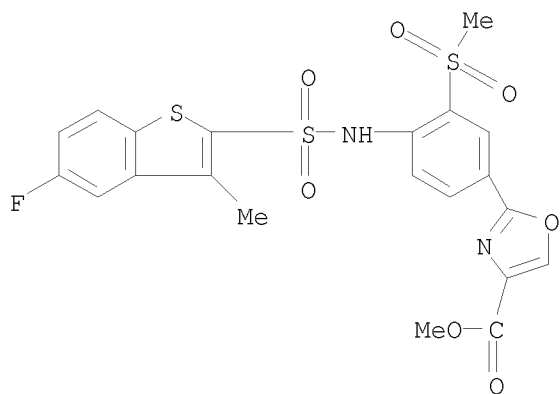
CN 4-Oxazolecarboxylic acid, 2-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-4,5-dihydro-, methyl ester, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



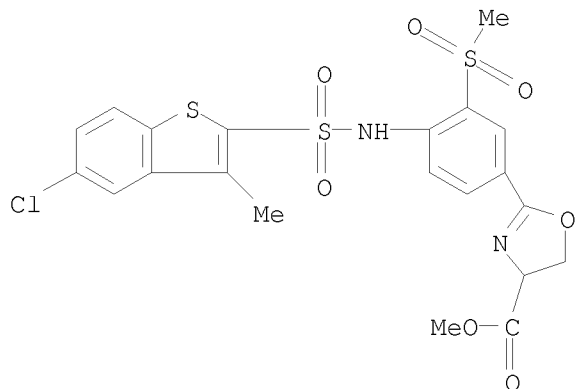
RN 404963-96-8 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)



RN 404964-20-1 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-4,5-dihydro-, methyl ester (CA INDEX NAME)



IT 404963-76-4P, 4-[(5-Chloro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl)benzoic acid ethyl ester

404963-77-5P, 4-[(5-Chloro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl)benzoic acid tert-butyl ester  
 404963-78-6P, 4-[(5-Chloro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(ethanesulfonyl)benzoic acid methyl ester  
 404963-79-7P, 4-[(5-Chloro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-5-(methanesulfonyl)-2-methylbenzoic acid methyl ester  
 404963-80-0P, 4-[(5-Chloro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]isophthalic acid dimethyl ester 404963-81-1P,  
 4-[(5-Chloro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-methoxybenzoic acid methyl ester 404963-82-2P,  
 4-[(5-Chloro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-nitrobenzoic acid methyl ester 404963-83-3P,  
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid  
 N-(2,4-di(methanesulfonyl)phenyl)amide 404963-84-4P,  
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid  
 N-(4-acetyl-2-nitrophenyl)amide 404963-85-5P,  
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid  
 N-(4-acetyl-2-(methanesulfonyl)phenyl)amide 404963-86-6P,  
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid  
 N-(4-benzoyl-2-(methanesulfonyl)phenyl)amide 404963-87-7P,  
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid  
 N-(4-hydroxymethyl-2-(methanesulfonyl)phenyl)amide 404963-88-8P,  
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid  
 N-(4-benzoylphenyl)amide 404963-89-9P,  
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid  
 N-(2-(methanesulfonyl)phenyl)amide 404963-91-3P,  
 4-[(3,5-Dimethylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl)benzoic acid methyl ester 404963-92-4P,  
 5-Fluoro-3-methylbenzo[b]thiophene-2-sulfonic acid  
 N-(4-acetyl-2-(methanesulfonyl)phenyl)amide 404963-93-5P,  
 4-[(3-Methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl)benzoic acid methyl ester 404963-97-9P,  
 2-[4-[(5-Fluoro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl)phenyl]oxazole-4-carboxylic acid 404963-98-0P,  
 2-[4-[(5-Chloro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl)phenyl]oxazole-4-carboxylic acid methyl ester  
 404963-99-1P, 2-[4-[(5-Chloro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl)phenyl]oxazole-4-carboxylic acid  
 404964-00-7P, 2-[4-[(5-Fluoro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl)phenyl]oxazole-4-carboxylic acid  
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 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid  
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 404964-06-3P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid  
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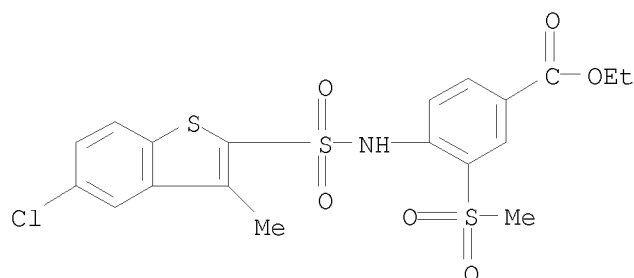


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 N-(4-(propanesulfonyl)phenyl)amide 404964-14-3P,  
 3,5-Dimethylbenzo[b]thiophene-2-sulfonic acid  
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 404964-16-5P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid  
 N-(4-(N-[(ethoxycarbonyl)methyl]carbamoyl)-2-(methanesulfonyl)phenyl)amide  
 404964-17-6P 404964-18-7P 404964-19-8P  
 404964-21-2P 404964-22-3P 404964-23-4P,  
 2-[[4-[(5-Chloro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-  
 methoxybenzoyl]amino]acetic acid 404964-24-5P,  
 4-[(5-Chloro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-  
 (methanesulfonyl)benzoic acid methyl ester sodium salt  
 404964-25-6P 404964-26-7P 404964-27-8P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of phenylbenzothiophenesulfonamide derivs. as selective chymase  
 inhibitors and preventives and remedies for cardiocirculatory diseases)

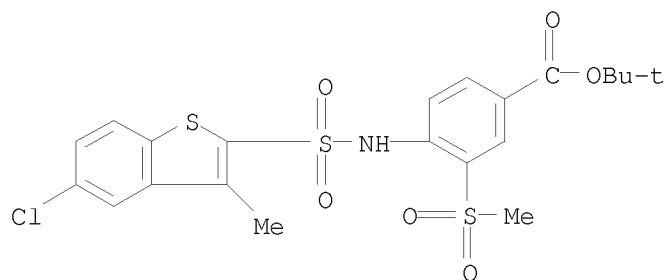
RN 404963-76-4 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-  
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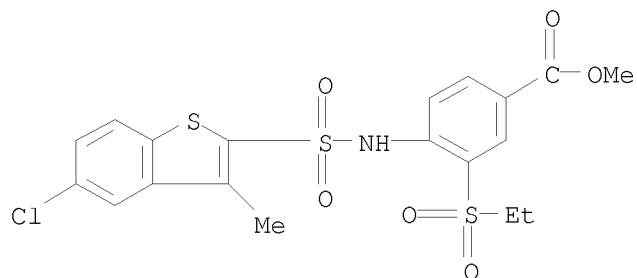
RN 404963-77-5 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-  
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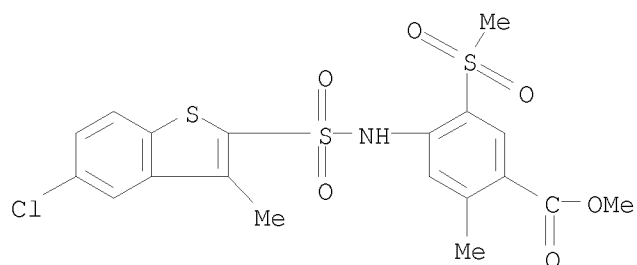
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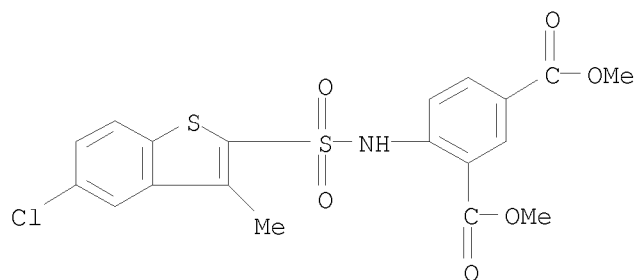
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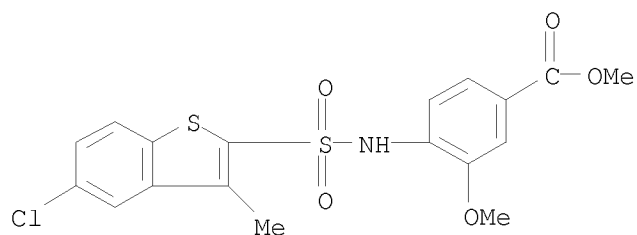
RN 404963-80-0 CAPLUS

CN 1,3-Benzenedicarboxylic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, 1,3-dimethyl ester (CA INDEX NAME)



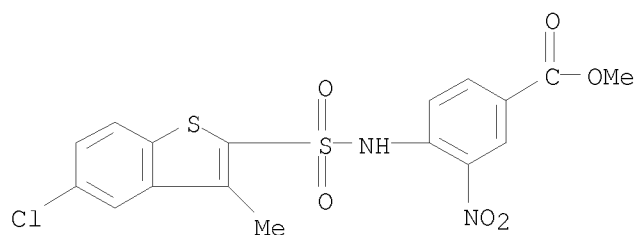
RN 404963-81-1 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxy-, methyl ester (CA INDEX NAME)



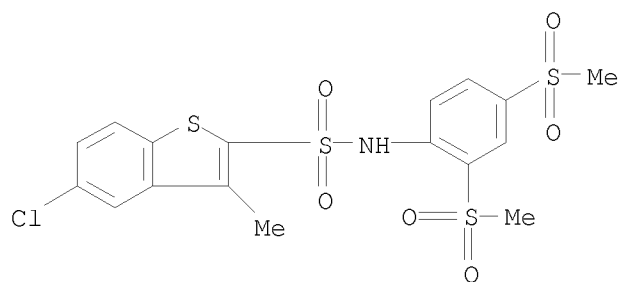
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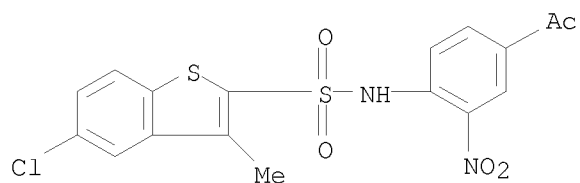
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CN Benzo[b]thiophene-2-sulfonamide, N-[2,4-bis(methylsulfonyl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)



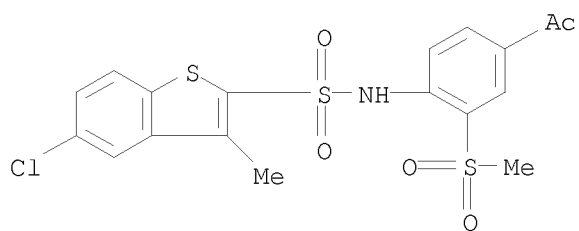
RN 404963-84-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(4-acetyl-2-nitrophenyl)-5-chloro-3-methyl- (CA INDEX NAME)



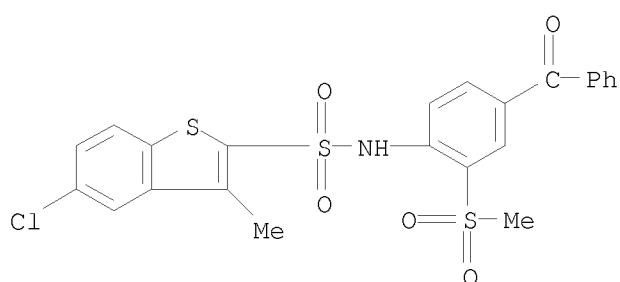
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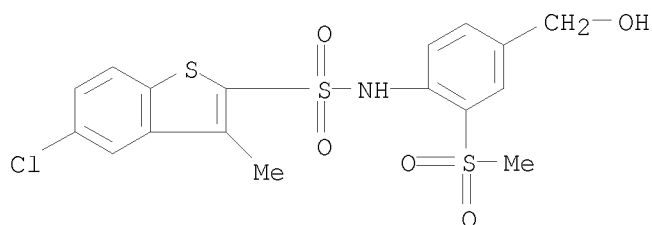
RN 404963-86-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-benzoyl-2-(methylsulfonyl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)



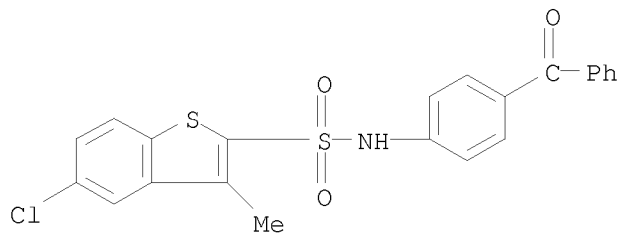
RN 404963-87-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(hydroxymethyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

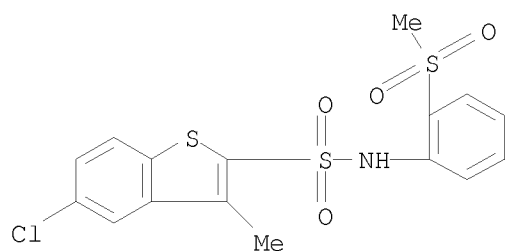


RN 404963-88-8 CAPLUS

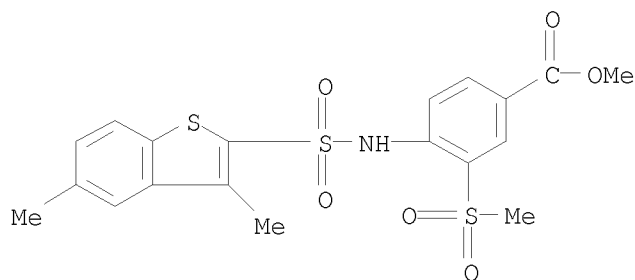
CN Benzo[b]thiophene-2-sulfonamide, N-(4-benzoylphenyl)-5-chloro-3-methyl- (CA INDEX NAME)



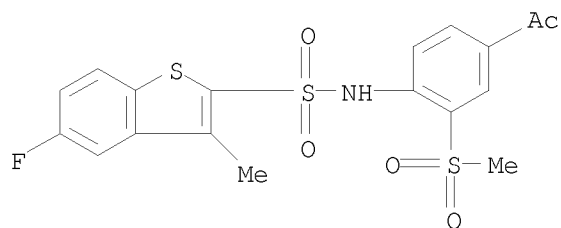
RN 404963-89-9 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[2-(methylsulfonyl)phenyl]- (CA INDEX NAME)



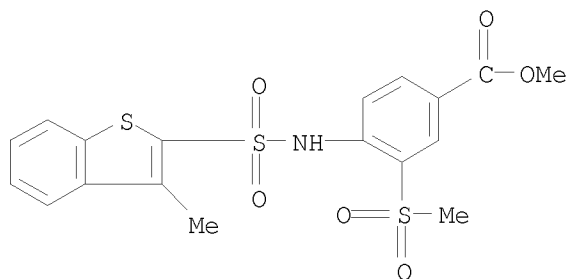
RN 404963-91-3 CAPLUS  
 CN Benzoic acid, 4-[[[3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



RN 404963-92-4 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-[4-acetyl-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

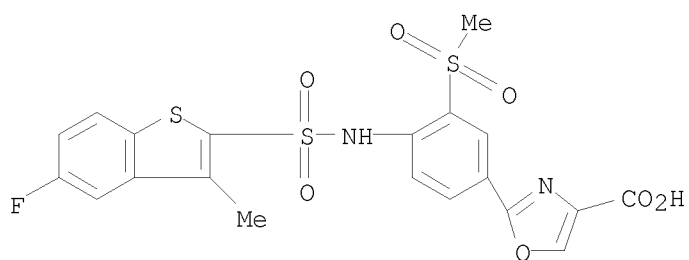


RN 404963-93-5 CAPLUS  
 CN Benzoic acid, 4-[[[3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



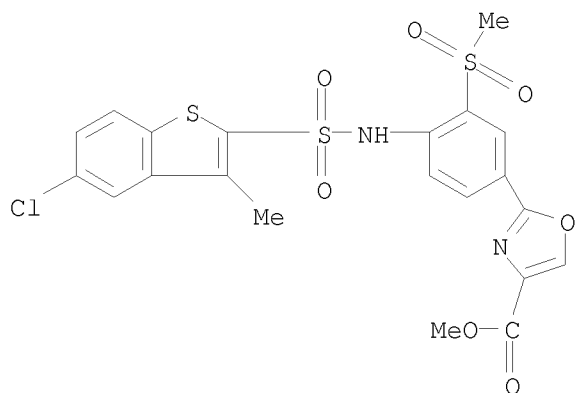
RN 404963-97-9 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)



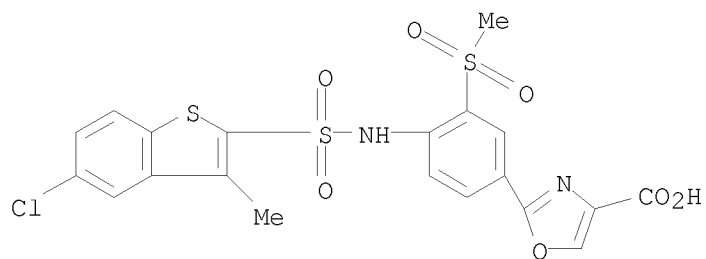
RN 404963-98-0 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)

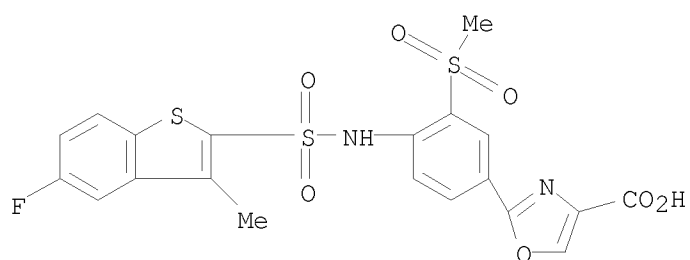


RN 404963-99-1 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)

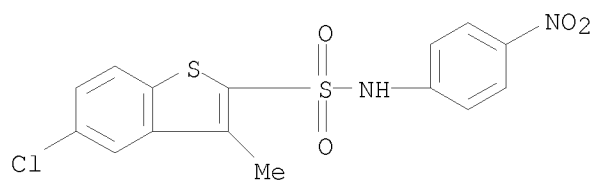


RN 404964-00-7 CAPLUS  
 CN 4-Oxazolecarboxylic acid, 2-[4-[[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, sodium salt (1:1) (CA INDEX NAME)

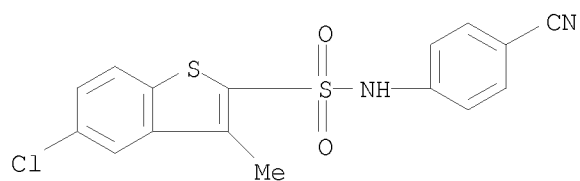


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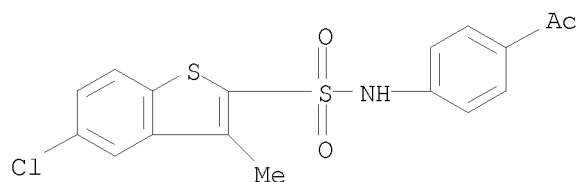
RN 404964-01-8 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-(4-nitrophenyl)- (CA INDEX NAME)



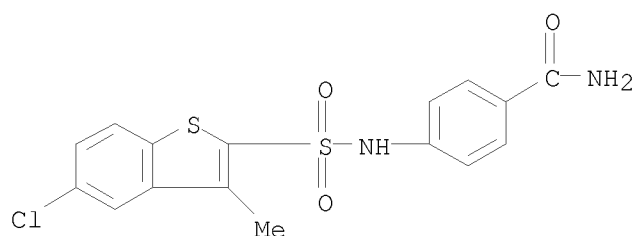
RN 404964-02-9 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-cyanophenyl)-3-methyl- (CA INDEX NAME)



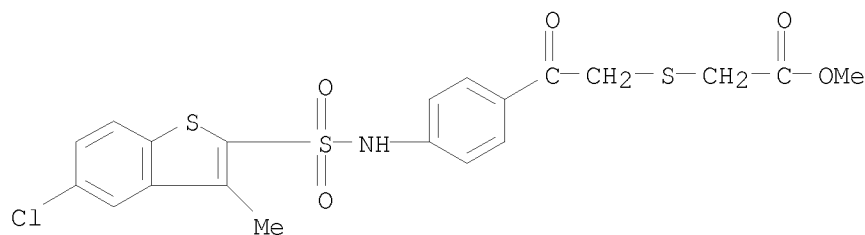
RN 404964-03-0 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-(4-acetylphenyl)-5-chloro-3-methyl-  
 (CA INDEX NAME)



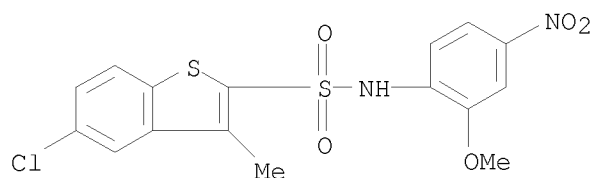
RN 404964-04-1 CAPLUS  
 CN Benzamide, 4-[[ (5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]- (CA  
 INDEX NAME)



RN 404964-05-2 CAPLUS  
 CN Acetic acid, 2-[[2-[4-[[ (5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]-2-oxoethyl]thio]-, methyl ester (CA INDEX NAME)

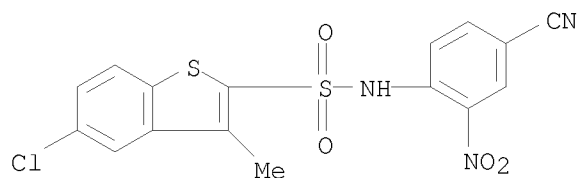


RN 404964-06-3 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2-methoxy-4-nitrophenyl)-3-methyl- (CA INDEX NAME)



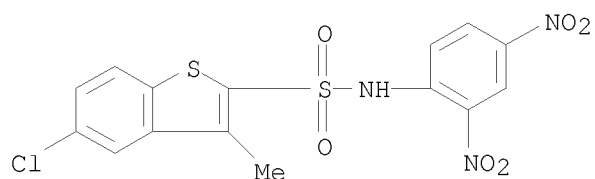
RN 404964-07-4 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-cyano-2-nitrophenyl)-3-methyl- (CA INDEX NAME)





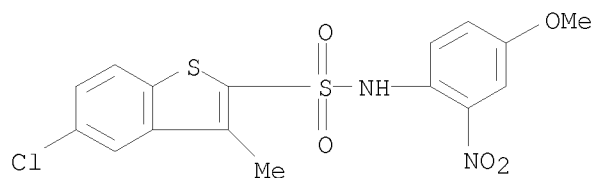
RN 404964-08-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2,4-dinitrophenyl)-3-methyl-  
(CA INDEX NAME)



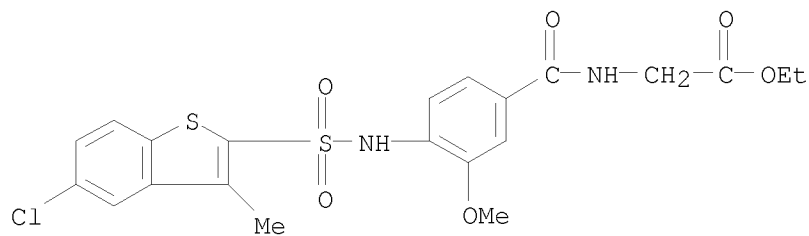
RN 404964-09-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-methoxy-2-nitrophenyl)-3-methyl-  
(CA INDEX NAME)



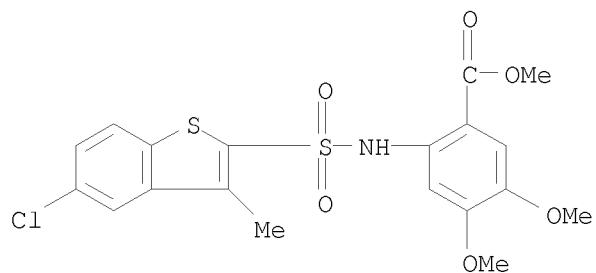
RN 404964-10-9 CAPLUS

CN Glycine, N-[4-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxybenzoyl]-, ethyl ester  
(CA INDEX NAME)



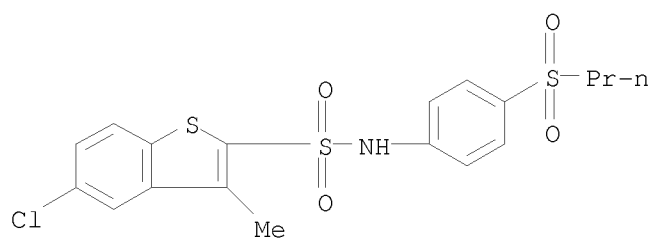
RN 404964-11-0 CAPLUS

CN Benzoic acid, 2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-4,5-dimethoxy-, methyl ester  
(CA INDEX NAME)



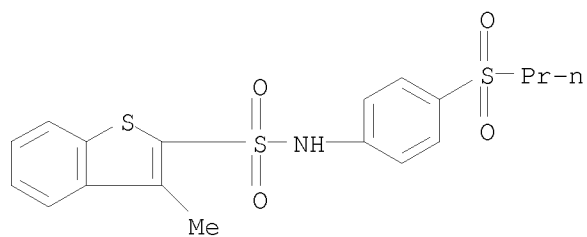
RN 404964-12-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(propylsulfonyl)phenyl]- (CA INDEX NAME)



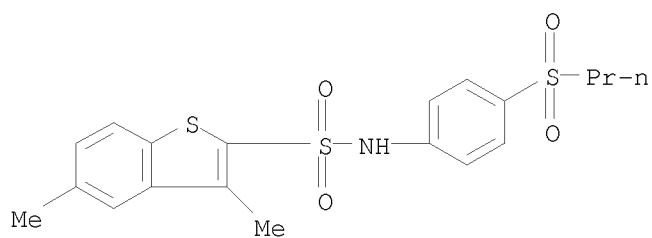
RN 404964-13-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 3-methyl-N-[4-(propylsulfonyl)phenyl]- (CA INDEX NAME)



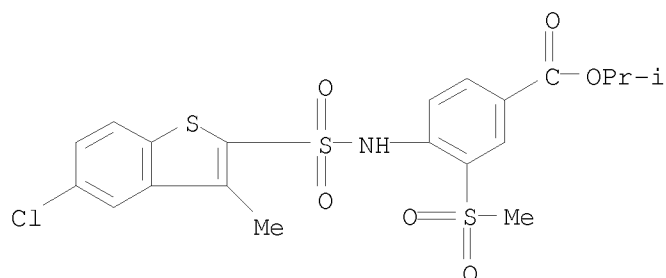
RN 404964-14-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 3,5-dimethyl-N-[4-(propylsulfonyl)phenyl]- (CA INDEX NAME)



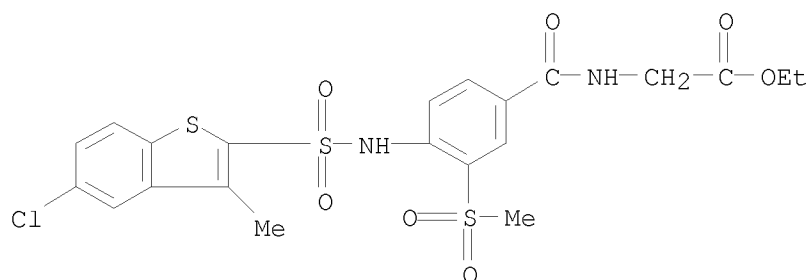
RN 404964-15-4 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, 1-methylethyl ester (CA INDEX NAME)



RN 404964-16-5 CAPLUS

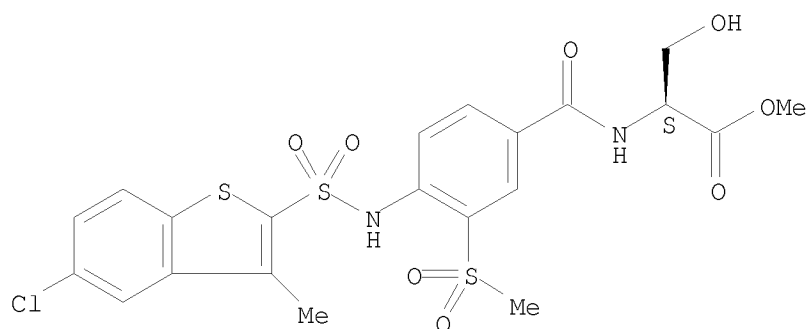
CN Glycine, N-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, ethyl ester (CA INDEX NAME)



RN 404964-17-6 CAPLUS

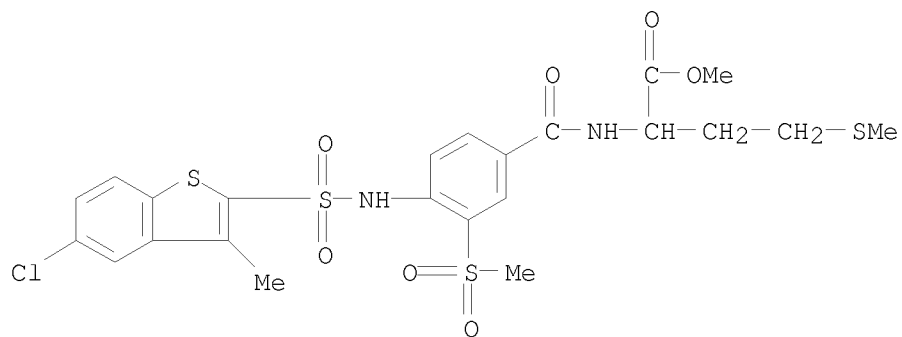
CN L-Serine, N-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

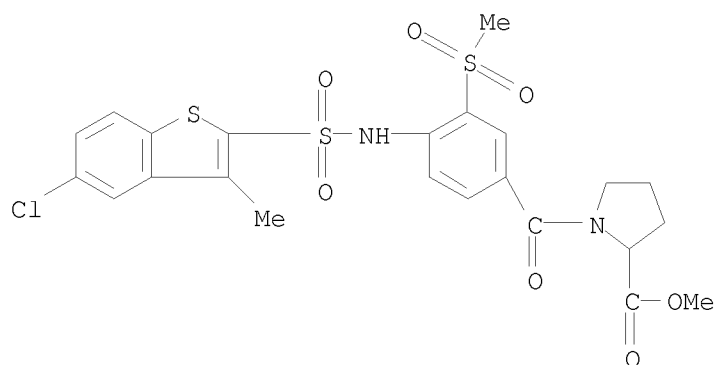


RN 404964-18-7 CAPLUS

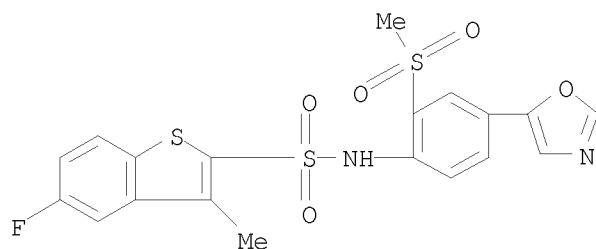
CN Methionine, N-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)



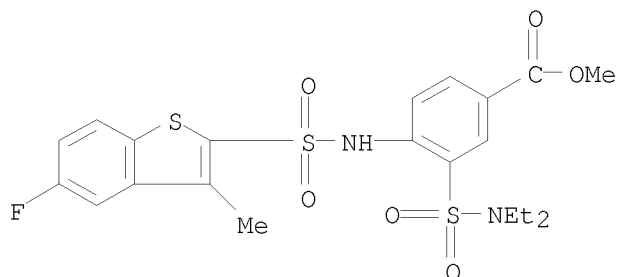
RN 404964-19-8 CAPLUS  
 CN Proline, 1-[4-[[ (5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)



RN 404964-21-2 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(5-oxazolyl)phenyl]- (CA INDEX NAME)

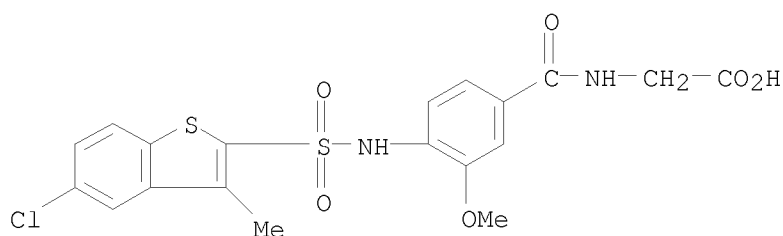


RN 404964-22-3 CAPLUS  
 CN Benzoic acid, 3-[(diethylamino)sulfonyl]-4-[[ (5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, methyl ester (CA INDEX NAME)



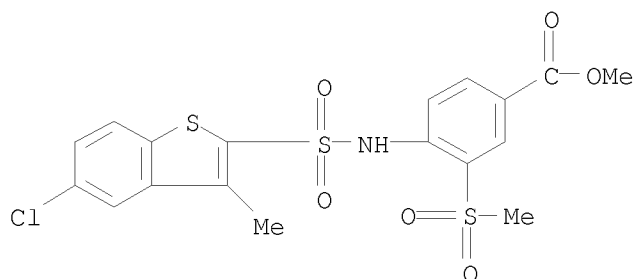
RN 404964-23-4 CAPLUS

CN Glycine, N-[4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxybenzoyl]- (CA INDEX NAME)



RN 404964-24-5 CAPLUS

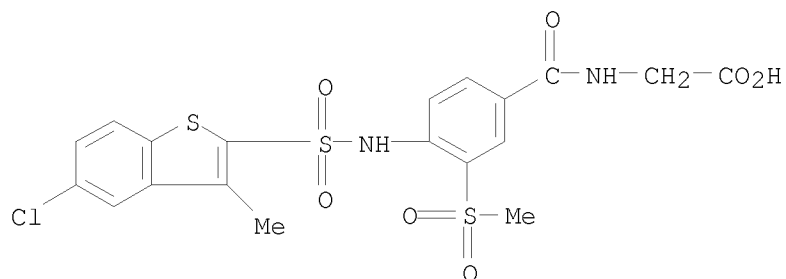
CN Benzoic acid, 4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester, sodium salt (1:1) (CA INDEX NAME)



● Na

RN 404964-25-6 CAPLUS

CN Glycine, N-[4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, monosodium salt (9CI) (CA INDEX NAME)

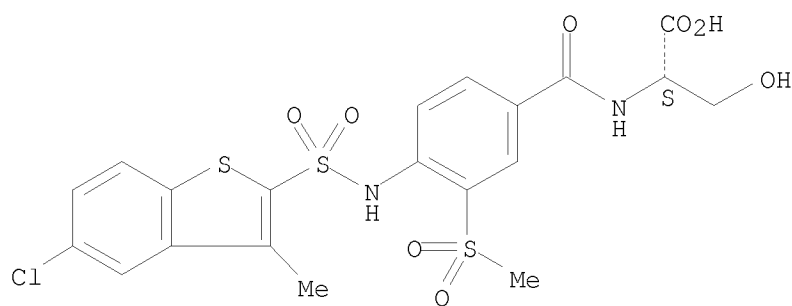


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RN 404964-26-7 CAPLUS

CN L-Serine, N-[4-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, monosodium salt (9CI) (CA INDEX NAME)

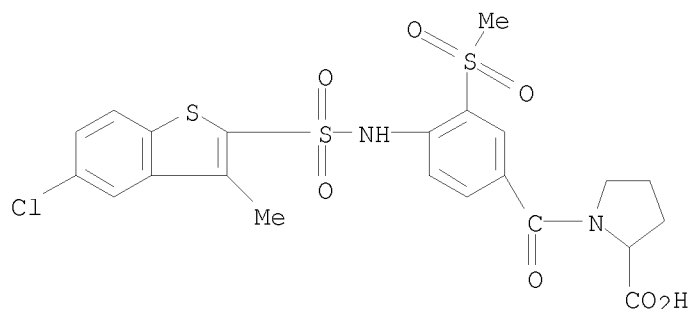
Absolute stereochemistry.



● Na

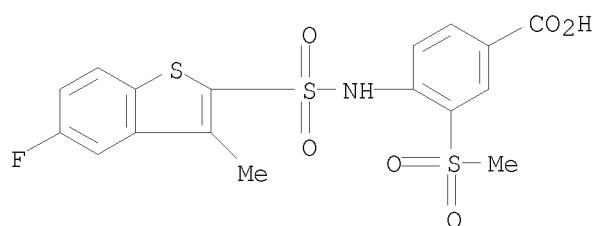
RN 404964-27-8 CAPLUS

CN Proline, 1-[4-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, monosodium salt (9CI) (CA INDEX NAME)



● Na

IT 404964-36-9P, 4-[(5-Fluoro-3-methylbenzo[b]thiophen-2-yl)sulfonyl]amino]-3-(methanesulfonyl)benzoic acid  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of phenylbenzothiophenesulfonamide derivs. as selective chymase inhibitors and preventives and remedies for cardiocirculatory diseases)  
 RN 404964-36-9 CAPLUS  
 CN Benzoic acid, 4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)



RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 123 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2002:184280 CAPLUS  
 DN 137:195432  
 TI Effects of the 5-HT6 receptor antagonist, SB-271046, in animal models for schizophrenia  
 AU Pouzet, B.; Didriksen, M.; Arnt, J.  
 CS Psychopharmacology, Psychosis, H. Lundbeck A/S, Valby, DK-2500, Den.  
 SO Pharmacology, Biochemistry and Behavior (2002), 71(4), 635-643  
 CODEN: PBBHAU; ISSN: 0091-3057  
 PB Elsevier Science Inc.  
 DT Journal  
 LA English  
 AB The 5-HT6 receptor is targeted by several new antipsychotics such as clozapine, olanzapine, and sertindole. We studied the effect of SB-271046 [5-chloro-N-(4-methoxy-3-piperazin-1-yl-phenyl)-3-methyl-2-benzothiophenesulfonamide], a specific 5-HT6 receptor antagonist, in three models for the pos. symptoms of schizophrenia-d-amphetamine-induced

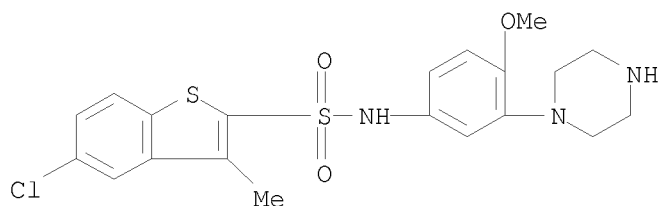
hyperactivity, and d-amphetamine- or phencyclidine (PCP)-disrupted prepulse inhibition (PPI). We also tested this compound in a model for the neg. symptoms of schizophrenia, PCP-disrupted social interaction (SIT) in rats. Induction of side effects by this compound was evaluated by testing its potency to reduce spontaneous motility, and to induce catalepsy in rats. The effect of SB-271046 was compared to clozapine in all models tested. This study showed that SB-271046 had no beneficial effect in PCP-disrupted SIT. However, SB-271046 dose-dependently normalized d-amphetamine-disrupted PPI, but did not reverse PCP-disrupted PPI. In addition, SB-271046 did not antagonize d-amphetamine-induced hyperactivity. Thus, this specific 5-HT<sub>6</sub> receptor antagonist was associated with a clear pos. outcome in only one model for the pos. symptoms of schizophrenia, and had no beneficial effect in the model for neg. symptoms. Consequently, it is clear that SB-271046 is not expected to have an antipsychotic efficacy, at least when given as monotherapy.

IT 209481-20-9, SB-271046

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (effects of 5-HT<sub>6</sub> receptor antagonist, SB-271046, in animal models for schizophrenia)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 124 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2002:89999 CAPLUS

DN 136:129079

TI Aryl sulfonamides as serotonin antagonists for the treatment of obesity

IN Caldirola, Patrizia; Jossan, Sukhwinder; Sakariassen, Kjell S.; Svartengren, Jan

PA Biovitrum AB, Swed.

SO PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,			

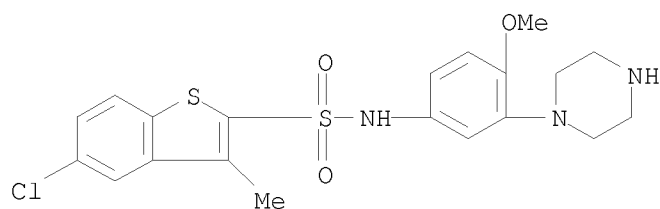


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CN 100339073	C	20070926	CN 2001-813150 20010719
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			SE 2000-2739 A 20000721
			WO 2001-SE1652 W 20010719
			KR 2003-700687 A3 20030116
OS	MARPAT 136:129079		
AB	A method is provided for the treatment or prophylaxis of obesity, comprising administering to a patient in need of such treatment a therapeutically effective amount of an aryl sulfonamide compound (Markush included). Compds. of the invention include 5-chloro-N-(4-methoxy-3-piperazin-1-ylphenyl)-3-methyl-2-benzothiophenesulfonamide.		
IT	209481-20-9 209481-24-3		
	RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)		

(aryl sulfonamides as serotonin antagonists for treatment of obesity)

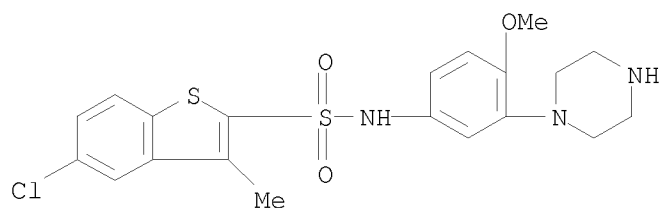
RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 209481-24-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 125 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2002:72047 CAPLUS

DN 136:134676

TI Preparation of cyclic amine phenyl  $\beta$ 3 adrenergic receptor agonists  
for treatment of metabolic disorders related to insulin resistance or  
hyperglycemia

IN Hu, Baihua; Sum, Fuk-Wah; Malamas, Michael Sotirios

PA American Home Products Corporation, USA

SO PCT Int. Appl., 235 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2002006232	A1	20020124	WO 2001-US22387	20010716
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				

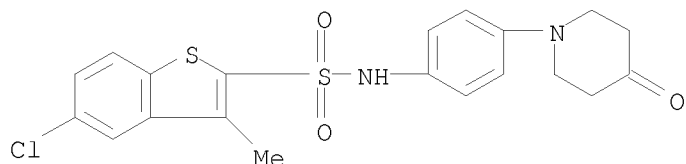
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			US 2000-218627P	P	20000717
US 20020028835	A1	20020307	US 2001-903754		20010712
US 6525202	B2	20030225			
			US 2000-218627P	P	20000717
CA 2416245	A1	20020124	CA 2001-2416245		20010716
			US 2000-218627P	P	20000717
			WO 2001-US22387	W	20010716
EP 1301482	A1	20030416	EP 2001-984234		20010716
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
			US 2000-218627P	P	20000717
			WO 2001-US22387	W	20010716
BR 2001012522	A	20030624	BR 2001-12522		20010716
			US 2000-218627P	P	20000717
			WO 2001-US22387	W	20010716
JP 2004504299	T	20040212	JP 2002-512136		20010716
			US 2000-218627P	P	20000717
			WO 2001-US22387	W	20010716
US 20030144326	A1	20030731	US 2002-330576		20021227
US 7022716	B2	20060404			
			US 2000-218627P	P	20000717
			US 2001-903754	A3	20010712
MX 2003PA00518	A	20030514	MX 2003-PA518		20030117
			US 2000-218627P	P	20000717
			WO 2001-US22387	W	20010716

OS MARPAT 136:134676

AB Title compds. I [wherein A = (hetero)aryl or heterocyclyl; X = OCH<sub>2</sub>, SCH<sub>2</sub>, or a bond; T<sub>1</sub> = (CH<sub>2</sub>)<sub>m</sub>; T<sub>2</sub> = (CH<sub>2</sub>)<sub>n</sub>; m = 1-3; n = 1-3; T = a bond, (un)substituted alkyl or alkenyl, alkynyl, alkylthio, alkylamino, alkoxy(alkyl), alkylthioalkyl, acyl, or alkenylcarbonyl; R<sub>1</sub>, R<sub>2</sub>, and R<sub>3</sub> = independently H, (cyclo)alkyl, OH, halo, CF<sub>3</sub>, alkoxy, benzyloxy, allyloxy, propargyloxy, acyloxy, CN, NO<sub>2</sub>, NH<sub>2</sub>, CONH<sub>2</sub>, (di)alkylamino, formamido, ureido, acylamino, alkylsulfonylamino, arylsulfonylamino, dialkyloxyphosphorylamino, dihydroxyphosphorylamino, alkoxycarbonyl, or (un)substituted aryl; R<sub>4</sub> = H, alkyl, halo, OH, alkoxy, alkylthio, (alkyl)amino, carboxy, acyl, arylcarbonyl, alkoxycarbonyl, CONH<sub>2</sub>, alkylaminocarbonyl, alkylsulfonyl, or arylsulfonylamino; R<sub>5</sub> = (un)substituted (di)oxoimidazolidinyl, (di)oxooxazolidinyl, (di)oxothiazolidinyl, dioxooxadiazolidinyl, tetrazolyl, oxopyrrolinyl, alkoxycarbonyl, aminocarbonyl, acyl, ureido, etc.; or a pharmaceutically acceptable salt thereof] were prepared by standard and combinatorial synthetic methods as  $\beta$ <sub>3</sub> adrenergic receptor agonists. For example, acetic acid was added to a mixture of N-[5-[(1R)-2-amino-1-hydroxyethyl]-2-hydroxyphenyl]methanesulfonamide (preparation given), 2-[4-(4-oxo-1-piperidinyl)benzyl]-1,2,4-oxadiazolidine-3,5-dione, and DMF. Sodium triacetoxyborohydride was added and the mixture stirred at room temperature

for 24 h to give (R)-I (71%). The latter bound to the  $\beta$ <sub>3</sub> adrenergic receptor with EC<sub>50</sub> of 20  $\mu$ M, exhibited a maximal response activity equivalent to isoproterenol, and increased thermogenesis in  $\beta$ <sub>3</sub> transgenic mice by 30  $\pm$  8% compared to an increase of 16  $\pm$  4% in  $\beta$ <sub>3</sub> knockout mice. Thus, I are useful in treating or inhibiting metabolic disorders related to insulin resistance or hyperglycemia (typically associated with obesity or glucose intolerance), atherosclerosis, gastrointestinal disorders, neurogenetic inflammation, glaucoma, ocular hypertension, frequent urination, and are particularly useful in the treatment or inhibition II diabetes.

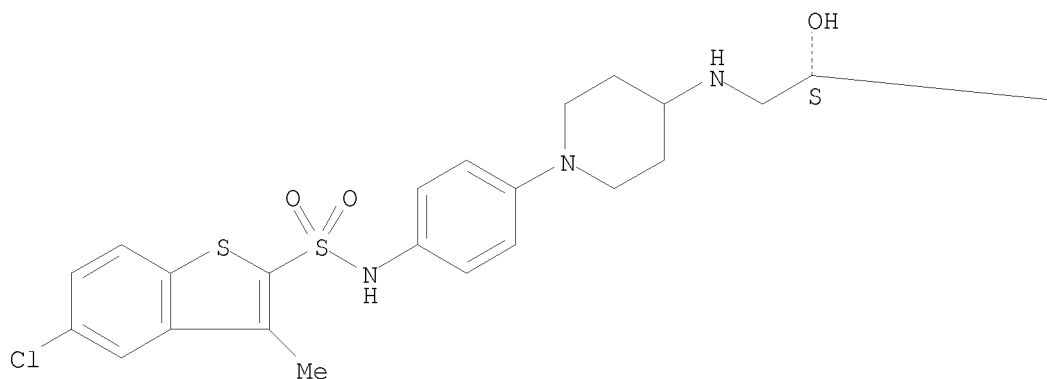
IT 391906-93-7P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid  
 [4-(4-oxopiperidin-1-yl)phenyl]amide  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (intermediate; preparation of cyclic amine Ph  $\beta_3$  adrenergic receptor  
 agonists for treatment of metabolic disorders related to insulin  
 resistance or hyperglycemia)  
 RN 391906-93-7 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(4-oxo-1-  
 piperidiny]phenyl]- (CA INDEX NAME)

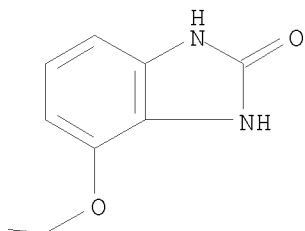


IT 391907-82-7P, 5-Chloro-N-[4-[4-[[ (2S)-2-hydroxy-3-[(2-oxo-2,3-  
 dihydro-1H-benzimidazol-4-yl)oxy]propyl]amino]-1-piperidiny]phenyl]-3-  
 methyl-1-benzothiophene-2-sulfonamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)  
 ( $\beta_3$  agonist; preparation of cyclic amine Ph  $\beta_3$  adrenergic receptor  
 agonists for treatment of metabolic disorders related to insulin  
 resistance or hyperglycemia)  
 RN 391907-82-7 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-[4-[[ (2S)-3-[(2,3-dihydro-2-  
 oxo-1H-benzimidazol-4-yl)oxy]-2-hydroxypropyl]amino]-1-piperidiny]phenyl]-  
 3-methyl- (CA INDEX NAME)

Absolute stereochemistry.

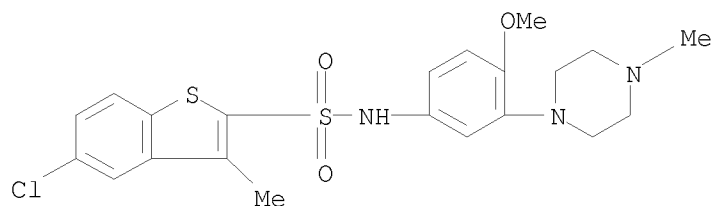
PAGE 1-A





RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 126 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2002:66218 CAPLUS  
DN 137:57416  
TI 5-HT6 receptor antagonism potentiates the behavioral and neurochemical effects of amphetamine but not cocaine  
AU Frantz, K. J.; Hansson, K. J.; Stouffer, D. G.; Parsons, L. H.  
CS Department of Neuropharmacology CVN7, The Scripps Research Institute, La Jolla, CA, 92037, USA  
SO Neuropharmacology (2002), 42(2), 170-180  
CODEN: NEPHBW; ISSN: 0028-3908  
PB Elsevier Science Ltd.  
DT Journal  
LA English  
AB The localization of serotonin 5-HT6 receptors in limbic and motor brain regions, and the high affinity of these receptors for several antipsychotic agents, suggest that they may be involved in motor activity, reward-related behaviors, and psychotic disorders. The present study characterized the effects of a novel 5-HT6 receptor antagonist, SB 258510A, on psychostimulant-induced motor activity, self-administration, and increases in extracellular dopamine in the nucleus accumbens and frontal cortex of male Wistar rats. The locomotor-activating effects of amphetamine (1 mg/kg) were dose-dependently enhanced by pretreatment with SB 258510A (3, 10 mg/kg). Similarly, amphetamine self-administration was dose-dependently altered by SB 258510A in a manner indicative of enhanced reinforcing effects of amphetamine on both fixed and progressive ratio schedules of reinforcement. SB 258510A treatment had no effect on either cocaine-induced locomotor activity or cocaine self-administration. Dual-probe in vivo microdialysis revealed that pretreatment with 3 mg/kg SB 258510A potentiated an amphetamine-induced increase in extracellular dopamine more robustly in the frontal cortex than in the nucleus accumbens. These data indicate that activation of 5-HT6 receptors may regulate behaviors related to amphetamine but not cocaine, and point to the frontal cortex as a possible site of action for these effects.  
IT 220431-95-8, SB 258510A  
RL: PAC (Pharmacological activity); BIOL (Biological study)  
(5-HT6 receptor antagonism potentiates behavioral and neurochem. effects of amphetamine but not cocaine)  
RN 220431-95-8 CAPLUS  
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RE.CNT 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 127 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2002:10452 CAPLUS  
DN 136:69820  
TI Preparation of quinolinyl and benzothiazolyl PPAR-gamma modulators  
IN McGee, Lawrence R.; Houze, Jonathan B.; Rubenstein, Steven M.; Hagiwara, Atsushi; Furukawa, Noboru; Shinkai, Hisashi  
PA Tularik Inc., USA; Japan Tobacco, Inc.  
SO PCT Int. Appl., 162 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002000633	A1	20020103	WO 2001-US20756	20010627
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				US 2000-214810P	P 20000628
	CA 2412723	A1	20020103	CA 2001-2412723	20010627
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				WO 2001-US20756	W 20010627
	US 20020169185	A1	20021114	US 2001-894980	20010627
	US 6583157	B2	20030624		
				US 1998-73042P	P 19980129
				US 2000-214810P	P 20000628
	EP 1296967	A1	20030402	EP 2001-950669	20010627
	EP 1296967	B1	20060531		
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				US 2000-214810P	P 20000628
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	BR 2001012115	A	20030429	BR 2001-12115	20010627
				US 2000-214810P	P 20000628
				WO 2001-US20756	W 20010627
	HU 2003001482	A2	20030929	HU 2003-1482	20010627

HU 2003001482	A3	20051228	US 2000-214810P	P	20000628
			WO 2001-US20756	W	20010627
JP 2004501905	T	20040122	JP 2002-505381		20010627
			US 2000-214810P	P	20000628
			WO 2001-US20756	W	20010627
NZ 523229	A	20041029	NZ 2001-523229		20010627
			US 2000-214810P	P	20000628
			WO 2001-US20756	W	20010627
CN 1243741	C	20060301	CN 2001-812017		20010627
			US 2000-214810P	P	20000628
AU 2001271637	B2	20060504	AU 2001-271637		20010627
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			WO 2001-US20756	W	20010627
AT 327984	T	20060615	AT 2001-950669		20010627
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PT 1296967	T	20061031	PT 2001-950669		20010627
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ES 2265435	T3	20070216	ES 2001-950669		20010627
			US 2000-214810P	P	20000628
US 20030171399	A1	20030911	US 2002-278851		20021021
			US 2000-214810P	P	20000628
			US 2001-894980	A1	20010627
MX 2002PA12708	A	20030922	MX 2002-PA12708		20021218
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			WO 2001-US20756	W	20010627
ZA 2002010283	A	20050721	ZA 2002-10283		20021219
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NO 2002006156	A	20030225	NO 2002-6156		20021220
			US 2000-214810P	P	20000628
			WO 2001-US20756	W	20010627
KR 771286	B1	20071029	KR 2002-717927		20021228
			US 2000-214810P	P	20000628
			WO 2001-US20756	W	20010627
IN 2002MN01890	A	20050204	IN 2002-MN1890		20021230
			US 2000-214810P	P	20000628
			WO 2001-US20756	W	20010627
HK 1052351	A1	20061103	HK 2003-104574		20030626
			US 2000-214810P	P	20000628
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			US 2002-278851	B1	20021021

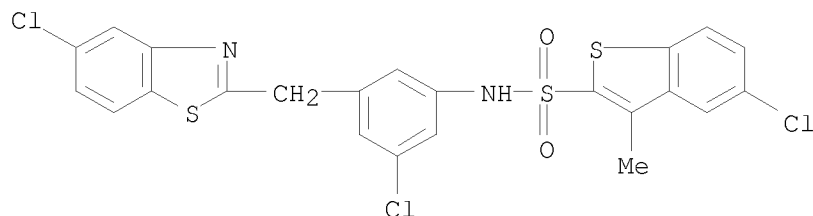
PATENT FAMILY INFORMATION:

FAN 1999:495273

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 9938845	A1	19990805	WO 1999-US1147	19990120
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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	CA 2318731	A1	19990805	CA 1999-2318731	19990120

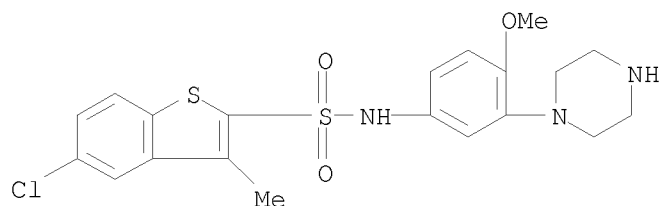
			US 1998-73042P	P	19980129
			WO 1999-US1147	W	19990120
AU 9921176	A	19990816	AU 1999-21176		19990120
AU 759255	B2	20030410			
			US 1998-73042P	P	19980129
			WO 1999-US1147	W	19990120
EP 1053227	A1	20001122	EP 1999-901492		19990120
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			US 1998-73042P	P	19980129
			WO 1999-US1147	W	19990120
US 6200995	B1	20010313	US 1999-234327		19990120
			US 1998-73042P	P	19980129
JP 2002501945	T	20020122	JP 2000-530082		19990120
			US 1998-73042P	P	19980129
			WO 1999-US1147	W	19990120
US 20010027200	A1	20011004	US 2000-741415		20001219
US 6620827	B2	20030916			
			US 1998-73042P	P	19980129
			US 1999-234327	A1	19990120
US 20020169185	A1	20021114	US 2001-894980		20010627
US 6583157	B2	20030624			
			US 1998-73042P	P	19980129
			US 2000-214810P	P	20000628
US 20030088103	A1	20030508	US 2002-123298		20020415
US 7439242	B2	20081021			
			US 1998-73042P	P	19980129
			US 1999-234327	A1	19990120
			US 2000-741415	A1	20001219
OS	MARPAT 136:69820				
AB	<p>The title compds. [I; Ar1 = (un)substituted 2-benzothiazolyl or quinolinyl; X = O, CO, CHR10, NR11, S(O)k; Y = NR12SO2; R1 = H, halo, alkyl, etc.; R2 = (un)substituted aryl; R3 = halo, alkoxy; R10 = H, CN, alkyl; R11 = H, alkyl; R12 = H, alkyl; k = 0-2], useful in the treatment or prevention of a condition or disorder mediated by PPAR<math>\gamma</math> such as diabetes, obesity, hypercholesterolemia, rheumatoid arthritis and atherosclerosis, were prepared Thus, reacting 3,5-dichloro-4-(quinolin-3-ylsulfanyl)aniline (preparation given) with 2-chlorobenzenesulfonyl chloride in the presence of pyridine and catalytic amount of DMAP in THF/CH<sub>2</sub>Cl<sub>2</sub> afforded 78% II which showed IC<sub>50</sub> of &lt; 1 <math>\mu</math>M against PPAR<math>\gamma</math> ligand binding.</p>				
IT	<p>385431-23-2P            RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)            (preparation of quinolinyl and benzothiazolyl PPAR-gamma modulators)</p>				
RN	385431-23-2 CAPLUS				
CN	Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-chloro-5-[(5-chloro-2-benzothiazolyl)methyl]phenyl]-3-methyl- (CA INDEX NAME)				





RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

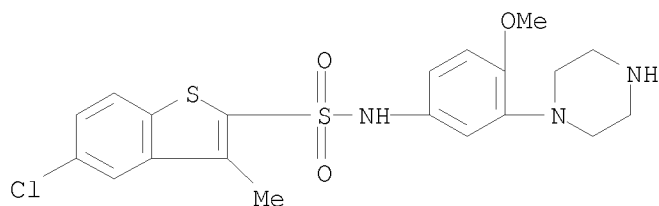
L6 ANSWER 128 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2001:924588 CAPLUS  
DN 136:194128  
TI 5-HT6 receptor antagonists enhance retention of a water maze task in the rat  
AU Rogers, D. C.; Hagan, J. J.  
CS Neuroscience Research, SmithKline Beecham Pharmaceuticals, Essex, CM19 5AW, UK  
SO Psychopharmacology (Berlin, Germany) (2001), 158(2), 114-119  
CODEN: PSCHDL; ISSN: 0033-3158  
PB Springer-Verlag  
DT Journal  
LA English  
AB 5-HT6 receptors are predominantly located in the brain and may be involved in cognitive processes. The aim of this study was to assess the effects of two potent and selective 5-HT6 receptor antagonists, SB-271046-A and SB-357134-A, on learning and memory in the rat. Spatial learning and memory was assessed by testing the effects of SB-271046-A and SB-357134-A on acquisition and retention of a water maze task. In the water maze, administration of SB-271046-A or SB-357134-A (3 or 10 mg/kg) had no effect on learning per se. At 10 mg/kg, however, both compds. produced a significant improvement in retention of a previously learned platform position when tested 7 days after training. By contrast, the acetylcholinesterase inhibitor, Aricept (donepezil, 0.1, 0.3 mg/kg PO) had no effect in this task. This study demonstrates that systemic administration of SB-271046-A and SB-357134-A produces improvements in retention of a water maze task in the rat. These data indicate that 5-HT6 receptor antagonism may be involved in cognitive function.  
IT 209481-24-3, SB 271046-A  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(5-HT6 receptor antagonists enhance retention of a water maze task in rat)  
RN 209481-24-3 CAPLUS  
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

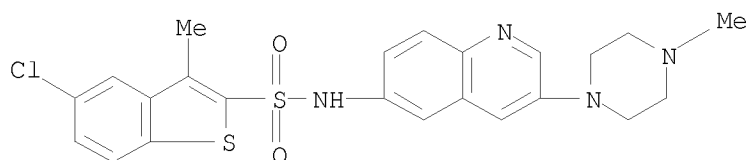
RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 129 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2001:774032 CAPLUS  
DN 137:73040  
TI The 5-HT6 receptor antagonist SB-271046 selectively enhances excitatory neurotransmission in the rat frontal cortex and hippocampus  
AU Dawson, Lee A.; Nguyen, Huy Q.; Li, Ping  
CS Neuroscience Research, Wyeth Ayerst, Princeton, NJ, USA  
SO Neuropsychopharmacology (2001), 25(5), 662-668  
CODEN: NEROEW; ISSN: 0893-133X  
PB Elsevier Science Inc.  
DT Journal  
LA English  
AB Preclin. evidence has suggested a possible role for the 5-HT6 receptor in the treatment of cognitive dysfunction. However, currently there is little neurochem. evidence suggesting the mechanism(s) which may be involved. Using the selective 5-HT6 antagonist SB-271046 and in vivo microdialysis, we have evaluated the effects of this compound on the modulation of basal neurotransmitter release within multiple brain regions of the freely moving rat. SB-271046 produced no change in basal levels of dopamine (DA), norepinephrine (NE) or 5-HT in the striatum, frontal cortex, dorsal hippocampus or nucleus accumbens. Similarly, this compound had no effect on excitatory neurotransmission in the striatum or nucleus accumbens. Conversely, SB-271046 produced 3- and 2-fold increases in extracellular glutamate levels in both frontal cortex and dorsal hippocampus, resp. These effects were completely attenuated by infusion of tetrodotoxin but unaffected by the muscarinic antagonist, atropine. Here we demonstrate for the first time the selective enhancement of excitatory neurotransmission by SB-271046 in those brain regions implicated in cognitive and memory function, and provide mechanistic evidence in support of a possible therapeutic role for 5-HT6 receptor antagonists in the treatment of cognitive and memory dysfunction.  
IT 209481-20-9, SB-271046  
RL: BUU (Biological use, unclassified); PAC (Pharmacological activity); BIOL (Biological study); USES (Uses)  
(5-HT6 receptor antagonist SB-271046 selectively enhances excitatory neurotransmission in the rat frontal cortex and hippocampus)  
RN 209481-20-9 CAPLUS  
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

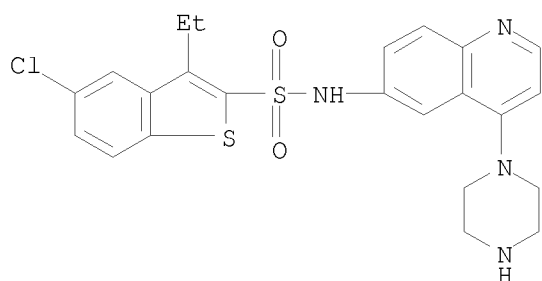


RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 130 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2001:746608 CAPLUS  
DN 136:112207  
TI Novel (4-piperazin-1-ylquinolin-6-yl) arylsulfonamides with high affinity and selectivity for the 5-HT6 receptor  
AU Bromidge, S. M.; Griffith, K.; Heightman, T. D.; Jennings, A.; King, F. D.; Moss, S. F.; Newman, H.; Riley, G.; Routledge, C.; Serafinowska, H. T.; Thomas, D. R.  
CS Discovery Research Europe, GlaxoSmithKline, Discovery Chemistry, Harlow, Essex, CM19 5AW, UK  
SO Bioorganic & Medicinal Chemistry Letters (2001), 11(21), 2843-2846  
CODEN: BMCLE8; ISSN: 0960-894X  
PB Elsevier Science Ltd.  
DT Journal  
LA English  
OS CASREACT 136:112207  
AB The discovery of (4-piperazin-1-ylquinolin-6-yl) arylsulfonamides and their binding affinities for a selection of 5-HT and dopamine subreceptors is described. Many compds. show high affinity ( $pK_i > 8$ ) for the 5-HT6 receptor and >100-fold selectivity against a range of other receptors. Structure-activity relationships of these compds. are discussed.  
IT 389622-71-3P 389622-80-4P 389622-81-5P  
389622-82-6P 389622-87-1P 389622-88-2P  
389622-89-3P 389622-90-6P 389637-13-2P, SB  
331711  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(novel (4-piperazin-1-ylquinolin-6-yl) arylsulfonamides with high affinity and selectivity for 5-HT6 receptor)  
RN 389622-71-3 CAPLUS  
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(4-methyl-1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)

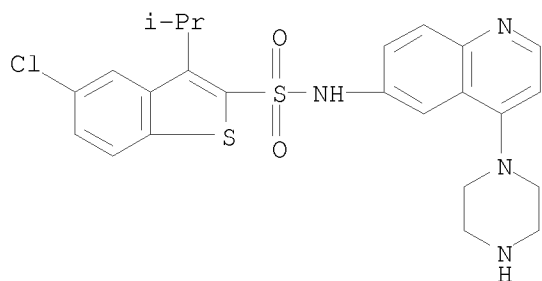


RN 389622-80-4 CAPLUS  
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-ethyl-N-[4-(1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)



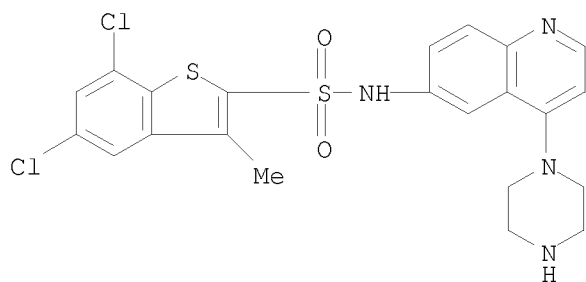
RN 389622-81-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-(1-methylethyl)-N-[4-(1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)



RN 389622-82-6 CAPLUS

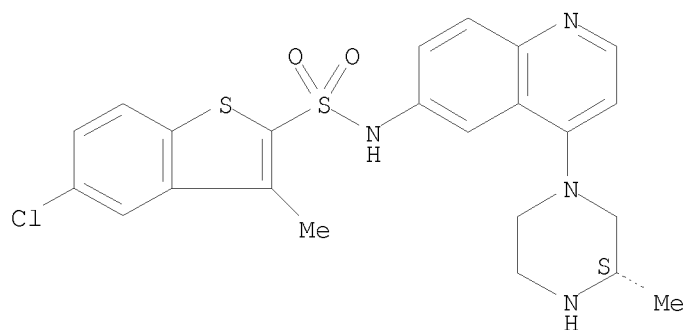
CN Benzo[b]thiophene-2-sulfonamide, 5,7-dichloro-3-methyl-N-[4-(1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)



RN 389622-87-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-[(3S)-3-methyl-1-piperazinyl]-6-quinolinyl]- (CA INDEX NAME)

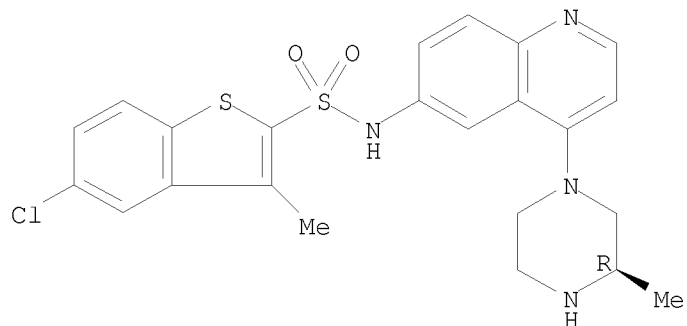
Absolute stereochemistry.



RN 389622-88-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-[(3R)-3-methyl-1-piperazinyl]-6-quinolinyl]- (CA INDEX NAME)

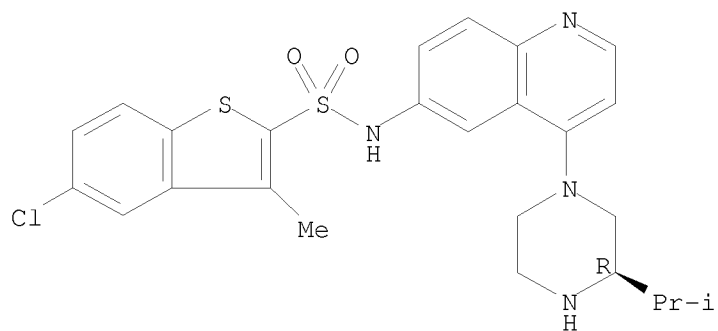
Absolute stereochemistry.



RN 389622-89-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-[(3R)-3-(1-methylethyl)-1-piperazinyl]-6-quinolinyl]- (CA INDEX NAME)

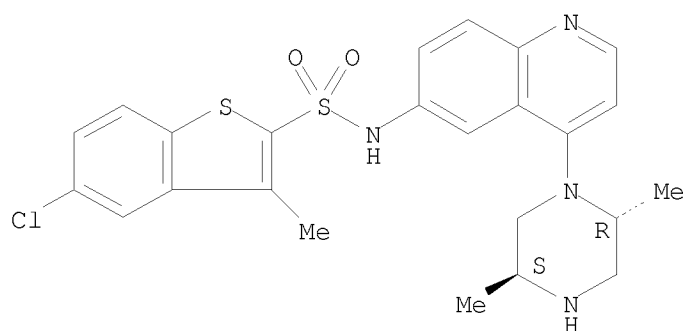
Absolute stereochemistry.



RN 389622-90-6 CAPLUS

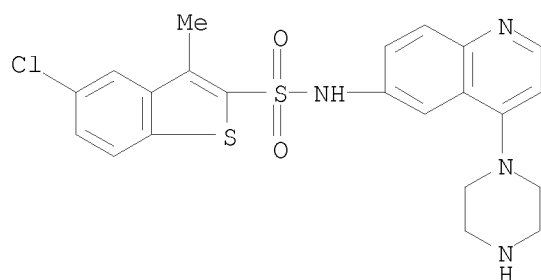
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-[(2R,5S)-2,5-dimethyl-1-piperazinyl]-3-methyl-6-quinolinyl]-3-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 389637-13-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)



IT 389622-92-8 389622-94-0 389622-95-1

389622-97-3 389622-99-5

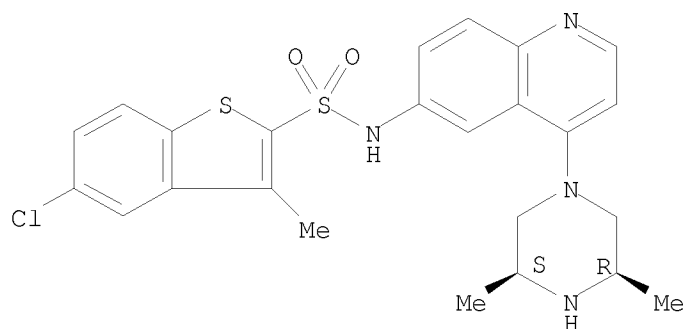
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(novel (4-piperazin-1-yl)quinolin-6-yl) arylsulfonamides with high affinity and selectivity for 5-HT6 receptor)

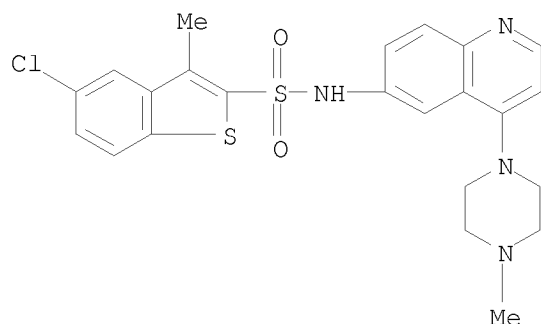
RN 389622-92-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-[(3R,5S)-3,5-dimethyl-1-piperazinyl]-6-quinolinyl]-3-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

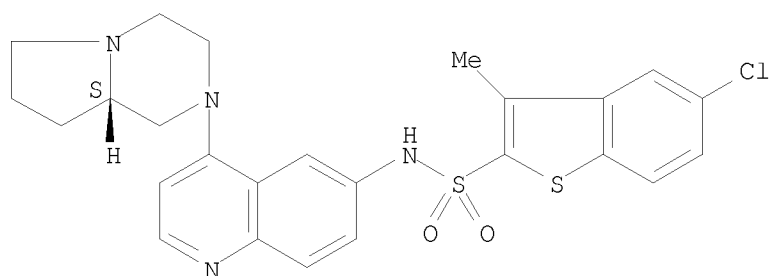


RN 389622-94-0 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(4-methyl-1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)

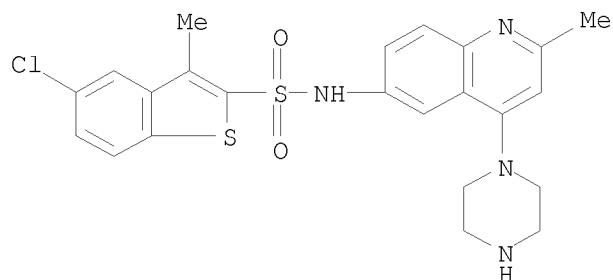


RN 389622-95-1 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-[(8aS)-hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl]-6-quinolinyl]-3-methyl- (CA INDEX NAME)

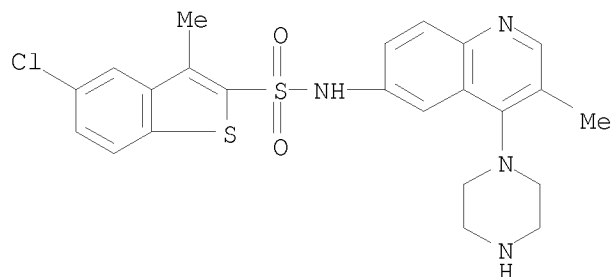
Absolute stereochemistry.



RN 389622-97-3 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[2-methyl-4-(1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)



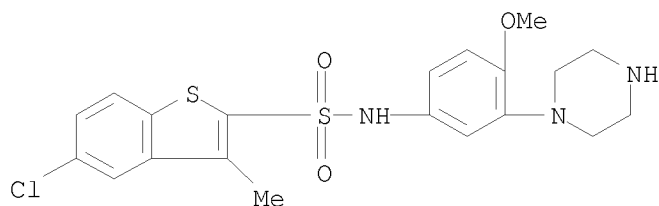
RN 389622-99-5 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-methyl-4-(1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 131 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2001:731863 CAPLUS  
DN 136:31298  
TI N-Arylsulfonylindole derivatives as serotonin 5-HT6 receptor ligands  
AU Russell, Michael G. N.; Baker, Robert J.; Barden, Laura; Beer, Margaret  
S.; Bristow, Linda; Broughton, Howard B.; Knowles, Michael; McAllister,  
George; Patel, Smita; Castro, Jose L.  
CS Neuroscience Research Centre, Merck Sharp & Dohme Research Laboratories,  
Harlow Essex, CM20 2QR, UK  
SO Journal of Medicinal Chemistry (2001), 44(23), 3881-3895  
CODEN: JMCMAR; ISSN: 0022-2623  
PB American Chemical Society  
DT Journal  
LA English  
AB A series of N1-arylsulfonyltryptamines were found to be potent ligands of  
the human serotonin 5-HT6 receptor with the 5-methoxy-1-benzenesulfonyl  
analog (19) having the highest affinity. Addnl., it was discovered that a  
group such as 3-(3-methoxybenzyl)-1,2,4-oxadiazol-5-yl in the 2-position  
of the indole ring (43) can replace the arylsulfonyl substituent in the  
1-position with no loss of affinity. This suggested that the binding  
conformation of the aminoethyl side chain at this receptor was toward the  
4-position of the indole ring and was supported by the fact that the  
4-(aminoethyl)indoles (45) also displayed high affinity, as did the  
conformationally rigid 1,3,4,5-tetrahydrobenz[c,d]indole (49). Mol.  
modeling showed that 19, 43, and 45 all had low-energy conformers that  
overlaid well onto 49. Both 19 and 49 had good selectivity over other  
serotonin receptors tested, with 49 also showing excellent selectivity  
over all dopamine receptors. In a functional adenylate cyclase  
stimulation assay, 19 and 49 had no agonist activity, whereas 45 behaved  
as a partial agonist. Finally, it was shown that 19 had good activity in  
the 5-HT2A centrally mediated mescaline-induced head twitch assay, which  
implies that it is brain-penetrant.  
IT 209481-20-9, SB-271046  
RL: PAC (Pharmacological activity); BIOL (Biological study)  
(N-arylsulfonylindole derivs. as serotonin 5-HT6 receptor ligands)  
RN 209481-20-9 CAPLUS  
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-  
piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)





RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 132 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2001:617982 CAPLUS  
DN 135:180767  
TI Preparation of 4-imidazole derivatives of benzyl and restricted benzyl  
sulfonamides, sulfamides, ureas, carbamates, and amides as  $\alpha$ 1A  
adrenoceptor agonists  
IN Altenbach, Robert J.; Meyer, Michael D.; Kerwin, James F.; Khilevich,  
Albert; Kolasa, Teodozyj; Rohde, Jeffrey J.; Carroll, William A.; Searle,  
Xenia B.; Yang, Fan  
PA Abbott Laboratories, USA  
SO PCT Int. Appl., 226 pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001060802	A1	20010823	WO 2001-US3466	20010201
W: CA, JP, MX				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
US 20030073850	A1	20030417	US 2000-506750	A 20000217
			US 2000-506750	20000217
			US 1998-130799	B2 19980807
			US 1999-364901	A2 19990729
CA 2399147	A1	20010823	CA 2001-2399147	20010201
			US 2000-506750	A 20000217
			WO 2001-US3466	W 20010201
EP 1259491	A1	20021127	EP 2001-908800	20010201
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			US 2000-506750	A 20000217
			WO 2001-US3466	W 20010201
JP 2003523333	T	20030805	JP 2001-560187	20010201
			US 2000-506750	A 20000217
			WO 2001-US3466	W 20010201
MX 2002PA08001	A	20030128	MX 2002-PA8001	20020816
			US 2000-506750	A 20000217
			WO 2001-US3466	W 20010201

PATENT FAMILY INFORMATION:

FAN 2000:117031

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000007997	A1	20000217	WO 1999-US17739	19990806
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN,				

IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG,  
 MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL,  
 TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
 ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
 CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

			US 1998-130799	A	19980807
			US 1999-364901	A	19990729
US 6503935	B1	20030107	US 1999-364901		19990729
			US 1998-130799	B2	19980807
CA 2338594	A1	20000217	CA 1999-2338594		19990806
			US 1998-130799	A	19980807
			US 1999-364901	A	19990729
			WO 1999-US17739	W	19990806
AU 9953386	A	20000228	AU 1999-53386		19990806
			US 1998-130799	A	19980807
			US 1999-364901	A	19990729
			WO 1999-US17739	W	19990806
EP 1102754	A1	20010530	EP 1999-939019		19990806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
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			US 1999-364901	A	19990729
			WO 1999-US17739	W	19990806
JP 2002522423	T	20020723	JP 2000-563631		19990806
			US 1998-130799	A	19980807
			US 1999-364901	A	19990729
			WO 1999-US17739	W	19990806
MX 2001PA01412	A	20000821	MX 2001-PA1412		20010207
			US 1998-130799	A	19980807
			WO 1999-US17739	W	19990806

FAN 2003:17797

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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				US 1998-130799	B2 19980807
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				US 1999-364901	A 19990729
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AU 9953386		A	20000228	AU 1999-53386	19990806
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				US 1999-364901	A 19990729
				WO 1999-US17739	W 19990806
EP 1102754		A1	20010530	EP 1999-939019	19990806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
				US 1998-130799	A 19980807

				US 1999-364901	A	19990729
				WO 1999-US17739	W	19990806
JP	2002522423	T	20020723	JP 2000-563631		19990806
				US 1998-130799	A	19980807
				US 1999-364901	A	19990729
				WO 1999-US17739	W	19990806
TW	517050	B	20030111	TW 1999-88113524		19990914
				US 1998-130799	A	19980807
US	20030073850	A1	20030417	US 2000-506750		20000217
				US 1998-130799	B2	19980807
				US 1999-364901	A2	19990729
FAN	2003:300646					
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PI	US 20030073850	A1	20030417	US 2000-506750		20000217
				US 1998-130799	B2	19980807
				US 1999-364901	A2	19990729
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	CA 2399147	A1	20010823	CA 2001-2399147		20010201
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				WO 2001-US3466	W	20010201
	WO 2001060802	A1	20010823	WO 2001-US3466		20010201
	W: CA, JP, MX					
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR					
				US 2000-506750	A	20000217
EP	1259491	A1	20021127	EP 2001-908800		20010201
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JP	2003523333	T	20030805	JP 2001-560187		20010201
				US 2000-506750	A	20000217
				WO 2001-US3466	W	20010201
MX	2002PA08001	A	20030128	MX 2002-PA8001		20020816
				US 2000-506750	A	20000217
				WO 2001-US3466	W	20010201

OS MARPAT 135:180767

AB The title compds. (I) [wherein R1 = SO2R9 or COR10; R2 = H, (halo)alkyl, aryl(alkyl), or cycloalkyl(alkyl); R3-R6 = independently H, alkoxy, alkenyl, (halo)alkyl, cycloalkyl, halo, or OH; or R6 and R7 together with the C to which they are attached form a 5-7 membered carbocycle or 5-6 membered (un)substituted heterocycle; or R7 and R8 together = :CR12R13; R8 = absent or H; R9 = (aryl)alkenyl, (aryl)alkyl, (aryl)alkynyl, cycloalkyl(alkyl), haloalkyl, heterocycle, or (un)substituted amine; R10 = (aryl)alkyl, alkenyl, (halo)alkoxy, aryl(oxy), cycloalkyl(alkyl), cycloalkyloxy, haloalkyl, or (un)substituted amine, azetidiny, piperaziny, piperidiny, pyrrolidiny, morpholinyl, etc.; R12 and R13 = independently H, (aryl)alkyl, alkoxy, aryl, or cycloalkyl(alkyl); or R12 and R13 together with the C to which they are attached form a 3-7 membered carbocycle; R14 = H or alkyl] were prepared as  $\alpha$ 1A adrenoceptor agonists for the treatment of urinary incontinence or retrograde ejaculation. For example, 4-iodo-1-trityl-1H-imidazole was treated sequentially with EtMgBr, 5-nitrotetralone, and NH4Cl in CH2Cl2 to give 4-(5-nitro-3,4-dihydro-1-naphthalenyl)-1H-imidazole. N-BOC protection, reduction using Pd/C in AcOEt, treatment with EtSO2Cl in the presence of TFA, and conversion to the salt afforded II•maleate. In radioligand binding assays, II•maleate showed good selectivity for binding to the

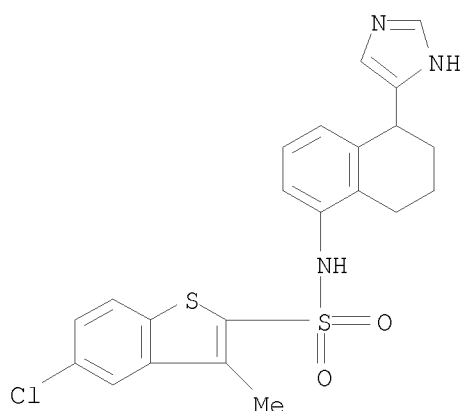
$\alpha$ 1A adrenoceptor subtype vs. the  $\alpha$ 1B and  $\alpha$ 1D subtypes with  $K_i$  values of 176 nM, 4620 nM and 1590 nM, resp. In addition, II•maleate was efficacious in constricting the urethra with an IUP ED<sub>50</sub> (the mean dose causing a maximum increase in intraurethral pressure of 5 mm Hg) of 10.7 nmol/kg in anesthetized dogs.

IT 258527-24-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of imidazole derivs. of benzyl and restricted benzyl sulfonamides, sulfamides, ureas, carbamates, and amides as  $\alpha$ 1A adrenoceptor agonists)

RN 258527-24-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[5,6,7,8-tetrahydro-5-(1H-imidazol-5-yl)-1-naphthalenyl]- (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 133 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2001:472482 CAPLUS

DN 135:56097

TI Sulfonamide derivative urotensin-II receptor antagonists, preparation, pharmaceutical compositions, and therapeutic use

IN Dhanak, Dashyant; Knight, Steven D.

PA Smithkline Beecham Corporation, USA

SO PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001045694	A1	20010628	WO 2000-US34574	20001219
	W:	AE, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CZ, DZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
				US 1999-172807P	P 19991221

CA 2394603	A1	20010628	CA 2000-2394603	20001219
			US 1999-172807P	P 19991221
			WO 2000-US34574	W 20001219
EP 1248607	A1	20021016	EP 2000-988185	20001219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
			US 1999-172807P	P 19991221
			WO 2000-US34574	W 20001219
JP 2003518057	T	20030603	JP 2001-546633	20001219
			US 1999-172807P	P 19991221
			WO 2000-US34574	W 20001219
US 20030100580	A1	20030529	US 2002-149794	20020613
			WO 2000-US34574	W 20001219

OS MARPAT 135:56097

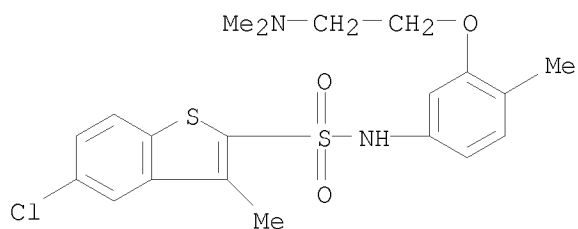
AB Sulfonamide derivs., pharmaceutical compns. containing them, and their use as antagonists of urotensin II are disclosed.

IT 345893-28-9P 345893-35-8P 345893-39-2P  
345893-41-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(sulfonamide derivative urotensin-II receptor antagonists, pharmaceutical compns., and therapeutic use)

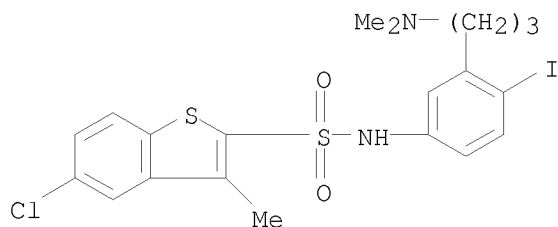
RN 345893-28-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethoxy]-4-methylphenyl]-3-methyl- (CA INDEX NAME)



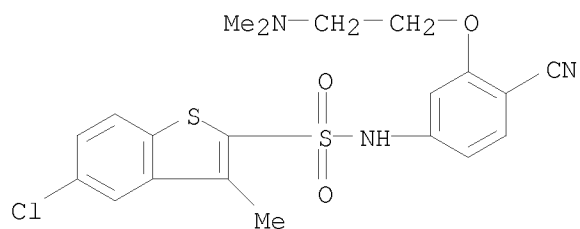
RN 345893-35-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[3-(dimethylamino)propyl]-4-iodophenyl]-3-methyl- (CA INDEX NAME)



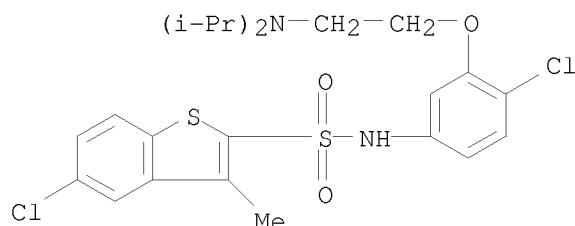
RN 345893-39-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-cyano-3-[2-(dimethylamino)ethoxy]phenyl]-3-methyl- (CA INDEX NAME)



RN 345893-41-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[3-[2-[bis(1-methylethyl)amino]ethoxy]-4-chlorophenyl]-5-chloro-3-methyl- (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 134 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2001:396861 CAPLUS

DN 135:5455

TI Preparation of hydroxamic acids as inhibitors of histone deacetylase

IN Delorme, Daniel; Ruel, Rejean; Lavoie, Rico; Thibault, Carl; Abou-khalil, Elie

PA Methylgene, Inc., Can.

SO PCT Int. Appl., 147 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001038322	A1	20010531	WO 2000-IB1881	20001122
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	CA 2391952	A1	20010531	US 1999-167035P	P 19991123
				CA 2000-2391952	20001122
				US 1999-167035P	P 19991123
				WO 2000-IB1881	W 20001122
	EP 1233958	A1	20020828	EP 2000-981535	20001122
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,			

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				US 1999-167035P	P	19991123
				WO 2000-IB1881	W	20001122
US 6541661	B1	20030401	US 2000-718265			20001122
				US 1999-167035P	P	19991123
JP 2003514904	T	20030422	JP 2001-540085			20001122
				US 1999-167035P	P	19991123
				WO 2000-IB1881	W	20001122
AU 783504	B2	20051103	AU 2001-18768			20001122
				US 1999-167035P	P	19991123
				WO 2000-IB1881	W	20001122
EP 1748046	A2	20070131	EP 2006-11600			20001122
EP 1748046	A3	20070822				
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR, AL, LT, LV, MK, RO, SI						
				US 1999-167035P	P	19991123
				EP 2000-981535	A3	20001122
MX 2002PA05196	A	20030922	MX 2002-PA5196			20020523
				US 1999-167035P	P	19991123
				WO 2000-IB1881	W	20001122
US 39850	E1	20070918	US 2004-880444			20040629
				US 1999-167035P	P	19991123
				US 2000-718265	E	20001122
AU 2006200456	A1	20060302	AU 2006-200456			20060202
				AU 2001-18768	A3	20001122
KR 2007053362	A	20070523	KR 2007-709772			20070427
				US 1999-167035P	P	19991123
				WO 2000-IB1881	W	20001122
				KR 2002-706560	A3	20020522

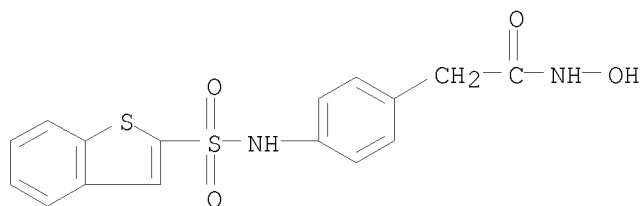
OS MARPAT 135:5455

AB The title compds. CyL1ArY1CONHZ [Cy = (un)substituted cycloalkyl, aryl, heteroaryl, etc.; L1 = (CH2)mW (wherein m = 0-4; W = CONH, SO2NH, NHCO, NHSO2, NHCONH); Ar = (un)substituted arylene which may be fused to an aryl, heteroaryl, etc.; Y1 = a bond, alkylene; Z = anilinyl, pyridyl, thiadiazolyl, OM (M = H, a pharmaceutically acceptable cation)], useful for inhibiting histone deacetylase enzymic activity, were prepared E.g., a multi-step synthesis of the title compound I which showed IC50 of 7  $\mu$ M against histone deacetylase in nuclear exts. from H446 cells (pooled HDACs), was given. The invention also provides compns. and methods for treating cell proliferative diseases and conditions.

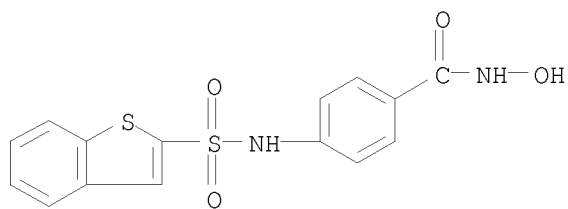
IT 342372-00-3P 342372-07-0P 342372-08-1P  
342372-41-2P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of hydroxamic acids as inhibitors of histone deacetylase)

RN 342372-00-3 CAPLUS

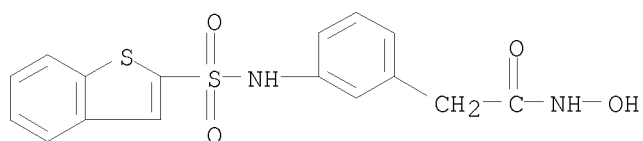
CN Benzeneacetamide, 4-[(benzo[b]thien-2-ylsulfonyl)amino]-N-hydroxy- (CA INDEX NAME)



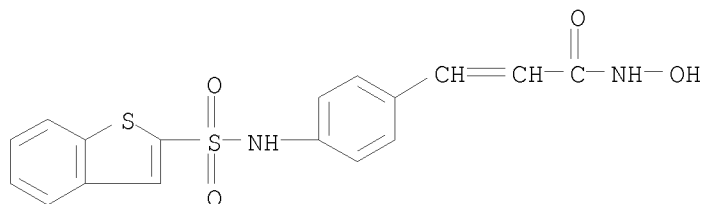
RN 342372-07-0 CAPLUS  
 CN Benzamide, 4-[(benzo[b]thien-2-ylsulfonyl)amino]-N-hydroxy- (CA INDEX NAME)



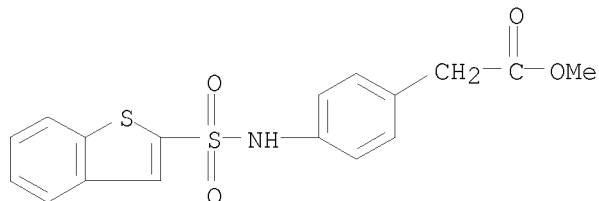
RN 342372-08-1 CAPLUS  
 CN Benzeneacetamide, 3-[(benzo[b]thien-2-ylsulfonyl)amino]-N-hydroxy- (CA INDEX NAME)



RN 342372-41-2 CAPLUS  
 CN 2-Propenamide, 3-[4-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]-N-hydroxy- (CA INDEX NAME)

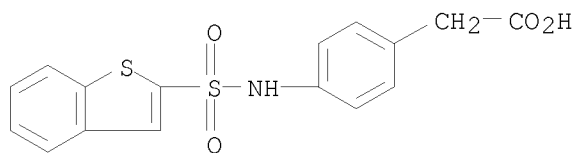


IT 342373-19-7P 342373-20-0P 342373-22-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of hydroxamic acids as inhibitors of histone deacetylase)  
 RN 342373-19-7 CAPLUS  
 CN Benzeneacetic acid, 4-[(benzo[b]thien-2-ylsulfonyl)amino]-, methyl ester (CA INDEX NAME)

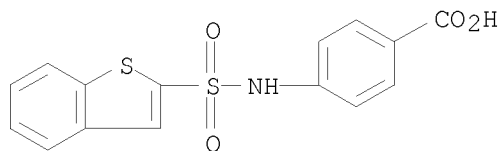




RN 342373-20-0 CAPLUS  
 CN Benzeneacetic acid, 4-[(benzo[b]thien-2-ylsulfonyl)amino]- (CA INDEX NAME)



RN 342373-22-2 CAPLUS  
 CN Benzoic acid, 4-[(benzo[b]thien-2-ylsulfonyl)amino]- (CA INDEX NAME)



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 135 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2001:338517 CAPLUS  
 DN 134:353316  
 TI Preparation of N-(piperazinylquinolyl)aranesulfonamides and analogs as 5-HT6 receptor antagonists  
 IN Bromidge, Steven Mark; Serafinowska, Halina Teresa  
 PA Smithkline Beecham P.L.C., UK  
 SO PCT Int. Appl., 29 pp.  
 CODEN: PIXXD2

DT Patent  
 LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001032646	A2	20010510	WO 2000-EP10911	20001102
	WO 2001032646	A3	20011227		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
				GB 1999-26302	A 19991105
EP	1228066	A2	20020807	EP 2000-974509	20001102
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
				GB 1999-26302	A 19991105
				WO 2000-EP10911	W 20001102
JP	2003513085	T	20030408	JP 2001-534797	20001102
				GB 1999-26302	A 19991105

OS MARPAT 134:353316

AB R1Z1SO2NR2ZR4 [I; R1 = (un)substituted (hetero)aryl; R2 = H or alkyl; R4 = Z2R5; R5 = heterocyclyl; Z = e.g., (un)substituted quinoline-6,n-diyl; Z1 = bonds or alk(en)ylene; Z2 = bond, CH2, O, (alkyl)imino; n = 2-4] were prepared. Thus, 4-(4-methylpiperazin-1-yl)quinoline-6-amine was amidated by 5-chloro-3-methylbenzofuran-2-sulfonyl chloride (preparation each given) to give title compound II. Data for biol. activity of I were given.

IT 338796-52-4P 338796-58-0P 338796-59-1P

338796-60-4P 338796-63-7P 338796-68-2P

338796-74-0P 338796-77-3P 338796-78-4P

338796-82-0P 338796-85-3P 338796-86-4P

338796-89-7P 338796-91-1P 338796-92-2P

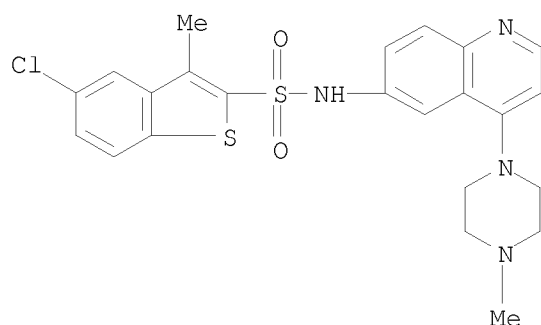
338796-93-3P 338796-94-4P 338796-95-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(piperazinylquinolyl)aranesulfonamides and analogs as 5-HT6 receptor antagonists)

RN 338796-52-4 CAPLUS

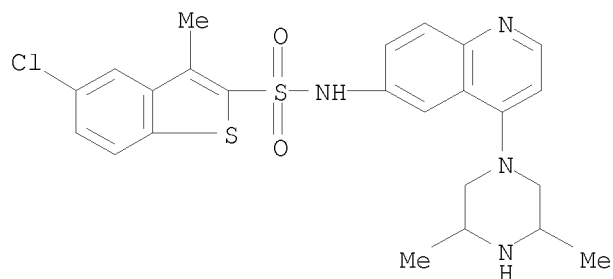
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(4-methyl-1-piperazinyl)-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

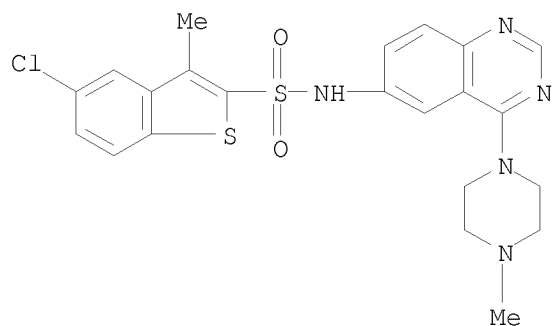
RN 338796-58-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(3,5-dimethyl-1-piperazinyl)-6-quinolinyl]-3-methyl-, hydrochloride (1:?) (CA INDEX NAME)



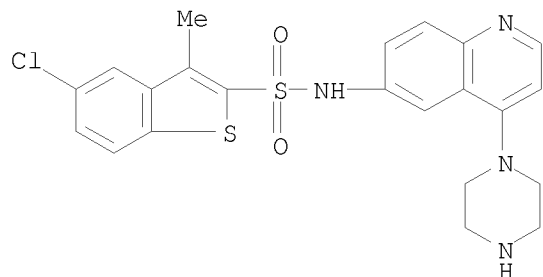
●x HCl

RN 338796-59-1 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(4-methyl-1-piperazinyl)-6-quinazolinyl]-, hydrochloride (1:?) (CA INDEX NAME)



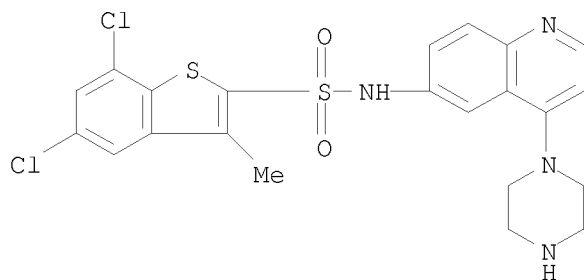
●x HCl

RN 338796-60-4 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(1-piperazinyl)-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)



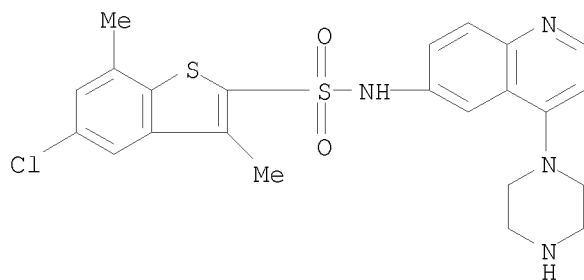
●x HCl

RN 338796-63-7 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5,7-dichloro-3-methyl-N-[4-(1-piperazinyl)-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)



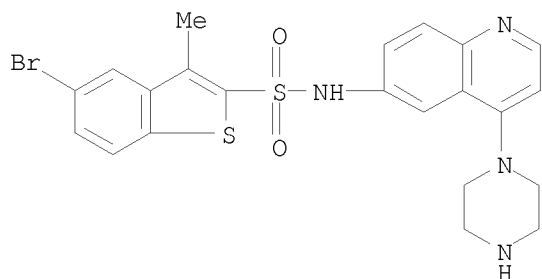
●x HCl

RN 338796-68-2 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3,7-dimethyl-N-[4-(1-piperazinyl)-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)



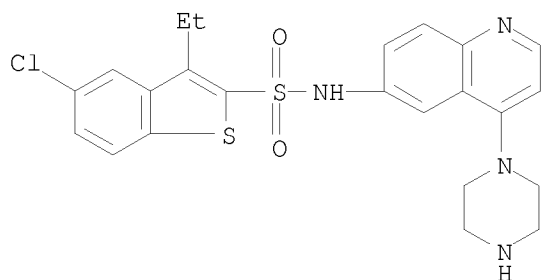
●x HCl

RN 338796-74-0 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-bromo-3-methyl-N-[4-(1-piperazinyl)-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)



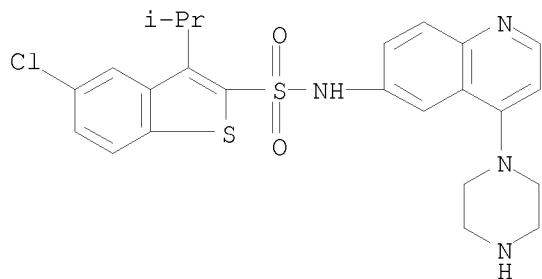
●x HCl

RN 338796-77-3 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-ethyl-N-[4-(1-piperazinyl)-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

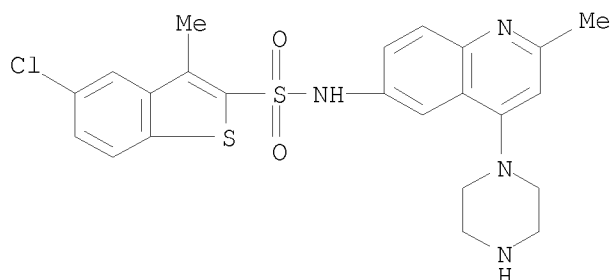
RN 338796-78-4 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-(1-methylethyl)-N-[4-(1-piperazinyl)-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 338796-82-0 CAPLUS

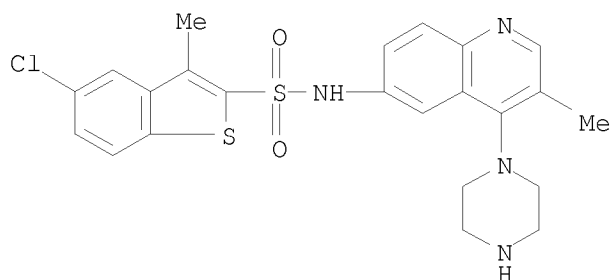
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[2-methyl-4-(1-piperazinyl)-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 338796-85-3 CAPLUS

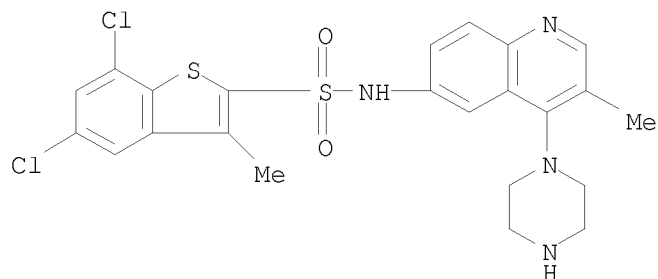
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-methyl-4-(1-piperazinyl)-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 338796-86-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5,7-dichloro-3-methyl-N-[3-methyl-4-(1-piperazinyl)-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)

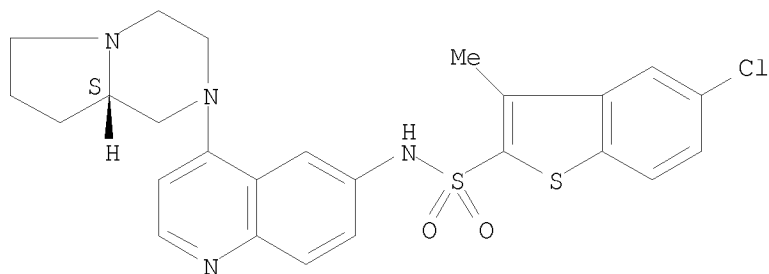


●x HCl

RN 338796-89-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-[(8aS)-hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl]-6-quinolinyl]-3-methyl-, hydrochloride (1:?) (CA INDEX NAME)

Absolute stereochemistry.

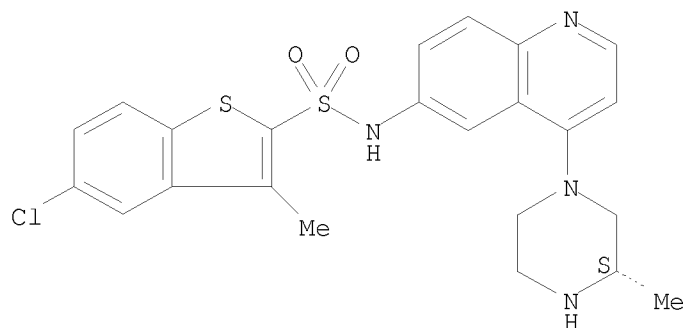


●x HCl

RN 338796-91-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-[(3S)-3-methyl-1-piperazinyl]-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)

Absolute stereochemistry.

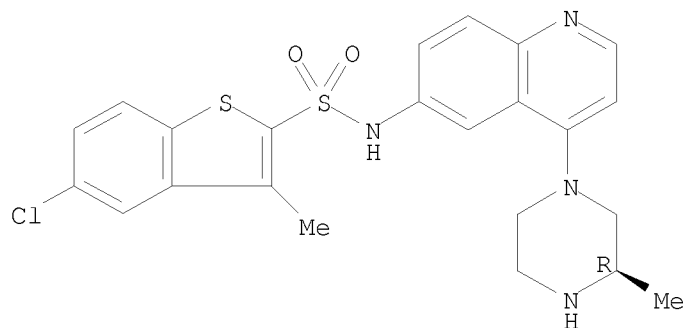


●x HCl

RN 338796-92-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-[(3R)-3-methyl-1-piperazinyl]-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)

Absolute stereochemistry.



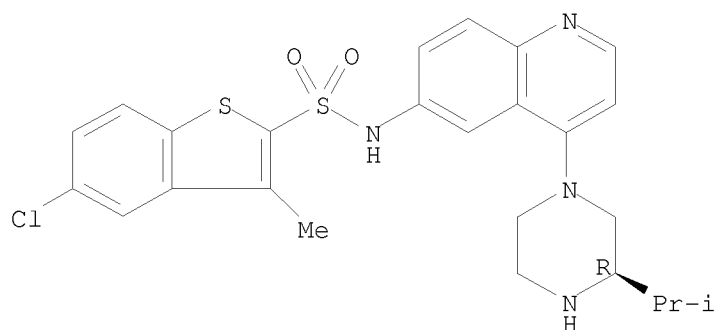
●x HCl

RN 338796-93-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-[(3R)-3-(1-methylethyl)-1-piperazinyl]-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)

Absolute stereochemistry.



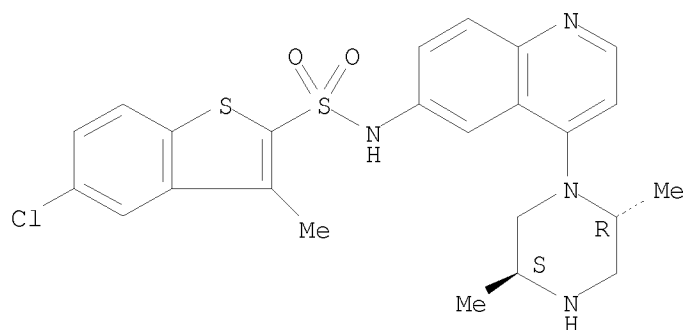


●x HCl

RN 338796-94-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-[(2R,5S)-2,5-dimethyl-1-piperazinyl]-6-quinolinyl]-3-methyl-, hydrochloride (1:?), rel- (CA INDEX NAME)

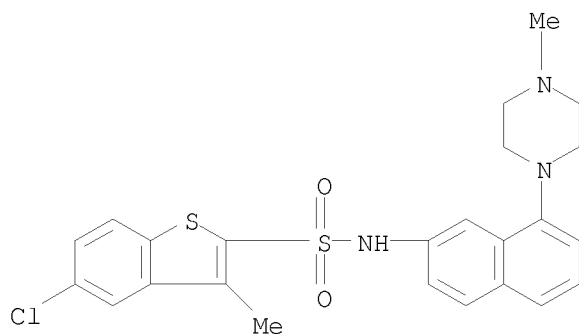
Relative stereochemistry.



●x HCl

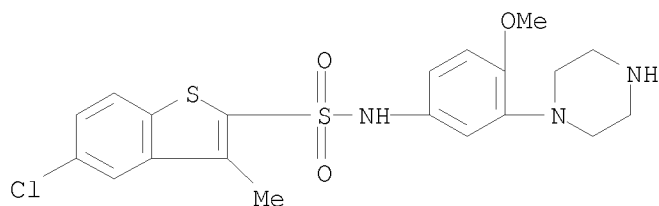
RN 338796-95-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[8-(4-methyl-1-piperazinyl)-2-naphthalenyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

L6 ANSWER 136 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2001:65662 CAPLUS  
 DN 135:101734  
 TI SB-271046 SmithKline Beecham  
 AU Miguel-Hidalgo, Jose Javier  
 CS Department of Psychiatry and Human Behavior, University of Mississippi  
 Medical Center, Jackson, MS, 39216-4505, USA  
 SO Current Opinion in Investigational Drugs (PharmaPress Ltd.) (2001), 2(1),  
 118-122  
 CODEN: COIDAZ  
 PB PharmaPress Ltd.  
 DT Journal; General Review  
 LA English  
 AB A review, with 29 refs. SmithKline Beecham is developing the 5-HT<sub>6</sub>  
 antagonist, SB-271046, as a potential cognition enhancer. By Dec. 1999,  
 phase I trials had commenced. This drug was originally being developed  
 primarily for the treatment of schizophrenia, however, cognitive  
 disorders, including but not limited to Alzheimer's disease, have been the  
 main target since 1998. SB-271046 is a potent, selective 5-HT<sub>6</sub> antagonist  
 with a pK<sub>i</sub> value of 8.9. Data recently presented at the Society for  
 Neuroscience annual meeting in Nov. 2000 demonstrated that administration  
 of SB-271046 resulted in a significant increase in glutamate and aspartate  
 levels in the frontal cortex, without affecting noradrenaline, dopamine or  
 5-HT levels. This was stated to suggest that 5-HT<sub>6</sub> antagonists might  
 therefore be useful for treating cognitive dysfunction. The drug has also  
 been radiolabeled in order to provide an assay for estimating in vivo 5-HT<sub>6</sub>  
 receptor occupancy.  
 IT 209481-20-9, SB-271046  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (SB-271046 as cognition enhancer and pharmacol. thereof)  
 RN 209481-20-9 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-  
 piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 137 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2001:31512 CAPLUS  
DN 134:95480  
TI Sulfonamidomethyl phosphonate inhibitors of  $\beta$ -lactamase  
IN Besterman, Jeffrey M.; Delorme, Daniel; Rahil, Jubrail  
PA Methylgene Inc., Can.  
SO PCT Int. Appl., 95 pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001002411	A1	20010111	WO 2000-US18344	20000705
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	CA 2377762	A1	20010111	US 1999-142362P	P 19990706
	CA 2377762	C	20080930	CA 2000-2377762	20000705
				US 1999-142362P	P 19990706
				WO 2000-US18344	W 20000705
EP 1194436	A1	20020410	EP 2000-943381		20000705
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
				US 1999-142362P	P 19990706
				WO 2000-US18344	W 20000705
JP 2003503505	T	20030128	JP 2001-507847		20000705
			US 1999-142362P		P 19990706
			WO 2000-US18344		W 20000705
AU 770599	B2	20040226	AU 2000-57858		20000705
			US 1999-142362P		P 19990706
			WO 2000-US18344		W 20000705
AT 311397	T	20051215	AT 2000-943381		20000705
			US 1999-142362P		P 19990706
			WO 2000-US18344		W 20000705
ES 2250150	T3	20060416	ES 2000-943381		20000705
			US 1999-142362P		P 19990706
MX 2002PA00246	A	20030820	MX 2002-PA246		20020107
			US 1999-142362P		P 19990706
			WO 2000-US18344		W 20000705

## PATENT FAMILY INFORMATION:

FAN 2004:120574

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20040029836	A1	20040212	US 2002-302124	20021122
	US 6884791	B2	20050426		
				US 1999-142362P	P 19990706
				US 2000-610456	A2 20000705
				US 2002-266213	A2 20021008
	US 6472406	B1	20021029	US 2000-610456	20000705
				US 1999-142362P	P 19990706
	US 20040059115	A1	20040325	US 2002-266213	20021008
	US 7030103	B2	20060418		
				US 1999-142362P	P 19990706
				US 2000-610456	A1 20000705
	US 20040082546	A1	20040429	US 2003-411484	20030408
	US 6921756	B2	20050726		
				US 1999-142362P	P 19990706
				US 2000-610456	A2 20000705
				US 2002-266213	A2 20021008
				US 2002-302124	A2 20021122
WO	2004048393	A2	20040610	WO 2003-US36929	20031119
WO	2004048393	A3	20040819		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				US 2002-302124	A1 20021122
				US 2003-411484	A1 20030408
AU	2003295638	A1	20040618	AU 2003-295638	20031119
				US 2002-302124	A 20021122
				US 2003-411484	A 20030408
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	US 20050043276	A1	20050224	US 2004-884435	20040702
	US 7259172	B2	20070821		
				US 1999-142362P	P 19990706
				US 2000-610456	A2 20000705
				US 2002-266213	A2 20021008
				US 2002-302124	A3 20021122
	US 20060105999	A1	20060518	US 2005-535391	20050518
				US 2002-302124	A2 20021122
				US 2003-411484	A2 20030408
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	US 20070293675	A1	20071220	US 2007-830305	20070730
				US 1999-142362P	P 19990706
				US 2000-610456	A1 20000705
				US 2002-266213	A2 20021008
				US 2002-302124	A3 20021122
				US 2004-884435	A3 20040702

FAN 2004:353142

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20040082546	A1	20040429	US 2003-411484	20030408

US 6921756	B2	20050726	US 1999-142362P	P	19990706
			US 2000-610456	A2	20000705
			US 2002-266213	A2	20021008
			US 2002-302124	A2	20021122
US 6472406	B1	20021029	US 2000-610456		20000705
US 20040059115	A1	20040325	US 1999-142362P	P	19990706
US 7030103	B2	20060418	US 2002-266213		20021008
			US 1999-142362P	P	19990706
			US 2000-610456	A1	20000705
US 20040029836	A1	20040212	US 2002-302124		20021122
US 6884791	B2	20050426			
			US 1999-142362P	P	19990706
			US 2000-610456	A2	20000705
			US 2002-266213	A2	20021008
WO 2004048393	A2	20040610	WO 2003-US36929		20031119
WO 2004048393	A3	20040819			
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2002-302124	A1	20021122
			US 2003-411484	A1	20030408
AU 2003295638	A1	20040618	AU 2003-295638		20031119
			US 2002-302124	A	20021122
			US 2003-411484	A	20030408
			WO 2003-US36929	W	20031119
US 20060105999	A1	20060518	US 2005-535391		20050518
			US 2002-302124	A2	20021122
			US 2003-411484	A2	20030408
			WO 2003-US36929	W	20031119
FAN 2006:464674					
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
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PI US 20060105999	A1	20060518	US 2005-535391		20050518
			US 2002-302124	A2	20021122
			US 2003-411484	A2	20030408
			WO 2003-US36929	W	20031119
US 20040029836	A1	20040212	US 2002-302124		20021122
US 6884791	B2	20050426			
			US 1999-142362P	P	19990706
			US 2000-610456	A2	20000705
			US 2002-266213	A2	20021008
US 20040082546	A1	20040429	US 2003-411484		20030408
US 6921756	B2	20050726			
			US 1999-142362P	P	19990706
			US 2000-610456	A2	20000705
			US 2002-266213	A2	20021008
			US 2002-302124	A2	20021122
WO 2004048393	A2	20040610	WO 2003-US36929		20031119
WO 2004048393	A3	20040819			
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,  
 PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,  
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 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,  
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 US 2002-302124 A1 20021122  
 US 2003-411484 A1 20030408

OS MARPAT 134:95480

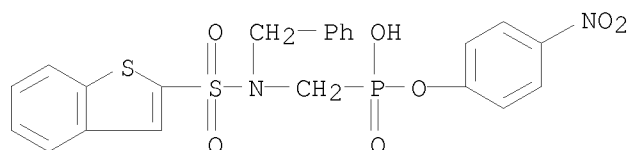
AB The intention relates to bacterial antibiotic resistance and, in particular, to compns. and methods for overcoming bacterial antibiotic resistance. The invention provides novel  $\beta$ -lactamase inhibitors which are structurally unrelated to the natural product and semi-synthetic  $\beta$ -lactamase inhibitors presently available and which do not require a  $\beta$ -lactam pharmacophore. The invention also provides pharmaceutical compns. and methods for inhibiting bacterial growth. Preparation of compds. is also described.

IT 318463-03-5P 318463-04-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (sulfonamidomethyl phosphonate  $\beta$ -lactamase inhibitor preparation and antibacterial use)

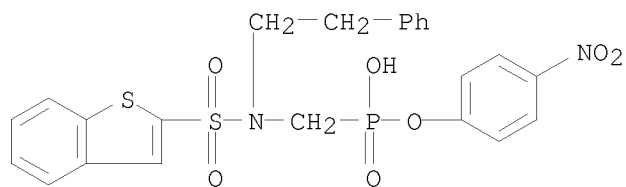
RN 318463-03-5 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)



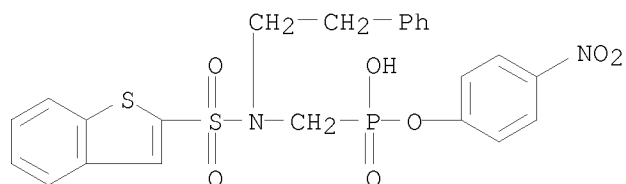
RN 318463-04-6 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI)  
 (CA INDEX NAME)

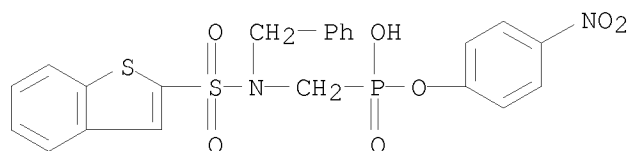


● NH<sub>3</sub>

IT 318460-62-7 318460-64-9  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (sulfonamidomethyl phosphonate  $\beta$ -lactamase inhibitor preparation and antibacterial use)  
 RN 318460-62-7 CAPLUS  
 CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl](2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)



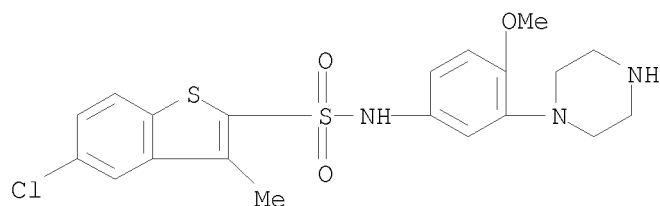
RN 318460-64-9 CAPLUS  
 CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl](phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 138 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2000:872660 CAPLUS  
 DN 134:216801  
 TI Phenyl benzenesulfonamides are novel and selective 5-HT<sub>6</sub> antagonists: identification of N-(2,5-dibromo-3-fluorophenyl)-4-methoxy-3-piperazin-1-ylbenzenesulfonamide (SB-357134)  
 AU Bromidge, S. M.; Clarke, S. E.; Gager, T.; Griffith, K.; Jeffrey, P.; Jennings, A. J.; Joiner, G. F.; King, F. D.; Lovell, P. J.; Moss, S. F.; Newman, H.; Riley, G.; Rogers, D.; Routledge, C.; Serafinowska, H.; Smith,

D. R.  
 CS Discovery Chemistry Europe, SmithKline Beecham Pharmaceuticals, Discovery  
 Research, Harlow, Essex, CM19 5AW, UK  
 SO Bioorganic & Medicinal Chemistry Letters (2000), Volume Date 2001, 11(1),  
 55-58  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PB Elsevier Science Ltd.  
 DT Journal  
 LA English  
 AB Substituted N-phenyl-4-methoxy-3-piperazin-1-ylbenzenesulfonamides and  
 conformationally restricted analogs have been identified as high affinity  
 and selective 5-HT<sub>6</sub> antagonists. Compds. from this series had a range of  
 pharmacokinetic profiles in rat and in general there was a correlation  
 between clearance and CNS penetration. Based on its overall biol. profile  
 SB-357134 was selected for further pre-clin. evaluation.  
 IT 209481-20-9, SB 271046  
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological  
 process); BSU (Biological study, unclassified); BIOL (Biological study);  
 PROC (Process)  
 (Ph benzenesulfonamides as 5-HT<sub>6</sub> antagonists)  
 RN 209481-20-9 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-  
 piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 139 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2000:578304 CAPLUS  
 DN 133:247136  
 TI Characterization of SB-271046: a potent, selective and orally active 5-HT<sub>6</sub>  
 receptor antagonist  
 AU Routledge, Carol; Bromidge, Steven M.; Moss, Stephen F.; Price, Gary W.;  
 Hirst, Warren; Newman, Helen; Riley, Graham; Gager, Tracey; Stean, Tania;  
 Upton, Neil; Clarke, Stephen E.; Brown, Anthony M.; Middlemiss, Derek N.  
 CS Department of Neuroscience Research, SmithKline Beecham Pharmaceuticals,  
 Essex, CM19 5AW, UK  
 SO British Journal of Pharmacology (2000), 130(7), 1606-1612  
 CODEN: BJPCBM; ISSN: 0007-1188  
 PB Nature Publishing Group  
 DT Journal  
 LA English  
 AB 1 SB-271046, potently displaced [3H]-LSD and [125I]-SB-258585 from human  
 5-HT<sub>6</sub> receptors recombinantly expressed in HeLa cells in vitro (pK<sub>i</sub> 8.92  
 and 9.09 resp.). SB-271046 also displaced [125I]-SB-258585 from human  
 caudate putamen and rat and pig striatum membranes (pK<sub>i</sub> 8.81, 9.02 and  
 8.55 resp.). 2 SB-271046 was over 200 fold selective for the 5-HT<sub>6</sub>  
 receptor vs 55 other receptors, binding sites and ion channels. 3 In  
 functional studies on human 5-HT<sub>6</sub> receptors SB-271046 competitively



antagonized 5-HT-induced stimulation of adenylyl cyclase activity with a pA2 of 8.71. 4 SB-271046 produced an increase in seizure threshold over a wide-dose range in the rat maximal electroshock seizure threshold (MEST) test, with a min. ED of  $\leq 0.1$  mg kg<sup>-1</sup> p.o. and maximum effect at 4 h post-dose. The level of anticonvulsant activity achieved correlated well with the blood concns. of SB-271046 (EC50 of 0.16  $\mu$ M) and brain concns. of 0.01 - 0.04  $\mu$ M at Cmax. 5 These data, together with the observed anticonvulsant activity of other selective 5-HT6 receptor antagonists, SB-258510 (10 mg kg<sup>-1</sup>, 2-6 h pre-test) and Ro 04-6790 (1-30 mg kg<sup>-1</sup>, 1 h pre-test), in the rat MEST test, suggest that the anticonvulsant properties of SB-271046 are likely to be mediated by 5-HT6 receptors. 6 Overall, these studies demonstrate that SB-271046 is a potent and selective 5-HT6 receptor antagonist and is orally active in the rat MEST test. SB-271046 represents a valuable tool for evaluating the in vivo central function of 5-HT6 receptors.

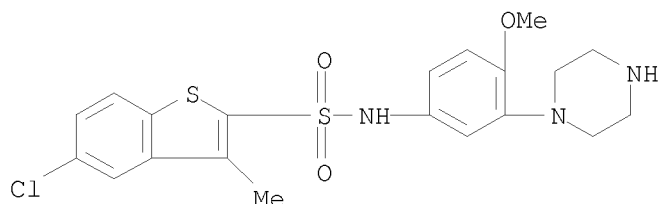
IT 209481-20-9, SB-271046

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)

(characterization of SB-271046: a potent, selective and orally active 5-HT6 receptor antagonist)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 140 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2000:578303 CAPLUS

DN 133:261808

TI Characterization of [125I]-SB-258585 binding to human recombinant and native 5-HT6 receptors in rat, pig and human brain tissue

AU Hirst, Warren D.; Minton, Jayne A. L.; Bromidge, Steven M.; Moss, Stephen F.; Latter, Alison J.; Riley, Graham; Routledge, Carol; Middlemiss, Derek N.; Price, Gary W.

CS Department of Neuroscience Research, SmithKline Beecham Pharmaceuticals, Essex, CM19 5AW, UK

SO British Journal of Pharmacology (2000), 130(7), 1597-1605  
CODEN: BJPCBM; ISSN: 0007-1188

PB Nature Publishing Group

DT Journal

LA English

AB 1 SB-258585 (4-Iodo-N-[4-methoxy-3-(4-methyl-piperazin-1-yl)-phenyl]-benzenesulfonamide) is a high affinity ligand at 5-HT6 receptors. It displays over 100 fold selectivity for the 5-HT6 receptor over all other 5-HT receptors tested so far. SB-258585 has been radiolabeled, to high specific activity, for its characterization as a 5-HT6 receptor selective radioligand. 2 [125I]-SB-258585 bound, with high

affinity, to a single population of receptors in a cell line expressing human recombinant 5-HT<sub>6</sub> receptors. Kinetic and saturation binding expts. gave pK<sub>D</sub> values of  $9.01 \pm 0.09$  and  $9.09 \pm 0.02$ , resp. 3 In membranes derived from rat or pig striatum and human caudate putamen, [<sup>125</sup>I]-SB-258585 labeled a single site with high levels (>60%) of specific binding. Saturation anal. revealed pK<sub>D</sub> values of  $8.56 \pm 0.07$  for rat,  $8.60 \pm 0.10$  for pig and  $8.90 \pm 0.02$  for human. B<sub>max</sub> values for the tissues ranged from  $173 \pm 23$  and  $181 \pm 25$  fmol mg<sup>-1</sup> protein in rat and pig striatum, resp., to  $215 \pm 41$  fmol mg<sup>-1</sup> protein in human caudate putamen. 4 The pK<sub>i</sub> rank order of potency for a number of compds., determined

in

competition binding assays with [<sup>125</sup>I]-SB-258585, at human caudate putamen membranes was: SB-271046 > SB-258585 > SB-214111 > methiothepin > clozapine > 5-Me-OT > 5-HT > Ro 04-6790 > mianserin > ritanserin = amitriptyline > 5-CT > mesulergine. Similar profiles were obtained from pig and rat striatal membranes and recombinant 5-HT<sub>6</sub> receptors; data from the latter correlated well with [<sup>3</sup>H]-LSD binding. 5 Thus, [<sup>125</sup>I]-SB-258585 is a high affinity, selective radioligand which can be used to label both recombinant and native 5-HT<sub>6</sub> receptors and will facilitate further characterization of this receptor subtype in animal and human tissues.

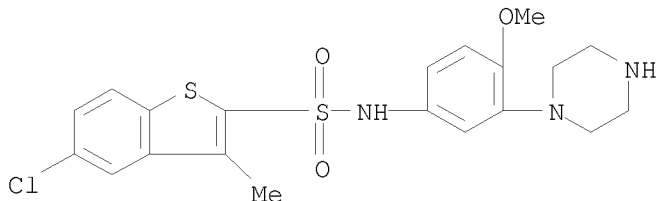
IT 209481-20-9, SB-271046

RL: BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); PROC (Process); USES (Uses)

(characterization of [<sup>125</sup>I]-SB-258585 binding to human recombinant and native 5-HT<sub>6</sub> receptors in rat, pig and human brain tissue)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 141 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2000:508647 CAPLUS

DN 133:275842

TI 6-bicyclopiperazinyl-1-arylsulfonylindoles and  
6-bicyclopiperidiny-1-arylsulfonylindoles derivatives as novel, potent,  
and selective 5-HT<sub>6</sub> receptor antagonists

AU Isaac, M.; Slassi, A.; Xin, T.; MacLean, N.; Wilson, J.; McCallum, K.;  
Wang, H.; Demchyshyn, L.

CS NPS Allelix Corp., Mississauga, ON, L4V 1V7, Can.

SO Bioorganic & Medicinal Chemistry Letters (2000), 10(15), 1719-1721  
CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

AB A novel series of 6-bicyclopiperazinyl-1-arylsulfonylindoles and

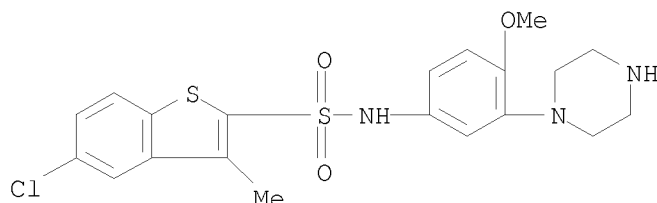
6-bicyclopiperidiny-1-arylsulfonylindoles derivs. was synthesized and found to be potent and selective 5-HT<sub>6</sub> receptor antagonists.

IT 209481-20-9

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(novel 5-HT<sub>6</sub> receptor antagonists design)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 142 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2000:358778 CAPLUS

DN 133:114963

TI In vivo effects of the 5-HT<sub>6</sub> antagonist SB-271046 on striatal and frontal cortex extracellular concentrations of noradrenaline, dopamine, 5-HT, glutamate and aspartate

AU Dawson, L. A.; Nguyen, H. Q.; Li, P.

CS Neuroscience Discovery Research, Wyeth Research, Princeton, NJ, 08543, USA

SO British Journal of Pharmacology (2000), 130(1), 23-26

CODEN: BJPCBM; ISSN: 0007-1188

PB Nature Publishing Group

DT Journal

LA English

AB Although the 5-HT<sub>6</sub> receptor subtype was identified some 5 yr ago, very little is known about its function within the brain. Here we demonstrate, for the first time, the neurochem. effects of a selective 5-HT<sub>6</sub> receptor ligand. Using in vivo microdialysis in the freely moving rat, we evaluated the effects of the selective 5-HT<sub>6</sub> receptor antagonist SB-271046 by simultaneous measurement of 5-hydroxytryptamine (5-HT), dopamine (DA), noradrenaline (NA), glutamate and aspartate from the striatum and frontal cortex. SB-271046 did not alter basal levels of 5-HT, DA and NA in either brain region. Similarly, there was no change basal levels of either of the excitatory amino acids within the striatum. In contrast, administration of SB-271046 (10 mg kg<sup>-1</sup> s.c.) produced a significant (P<0.05), tetrodotoxin-dependent, increase in extracellular levels of both glutamate and aspartate within the frontal cortex, reaching maximum values of 375.4±82.3 and 215.3±62.1% of preinjection values, resp.

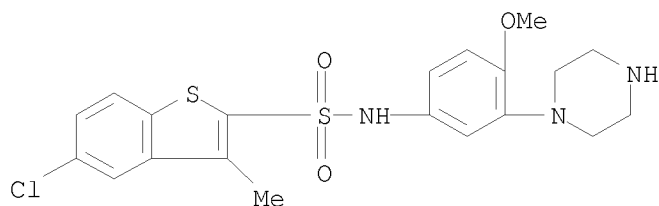
IT 209481-20-9, SB 271046

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(5-HT<sub>6</sub> antagonist SB-271046 effect on striatum and frontal cortex neurotransmitters: relevance to cognitive dysfunction treatment)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 143 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2000:161385 CAPLUS  
DN 132:199081  
TI 5-HT6 receptor antagonists for the treatment of Parkinson disease  
IN Routledge, Carol  
PA Smithkline Beecham P.L.C., UK  
SO PCT Int. Appl., 11 pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000012623	A2	20000309	WO 1999-EP6219	19990825
	WO 2000012623	A3	20000824		
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9959706	A1	20000321	GB 1998-18914	A 19980828
				AU 1999-59706	19990825
				GB 1998-18914	A 19980828
				WO 1999-EP6219	W 19990825

OS MARPAT 132:199081

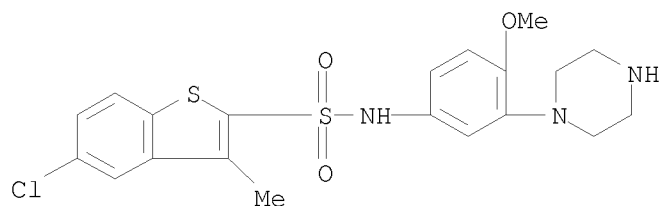
AB The use of 5-HT6 receptor antagonists for the treatment of Parkinson disease is described.. An example of the antagonist is a benzo[b]thiophene-2-sulfonamide containing a piperazine ring. dissolved in a suitable pharmaceutical carrier.

IT 209481-20-9

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(5-HT6 receptor antagonists for treatment of Parkinson disease)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

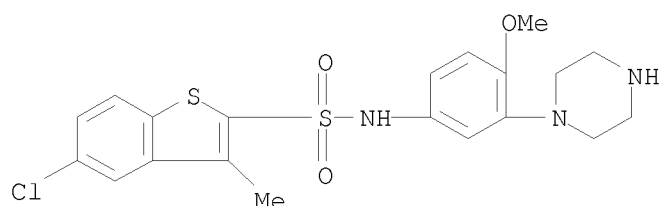


L6 ANSWER 144 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2000:161118 CAPLUS  
 DN 132:203153  
 TI Use of 5-HT6 antagonists for the treatment of attention deficit  
 hyperactivity disorder  
 IN Reavill, Charles Alan; Routledge, Carol  
 PA Smithkline Beecham P.L.C., UK  
 SO PCT Int. Appl., 16 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000012073	A1	20000309	WO 1999-EP6218	19990825
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9956246	A1	20000321	GB 1998-18916	A 19980828
				AU 1999-56246	19990825
				GB 1998-18916	A 19980828
				WO 1999-EP6218	W 19990825
	EP 1107745	A1	20010620	EP 1999-942912	19990825
	EP 1107745	B1	20041013		
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
				GB 1998-18916	A 19980828
				WO 1999-EP6218	W 19990825
	JP 2002523447	T	20020730	JP 2000-567191	19990825
				GB 1998-18916	A 19980828
				WO 1999-EP6218	W 19990825
	AT 279181	T	20041015	AT 1999-942912	19990825
				GB 1998-18916	A 19980828
				WO 1999-EP6218	W 19990825
	ES 2230884	T3	20050501	ES 1999-942912	19990825
				GB 1998-18916	A 19980828
	US 6380199	B1	20020430	US 2001-763742	20010417
				GB 1998-18916	A 19980828
				WO 1999-EP6218	W 19990825
	US 20020094979	A1	20020718	US 2002-99199	20020313
	US 6627661	B2	20030930		
				GB 1998-18916	A 19980828

WO 1999-EP6218 W 19990825  
US 2001-763742 A3 20010417

OS MARPAT 132:203153  
AB 5-HT6 receptor antagonists containing arylsulfamide or arylaminosulfonyl groups are used in the manufacture of a medicament for the treatment of attention deficit hyperactivity disorder.  
IT 209481-20-9  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(5-HT6 antagonists for treatment of attention deficit hyperactivity disorder)  
RN 209481-20-9 CAPLUS  
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 145 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2000:117031 CAPLUS  
DN 132:166236  
TI Preparation of imidazoles and related compounds as  $\alpha$ 1A agonists  
IN Altenbach, Robert J.; Meyer, Michael D.; Kerwin, James F., Jr.; Holladay, Mark W.; Khilevich, Albert; Kolasa, Teodozyj; Rohde, Jeffrey; Carroll, William A.  
PA Abbott Laboratories, USA  
SO PCT Int. Appl., 208 pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2000007997	A1	20000217	WO 1999-US17739	19990806
	W:			AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW	
	RW:			GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG	
				US 1998-130799	A 19980807
				US 1999-364901	A 19990729
	US 6503935	B1	20030107	US 1999-364901	19990729
				US 1998-130799	B2 19980807
	CA 2338594	A1	20000217	CA 1999-2338594	19990806
				US 1998-130799	A 19980807

AU 9953386	A	20000228	US 1999-364901	A	19990729
			WO 1999-US17739	W	19990806
			AU 1999-53386		19990806
			US 1998-130799	A	19980807
			US 1999-364901	A	19990729
			WO 1999-US17739	W	19990806
EP 1102754	A1	20010530	EP 1999-939019		19990806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
			US 1998-130799	A	19980807
			US 1999-364901	A	19990729
			WO 1999-US17739	W	19990806
JP 2002522423	T	20020723	JP 2000-563631		19990806
			US 1998-130799	A	19980807
			US 1999-364901	A	19990729
			WO 1999-US17739	W	19990806
MX 2001PA01412	A	20000821	MX 2001-PA1412		20010207
			US 1998-130799	A	19980807
			WO 1999-US17739	W	19990806

PATENT FAMILY INFORMATION:

FAN 2001:617982

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2001060802	A1	20010823	WO 2001-US3466	20010201
	W: CA, JP, MX				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	US 20030073850	A1	20030417	US 2000-506750	A 20000217
				US 2000-506750	20000217
				US 1998-130799	B2 19980807
				US 1999-364901	A2 19990729
CA 2399147	A1	20010823	CA 2001-2399147		20010201
			US 2000-506750	A	20000217
			WO 2001-US3466	W	20010201
EP 1259491	A1	20021127	EP 2001-908800		20010201
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			US 2000-506750	A	20000217
			WO 2001-US3466	W	20010201
JP 2003523333	T	20030805	JP 2001-560187		20010201
			US 2000-506750	A	20000217
			WO 2001-US3466	W	20010201
MX 2002PA08001	A	20030128	MX 2002-PA8001		20020816
			US 2000-506750	A	20000217
			WO 2001-US3466	W	20010201

FAN 2003:17797

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 6503935	B1	20030107	US 1999-364901	19990729
				US 1998-130799	B2 19980807
CA 2338594	A1	20000217	CA 1999-2338594		19990806
			US 1998-130799	A	19980807
			US 1999-364901	A	19990729
			WO 1999-US17739	W	19990806
WO 2000007997	A1	20000217	WO 1999-US17739		19990806
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL,					

TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
 ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
 CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

			US 1998-130799	A	19980807
			US 1999-364901	A	19990729
AU 9953386	A	20000228	AU 1999-53386		19990806
			US 1998-130799	A	19980807
			US 1999-364901	A	19990729
			WO 1999-US17739	W	19990806
EP 1102754	A1	20010530	EP 1999-939019		19990806
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
			US 1998-130799	A	19980807
			US 1999-364901	A	19990729
			WO 1999-US17739	W	19990806
JP 2002522423	T	20020723	JP 2000-563631		19990806
			US 1998-130799	A	19980807
			US 1999-364901	A	19990729
			WO 1999-US17739	W	19990806
TW 517050	B	20030111	TW 1999-88113524		19990914
			US 1998-130799	A	19980807
US 20030073850	A1	20030417	US 2000-506750		20000217
			US 1998-130799	B2	19980807
			US 1999-364901	A2	19990729

FAN	2003:300646				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 20030073850	A1	20030417	US 2000-506750	20000217
				US 1998-130799	B2 19980807
				US 1999-364901	A2 19990729
	US 6503935	B1	20030107	US 1999-364901	19990729
				US 1998-130799	B2 19980807
	CA 2399147	A1	20010823	CA 2001-2399147	20010201
				US 2000-506750	A 20000217
				WO 2001-US3466	W 20010201
	WO 2001060802	A1	20010823	WO 2001-US3466	20010201
	W: CA, JP, MX				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
				US 2000-506750	A 20000217
	EP 1259491	A1	20021127	EP 2001-908800	20010201
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
				US 2000-506750	A 20000217
				WO 2001-US3466	W 20010201
	JP 2003523333	T	20030805	JP 2001-560187	20010201
				US 2000-506750	A 20000217
				WO 2001-US3466	W 20010201
	MX 2002PA08001	A	20030128	MX 2002-PA8001	20020816
				US 2000-506750	A 20000217
				WO 2001-US3466	W 20010201

OS MARPAT 132:166236

AB The title compds. [I; R1 = SO2R9, COR9; R9 = alkenyl, alkyl, alkynyl,  
 etc.; R2 = H, alkenyl, alkoxy, etc.; R3 = H, alkenyloxy, alkyl, etc.; R4 =  
 H, alkyl, alkoxy, haloalkyl, etc.; R3 and R4 together with the carbon  
 atoms to which they are attached form a 5-7 membered carbocyclic ring, 5-6  
 membered ring containing 1 heteroatom selected from O, NR11, SOn; R11 = H,  
 alkenyl, alkyl, etc.; n = 0-2; R5 = imidazolyl, pyrazolyl, oxazolyl, etc.;



R6 = H, alkoxy, alkyl, etc.; R7 = H, alkenyl, alkyl, etc.; R8 = H, alkyl; R3 and R8 together with the carbon atom to which they are attached form a 3-6 membered carbocyclic ring, C:CR12R15; R12, R15 = H, alkoxy, alkyl, etc.], useful in treating diseases prevented by or ameliorated with  $\alpha$ 1A agonists, were prepared E.g., a detailed multi-step synthesis of II.HCl, was given. Biol. data for compds. I were presented.

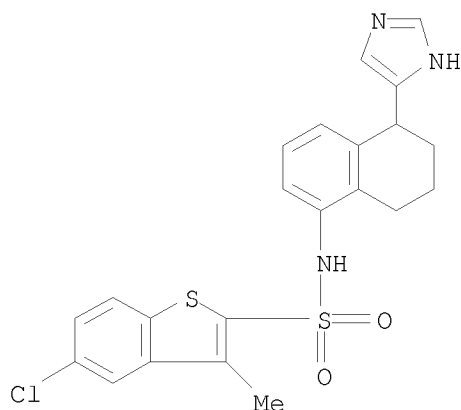
IT 258527-24-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazoles and related compds. as  $\alpha$ 1A agonists)

RN 258527-24-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[5,6,7,8-tetrahydro-5-(1H-imidazol-5-yl)-1-naphthalenyl]- (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 146 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 1999:549274 CAPLUS  
DN 131:170364  
TI Preparation of sulfonanilide 5-HT6 receptor antagonists  
IN Bromidge, Steven Mark; Serafinowska, Halina Teresa  
PA Smithkline Beecham PLC, UK  
SO PCT Int. Appl., 24 pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9942465	A2	19990826	WO 1999-EP1013	19990212
	WO 9942465	A3	19990930		
	W: CA, JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2321278	A1	19990826	GB 1998-3411	A 19980218
				CA 1999-2321278	19990212
				GB 1998-3411	A 19980218
				WO 1999-EP1013	W 19990212
	EP 1066288	A2	20010110	EP 1999-910228	19990212
	R: BE, CH, DE, ES, FR, GB, IT, LI, NL				

			GB 1998-3411	A	19980218
			WO 1999-EP1013	W	19990212
JP 2002504484	T	20020212	JP 2000-532417		19990212
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			WO 1999-EP1013	W	19990212

OS MARPAT 131:170364

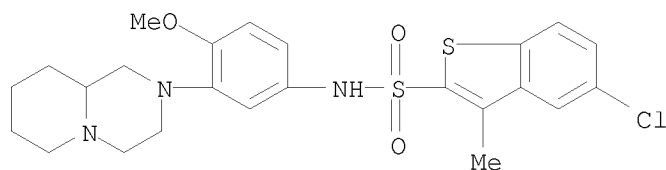
AB RZ1Z2Z3R4 [R = (un)substituted phenylene, -heterocyclylene, etc.; R4 = (un)substituted N-attached diazabicycloalkyl; Z1 = bond or alk(en)ylene; Z2 = SO<sub>2</sub>NH or NHSO<sub>2</sub>; Z3 = (un)substituted 1,3-phenylene] were prepared as 5-HT<sub>6</sub> receptor antagonists (no data). Thus, 2-methoxy-5-nitroaniline was N-alkylated by 2-bromomethylpiperidine and the product N-alkylated by BrCH<sub>2</sub>CO<sub>2</sub>Et to give, after cyclization and 2 reduction steps, 4-methoxy-3-octahydropyrido[1,2-a]pyrazin-2-ylaniline which was amidated by 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride to give title compound I.

IT 239122-27-1P 239122-28-2P 239122-29-3P  
239122-30-6P 239122-31-7P 239122-32-8P  
239122-33-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of sulfonanilide 5-HT<sub>6</sub> receptor antagonists)

RN 239122-27-1 CAPLUS

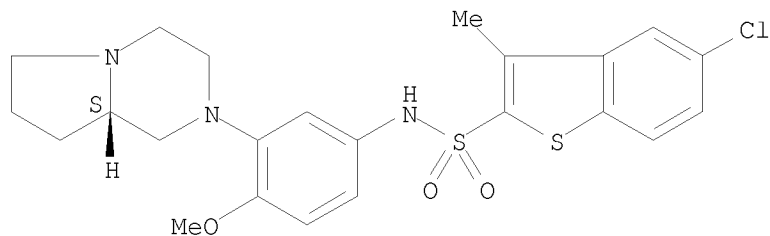
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)phenyl]-3-methyl- (CA INDEX NAME)



RN 239122-28-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(8aS)-hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl]-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)

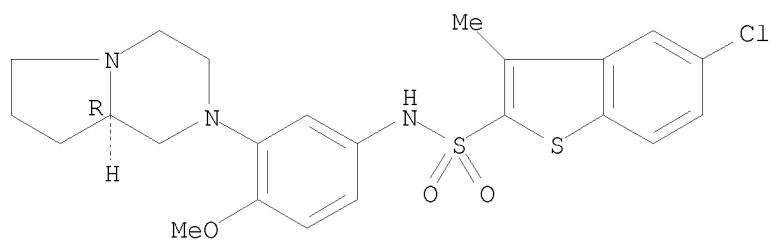
Absolute stereochemistry.



RN 239122-29-3 CAPLUS

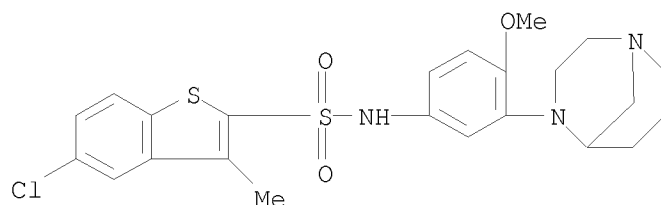
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(8aR)-hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl]-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.



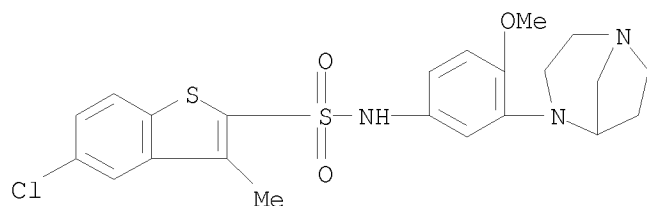
RN 239122-30-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(1,4-diazabicyclo[3.3.1]non-4-yl)-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)



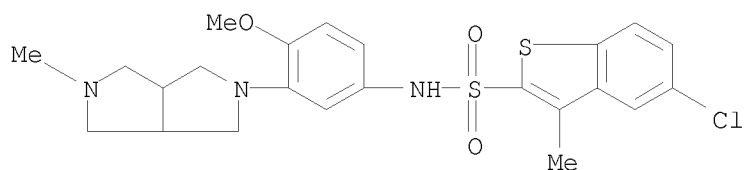
RN 239122-31-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(1,4-diazabicyclo[3.2.1]oct-4-yl)-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)



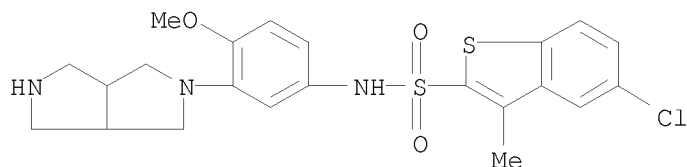
RN 239122-32-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(hexahydro-5-methylpyrrolo[3,4-c]pyrrol-2(1H)-yl)-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)

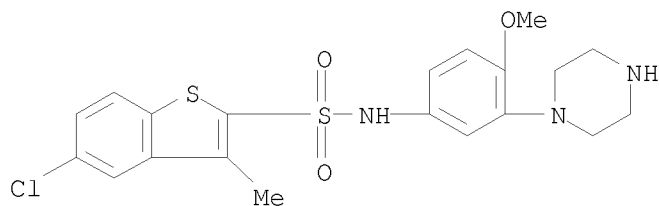


RN 239122-33-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(hexahydropyrrolo[3,4-c]pyrrol-2(1H)-yl)-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)

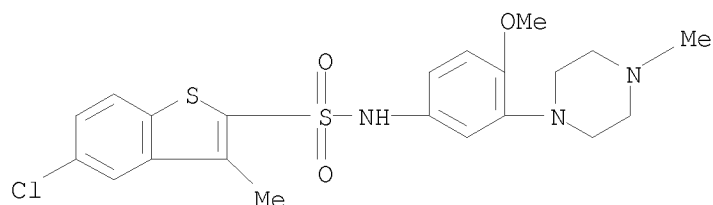


L6 ANSWER 147 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 1999:8652 CAPLUS  
 DN 130:168329  
 TI 5-Chloro-N-(4-methoxy-3-piperazin-1-ylphenyl)-3-methyl-2-benzothiophenesulfonamide (SB-271046): A Potent, Selective, and Orally Bioavailable 5-HT<sub>6</sub> Receptor Antagonist  
 AU Bromidge, Steven M.; Brown, Anthony M.; Clarke, Stephen E.; Dodgson, Kathy; Gager, Tracey; Grassam, Helen L.; Jeffrey, Phil M.; Joiner, Graham F.; King, Frank D.; Middlemiss, Derek N.; Moss, Stephen F.; Newman, Helen; Riley, Graham; Routledge, Carol; Wyman, Paul  
 CS Departments of Medicinal Chemistry Neuroscience Research and Drug Metabolism and Pharmacokinetics, SmithKline Beecham Pharmaceuticals Discovery Research, Harlow Essex, CM19 5AW, UK  
 SO Journal of Medicinal Chemistry (1999), 42(2), 202-205  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PB American Chemical Society  
 DT Journal  
 LA English  
 AB 1-(4-Arylsulfonyl-2-methoxyphenyl)-4-methylpiperazines were prepared by arylsulfonylation of the amine and tested for 5-HT<sub>6</sub> receptor antagonist activity. 5-Chloro-N-[4-methoxy-3-(4-methylpiperazin-1-yl)phenyl]-3-methyl-2-benzothiophenesulfonamide which was the most potent antagonist was demethylated in vivo. The title compound was, therefore, also prepared and found to be a high-affinity, selective, orally active 5-HT<sub>6</sub> receptor antagonist.  
 IT 209481-24-3P 220431-95-8P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation of arylsulfonylaminophenylpiperazines as 5-HT<sub>6</sub> receptor antagonists)  
 RN 209481-24-3 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)



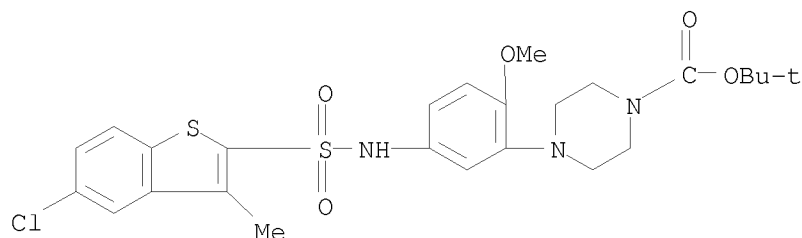
● HCl

RN 220431-95-8 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

IT 209481-82-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of arylsulfonaminophenylpiperazines as 5-HT6 receptor antagonists)  
 RN 209481-82-3 CAPLUS  
 CN 1-Piperazinecarboxylic acid, 4-[5-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-2-methoxyphenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 148 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 1998:424243 CAPLUS  
 DN 129:81756  
 OREF 129:16885a,16888a  
 TI Preparation of N-(piperazinylphenyl) arylsulfonamides as CNS agents  
 IN Bromidge, Steven Mark; King, Francis David; Wyman, Paul Andrian  
 PA Smithkline Beecham PLC, UK; Bromidge, Steven Mark; King, Francis David; Wyman, Paul Andrian  
 SO PCT Int. Appl., 54 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9827081	A1	19980625	WO 1997-EP7159	19971215
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			RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
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IN 1997DE03698	A	20050311	IN 1997-DE3698		19971219
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			GB 1997-901	A	19970117
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			GB 1996-26377	A	19961219
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US 20030069233	A1	20030410	US 1999-331378	B1	19990618
US 6599904	B2	20030729	US 2002-157258		20020529
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			GB 1997-22757	A	19971027
			US 1999-331378	B1	19990618
			US 2000-643200	A3	20000821

OS MARPAT 129:81756

AB The title compds. [I; P = Ph, naphthyl, a bicyclic heterocyclic ring, a 5-7 membered heterocyclic ring containing 1-4 heteroatoms selected from O, N or S; A = a single bond, C1-6 alkylene, C1-6 alkenylene; R1 = halo, C1-6 alkyl, C3-6 cycloalkyl, etc.; n = 0-6; R2 = H, C1-6 alkyl, aryl C1-6 alkyl; R3 = R5; R3R5 = (CH2)2O, (CH2)3O; R3R2 = (CH2)2, (CH2)3; R4 = X(CH2)pR6 (wherein X = a single bond, CH2, O, NH, NC1-6 alkyl; p = 0-6; R6 = (un)substituted 5-7 membered heterocyclic ring containing 1-3 heteroatoms selected from N, S or O, NR7R8; R7, R8 = H, C1-6 alkyl, aryl C1-6 alkyl); R5 = H, halo, C1-6 alkyl], having CNS activity (selective 5-HT6 receptor antagonistic activity) and therefore useful in the treatment of schizophrenia, Alzheimer's disease and/or depression, were prepared Thus, reaction of thiophene-2-sulfonyl chloride with 4-methoxy-3-(4-methylpiperazin-1-yl)aniline in Me2CO afforded 84% the title compound II. Some of compds. I showed particularly good selective 5-HT6 receptor antagonistic activity, e.g. compound III showed pKi of > 8.0 at human cloned 5-HT6 receptor.

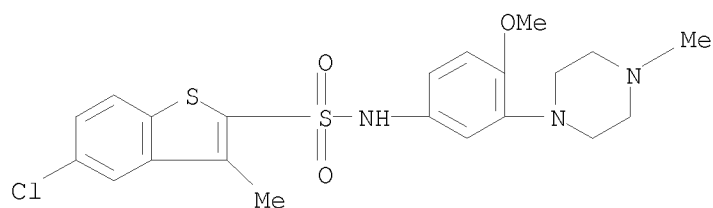
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 209481-54-9P 209481-55-0P 209481-57-2P  
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 209481-64-1P 209481-66-3P 209481-68-5P  
 209481-69-6P 209481-79-8P 209481-80-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of N-(piperazinylphenyl) arylsulfonamides as CNS agents)

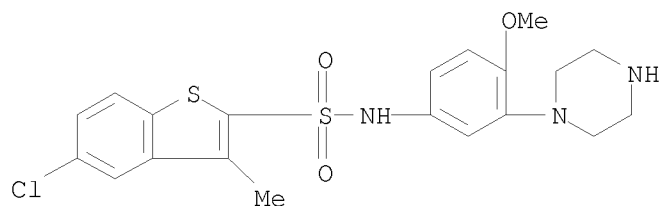
RN 209480-56-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



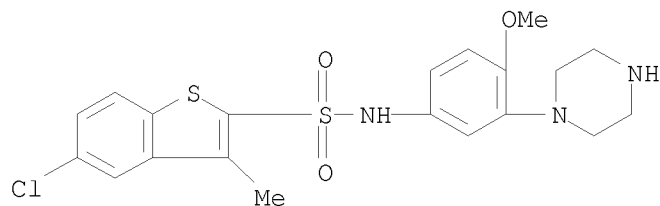
RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 209481-24-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)

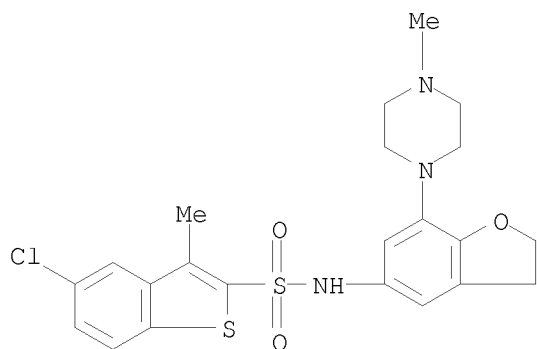


● HCl

RN 209481-41-4 CAPLUS

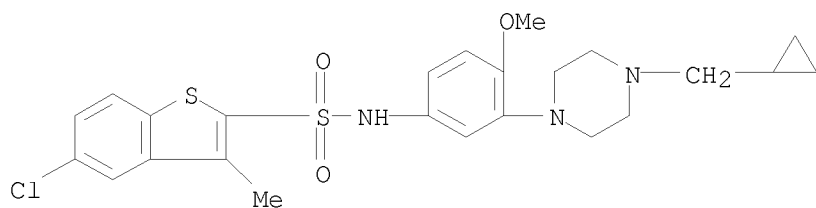
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2,3-dihydro-7-(4-methyl-1-piperazinyl)-5-benzofuranyl]-3-methyl- (CA INDEX NAME)





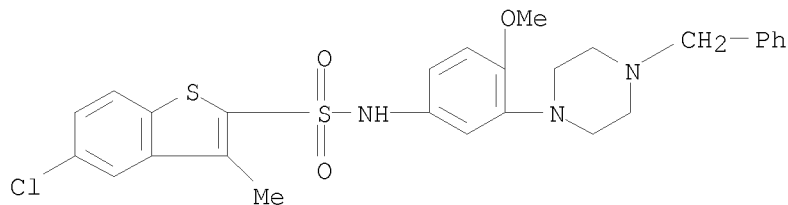
RN 209481-49-2 CAPLUS

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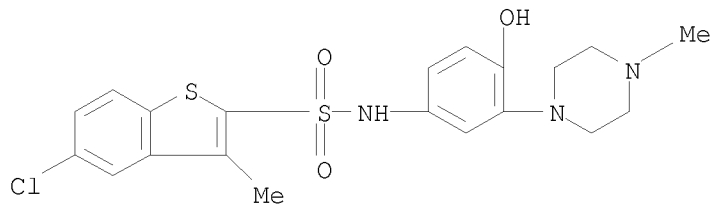
RN 209481-50-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-[4-(phenylmethyl)-1-piperazinyl]phenyl]-3-methyl- (CA INDEX NAME)



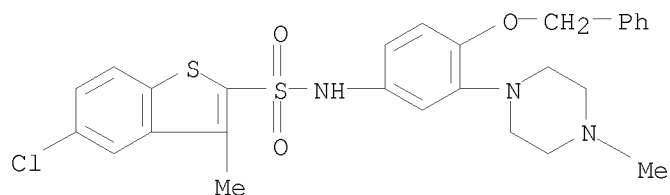
RN 209481-51-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-hydroxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



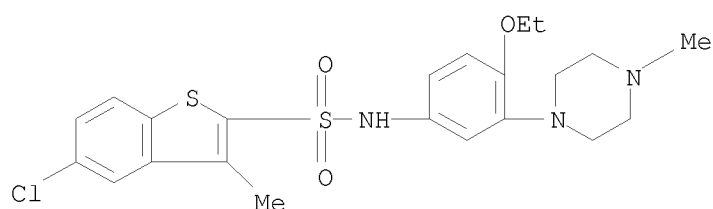
RN 209481-52-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(4-methyl-1-piperazinyl)-4-(phenylmethoxy)phenyl]- (CA INDEX NAME)



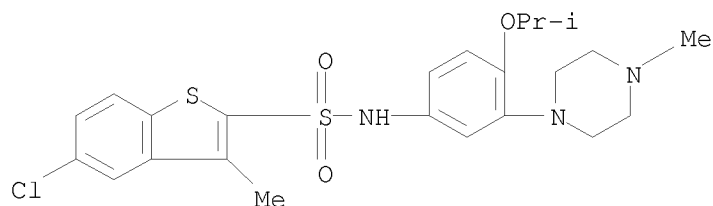
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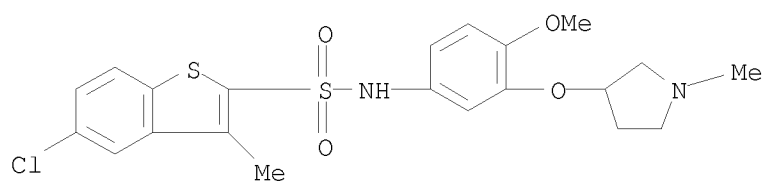
RN 209481-54-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(1-methylethoxy)-3-(4-methyl-1-piperazinyl)phenyl]- (CA INDEX NAME)



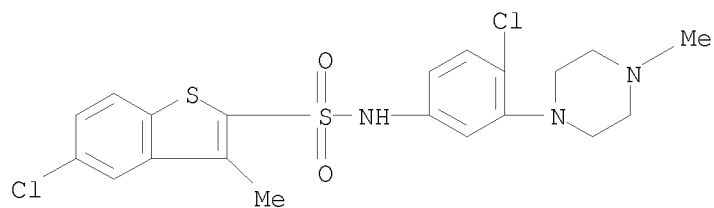
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CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-[(1-methyl-3-pyrrolidinyl)oxy]phenyl]-3-methyl- (CA INDEX NAME)



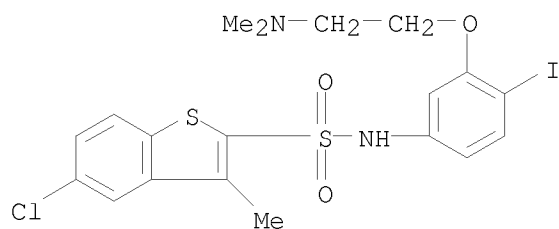
RN 209481-57-2 CAPLUS

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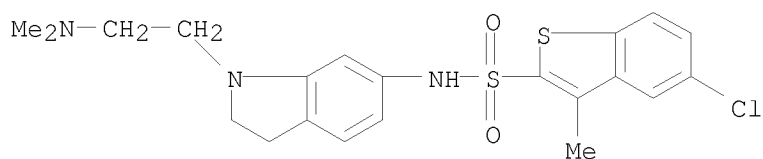
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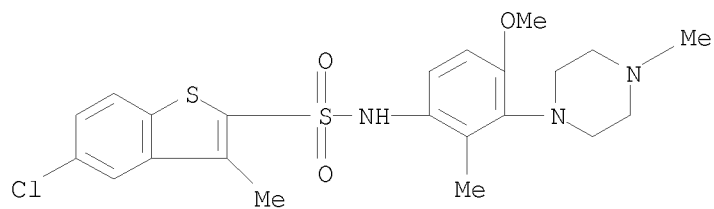
RN 209481-60-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-2,3-dihydro-1H-indol-6-yl]-3-methyl- (CA INDEX NAME)



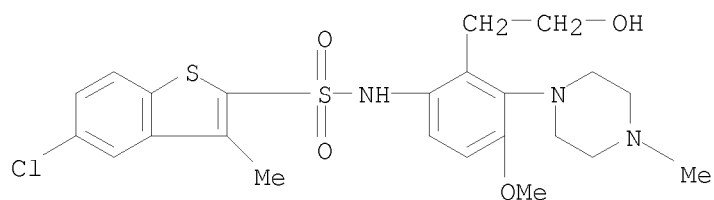
RN 209481-63-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-2-methyl-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



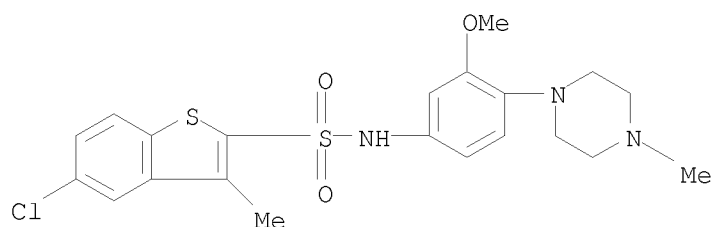
RN 209481-64-1 CAPLUS

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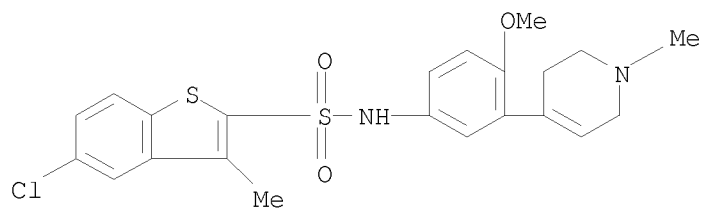
RN 209481-66-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-methoxy-4-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



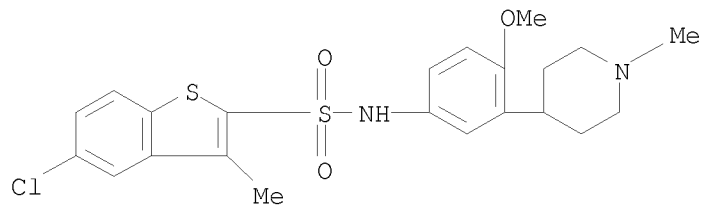
RN 209481-68-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)phenyl]-3-methyl- (CA INDEX NAME)



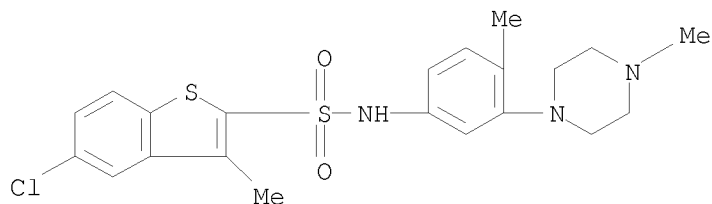
RN 209481-69-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-methyl-4-piperidinyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 209481-79-8 CAPLUS

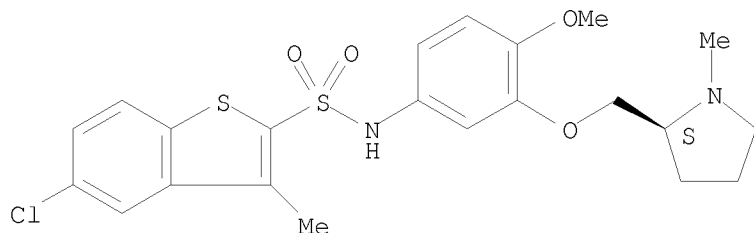
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-methyl-3-(4-methyl-1-piperazinyl)phenyl]- (CA INDEX NAME)



RN 209481-80-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-[[2-methyl-2-(pyrrolidinyl)methoxy]phenyl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.



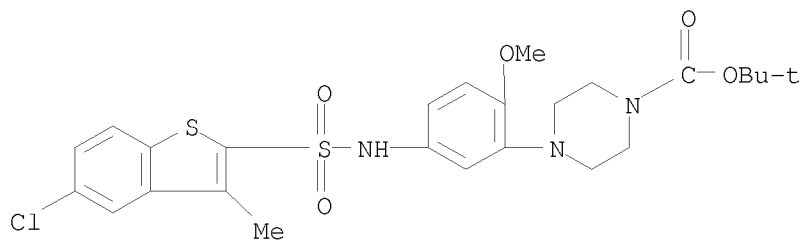
IT 209481-82-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-(piperazinylphenyl) arylsulfonamides as CNS agents)

RN 209481-82-3 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[5-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-2-methoxyphenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 149 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1997:134849 CAPLUS

DN 126:157509

OREF 126:30463a,30466a

TI Preparation of substituted (sulfinic acid, sulfonic acid, sulfonylamino or sulfinylamino) N-[(aminoiminomethyl)phenylalkyl]azaheterocyclamide compounds as Factor Xa inhibitors

IN Ewing, William R.; Becker, Michael R.; Pauls, Henry W.; Cheney, Daniel L.; Mason, Jonathan Stephen; Spada, Alfred P.; Choi-Sledeski, Yong Mi

PA Rhone-Poulenc Rorer Pharmaceuticals Inc., USA

SO PCT Int. Appl., 272 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9640679	A1	19961219	WO 1996-US9816	19960607
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	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
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	AU 9661669	A	19961230	US 1995-481024	A 19950607
	AU 714319	B2	20000106	AU 1996-61669	19960607
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				WO 1996-US9816	W 19960607
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	NO 310457	B1	20010709		
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	BG 63628	B1	20020731	BG 1998-102162	19980106
				US 1995-481024	A 19950607
				WO 1996-US9816	W 19960607
	US 6034093	A	20000307	US 1998-130336	19980806
				US 1995-481024	A2 19950607
				WO 1996-US9816	A2 19960607
				US 1996-761414	A2 19961206
				US 1997-976034	A2 19971121
				WO 1997-US22414	A2 19971201

PATENT FAMILY INFORMATION:

FAN 1998:192127

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5731315	A	19980324	US 1996-761414	19961206
				US 1995-481024	A2 19950607
	US 5612353	A	19970318	US 1995-481024	19950607

CA 2223403	A1	19961219	CA 1996-2223403	19960607
CA 2223403	C	20020423		
			US 1995-481024	A 19950607
CN 1190395	A	19980812	CN 1996-194489	19960607
			US 1995-481024	A 19950607
HU 9801882	A2	19981228	HU 1998-1882	19960607
HU 9801882	A3	19990128		
			US 1995-481024	A 19950607
			US 1996-761414	A 19961206
CA 2245699	A1	19980611	CA 1997-2245699	19971201
			US 1996-761414	A 19961206
WO 9824784	A1	19980611	WO 1997-US22414	19971201
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,				
DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ,				
LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL,				
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US,				
UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,				
GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,				
GN, ML, MR, NE, SN, TD, TG				
			US 1996-761414	A2 19961206
AU 9860121	A	19980629	AU 1998-60121	19971201
AU 727810	B2	20001221		
			US 1996-761414	A 19961206
			WO 1997-US22414	W 19971201
EP 894088	A1	19990203	EP 1997-954779	19971201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
IE, SI, LT, LV, FI, RO				
			US 1996-761414	A 19961206
			WO 1997-US22414	W 19971201
CN 1213370	A	19990407	CN 1997-192888	19971201
CN 1093856	C	20021106		
			US 1996-761414	A 19961206
BR 9707489	A	19990727	BR 1997-7489	19971201
			US 1996-761414	A 19961206
			WO 1997-US22414	W 19971201
AP 800	A	20000119	AP 1998-1305	19971201
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			US 1996-761414	A 19961206
JP 2000505815	T	20000516	JP 1998-525861	19971201
			US 1996-761414	A 19961206
			WO 1997-US22414	W 19971201
HU 9903336	A2	20001228	HU 1999-3336	19971201
HU 9903336	A3	20010730		
			US 1996-761414	A 19961206
ZA 9710968	A	19980722	ZA 1997-10968	19971205
			US 1996-761414	A 19961206
NO 9803603	A	19981005	NO 1998-3603	19980805
			US 1996-761414	A 19961206
			WO 1997-US22414	W 19971201
US 6034093	A	20000307	US 1998-130336	19980806
			US 1995-481024	A2 19950607
			WO 1996-US9816	A2 19960607
			US 1996-761414	A2 19961206
			US 1997-976034	A2 19971121
			WO 1997-US22414	A2 19971201
CN 1418882	A	20030521	CN 2002-103157	20020201
			US 1996-761414	A 19961206

FAN 2000:157715

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 6034093	A	20000307	US 1998-130336	19980806
				US 1995-481024	A2 19950607
				WO 1996-US9816	A2 19960607
				US 1996-761414	A2 19961206
				US 1997-976034	A2 19971121
				WO 1997-US22414	A2 19971201
	US 5612353	A	19970318	US 1995-481024	19950607
	WO 9640679	A1	19961219	WO 1996-US9816	19960607
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
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	US 5731315	A	19980324	US 1996-761414	19961206
				US 1995-481024	A2 19950607
	US 5958918	A	19990928	US 1997-976034	19971121
				US 1995-481024	A2 19950607
				WO 1996-US1816	A1 19960607
	WO 9824784	A1	19980611	WO 1997-US22414	19971201
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
				US 1996-761414	A2 19961206

OS MARPAT 126:157509

AB About 165 title compds. I [R = H, alkyl, aralkyl, hydroxyalkyl; R1 = H, R3S(O)p, R3R4NS(O)p; R2 = H, alkyl, aralkyl; R3 = alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, aralkyl; RR3 = 5-7 membered ring; R4 = alkyl, cycloalkyl, aryl, heteroaryl; R3R4N = 4-7 membered heterocyclyl; X1, X1' = H, alkyl, aryl, aralkyl, etc.; X1X1' = oxo; X2, X2' = H; X2X2' = O; X4 = H, alkyl, aralkyl, hydroxyalkyl; X5, X5' = H; X5X5' = NR5; R5 = H, R6O2C, R6O, cyano, R6CO, alkyl, NO2, etc.; X6, X6' = H, R7R8N, R9O, R7R8NCO, R7R8NSO2, etc.; R7, R8 = H, alkyl; R9 = H, alkyl, acyl, etc.; m = 0-3; n = 1-3; p = 1, 2] were prepared I are inhibitors of the activity of Factor Xa. E.g., 7-hydroxynaphthalene-2-sulfonic acid Na salt was methylated with di-Me sulfate/NaOH, treated with phosphorus oxychloride/PCl5, and reacted with 3-(3S-amino-2-oxopyrrolidin-1-ylmethyl)benzonitrile hydrochloride to give 7-hydroxynaphthalene-2-sulfonic acid {1-[3-(aminoiminomethyl)benzyl]-2-oxopyrrolidin-3(S)-yl}amide trifluoroacetate. In a test of Factor Xa inhibition, the last had a Ki value of 35 nM.

IT 186549-38-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of substituted (sulfinic acid, sulfonic acid, sulfonylamino or sulfinylamino) N-[(aminoiminomethyl)phenylalkyl]azaheterocyclamide compds. as Factor Xa inhibitors)

RN 186549-38-2 CAPLUS

CN 2-Thiophenecarboximidamide, 4-[[[(3S)-3-[[[(5-chloro-3-methylbenzo[b]thien-2-



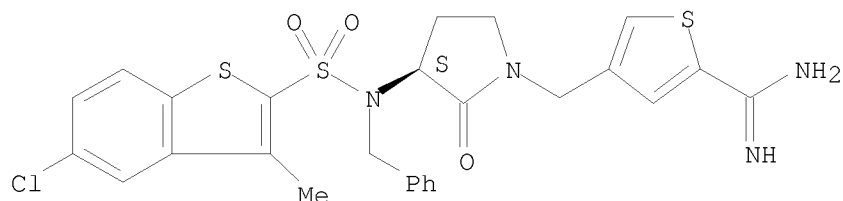
yl)sulfonyl](phenylmethyl)amino]-2-oxo-1-pyrrolidinyl)methyl]-,  
2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 186549-37-1

CMF C26 H25 Cl N4 O3 S3

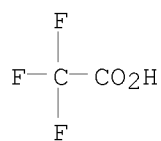
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



IT 186552-21-6P

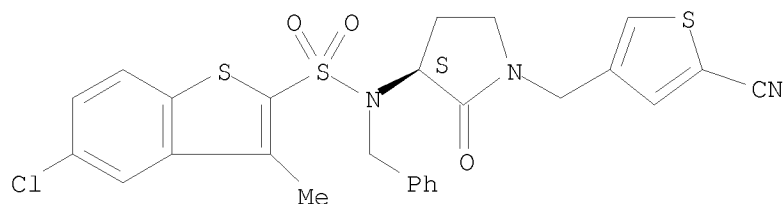
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(preparation of substituted (sulfinic acid, sulfonic acid, sulfonylamino or  
sulfinylamino) N-[(aminoiminomethyl)phenylalkyl]azaheterocyclamide  
comps. as Factor Xa inhibitors)

RN 186552-21-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[(3S)-1-[(5-cyano-3-  
thienyl)methyl]-2-oxo-3-pyrrolidinyl]-3-methyl-N-(phenylmethyl)- (CA  
INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 150 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1995:929484 CAPLUS

DN 124:116877

OREF 124:21764h,21765a

TI Substituted phenylsulfonamides as selective  $\beta$ 3 agonists for the treatment of diabetes and obesity

IN Fisher, Michael H.; Mathvink, Robert J.; Ok, Hyun O.; Parmee, Emma R.; Weber, Ann E.

PA Merck and Co., Inc., USA

SO U.S., 35 pp. Cont.-in-part of U.S. Ser. No. 15, 869, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5451677	A	19950919	US 1993-168105	19931215
				US 1993-15689	B2 19930209
	WO 9418161	A1	19940818	WO 1994-US766	19940119
	W: BB, BG, BR, BY, CN, CZ, FI, HU, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ				
	RW: BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
				US 1993-15689	A 19930209
				US 1993-168105	A 19931215
	CA 2114712	A1	19940810	CA 1994-2114712	19940201
				US 1993-15689	A 19930209
				US 1993-168105	A 19931215
	IL 108507	A	19980924	IL 1994-108507	19940201
				US 1993-15689	A 19930209
				US 1993-168105	A 19931215
	EP 611003	A1	19940817	EP 1994-200303	19940203
	EP 611003	B1	19970618		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
				US 1993-15689	A 19930209
				US 1993-168105	A 19931215
	AT 154594	T	19970715	AT 1994-200303	19940203
				US 1993-15689	A 19930209
				US 1993-168105	A 19931215
	ES 2104259	T3	19971001	ES 1994-200303	19940203
				US 1993-15689	A 19930209
				US 1993-168105	A 19931215
	ZA 9400846	A	19940905	ZA 1994-846	19940208
				US 1993-15689	A 19930209
	AU 9454986	A	19950629	AU 1994-54986	19940208
	AU 670477	B2	19960718		
				US 1993-15689	A 19930209
				US 1993-168105	A 19931215
	JP 07010827	A	19950113	JP 1994-15323	19940209
				US 1993-15689	A 19930209
				US 1993-168105	A 19931215

PATENT FAMILY INFORMATION:

FAN 1994:700591

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 611003	A1	19940817	EP 1994-200303	19940203
	EP 611003	B1	19970618		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
				US 1993-15689	A 19930209
				US 1993-168105	A 19931215
	US 5451677	A	19950919	US 1993-168105	19931215
				US 1993-15689	B2 19930209

OS CASREACT 124:116877; MARPAT 124:116877

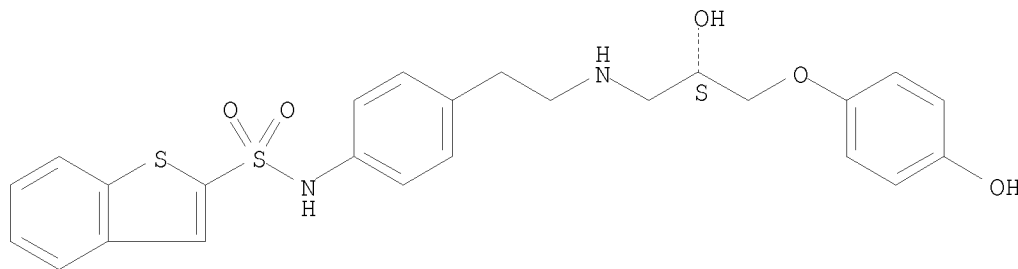
AB Substituted phenylsulfonamides I where n is 0 to 7; m is 0 or 1; p is 0 to 3; A is Ph, naphthyl, a 5 or 6-membered heterocyclic ring with from 1 to 4 heteroatoms selected from oxygen, sulfur or nitrogen, a benzene ring fused to a C3-8 cycloalkyl ring, a benzene ring fused to a 5 or 6-membered heterocyclic ring with from 1 to 3 heteroatoms selected from oxygen, sulfur or nitrogen or a 5 or 6-membered heterocyclic ring with from 1 to 3 heteroatoms selected from oxygen, sulfur or nitrogen; R1 = e.g., OH, oxo, halo, cyano nitro; R2 and R3 are independently, e.g., H, C1-6-alkyl; X = CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>, CH:CH; R4 and R5 are independently H, C1-6-alkyl, halo; R6 = H or C1-6-alkyl; R7 = C3-8-cycloalkyl or [B(R1)<sub>n</sub>] where B is, e.g., Ph, naphthyl, a 5 or 6-membered heterocyclic ring with from 1 to 4 heteroatoms selected from oxygen, sulfur or nitrogen; are selective  $\beta_3$  adrenergic receptor agonists with very little  $\beta_1$  and  $\beta_2$  adrenergic receptor activity and as such the compds. are capable of increasing lipolysis and energy expenditure in cells (no data). The compds. thus have potent activity in the treatment of Type II diabetes and obesity. The compds. can also be used to lower triglyceride levels and cholesterol levels or raise high d. lipoprotein levels or to decrease gut motility. In addition, the compds. can be used to reduced neurogenic inflammation or as antidepressant agents. The compds. are prepared by coupling an aminoalkylphenylsulfonamide with an appropriately substituted alkyl epoxide. Compns. and methods for the use of the compds. in the treatment of diabetes and obesity and for lowering triglyceride levels and cholesterol levels or raising high d. lipoprotein levels or for increasing gut motility are also disclosed. Thus, e.g., ring-cleavage reaction of N-[4-(2-aminoethyl)phenyl]benzenesulfonamide (preparation given) with (S)-2-1[(4-phenylmethoxy)phenyloxymethyl]oxirane (preparation given) followed by hydrogenolysis afforded sulfonamide II (48% yield of intermediate benzyl ether, 60% yield of II).

IT 159183-24-1P 159183-43-4P 159183-85-4P  
159184-06-2P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(substituted phenylsulfonamides as selective  $\beta_3$  agonists for treatment of diabetes and obesity)

RN 159183-24-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[2-[(2-hydroxy-3-(4-hydroxyphenoxy)propyl)amino]ethyl]phenyl]-, (S)- (9CI) (CA INDEX NAME)

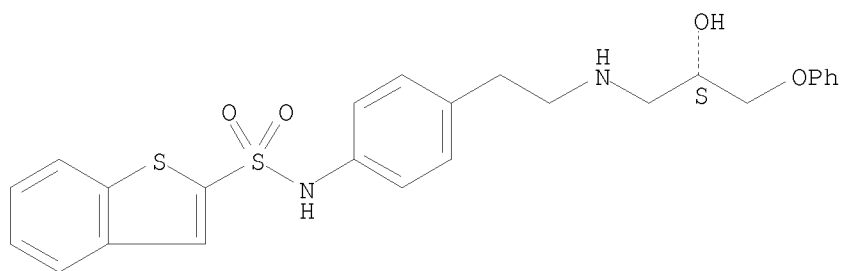
Absolute stereochemistry.



RN 159183-43-4 CAPLUS

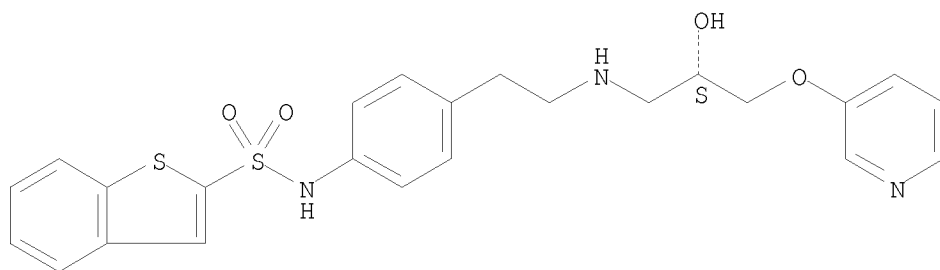
CN Benzo[b]thiophene-2-sulfonamide, N-[4-[2-[(2-hydroxy-3-phenoxypropyl)amino]ethyl]phenyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



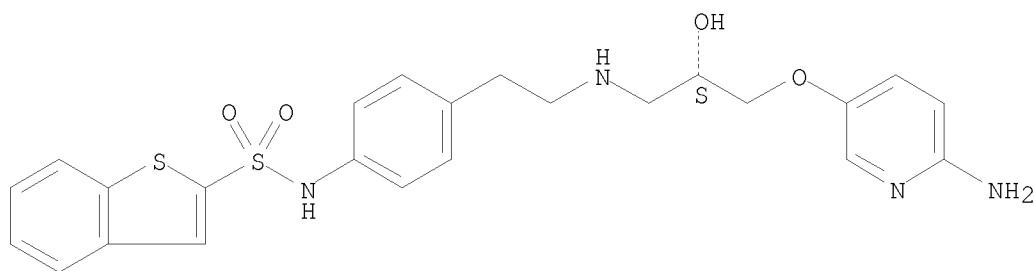
RN 159183-85-4 CAPLUS  
CN Benzo[b]thiophene-2-sulfonamide, N-[4-[2-[[2-hydroxy-3-(3-phenyloxy)propyl]amino]ethyl]phenyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159184-06-2 CAPLUS  
CN Benzo[b]thiophene-2-sulfonamide, N-[4-[2-[[3-[(6-amino-3-pyridinyl)oxy]-2-hydroxypropyl]amino]ethyl]phenyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 151 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 1994:700591 CAPLUS  
DN 121:300591  
OREF 121:55021a,55024a  
TI Preparation of substituted phenylsulfonamides as selective  $\beta$ 3  
adrenergic agonists for treatment of diabetes and obesity.  
IN Fisher, Michael H.; Parmee, Emma R.; Mathvink, Robert J.; Weber, Ann E.;  
Ok, Hyun O.

PA Merck and Co., Inc., USA  
 SO Eur. Pat. Appl., 62 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA English  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 611003	A1	19940817	EP 1994-200303	19940203
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				US 1993-15689	A 19930209
				US 1993-168105	A 19931215
	US 5451677	A	19950919	US 1993-168105	19931215
				US 1993-15689	B2 19930209

PATENT FAMILY INFORMATION:

FAN 1995:929484

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5451677	A	19950919	US 1993-168105	19931215
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	WO 9418161	A1	19940818	WO 1994-US766	19940119
	W: BB, BG, BR, BY, CN, CZ, FI, HU, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ				
	RW: BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
				US 1993-15689	A 19930209
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	CA 2114712	A1	19940810	CA 1994-2114712	19940201
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	IL 108507	A	19980924	IL 1994-108507	19940201
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	EP 611003	A1	19940817	EP 1994-200303	19940203
	EP 611003	B1	19970618		
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				US 1993-168105	A 19931215
	ES 2104259	T3	19971001	ES 1994-200303	19940203
				US 1993-15689	A 19930209
				US 1993-168105	A 19931215
	ZA 9400846	A	19940905	ZA 1994-846	19940208
				US 1993-15689	A 19930209
	AU 9454986	A	19950629	AU 1994-54986	19940208
	AU 670477	B2	19960718		
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				US 1993-168105	A 19931215
	JP 07010827	A	19950113	JP 1994-15323	19940209
				US 1993-15689	A 19930209
				US 1993-168105	A 19931215

OS MARPAT 121:300591

AB Title compds. I (n = 0-7; m = 0,1; r = 0-3; A = Ph, naphthyl, 5-6-membered heterocyclyl, a benzene ring fused to C3-8 cycloalkyl, a benzene ring fused to 5-6-membered heterocyclyl; R1 = HO, O, halo, NC, O2N, (substituted)amino, (substituted) HS, F3C, (substituted) C1-6 alkyl, C1-6 alkoxy, etc.; R2, R3 = H, (substituted) C1-6 alkyl, C1-6 alkoxy, halo; X =

CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>, CH:CH, CH<sub>2</sub>O; , R<sub>4</sub>, R<sub>5</sub> = H, C<sub>1</sub>-6 alkyl, halo, (substituted)amino, (substituted)HO, etc.; R<sub>6</sub> = H, C<sub>1</sub>-6 alkyl; R<sub>7</sub> = C<sub>1</sub>-6 alkyl, C<sub>3</sub>-8 cycloalkyl, Ph, etc.) useful for treatment of diabetes and obesity (no data), are prepared N-[4-(2-aminoethyl)phenyl]benzenesulfonamide (preparation given) in MeOH was treated with (S)-2-[[[4-phenylmethoxy]phenoxy]methyl]oxirane (preparation given) to give the protected benzenesulfonamide derivative which in THF was treated with Pd(OH)<sub>2</sub>/C to give (S)-I (m = 1, r = 0, R<sub>1</sub>nA = 4-(HO)C<sub>6</sub>H<sub>4</sub>, R<sub>2</sub>-6 = H, R<sub>7</sub> = Ph, X = H<sub>2</sub>C). I are also claimed for lowering of triglyceride levels and/or cholesterol levels and/or raise high d. lipoprotein levels, decreasing gut motility, reducing neurogenic inflammation , reducing depression, or treating gastrointestinal disorders.

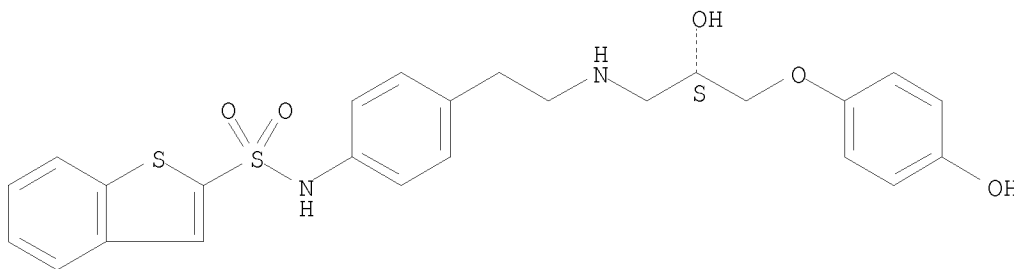
IT 159183-24-1P 159183-43-4P 159183-85-4P  
159184-06-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of substituted phenylsulfonamides as selective  $\beta$ 3 adrenergic agonists for treatment of diabetes and obesity)

RN 159183-24-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[2-[[2-hydroxy-3-(4-hydroxyphenoxy)propyl]amino]ethyl]phenyl]-, (S)- (9CI) (CA INDEX NAME)

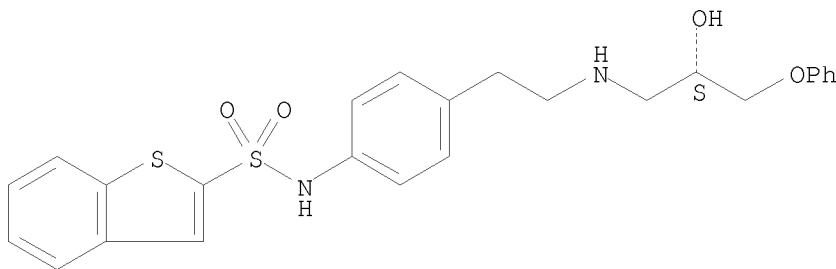
Absolute stereochemistry.



RN 159183-43-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[2-[[2-hydroxy-3-phenoxypropyl]amino]ethyl]phenyl]-, (S)- (9CI) (CA INDEX NAME)

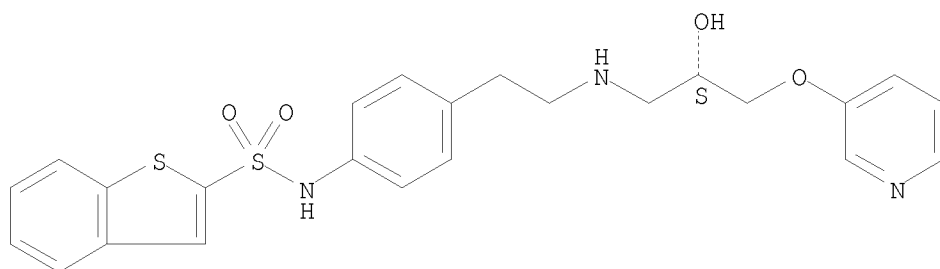
Absolute stereochemistry.



RN 159183-85-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[2-[[2-hydroxy-3-(3-pyridinyloxy)propyl]amino]ethyl]phenyl]-, (S)- (9CI) (CA INDEX NAME)

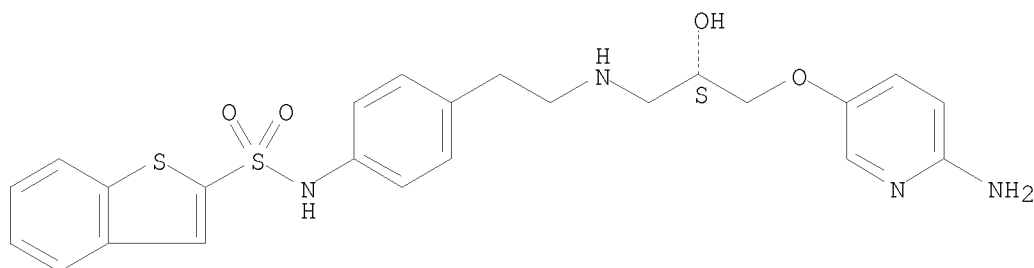
Absolute stereochemistry.



RN 159184-06-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[2-[[3-[(6-amino-3-pyridinyl)oxy]-2-hydroxypropyl]amino]ethyl]phenyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 152 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1962:2297 CAPLUS

DN 56:2297

OREF 56:439b-i

TI Sulfonation of thionaphthene and methylthionaphthenes

AU Pailer, M.; Romberger, Elfriede

CS Univ. Vienna

SO Monatshefte fuer Chemie (1961), 92, 677-83

CODEN: MOCMB7; ISSN: 0026-9247

DT Journal

LA Unavailable

AB The sulfonation of thionaphthene (I), and the 2-Me (II), 3-Mc (III), 5-Me (IV), and 2,3-di-Me derivs. (V) of I, the preparation of the corresponding sulfonyl chlorides, sulfonamides, and sulfonanilides, the determination of the position of the SO<sub>3</sub>H group on the I ring system, and the removal of the SO<sub>3</sub>H group were described. I (1 g.), 1 g. Ac<sub>2</sub>O, and 0.8 g. 66° Be. H<sub>2</sub>SO<sub>4</sub> mixed at 5-20° with stirring, stirred 1 h. at 20°, diluted with ice and H<sub>2</sub>O to about 20 cc., and extracted with Et<sub>2</sub>O, and the extract

washed and distilled gave some unchanged I and then the 3-Ac derivative of I,

b7

156-62°, m. 64-5.5° (petr. ether); the aqueous phase concentrated in vacuo to 5 cc., treated with 2 g. KCl as a hot-saturated sq. solution, cooled, and filtered gave 88% 2(or 3)-SO<sub>3</sub>K derivative (VI) of I. II gave similarly about 10% 3-Ac derivative of II, b<sub>10</sub> 145-60°, m. 71-2°, and the K salt of the 3-SO<sub>3</sub>H derivative (VII) of II. III yielded similarly (2 h.)

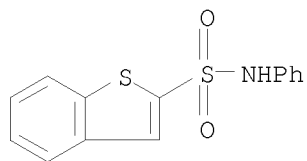
about 10% 2-Ac derivative of III, b14 164-70°, m. 77-8°, and the 2-SO3K derivative (VIII) of III. IV yielded in the same manner during 2 h. about 10% 3(or 2)-Ac derivative of IV, b9 155-70°, m. 109-11° (petr. ether), and the K salt of the 3(or 2)-SO3H derivative (IX) of IV. V gave similarly only the K salt of the 5(or 6)-SO3H derivative (X) of V. VI (37 g.) and 42.7 g. PCl5, stirred without heating and evaporated and the residue diluted with ice and extracted with Et2O gave 84% 3(or 2)-SO2Cl derivative (XI) of I, b0.05 110°, m. 88-90°. Similarly prepared were the following compds. (b.p. or sublimation temperature/mm., m.p., and % yield given): 3-SO2Cl derivative of II, 9-105°/0.05 (sublimed), 117-18°, 75; 2-SO2Cl derivative of III, 140°/0.01 (sublimed), 137-9°, 82; 3(or 2)-SO2Cl derivative of IV, 110-20°/0.05 (b.p.), 96-7°, 79; 5(or 6)-SO2Cl derivative of V, 125°/0.05 (sublimed), 130-2°, 85. The appropriate sulfonyl chloride (0.1 g.) and 8 cc. concentrated NH4OH heated 2 h. on the water bath, kept overnight, and extracted with Et2O gave the corresponding sulfonamide; in this manner, the following compds. were prepared (sublimation temperature or b.p./mm., m.p., and % yield given): 3-SO2NH2 derivative of I, 135-45°/0.001, 159-61°, 78; 3-SO2NH2 derivative of II, 160-4°/0.1, 149-51°, 88; 2-SO2NH2 derivative of III, 150-65°/0.07, 202-4°, 86; 3(or 2)-SO2NH2 derivative of IV, 130-40°/0.04, 173-5°, 99; 5(or 6)-SO2NH2 derivative of V, 170-80°/0.1, 228-30°, 71. The appropriate sulfonyl chloride (0.1 g.) in 2 cc. C6H6 kept 2-3 h. at 20° with 5 cc. PhNH2, diluted with Et2O, washed, dried, and distilled gave the corresponding sulfonanilide; in this manner the anilides of the following sulfonic acids were prepared (acid, b.p. of sulfanilide, m.p., and % yield given): 3(or 2)-SO3H derivative (XII) of I, 160-5°/0.01, 130-2°, 80; VII, 192-7°/0.1, 158-60°, 68; VIII, 165-75°/0.07, 153-5°, 75; IX, 175-80°/ 0.05, 158-60°, 96; X, 194-200°/0.1, 169-71°, 70. The appropriate sulfonyl chloride (0.2 g.) and 5 cc. H2O refluxed 12-14 h., washed with Et2O, and evaporated, and the residue dried by azeotropic distillation with C6H6 gave the corresponding free sulfonic acid; the hydrolysis solution from the chlorides treated with CaCO3 and the precipitate recrystd. from HCONMe2, MeOH, or EtOH gave the Ca salt of the corresponding sulfonic acid. The appropriate Ca salt (1 g.) and 30 cc. 85% H3PO4 heated with stirring to 160°, treated at 100-20° with steam, and the distillate (about 2 l.) extracted with Et2O gave the corresponding thionaphthene; the following results were obtained in this manner with the Ca salts of the sulfonic acids indicated (sulfonic acid, product, and % yield given): XII, I, 93; VII, II, 80; VIII, III, 89; IX, IV, 86; X, V, 66. VI gave similarly 94% I.

IT 92163-58-1P, Benzo[b]thiophene-2-sulfonanilide(?)  
93900-20-0P, Benzo[b]thiophene-2-sulfonanilide(?), 3-methyl-  
93900-21-1P, Benzo[b]thiophene-2-sulfonanilide(?), 5-methyl-(?)  
RL: PREP (Preparation)  
(preparation of)

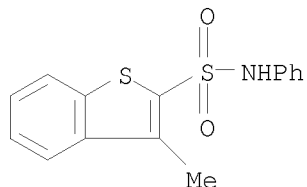
RN 92163-58-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-phenyl- (CA INDEX NAME)

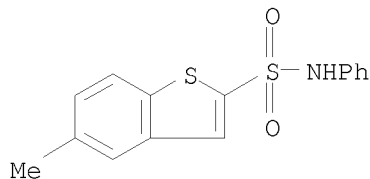




RN 93900-20-0 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 3-methyl-N-phenyl- (CA INDEX NAME)



RN 93900-21-1 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-methyl-N-phenyl- (CA INDEX NAME)



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FULL ESTIMATED COST

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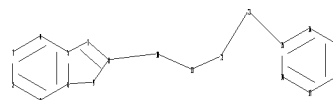
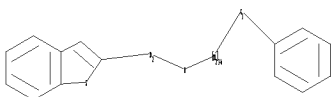
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<http://www.cas.org/support/stngen/stndoc/properties.html>

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ring nodes :
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chain bonds :
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ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 13-14 13-18 14-15 15-16 16-17
17-18
exact/norm bonds :
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exact bonds :
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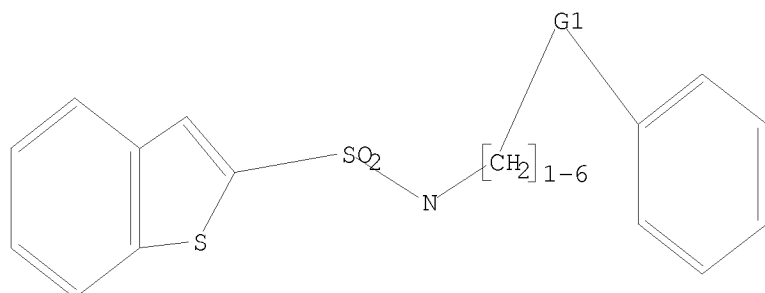
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11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 21:CLASS

L7 STRUCTURE UPLOADED

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L7 HAS NO ANSWERS

L7 STR



G1 O,S

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SAMPLE SEARCH INITIATED 16:08:12 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 86 TO ITERATE

100.0% PROCESSED 86 ITERATIONS

4 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 1164 TO 2276

PROJECTED ANSWERS: 4 TO 200

L8 4 SEA SSS SAM L7

=> search 17

ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:.

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FULL SEARCH INITIATED 16:08:22 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1557 TO ITERATE

100.0% PROCESSED 1557 ITERATIONS

77 ANSWERS

SEARCH TIME: 00.00.01

L9 77 SEA SSS FUL L7

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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
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CA SUBSCRIBER PRICE	0.00	-121.60

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FILE COVERS 1907 - 27 Oct 2008 VOL 149 ISS 18  
 FILE LAST UPDATED: 26 Oct 2008 (20081026/ED)

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L10 1 L9

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L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2004:718289 CAPLUS  
 DN 141:243332  
 TI Preparation of sulfonamide derivatives, in particular  
 N,N-benzo[b]thiophene sulfonamides, as PPAR modulators, especially PPAR  
 agonists  
 IN Conner, Scott Eugene; Gossett, Lynn Stacy; Green, Jonathan Edward; Jones,  
 Winton Dennis, Jr.; Mantlo, Nathan Bryan; Matthews, Donald Paul; Mayhugh,  
 Daniel Ray; Smith, Daryl Lynn; Vance, Jennifer Ann; Wang, Xiaodong;  
 Warshawsky, Alan M.; Winneroski, Leonard Larry, Jr.; Xu, Yanping; Zhu,  
 Guoxin  
 PA Eli Lilly and Company, USA  
 SO PCT Int. Appl., 435 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1  
 PATENT NO. KIND DATE APPLICATION NO. DATE

PI	WO 2004073606	A2	20040902	WO 2004-US2015	20040210
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EP	1597248	A2	20051123	EP 2004-709806	20040210
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US	20060217433	A1	20060928	US 2005-542579	20050715
				US 2003-448307P	P 20030214
				WO 2004-US2015	W 20040210

OS MARPAT 141:243332

AB Title compds. I [wherein A = II, III; D = (CH<sub>2</sub>)<sub>o</sub>; B = R<sub>1b</sub>-[C]q-R<sub>1a</sub>; E = O, S, NH and derivs.; W = -Y-(CR<sub>4</sub>R<sub>5</sub>)-Q, H, cyclo/halo/alkyl, acyl; Q = CO<sub>2</sub>H and derivs.; CO<sub>2</sub>NH<sub>2</sub>, sulfonamide, etc.; X = a bond, C, O, S, S[O]p; Z = (un)substituted aliphatic group, aryl, 5- to 10-membered heteroaryl, bi(hetero)aryl, heterocyclyl; o = 0-4; q = 0-3; m = 1-4; n = 1-2; R<sub>1</sub>, R<sub>2</sub> = independently H, wherein when Z = Ph or naphthyl and R<sub>2</sub> = H, R<sub>1</sub> is not H, halo, (un)substituted alk(en/yn)yl, aryl, or R<sub>1</sub> and R<sub>2</sub> form a 5- to 8-membered heterocycle; R<sub>1a</sub>, R<sub>1b</sub> = independently H, alkyl, or R<sub>1</sub> and R<sub>1a</sub>, R<sub>1b</sub> and R<sub>2</sub> and R<sub>1b</sub>, or R<sub>1a</sub> and R<sub>1b</sub> form a 3- to 6-membered heterocyclyl or carbocyclyl, where at least one of R<sub>1a</sub> and or R<sub>1b</sub> is not H; R<sub>2a</sub> = H, halo, (un)substituted alkyl and wherein R<sub>2</sub> and R<sub>2a</sub> together being a 3- to 8-membered ring; R<sub>3</sub> = H, halo, CN, (un)substituted cyclo/alkyl, (alkyl)heterocyclyl, etc.; R<sub>4</sub>, R<sub>5</sub> = independently H, halo, alkyl, alkoxy, aryloxy, NH<sub>2</sub> and derivs., SH and derivs., or R<sub>4</sub>CR<sub>5</sub> = 3- to 8-membered ring; and pharmaceutically acceptable salts, solvates, hydrates or stereoisomers thereof] were prepared as PPAR modulators, especially PPAR agonists.

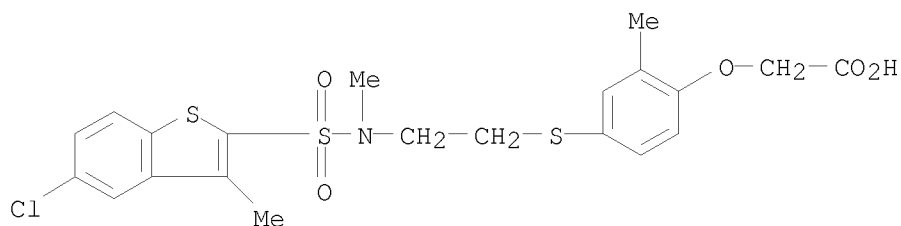
A multistep synthesis is given for sulfonamide IV. I displayed IC<sub>50</sub> and EC<sub>50</sub> in the range of about 1 nM to about 5 μM for binding to PPAR

alpha, gamma, and delta receptors. I are useful in treating or preventing disorders mediated by a peroxisome proliferator activated receptor (PPAR) such as syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.

IT 752132-74-4P, 4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](methyl)amino]ethyl]sulfanyl]-2-(methyl)phenoxy]acetic acid  
 752135-07-2P, 3-[4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-methylphenyl]propionic acid  
 752135-66-3P, Ethyl 2-[4-[[2-[[[(3-Bromo-5-chlorobenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxy]acetate  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (PPAR agonist; preparation of sulfonamides, in particular  
 N,N-benzo[b]thiophene sulfonamides, as PPAR agonists)

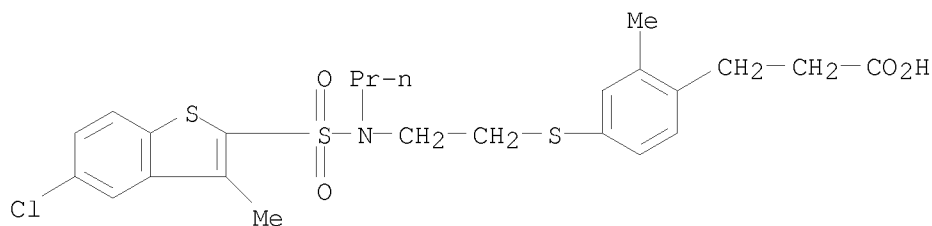
RN 752132-74-4 CAPLUS

CN Acetic acid, 2-[4-[[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



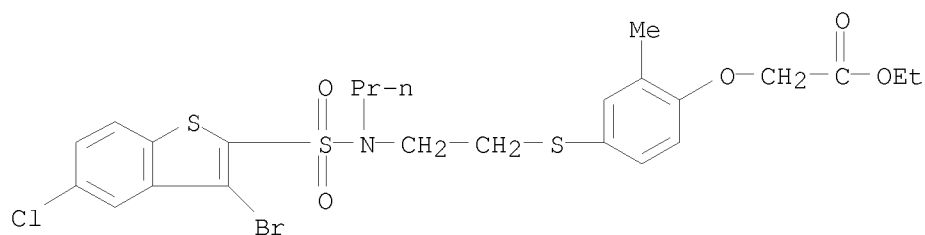
RN 752135-07-2 CAPLUS

CN Benzenepropanoic acid, 4-[[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methyl- (CA INDEX NAME)



RN 752135-66-3 CAPLUS

CN Acetic acid, 2-[4-[[2-[[[(3-bromo-5-chlorobenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)



IT 752131-91-2P, 4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-phenylpropyl)amino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752131-94-5P, 4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752131-96-7P, 4-[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethoxy]-2-(methyl)phenoxyacetic acid 752131-97-8P, 3-[4-[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethoxy]phenyl]propionic acid 752131-98-9P, 2-[[4-[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethoxy]-2-methylphenyl]oxy]-2-methylpropionic acid 752131-99-0P, [5-[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethoxy]indol-1-yl]acetic acid 752132-00-6P 752132-03-9P, 3-[4-[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](benzyl)amino]ethoxy]-2-methylphenyl]propionic acid 752132-04-0P, 3-[4-[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-phenylpropyl)amino]ethoxy]-2-methylphenyl]propionic acid 752132-33-5P, [2-Methyl-4-[[2-[[[(3-methyl-5-trifluoromethylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]phenoxy]acetic acid 752132-72-2P, 4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752132-76-6P, 4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-methylbutyl)amino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752132-78-8P, 4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3,3-dimethylbutyl)amino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752132-80-2P, 4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]cyclopropylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752132-82-4P, 4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](1-ethylpropyl)amino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752132-84-6P, 4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]cyclobutylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752132-86-8P, 4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]cyclopentylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752132-88-0P, 4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]cyclopropyl(methyl)amino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752132-90-4P, 4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]pentylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752132-92-6P, 4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](butyl)amino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752132-95-9P, 4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-dimethylaminoethyl)amino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid trifluoroacetate 752132-98-2P, 4-[[3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752133-11-2P, 4-[[4-[[[(5-Chloro-3-methylbenzo[b]thien-2-

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 752133-39-4P, [[4-[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methylphenyl]sulfanyl]acetic acid  
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 752135-39-0P, 4-[2-[[[(7-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid  
 752135-44-7P, 4-[2-[[[(4-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid  
 752135-47-0P, 4-[2-[[[(3-Methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid  
 752135-49-2P, 4-[2-[[[(5-Chlorobenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid  
 752135-58-3P, 4-[2-[[[(5-Chloro-3-trifluoromethylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid  
 752135-69-6P, 4-[2-[[[(3-Bromo-5-chlorobenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid  
 752135-70-9P, [4-[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-propylphenoxy]acetic acid  
 752135-72-1P, [4-[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]phenoxy]acetic acid  
 752135-74-3P, [4-[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-trifluoromethylphenoxy]acetic acid  
 752135-84-5P, [3-Chloro-4-[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]phenyl]acetic acid  
 752135-95-8P, 4-[2-[[[(5-Fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid  
 752135-96-9P, 4-[2-[[[(6-Fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid  
 752136-85-9P 752137-35-2P 752137-52-3P,  
 3-[4-[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-[[[isopropoxycarbonyl]amino]methyl]phenyl]propionic acid  
 752137-58-9P, 2-[5-[2-[[[(5-Fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]indol-1-yl]propionic acid  
 752137-64-7P, 2-[5-[2-[[[(3-Methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]indol-1-yl]propionic acid  
 752137-65-8P, 2-[5-[2-[[[(5-Fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]indol-1-yl]-2-methylpropionic acid  
 752137-69-2P, 2-Methyl-2-[5-[2-[[[(3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]indol-1-yl]propionic acid  
 752137-72-7P, 2-[5-[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]indol-1-yl]-2-methylpropionic acid

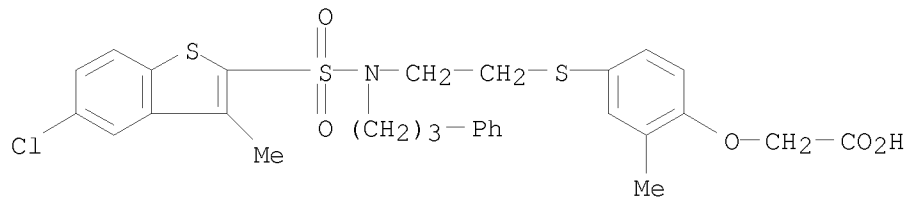


752137-91-0P, 2-[[4-[2-[[[(3-Ethylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-3-propylphenyl]oxy]-2-methylpropionic acid  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PPAR agonist; preparation of sulfonamides, in particular N,N-benzo[b]thiophene sulfonamides, as PPAR agonists)

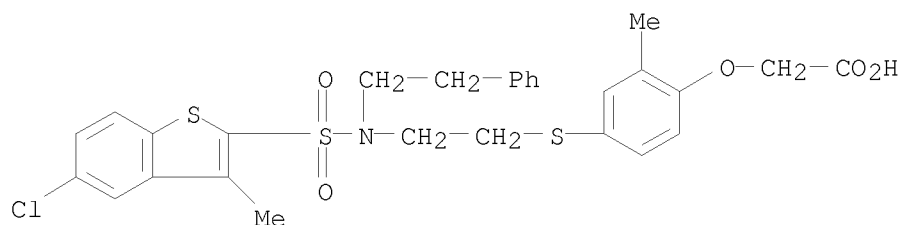
RN 752131-91-2 CAPLUS

CN Acetic acid, 2-[4-[[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-phenylpropyl)amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



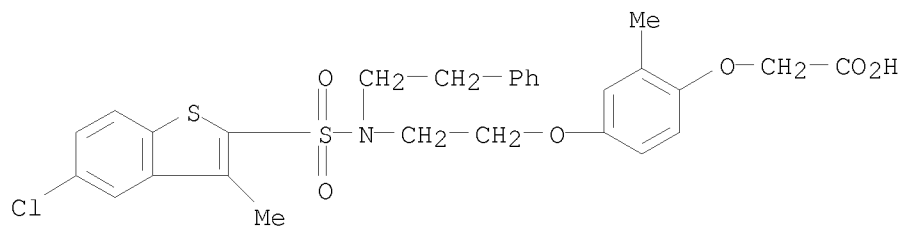
RN 752131-94-5 CAPLUS

CN Acetic acid, 2-[4-[[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



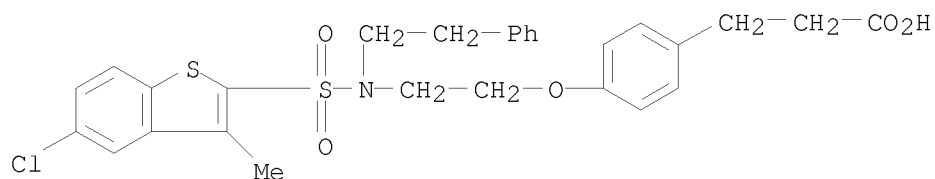
RN 752131-96-7 CAPLUS

CN Acetic acid, 2-[4-[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2-methylphenoxy]- (CA INDEX NAME)



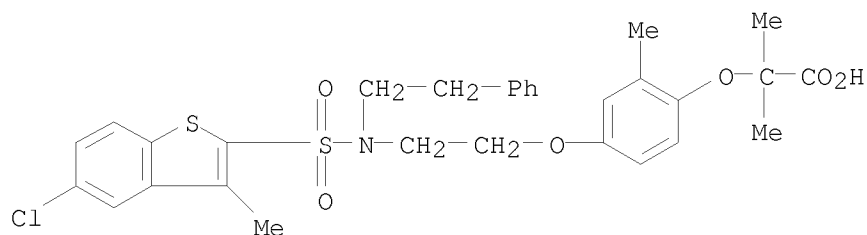
RN 752131-97-8 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]- (CA INDEX NAME)



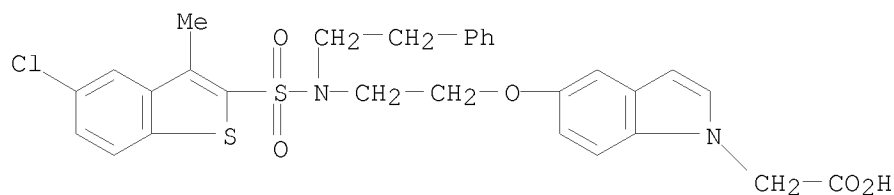
RN 752131-98-9 CAPLUS

CN Propanoic acid, 2-[4-[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)



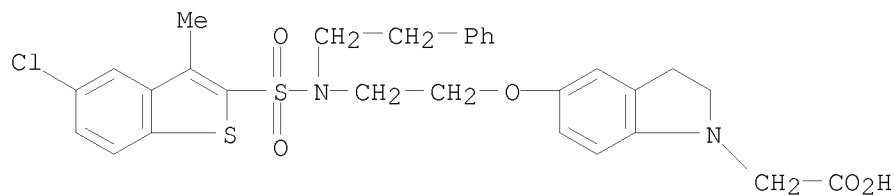
RN 752131-99-0 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]- (CA INDEX NAME)



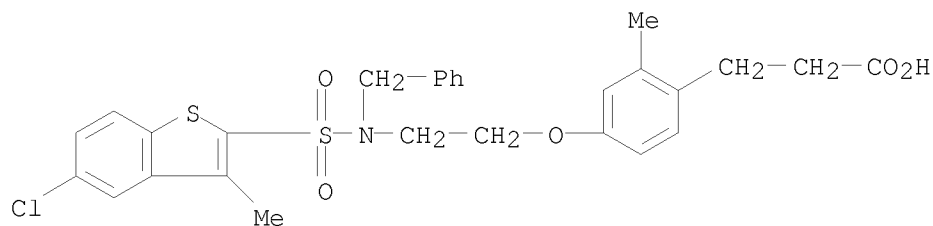
RN 752132-00-6 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2,3-dihydro- (CA INDEX NAME)



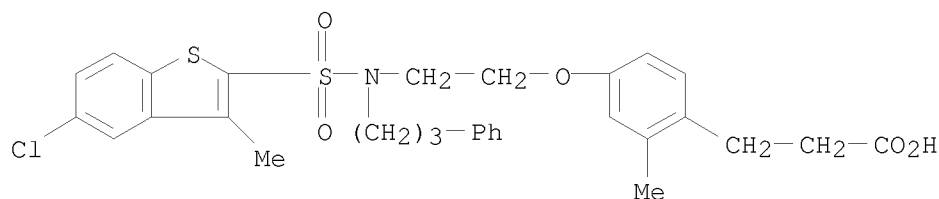
RN 752132-03-9 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](phenylmethyl)amino]ethoxy]-2-methyl- (CA INDEX NAME)



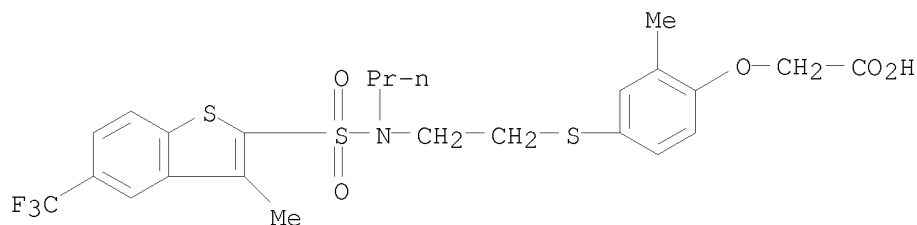
RN 752132-04-0 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-phenylpropyl)amino]ethoxy]-2-methyl- (CA INDEX NAME)



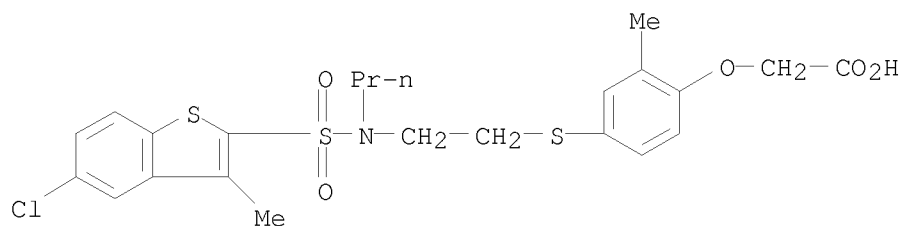
RN 752132-33-5 CAPLUS

CN Acetic acid, 2-[2-methyl-4-[[2-[[[3-methyl-5-(trifluoromethyl)benzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]phenoxy]- (CA INDEX NAME)



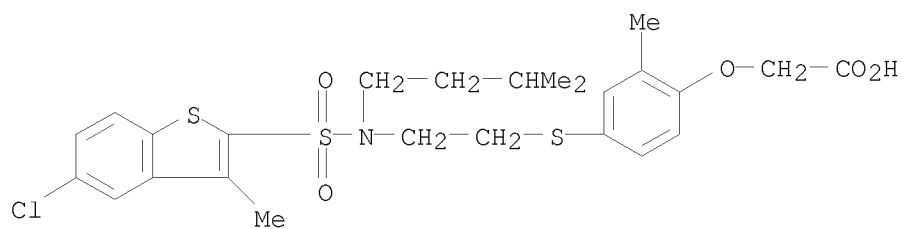
RN 752132-72-2 CAPLUS

CN Acetic acid, 2-[4-[[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



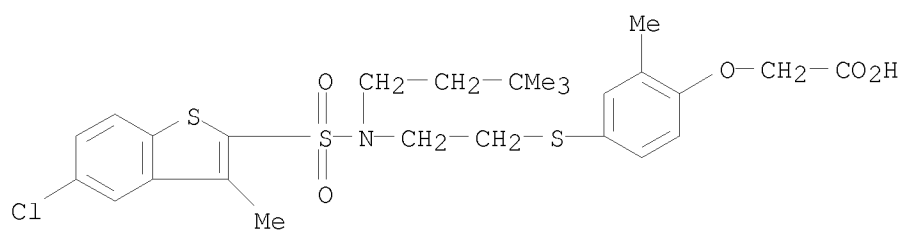
RN 752132-76-6 CAPLUS

CN Acetic acid, 2-[4-[[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-methylbutyl)amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



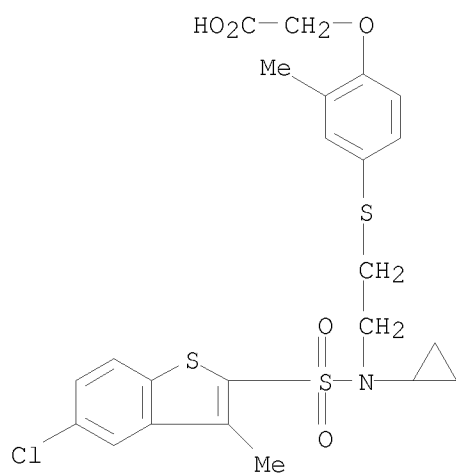
RN 752132-78-8 CAPLUS

CN Acetic acid, 2-[4-[[2-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3,3-dimethylbutyl)amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



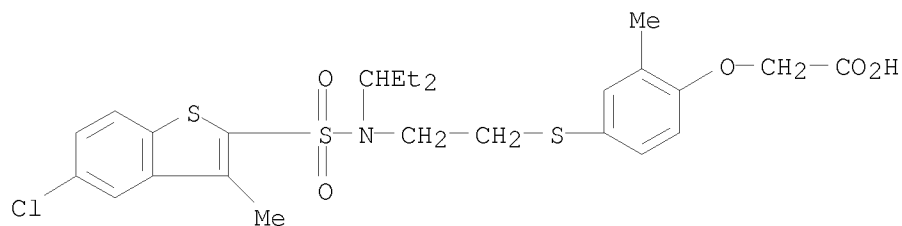
RN 752132-80-2 CAPLUS

CN Acetic acid, 2-[4-[[2-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]cyclopropylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



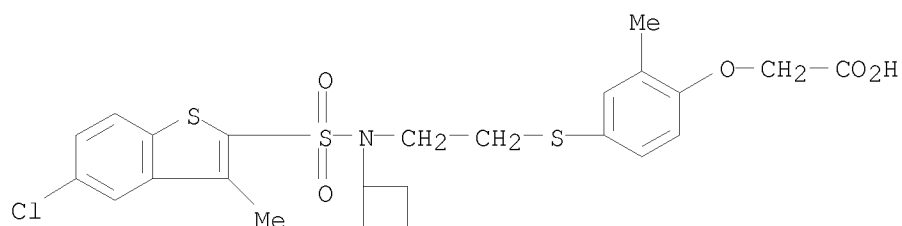
RN 752132-82-4 CAPLUS

CN Acetic acid, 2-[4-[[2-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](1-ethylpropyl)amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



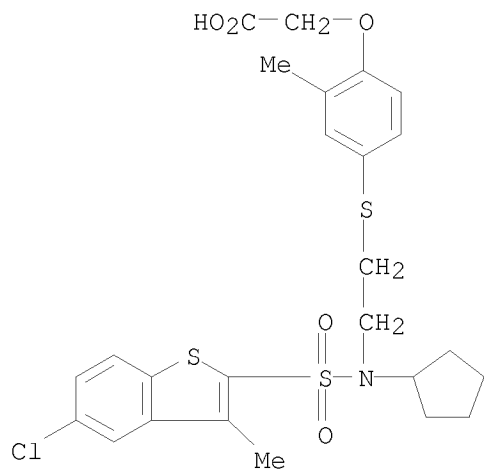
RN 752132-84-6 CAPLUS

CN Acetic acid, 2-[4-[[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]cyclobutylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



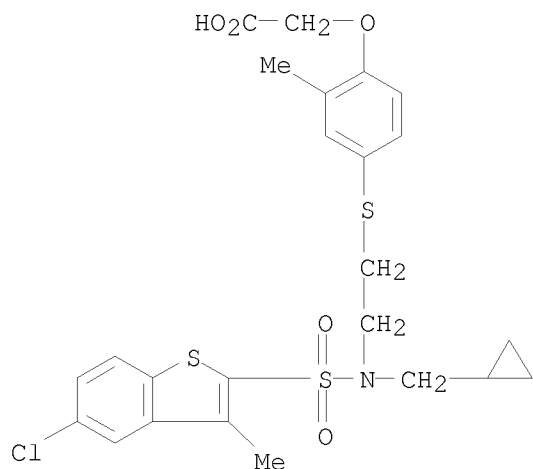
RN 752132-86-8 CAPLUS

CN Acetic acid, 2-[4-[[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]cyclopentylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



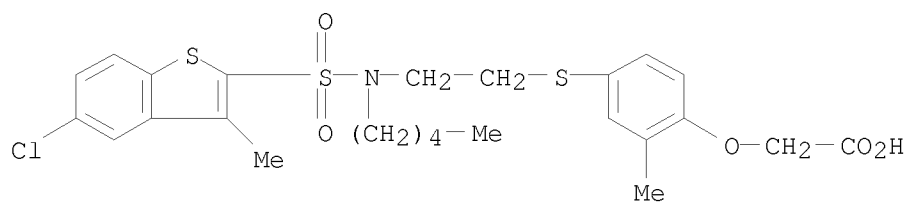
RN 752132-88-0 CAPLUS

CN Acetic acid, 2-[4-[[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](cyclopropylmethyl)amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



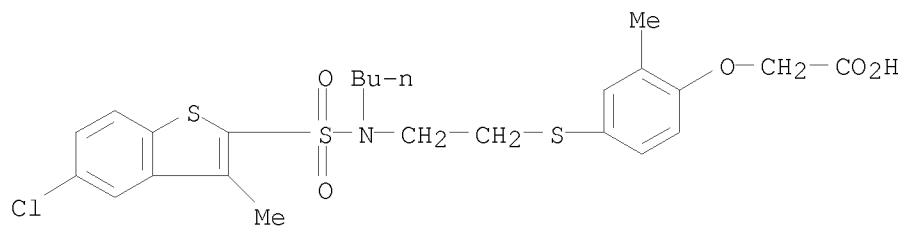
RN 752132-90-4 CAPLUS

CN Acetic acid, 2-[4-[[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]pentylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



RN 752132-92-6 CAPLUS

CN Acetic acid, 2-[4-[[2-[butyl[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



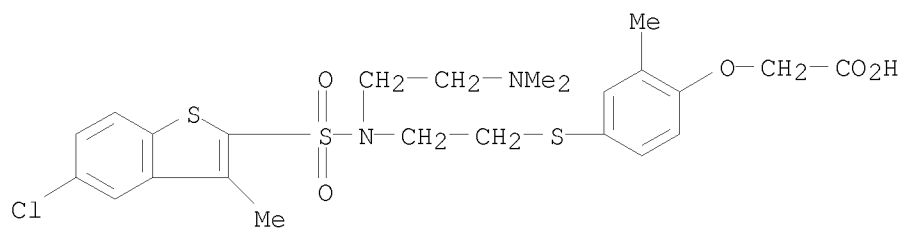
RN 752132-95-9 CAPLUS

CN Acetic acid, 2-[4-[[2-[[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]ethyl]amino]ethyl]thio]-2-methylphenoxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 752132-94-8

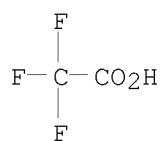
CMF C24 H29 Cl N2 O5 S3



CM 2

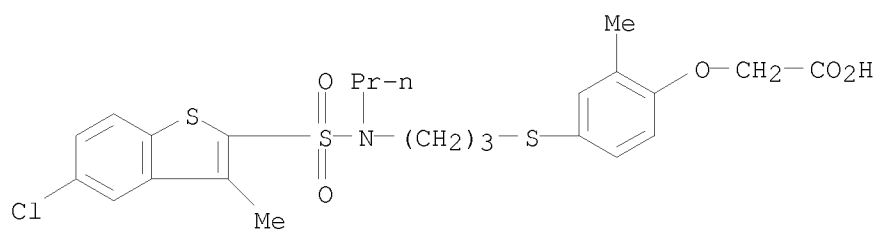
CRN 76-05-1

CMF C2 H F3 O2



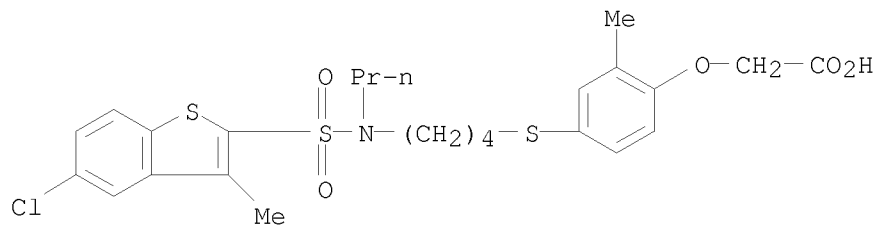
RN 752132-98-2 CAPLUS

CN Acetic acid, 2-[4-[[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



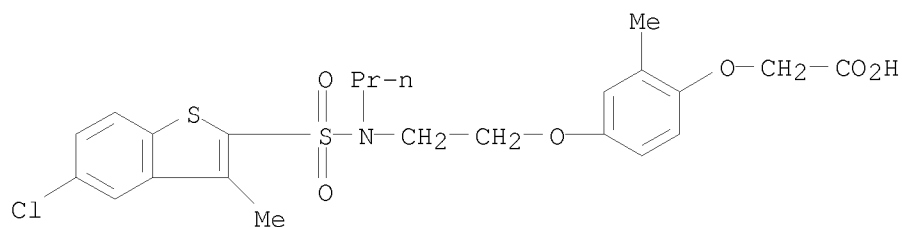
RN 752133-11-2 CAPLUS

CN Acetic acid, 2-[4-[[4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]butyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



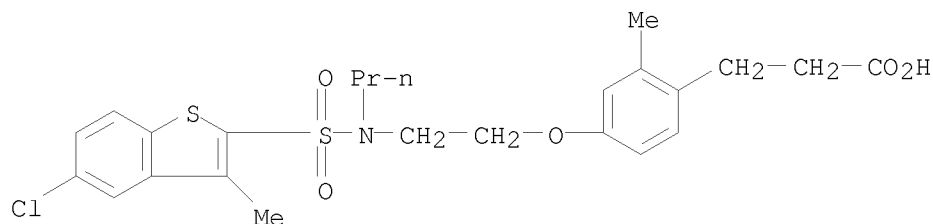
RN 752133-13-4 CAPLUS

CN Acetic acid, 2-[4-[[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methylphenoxy]- (CA INDEX NAME)



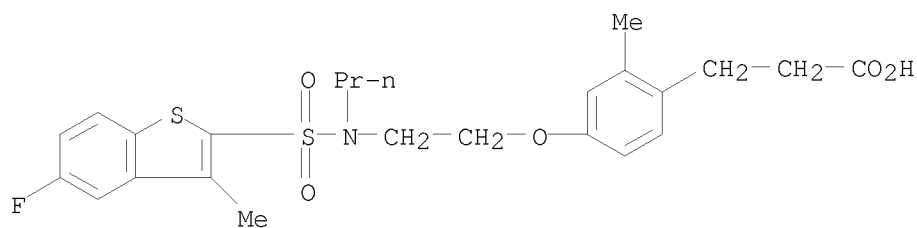
RN 752133-16-7 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methyl- (CA INDEX NAME)



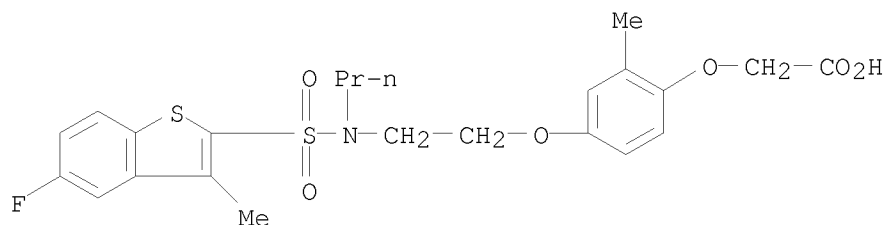
RN 752133-29-2 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methyl- (CA INDEX NAME)



RN 752133-32-7 CAPLUS

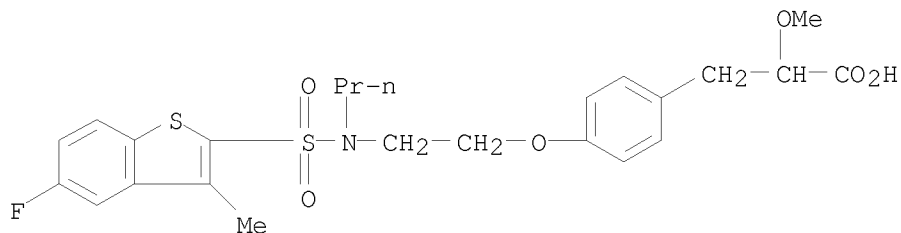
CN Acetic acid, 2-[4-[2-[[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methylphenoxy]- (CA INDEX NAME)



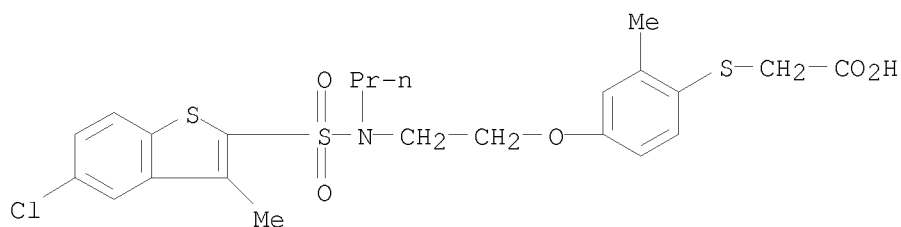
RN 752133-34-9 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]- $\alpha$ -methoxy- (CA INDEX NAME)

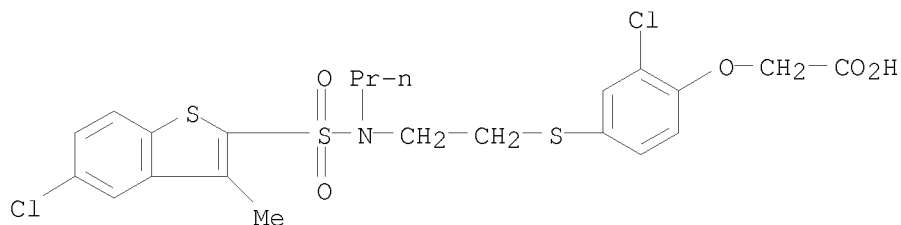




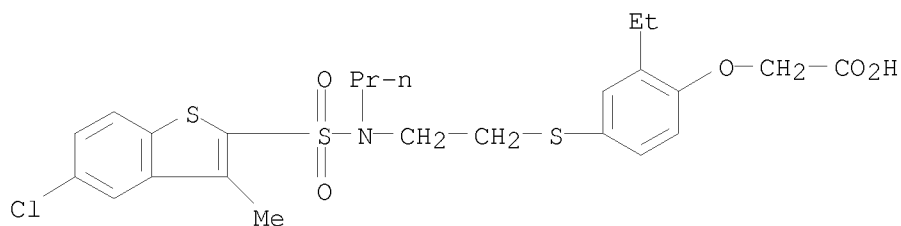
RN 752133-39-4 CAPLUS  
 CN Acetic acid, 2-[[4-[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methylphenyl]thio]- (CA INDEX NAME)



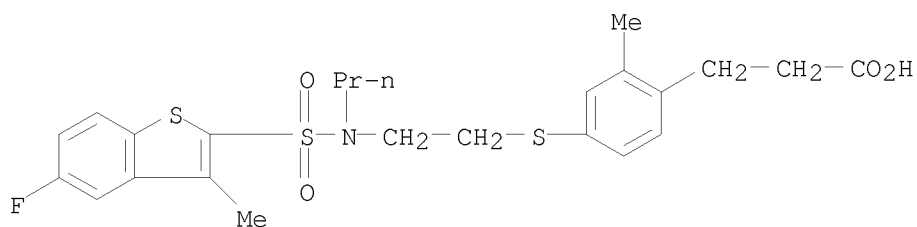
RN 752133-83-8 CAPLUS  
 CN Acetic acid, 2-[2-chloro-4-[[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]phenoxy]- (CA INDEX NAME)



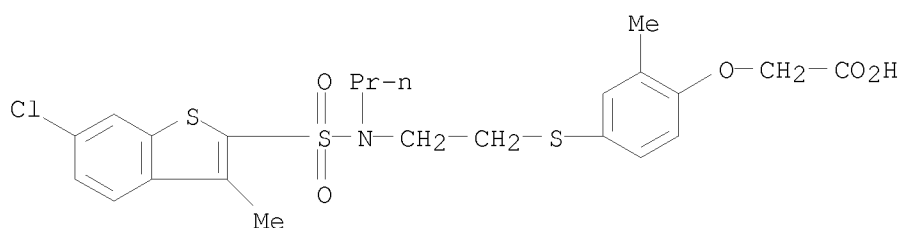
RN 752133-85-0 CAPLUS  
 CN Acetic acid, 2-[4-[[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-ethylphenoxy]- (CA INDEX NAME)



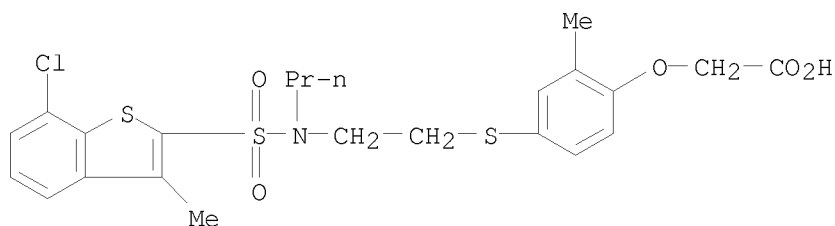
RN 752134-97-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[[2-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methyl- (CA INDEX NAME)



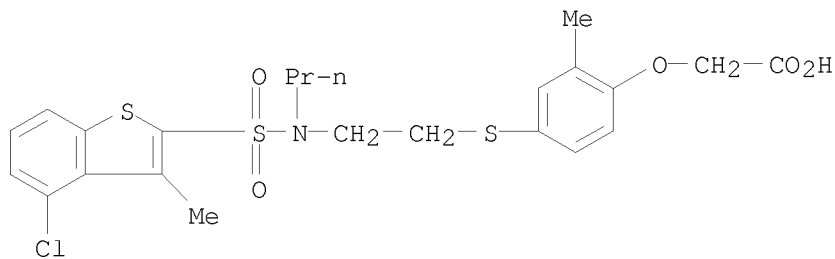
RN 752135-35-6 CAPLUS  
 CN Acetic acid, 2-[4-[[2-[(6-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



RN 752135-39-0 CAPLUS  
 CN Acetic acid, 2-[4-[[2-[(7-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

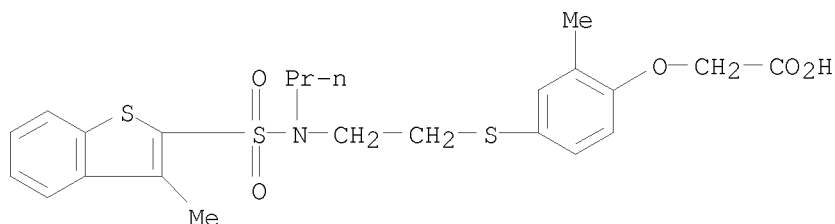


RN 752135-44-7 CAPLUS  
 CN Acetic acid, 2-[4-[[2-[(4-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



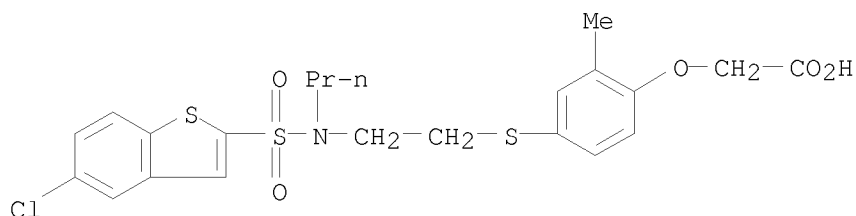
RN 752135-47-0 CAPLUS

CN Acetic acid, 2-[2-methyl-4-[[2-[[[3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]phenoxy]- (CA INDEX NAME)



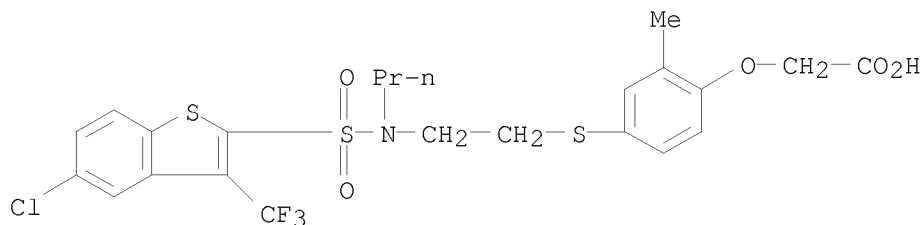
RN 752135-49-2 CAPLUS

CN Acetic acid, 2-[4-[[2-[[[5-chlorobenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



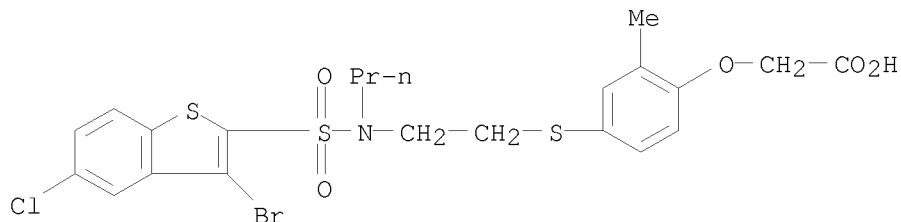
RN 752135-58-3 CAPLUS

CN Acetic acid, 2-[4-[[2-[[[5-chloro-3-(trifluoromethyl)benzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

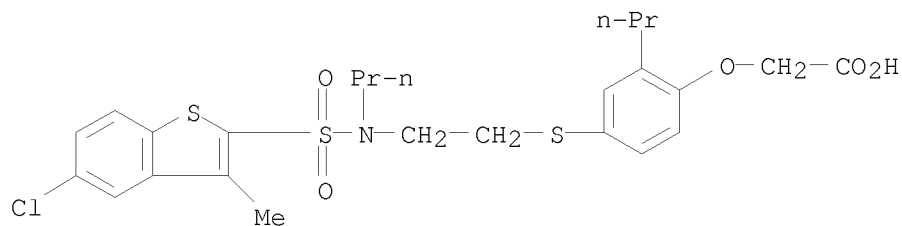


RN 752135-69-6 CAPLUS

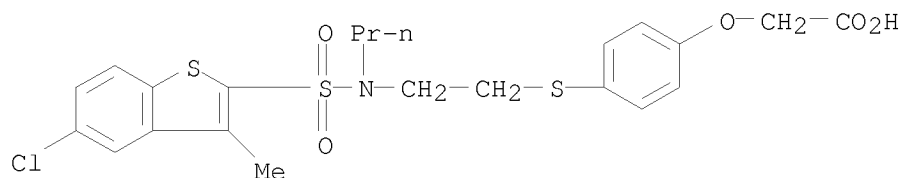
CN Acetic acid, 2-[4-[[2-[[[3-bromo-5-chlorobenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



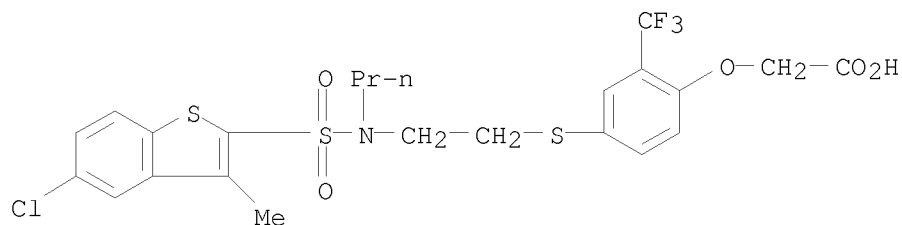
RN 752135-70-9 CAPLUS  
 CN Acetic acid, 2-[4-[[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-propylphenoxy]- (CA INDEX NAME)



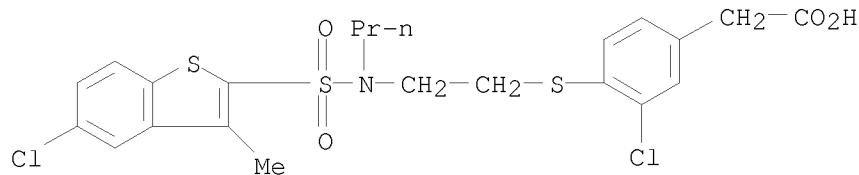
RN 752135-72-1 CAPLUS  
 CN Acetic acid, 2-[4-[[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]phenoxy]- (CA INDEX NAME)



RN 752135-74-3 CAPLUS  
 CN Acetic acid, 2-[4-[[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-(trifluoromethyl)phenoxy]- (CA INDEX NAME)

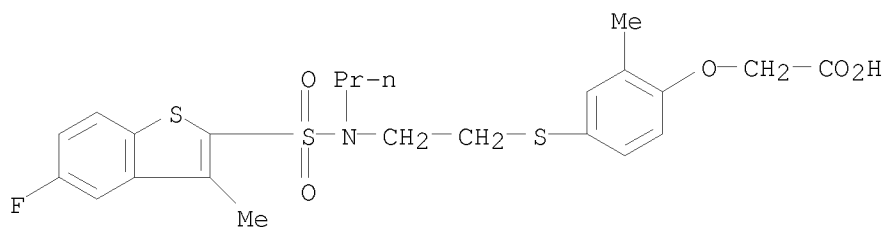


RN 752135-84-5 CAPLUS  
 CN Benzeneacetic acid, 3-chloro-4-[[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]- (CA INDEX NAME)



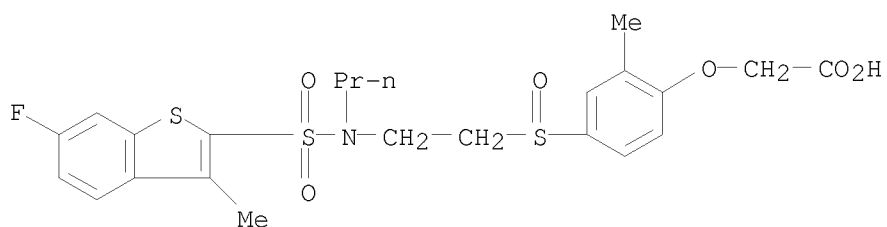
RN 752135-95-8 CAPLUS  
 CN Acetic acid, 2-[4-[[2-[[[5-fluoro-3-methylbenzo[b]thien-2-

yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



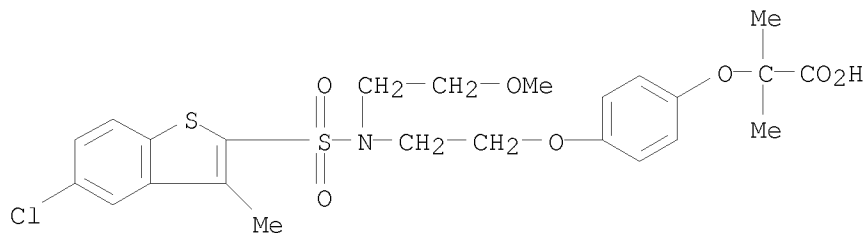
RN 752135-96-9 CAPLUS

CN Acetic acid, 2-[4-[[2-[(6-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfinyl]-2-methylphenoxy]- (CA INDEX NAME)



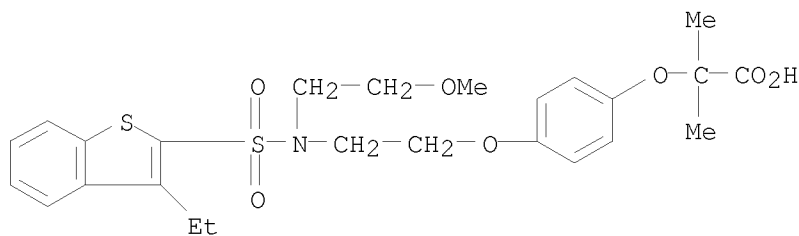
RN 752136-85-9 CAPLUS

CN Propanoic acid, 2-[4-[[2-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]phenoxy]-2-methyl- (CA INDEX NAME)



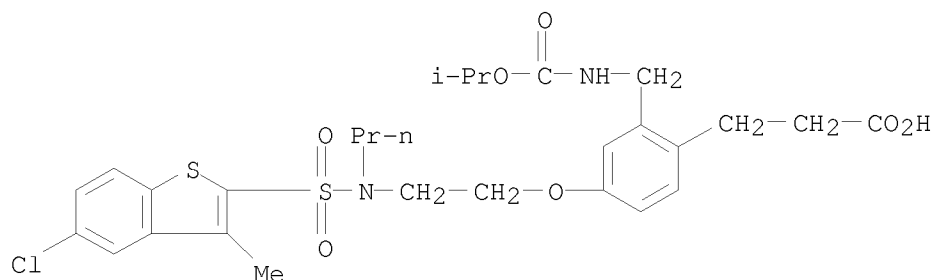
RN 752137-35-2 CAPLUS

CN Propanoic acid, 2-[4-[[2-[(3-ethylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]phenoxy]-2-methyl- (CA INDEX NAME)



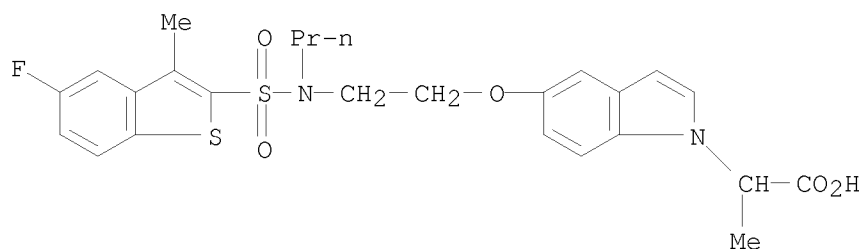
RN 752137-52-3 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-[[[(1-methylethoxy)carbonyl]amino]methyl]- (CA INDEX NAME)



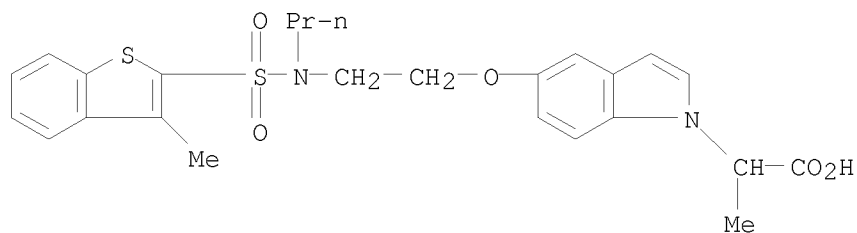
RN 752137-58-9 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[2-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]- $\alpha$ -methyl- (CA INDEX NAME)



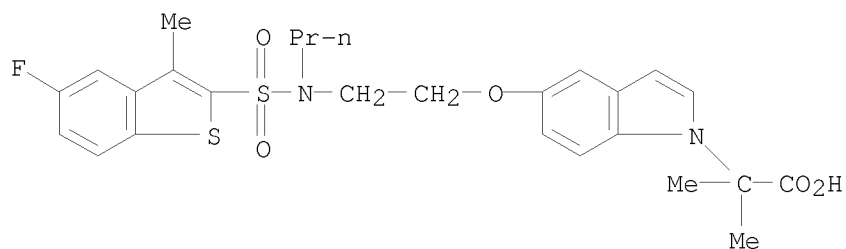
RN 752137-64-7 CAPLUS

CN 1H-Indole-1-acetic acid,  $\alpha$ -methyl-5-[2-[[[(3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]- (CA INDEX NAME)

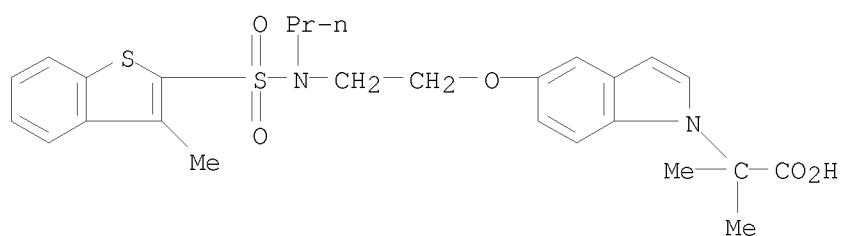


RN 752137-65-8 CAPLUS

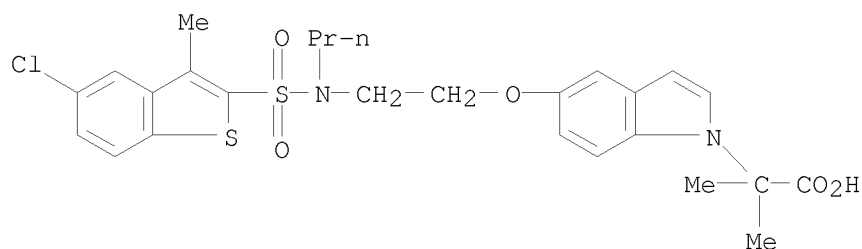
CN 1H-Indole-1-acetic acid, 5-[2-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]- $\alpha,\alpha$ -dimethyl- (CA INDEX NAME)



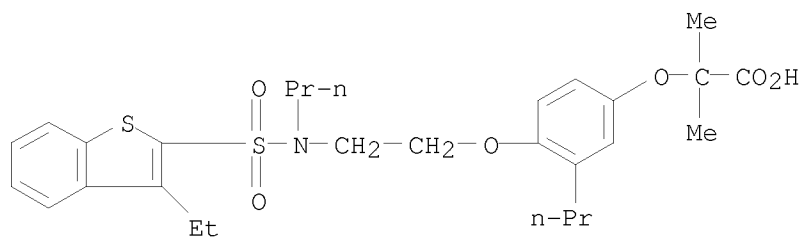
RN 752137-69-2 CAPLUS  
 CN 1H-Indole-1-acetic acid,  $\alpha,\alpha$ -dimethyl-5-[2-[[[3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]- (CA INDEX NAME)



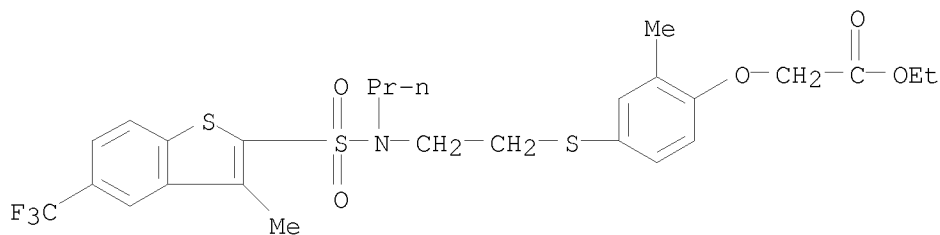
RN 752137-72-7 CAPLUS  
 CN 1H-Indole-1-acetic acid, 5-[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]- $\alpha,\alpha$ -dimethyl- (CA INDEX NAME)



RN 752137-91-0 CAPLUS  
 CN Propanoic acid, 2-[4-[2-[[[3-ethylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-3-propylphenoxy]-2-methyl- (CA INDEX NAME)

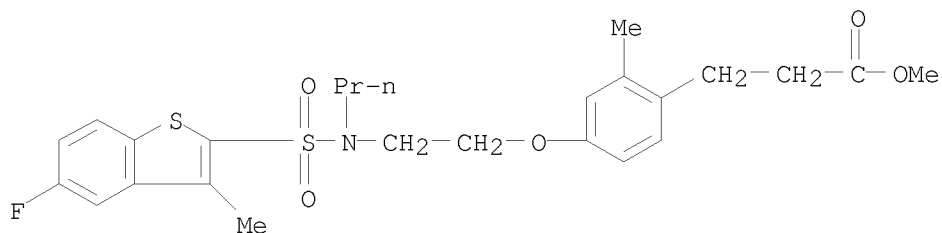


IT 752132-40-4P, [2-Methyl-4-[[2-[[[3-methyl-5-trifluoromethylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]phenoxy]acetic acid ethyl ester  
 752133-31-6P, 3-[4-[2-[[[5-Fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methylphenyl]propionic acid methyl ester  
 752133-33-8P, Ethyl-2-[4-[2-[[[5-Fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-(methyl)phenoxy]acetate  
 752133-35-0P, 3-[4-[2-[[[5-Fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]phenyl]-2-methoxypropionic acid ethyl ester  
 752133-44-1P, [[4-[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methylphenyl]sulfanyl]acetic acid ethyl ester  
 752133-84-9P, [2-Chloro-4-[[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]phenoxy]acetic acid ethyl ester  
 752133-86-1P, Ethyl-2-[4-[[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(ethyl)phenoxy]acetate  
 752134-98-8P 752135-08-3P 752135-38-9P  
 752135-43-6P 752135-46-9P 752135-48-1P  
 752135-62-9P, Ethyl-2-[4-[[2-[[[5-chloro-3-trifluoromethylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxy]acetate  
 752135-71-0P, Ethyl-2-[4-[[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-propylphenoxy]acetate  
 752135-73-2P, [4-[[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]phenoxy]acetic acid ethyl ester  
 752135-75-4P 752135-86-7P,  
 [3-Chloro-4-[[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]phenyl]acetic acid methyl ester  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of sulfonamides, in particular N,N-benzo[b]thiophene sulfonamides, as PPAR agonists)  
 RN 752132-40-4 CAPLUS  
 CN Acetic acid, 2-[2-methyl-4-[[2-[[[3-methyl-5-(trifluoromethyl)benzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]phenoxy]-, ethyl ester (CA INDEX NAME)



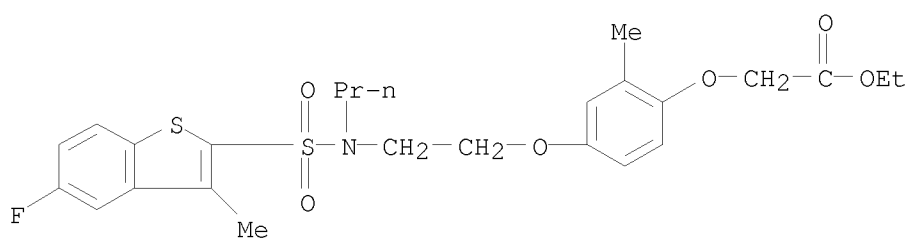
RN 752133-31-6 CAPLUS  
 CN Benzenepropanoic acid, 4-[2-[[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methyl-, methyl ester (CA INDEX NAME)





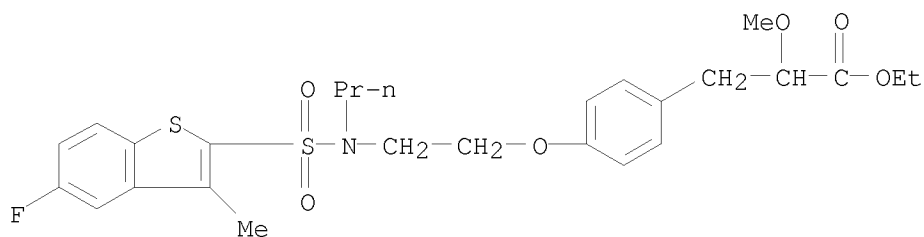
RN 752133-33-8 CAPLUS

CN Acetic acid, 2-[4-[2-[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)



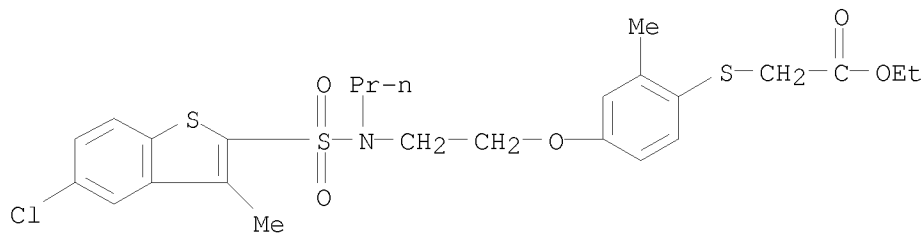
RN 752133-35-0 CAPLUS

CN Benzenepropanoic acid, 4-[2-[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-α-methoxy-, ethyl ester (CA INDEX NAME)

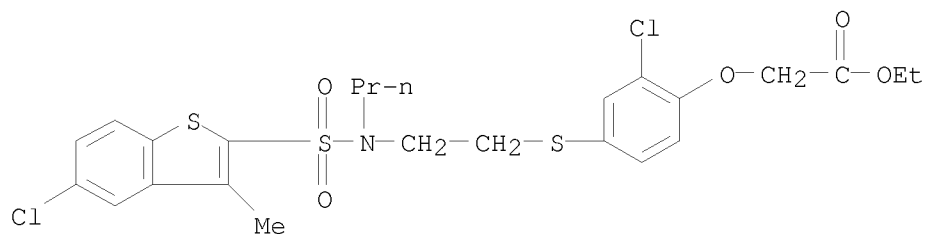


RN 752133-44-1 CAPLUS

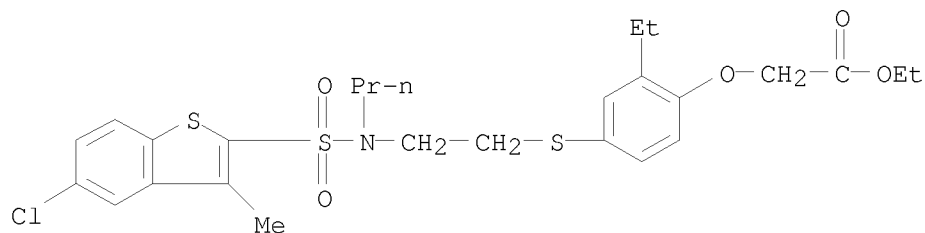
CN Acetic acid, 2-[4-[2-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methylphenyl]thio]-, ethyl ester (CA INDEX NAME)



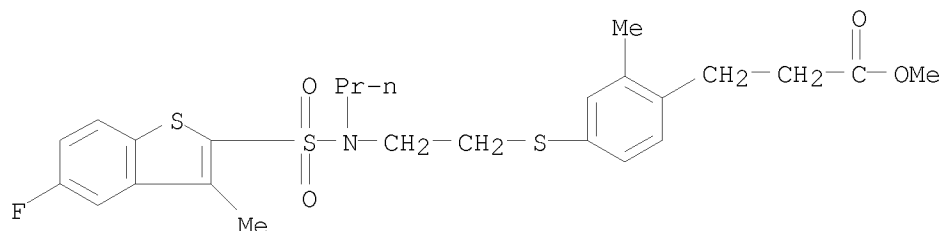
RN 752133-84-9 CAPLUS  
 CN Acetic acid, 2-[2-chloro-4-[[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]phenoxy]-, ethyl ester (CA INDEX NAME)



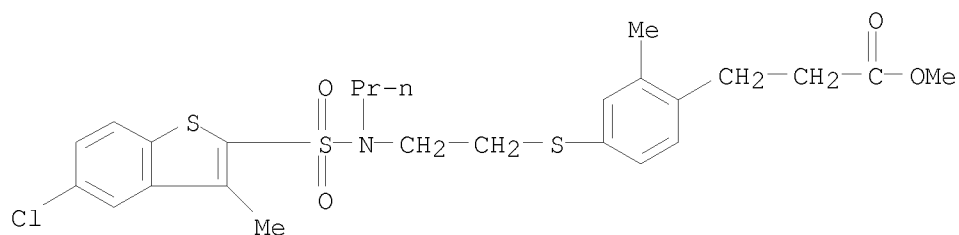
RN 752133-86-1 CAPLUS  
 CN Acetic acid, 2-[4-[[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-ethylphenoxy]-, ethyl ester (CA INDEX NAME)



RN 752134-98-8 CAPLUS  
 CN Benzenepropanoic acid, 4-[[2-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methyl-, methyl ester (CA INDEX NAME)

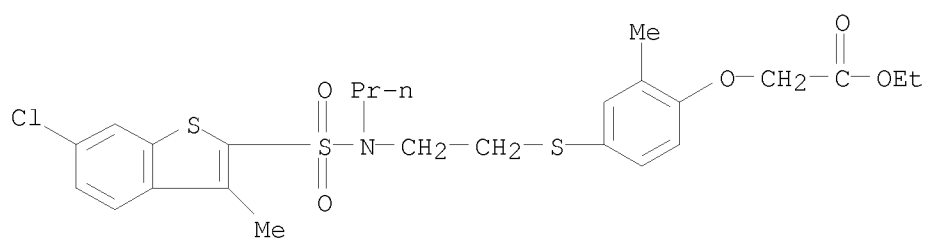


RN 752135-08-3 CAPLUS  
 CN Benzenepropanoic acid, 4-[[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methyl-, methyl ester (CA INDEX NAME)



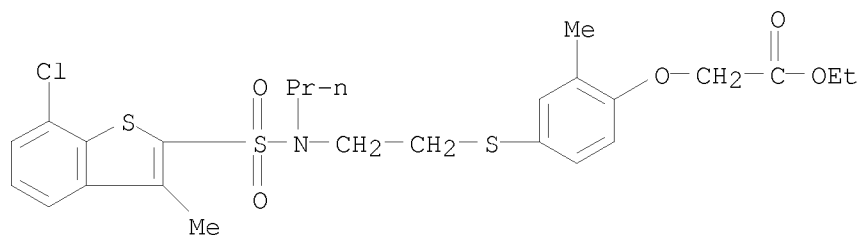
RN 752135-38-9 CAPLUS

CN Acetic acid, 2-[4-[[2-[(6-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)



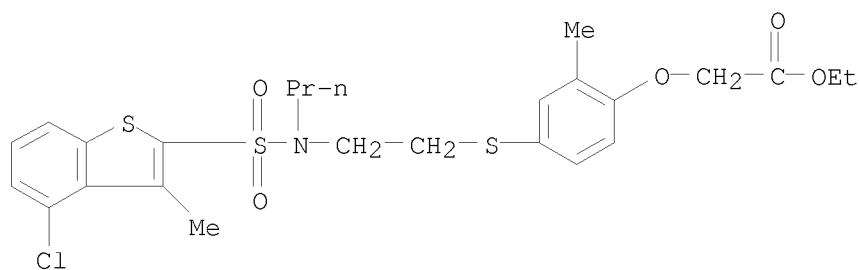
RN 752135-43-6 CAPLUS

CN Acetic acid, 2-[4-[[2-[(7-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)

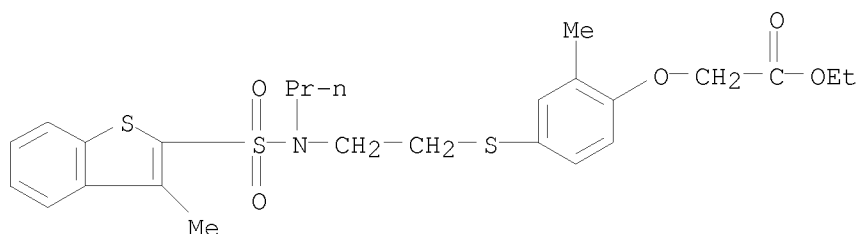


RN 752135-46-9 CAPLUS

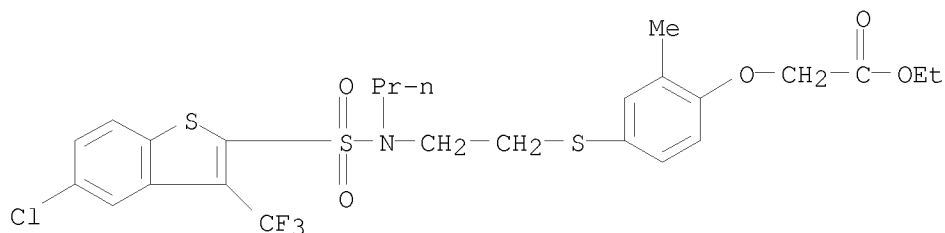
CN Acetic acid, 2-[4-[[2-[(4-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)



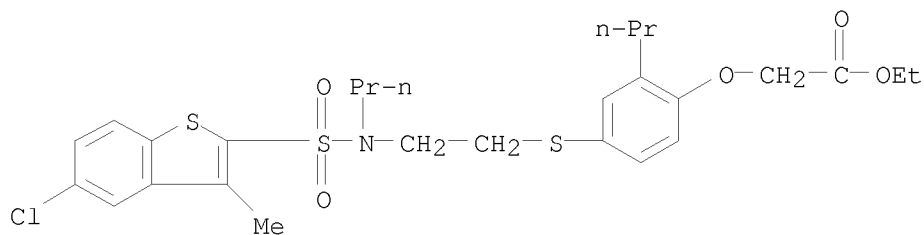
RN 752135-48-1 CAPLUS  
 CN Acetic acid, 2-[2-methyl-4-[[2-[[[3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]phenoxy]-, ethyl ester (CA INDEX NAME)



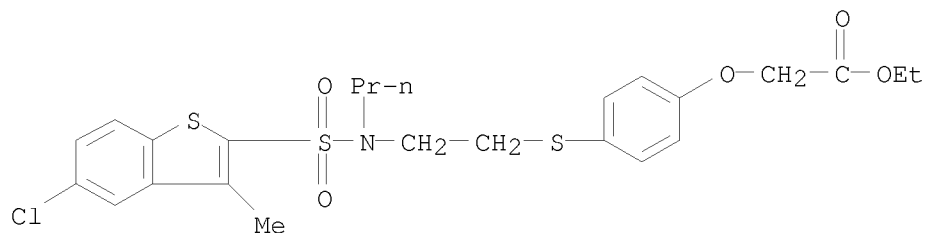
RN 752135-62-9 CAPLUS  
 CN Acetic acid, 2-[4-[[2-[[[5-chloro-3-(trifluoromethyl)benzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)



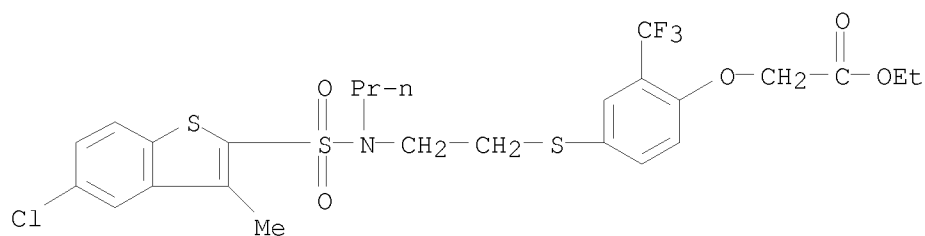
RN 752135-71-0 CAPLUS  
 CN Acetic acid, 2-[4-[[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-propylphenoxy]-, ethyl ester (CA INDEX NAME)



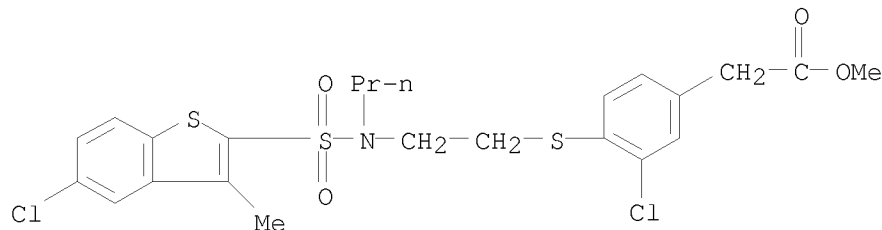
RN 752135-73-2 CAPLUS  
 CN Acetic acid, 2-[4-[[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]phenoxy]-, ethyl ester (CA INDEX NAME)



RN 752135-75-4 CAPLUS  
 CN Acetic acid, 2-[4-[[2-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-(trifluoromethyl)phenoxy]-, ethyl ester (CA INDEX NAME)



RN 752135-86-7 CAPLUS  
 CN Benzeneacetic acid, 3-chloro-4-[[2-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-, methyl ester (CA INDEX NAME)



=> file reg		
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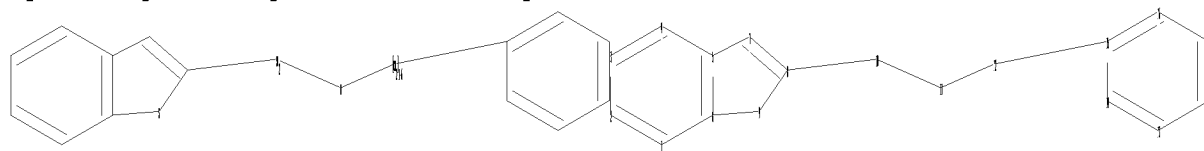
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10 11 12

ring nodes :

1 2 3 4 5 6 7 8 9 13 14 15 16 17 18

chain bonds :

8-10 10-11 11-12 12-15

ring bonds :

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17-18

exact/norm bonds :

5-7 6-9 7-8 8-9 10-11

exact bonds :

8-10 11-12 12-15

normalized bonds :

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G1:O,S

Match level :

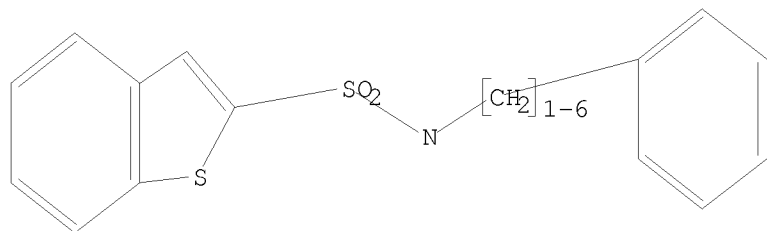
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11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom

L11 STRUCTURE UPLOADED

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L11 HAS NO ANSWERS

L11 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 21 TO ITERATE

100.0% PROCESSED 21 ITERATIONS

9 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 146 TO 694

PROJECTED ANSWERS: 9 TO 360

L12 9 SEA SSS SAM L11

=> search l11

ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:.

ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:full

FULL SEARCH INITIATED 16:10:56 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 395 TO ITERATE

100.0% PROCESSED 395 ITERATIONS

129 ANSWERS

SEARCH TIME: 00.00.01

L13 129 SEA SSS FUL L11

=> file caplus

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SESSION

FULL ESTIMATED COST

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SINCE FILE

TOTAL

ENTRY

SESSION

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FILE COVERS 1907 - 27 Oct 2008 VOL 149 ISS 18  
FILE LAST UPDATED: 26 Oct 2008 (20081026/ED)

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L14 11 L13

=> d 114 fbib ab hitstr 1-11

L14 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2008:1012610 CAPLUS  
DN 149:261123  
TI Preparation of modulators of acetyl coenzyme A carboxylase as fungicides and pharmaceuticals  
IN Anderson, Richard; Hokama, Takeo; Lee, Shy-Fuh; Oey, Rafael; Elich, Tedd; Breazeale, Steven  
PA Cropsolution, Inc., USA  
SO U.S. Pat. Appl. Publ., 100pp.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 20080200461	A1	20080821	US 2008-33925	20080220
				US 2007-890643P	P 20070220
	WO 2008103354	A2	20080828	WO 2008-US2186	20080220
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	RW:			AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM	
				US 2007-890643P	P 20070220

OS MARPAT 149:261123

AB The acetyl CoA carboxylase modulators R1NR2XNR3R4R5 [R1, R2 = H, (halo)alkyl, (halo)alkenyl, etc.; R3, R4 = (halo)alkyl, (halo)alkenyl.(halo)alkynyl, etc.; R1NR2, R3NR4 = ring; R5 = nonbonded



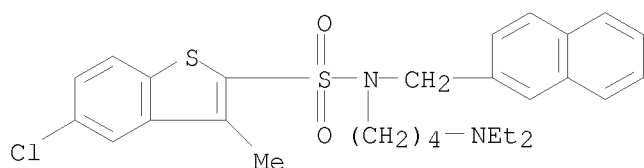
pair of electrons, (halo)alkyl, (halo)alkenyl, etc.; X = (un)substituted C2-8 C bridge, optionally containing N, O or S] are prepared as fungicides and pharmaceuticals, particularly the treatment of obesity, metabolic syndrome, atherosclerosis, cardiovascular disease and insulin resistance, e.g., type II or adult-onset diabetes.

IT 1058136-22-3P 1058136-23-4P 1058136-24-5P  
1058136-25-6P 1058136-82-5P 1058136-83-6P  
RL: AGR (Agricultural use); PRPH (Prophetic); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(preparation of modulator of acetylCoA carboxylase as fungicides and pharmaceuticals)

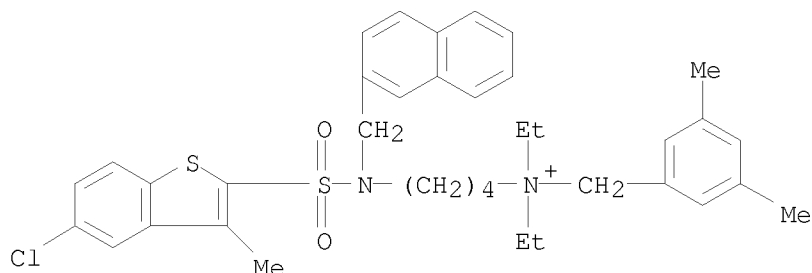
RN 1058136-22-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(diethylamino)butyl]-3-methyl-N-(2-naphthalenylmethyl)- (CA INDEX NAME)



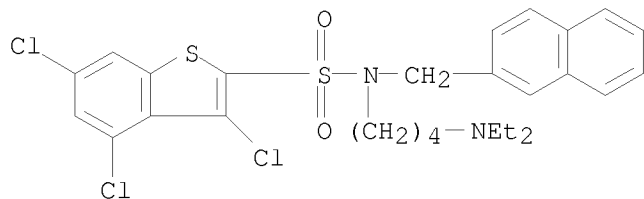
RN 1058136-23-4 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

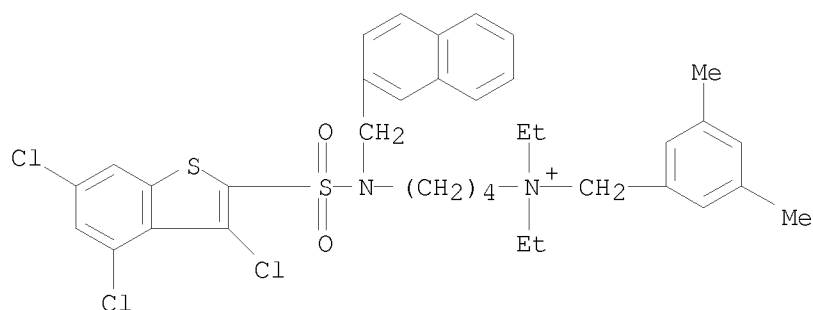


RN 1058136-24-5 CAPLUS

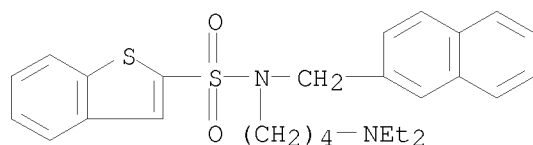
CN Benzo[b]thiophene-2-sulfonamide, 3,4,6-trichloro-N-[4-(diethylamino)butyl]-N-(2-naphthalenylmethyl)- (CA INDEX NAME)



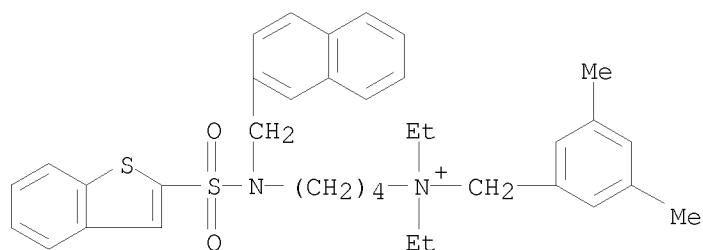
RN 1058136-25-6 CAPLUS  
 CN Benzenemethanaminium, N,N-diethyl-3,5-dimethyl-N-[4-[(2-naphthalenylmethyl)[(3,4,6-trichlorobenzo[b]thien-2-yl)sulfonyl]amino]butyl]-, bromide (1:1) (CA INDEX NAME)



RN 1058136-82-5 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-[4-(diethylamino)butyl]-N-(2-naphthalenylmethyl)- (CA INDEX NAME)



RN 1058136-83-6 CAPLUS  
 CN INDEX NAME NOT YET ASSIGNED



L14 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2007:1395370 CAPLUS  
 DN 148:54882  
 TI Preparation of heteroaryl amides that interact with ion channels, in

particular with ion channels from the Kv family

IN Blom, Petra; Defert, Olivier; Kaletta, Titus; Leysen, Dirk Casimir Maria  
PA Devgen N.V., Belg.  
SO PCT Int. Appl., 62pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	---	-----	-----	-----
PI	WO 2007138112	A2	20071206	WO 2007-EP55408	20070601
	WO 2007138112	A3	20080515		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
				EP 2006-447075	A 20060601
				US 2006-809841P	P 20060601

OS MARPAT 148:54882

AB The present invention relates to compds. that interact with ion channels. In particular, the invention relates to compds. I or II [n, m = 0-4; Z1 = C(O), C(S), SO<sub>2</sub>; L1 = (un)substituted alkylene, cycloalkylene, cycloalkylenoxyalkylene; X1 = O or S; X2 = CR<sub>4</sub> or N; X3 = CR<sub>1</sub> or N; X4 = CR<sub>1</sub> or N; R1 = H, halo, OH, etc.; R2 = H, halo, OH, etc.; R3 = H, alkyl, aryl, etc.; R4 = H, halo, NH<sub>2</sub>, etc.; with the provisos]. Sixty-two specific title compds. such as III were prepared and/or claimed. The exemplified title compds. were tested in patch clamp assays (for example, III showed above 50% inhibition on Kv4.3-mediated potassium channel). The invention also relates to methods for preparing said compds. I (general protocols and schemes were given), to pharmaceutical compns. comprising said compds., and to the use of said compds. in methods for treatment of the human and animal body.

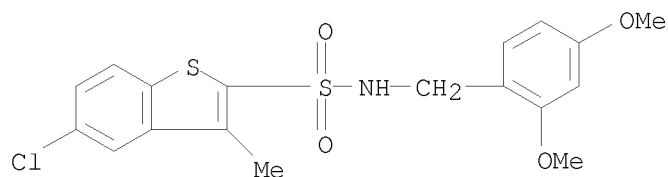
IT 959743-62-5P 959743-67-0P 959743-68-1P  
959743-69-2P 959743-73-8P 959743-91-0P  
959743-94-3P 959743-95-4P 959743-98-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heteroaryl amides useful in treatment and prevention of diseases associated with ion channels)

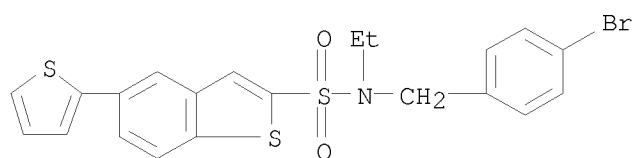
RN 959743-62-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[(2,4-dimethoxyphenyl)methyl]-3-methyl- (CA INDEX NAME)



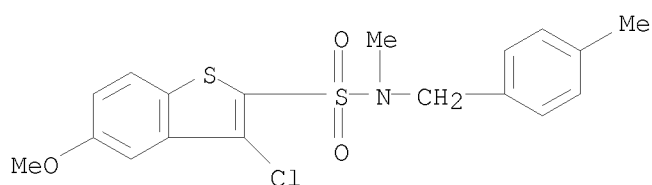
RN 959743-67-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[(4-bromophenyl)methyl]-N-ethyl-5-(2-thienyl)- (CA INDEX NAME)



RN 959743-68-1 CAPLUS

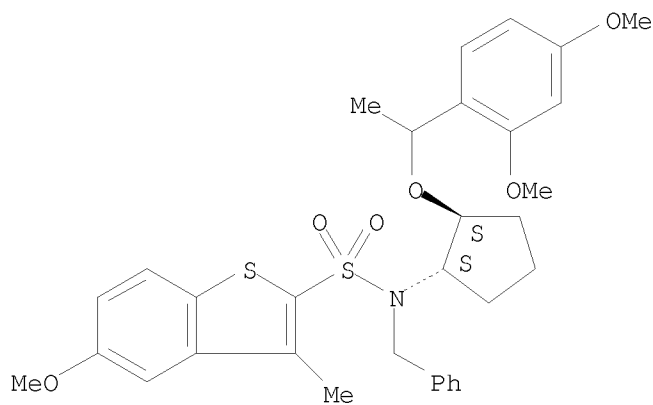
CN Benzo[b]thiophene-2-sulfonamide, 3-chloro-5-methoxy-N-methyl-N-[(4-methylphenyl)methyl]- (CA INDEX NAME)



RN 959743-69-2 CAPLUS

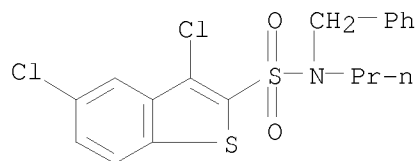
CN Benzo[b]thiophene-2-sulfonamide, N-[(1S,2S)-2-[1-(2,4-dimethoxyphenyl)ethoxy]cyclopentyl]-5-methoxy-3-methyl-N-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.



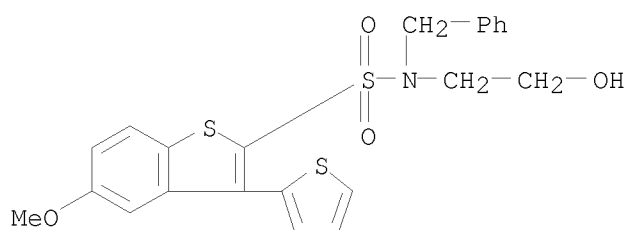
RN 959743-73-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 3,5-dichloro-N-(phenylmethyl)-N-propyl-  
(CA INDEX NAME)



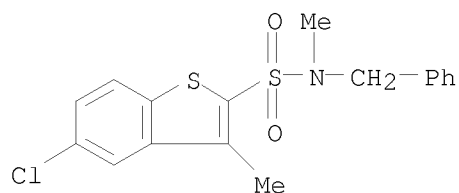
RN 959743-91-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(2-hydroxyethyl)-5-methoxy-N-(phenylmethyl)-3-(2-thienyl)- (CA INDEX NAME)



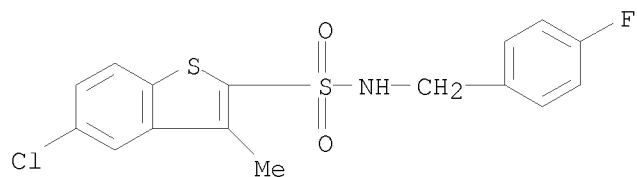
RN 959743-94-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N,3-dimethyl-N-(phenylmethyl)-  
(CA INDEX NAME)



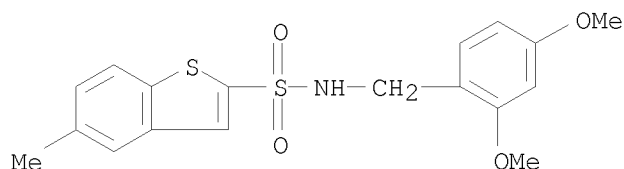
RN 959743-95-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[(4-fluorophenyl)methyl]-3-methyl- (CA INDEX NAME)



RN 959743-98-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[(2,4-dimethoxyphenyl)methyl]-5-methyl-  
(CA INDEX NAME)



L14 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:944402 CAPLUS

DN 145:336062

TI Preparation of arenesulfonamides and heterocyclic sulfonamides as inhibitors of 11 $\beta$ -hydroxysteroid dehydrogenase type 1 (11 $\beta$ -HSD1)

IN Egashira, Hiromu; Nishiyama, Eiji

PA Ono Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 94pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006095822	A1	20060914	WO 2006-JP304623	20060309
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	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

JP 2005-69738

A 20050311

OS MARPAT 145:336062

AB The title compds. [I; ring A = (un)substituted cyclic group; X, Y = a single bond, a spacer having 1-8 atoms in the main chain; R1, R2, R3 = U, each (un)substituted cyclic group or hydrocarbon group; or substituent on the spacer Y having 1-8 atoms in the main chain, R2, and atoms to which they are bonded may form an (un)substituted N-containing heterocyclic ring], their salts or solvates, or prodrugs thereof are prepared Compds. of the general formula: (wherein all the characters have the same meanings as defined in the description), their salts or hydrates and prodrugs thereof. These compds. have an 11 $\beta$ -HSD1 inhibiting potency and thus are useful in the prevention and/or treatment of diseases attributed to overprod. of adrenocortical hormone, for example, metabolic diseases (for example, diabetes mellitus (e.g., type II diabetes mellitus, etc.), impaired glucose tolerance, hyperglycemia, insulin resistance, elevated levels of insulin in the plasma, lipid metabolism abnormality, fatty liver, dyslipidemia, hyperlipemia, hypertriglyceridemia, hyper-LDL-cholesterolemia, hypo-HDL-cholesterolemia, obesity, atherosclerosis, syndrome X, metabolic syndrome, Cushing's syndrome, osteoporosis, etc.), hypertension, receptive defect, memory disorder, depression, anxiety, dementia, Alzheimer disease, glaucoma, immunol. disease, etc. Thus, a solution of 770 mg 3-methylbenzenesulfonamide and 445 mg 3,6-dichloropyridazine in 3 mL DMSO was treated with 1.25 g K2CO3, and

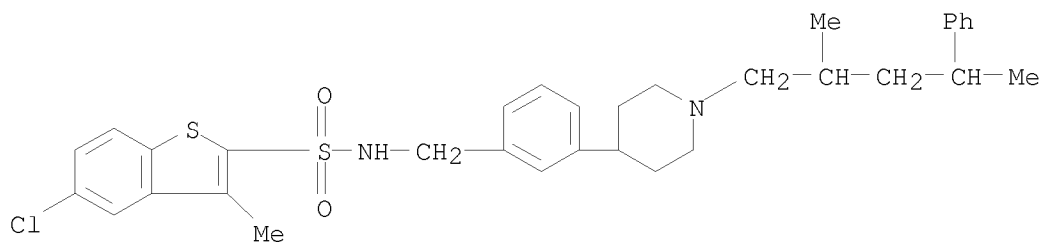
stirred at 120° for 3.5 h to give 696 mg  
 N-(6-chloro-pyridazin-3-yl)-3-methylbenzenesulfonamide (II). A solution of  
 98 mg 3-phenyl-1-propanol in 1 mL dioxane was treated with 163 mg  
 potassium tert-butoxide, treated with a solution of 170 mg II in 1 mL  
 dioxane, and stirred at 100° for 1.5 h to give 149 mg  
 3-methyl-N-[6-(3-phenylpropoxy)pyridazin-3-yl]benzenesulfonamide (III).  
 III showed IC50 of 250 nM against human 11β-HSD1. A tablet and an  
 ampule formulation containing 3-Methyl-N-[6-(3-phenylpropoxy)pyridazin-3-  
 yl]benzenesulfonamide were described.

IT 909422-65-7P, 5-Chloro-3-methyl-N-[3-[1-(2-methyl-4-  
 phenylpentyl)piperidin-4-yl]benzyl]-1-benzothiophene-2-sulfonamide  
 909422-78-2P, 5-Chloro-3-methyl-N-[3-[1-[(3-methylthien-2-  
 yl)methyl]piperidin-4-yl]benzyl]-1-benzothiophene-2-sulfonamide  
 909422-84-0P, 5-Chloro-N-[3-(1-hexylpiperidin-4-yl)benzyl]-3-  
 methyl-1-benzothiophene-2-sulfonamide 909422-90-8P,  
 5-Chloro-N-[3-[1-[4-(diethylamino)benzyl]piperidin-4-yl]benzyl]-3-methyl-1-  
 benzothiophene-2-sulfonamide 909422-97-5P,  
 5-Chloro-3-methyl-N-[3-[1-[(1-methyl-1H-indol-3-yl)methyl]piperidin-4-  
 yl]benzyl]-1-benzothiophene-2-sulfonamide 909423-08-1P,  
 5-Chloro-N-[3-[1-(2-chlorobenzyl)piperidin-4-yl]benzyl]-3-methyl-1-  
 benzothiophene-2-sulfonamide 909423-19-4P,  
 5-Chloro-3-methyl-N-[3-[1-(4-phenoxybenzyl)piperidin-4-yl]benzyl]-1-  
 benzothiophene-2-sulfonamide 909423-26-3P,  
 5-Chloro-N-[3-[1-(3-chloro-4-methoxybenzyl)piperidin-4-yl]benzyl]-3-methyl-  
 1-benzothiophene-2-sulfonamide 909423-34-3P,  
 5-Chloro-N-[3-[1-(4-chlorobenzyl)piperidin-4-yl]benzyl]-3-methyl-1-  
 benzothiophene-2-sulfonamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of arenesulfonamides and heterocyclic sulfonamides as  
 inhibitors of 11β-hydroxysteroid dehydrogenase type 1  
 (11β-HSD1))

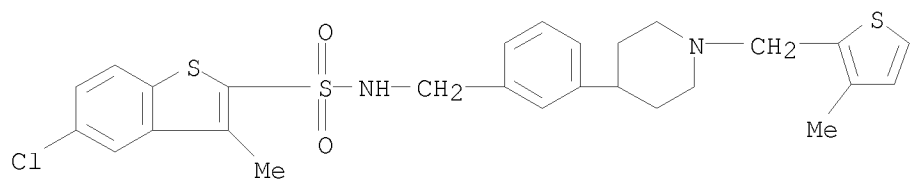
RN 909422-65-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[[3-[1-(2-methyl-4-  
 phenylpentyl)-4-piperidinyl]phenyl]methyl]- (CA INDEX NAME)



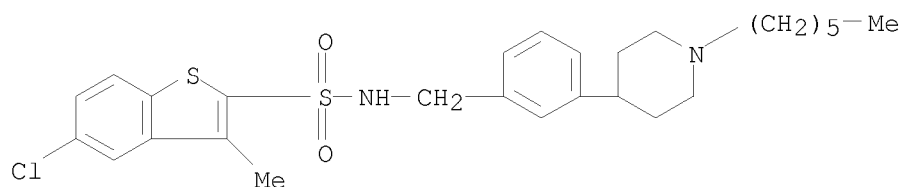
RN 909422-78-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[[3-[1-[(3-methyl-2-  
 thienyl)methyl]-4-piperidinyl]phenyl]methyl]- (CA INDEX NAME)



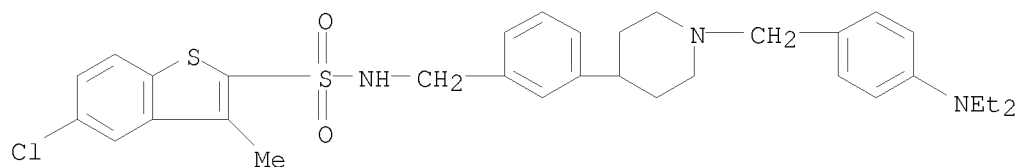
RN 909422-84-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-(1-hexyl-4-piperidinyl)phenyl]methyl]-3-methyl- (CA INDEX NAME)



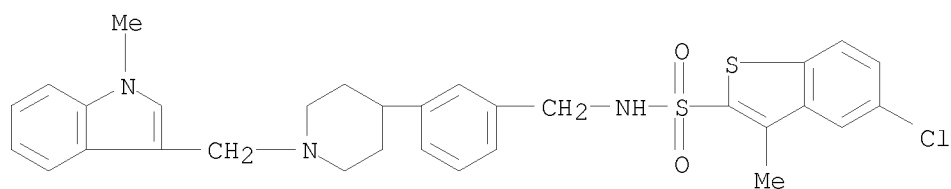
RN 909422-90-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-[1-[[4-(diethylamino)phenyl]methyl]-4-piperidinyl]phenyl]methyl]-3-methyl- (CA INDEX NAME)



RN 909422-97-5 CAPLUS

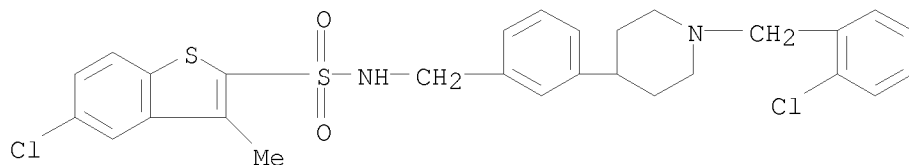
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[[3-[1-[(1-methyl-1H-indol-3-yl)methyl]-4-piperidinyl]phenyl]methyl]- (CA INDEX NAME)



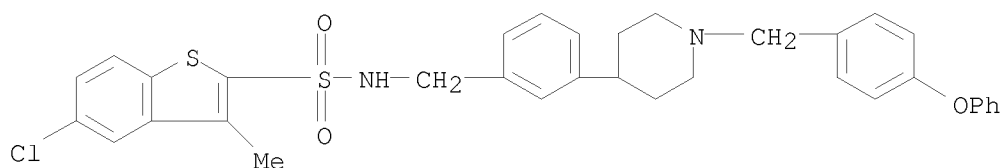
RN 909423-08-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-[1-[(2-chlorophenyl)methyl]-4-piperidinyl]phenyl]methyl]-3-methyl- (CA INDEX NAME)

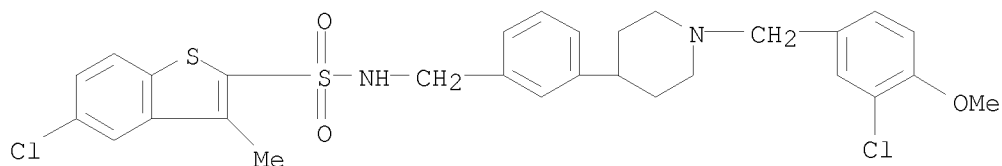




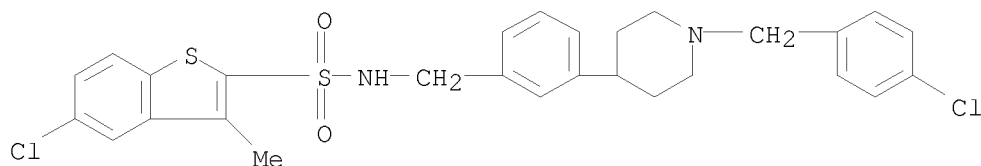
RN 909423-19-4 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[[3-[1-[(4-phenoxyphenyl)methyl]-4-piperidinyl]phenyl]methyl]- (CA INDEX NAME)



RN 909423-26-3 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-[1-[(3-chloro-4-methoxyphenyl)methyl]-4-piperidinyl]phenyl]methyl]-3-methyl- (CA INDEX NAME)



RN 909423-34-3 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-[1-[(4-chlorophenyl)methyl]-4-piperidinyl]phenyl]methyl]-3-methyl- (CA INDEX NAME)



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2006:733724 CAPLUS  
 DN 145:167113  
 TI Preparation of N-substituted heterocyclic sulfonamides for treating cognitive disorders  
 IN Neitzel, Martin

PA Elan Pharmaceuticals, Inc., USA  
 SO PCT Int. Appl., 111 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006078753	A1	20060727	WO 2006-US1792	20060118
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	CA 2595173	A1	20060727	US 2005-645137P	P 20050118
				CA 2006-2595173	20060118
				US 2005-645137P	P 20050118
				WO 2006-US1792	W 20060118
	US 20060270657	A1	20061130	US 2006-334131	20060118
				US 2005-645137P	P 20050118
	EP 1838701	A1	20071003	EP 2006-718810	20060118
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
				US 2005-645137P	P 20050118
				WO 2006-US1792	W 20060118

OS MARPAT 145:167113

AB The invention provides N-substituted heterocyclic-sulfonamides for use in treating or preventing cognitive disorders, such as Alzheimer's Disease, by inhibiting  $\beta$ -amyloid peptide release or synthesis. Compds. of particular interest are defined by Formula I (wherein n = 1-3; Z = (un)substituted heteroaryl or heterocycloalkyl; R1 = (un)substituted arylC1-C8alkyl, arylC2-C6alkenyl, C3-C7cycloalkyl(C1-C6alkyl), C1-C14alkyl, etc.; R2 is H, C1-C6 alkyl, or phenyl(C1-C4)alkyl). I were tested in a Notch signaling assay for selective inhibitors of  $\gamma$ -secretase to identify compds. that are potent inhibitors of  $\beta$ -amyloid synthesis with minimal inhibition of Notch signaling. The invention also encompasses pharmaceutical compns. comprising I as well as methods of treating cognitive disorders using I. General procedures are given for synthesizing I, such as II, via a lactam intermediate.

IT 900532-06-1P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid  
 N-(4-bromobenzyl)-N-((R)-2-oxoazepan-3-yl)amide 900532-42-5P,  
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid

N-(4-bromobenzyl)-N-(2-oxoazepan-3-yl)amide

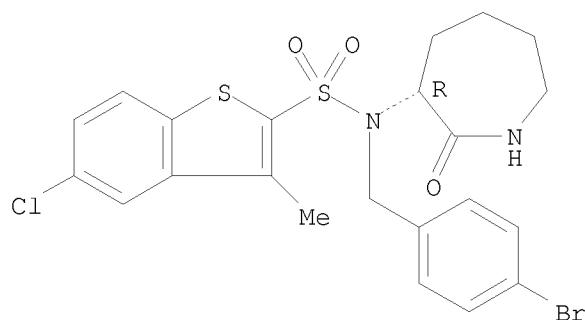
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of N-substituted heterocyclic sulfonamides for treating cognitive disorders)

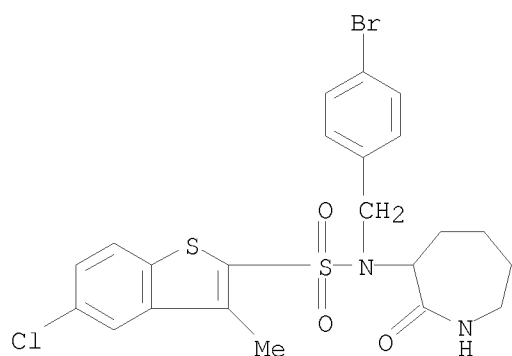
RN 900532-06-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[(4-bromophenyl)methyl]-5-chloro-N-[(3R)-hexahydro-2-oxo-1H-azepin-3-yl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 900532-42-5 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-[(4-bromophenyl)methyl]-5-chloro-N-(hexahydro-2-oxo-1H-azepin-3-yl)-3-methyl- (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2006:464674 CAPLUS  
 DN 144:488511  
 TI Preparation of sulfonamidomethyl and carboxamidomethyl phosphonate inhibitors of  $\beta$ -lactamase  
 IN Besterman, Jeffrey M.; Rahil, Jubrail; Vaisburg, Arkadii  
 PA Methylgene, Inc., Can.  
 SO U.S. Pat. Appl. Publ., 131 pp., Cont.-in-part of U.S. Ser. No. 411,484. CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20060105999	A1	20060518	US 2005-535391	20050518
				US 2002-302124	A2 20021122
				US 2003-411484	A2 20030408
				WO 2003-US36929	W 20031119
	US 20040029836	A1	20040212	US 2002-302124	20021122
	US 6884791	B2	20050426		
				US 1999-142362P	P 19990706

US 20040082546	A1	20040429	US 2000-610456	A2	20000705
US 6921756	B2	20050726	US 2002-266213	A2	20021008
			US 2003-411484		20030408
			US 1999-142362P	P	19990706
			US 2000-610456	A2	20000705
			US 2002-266213	A2	20021008
			US 2002-302124	A2	20021122
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PATENT FAMILY INFORMATION:

FAN 2001:31512

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OS MARPAT 144:488511

AB The invention relates to bacterial antibiotic resistance and, in particular, to compns. and methods for overcoming bacterial antibiotic resistance. The invention provides novel  $\beta$ -lactamase inhibitors I [R1 = (un)substituted (hetero)aryl; Z = C, CH2, S; n = 0-2; L = alkyl, alkoxy, CO, C(:NOMe); R2 = H, alkyl, cycloalkyl, aralkyl, aryl; R3 = H, alkyl, cycloalkyl, aryl, etc.; R4 = OH, F, SR7, N(R7)2; R5 = F, OR6, SR7, N(R7)2; R6 = H, alkyl, cycloalkyl, etc.; R7 = H, alkyl, cycloalkyl, etc.; with the provisos] such as II [R1 = (un)substituted Ph or thien-2-yl; L = a bond, CH2O, CO, or C(:NOMe); R5 = halo, or OR10 (wherein R10 = (un)substituted Ph, pyridinyl, or quinolinyl); provided that when L = CH2O, R5 is not F or 4-NO2C6H4] which are structurally unrelated to the natural product and semi-synthetic  $\beta$ -lactamase inhibitors presently available and which do not require a  $\beta$ -lactam pharmacophore. The invention also provides pharmaceutical compns. and methods for inhibiting bacterial growth. Preparation of compds. I is described. E.g., a 4-step synthesis of sodium salt of III which showed IC50 of 622  $\mu$ M against  $\beta$ -lactamase, was given.

IT 318460-62-7P 318460-64-9P 318463-03-5P  
318463-04-6P

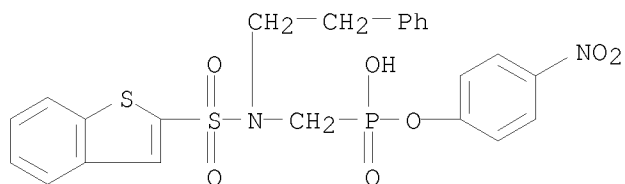
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(preparation of sulfonamidomethyl and carboxamidomethyl phosphonate  $\beta$ -lactamase inhibitors and their antibacterial use)

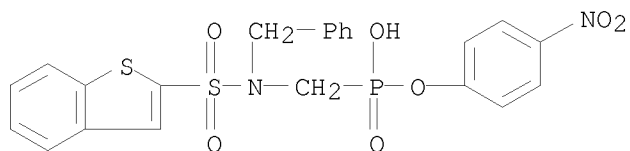
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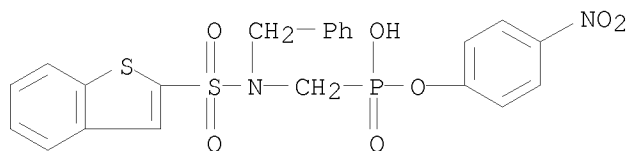
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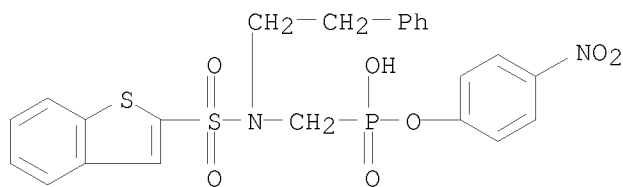
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RN 318463-04-6 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)



● NH<sub>3</sub>

L14 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2006:440564 CAPLUS  
 DN 144:467908  
 TI N-benzyl sulfonamides and related derivatives as 11 $\beta$ -HSD1 inhibitors,  
 their preparation, pharmaceutical compositions, and use in therapy  
 IN Coulter, Thomas, Stephen; Steven, Taylor; Fryatt, Tara; Aicher, Babette;  
 Schnieder, Martin  
 PA Evotec AG, Germany  
 SO PCT Int. Appl., 105 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
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				WO 2005-EP11933	W 20051108

OS CASREACT 144:467908; MARPAT 144:467908  
 AB The invention relates to N-benzyl sulfonamide compds. of formula I [X, Z, W, T = independently N, CH and derivs.; R1, R2 = independently H,



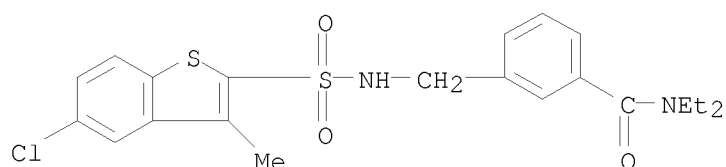
cyclo/alkyl, halo; or R1R2 = (:O); Y = NHSO2 and derivs., SO2NH and derivs.; NHSO2NH and derivs.; A = cyclo/alkyl, Ph, tetralinyl, heterocyclyl, etc.; V = O, S; or V = N-R15 and R15, R3 jointly form together with the atoms to which they are attached a heterocycle or heterobicycle; B = O, S, NH and derivs.; R3 = H, cyclo/alkyl, Ph, heterocyclyl, etc.; with provisos], and their pharmaceutically acceptable salts, prodrugs and metabolites, which are inhibitors of 11 $\beta$ -hydroxysteroid dehydrogenase type 1 (11 $\beta$ -HSD1). The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound I together with a pharmaceutically acceptable carrier, optionally comprising one or more addnl. therapeutic compds., as well as to the use of the compns. for the treatment of type 2 diabetes mellitus and associated conditions, such as metabolic syndrome, obesity, and lipid disorders. E.g., a 6-step synthesis starting from 3-cyanobenzoic acid was given for sulfonamide II. I typically express IC50 values below 50  $\mu$ M in a cell-based assay with a human adipocyte cell line, endogenously expressing 11 $\beta$ -HSD1, while showing no activity against 11 $\beta$ -HSD2.

IT 886732-45-2P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]-N,N-diethylbenzamide 886732-46-3P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]-N-cyclohexylbenzamide 886732-68-9P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl]amino]methyl]-N,N-diethylbenzamide 886732-69-0P, Benzo[b]thiophene-2-sulfonic acid N-[3-[(4-methylpiperazin-1-yl)carbonyl]benzyl]amide 886732-70-3P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl]amino]methyl]-N-cyclohexylbenzamide 886732-71-4P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl]amino]methyl]-N-(cyclohexylmethyl)benzamide 886733-21-7P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]-N,N-diethylbenzamide 886733-22-8P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]-N-cyclohexylbenzamide 886733-23-9P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]-N-(cyclohexylmethyl)benzamide 886733-24-0P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]-N-(4-trifluoromethylbenzyl)benzamide 886733-27-3P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]-N-(p-tolyl)benzamide 886733-38-6P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]-N,N-diethylbenzamide 886733-39-7P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]-N-cyclohexylbenzamide 886733-40-0P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]-N-(cyclohexylmethyl)benzamide 886733-41-1P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]-N-(4-trifluoromethylbenzyl)benzamide 886733-80-8P, 4-[[3-[[[(Benzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]benzoylamino]methyl]benzamide 886733-82-0P, 4-[[3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]benzoylamino]methyl]benzamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

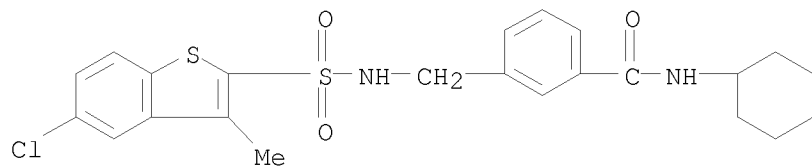
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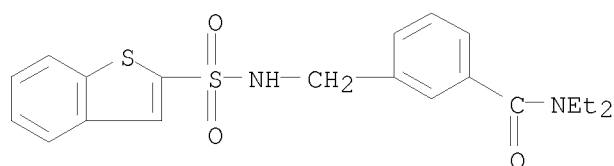
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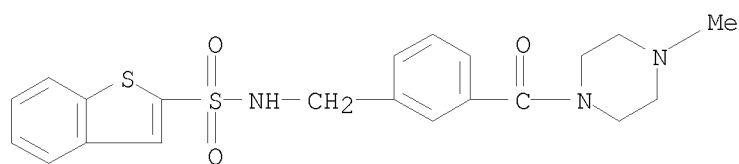
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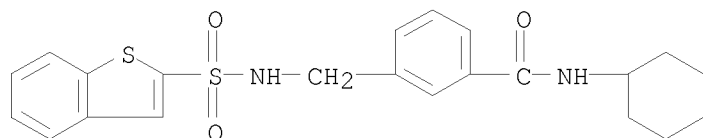
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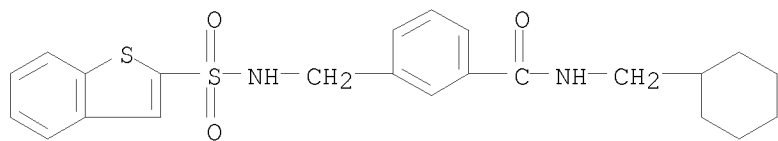
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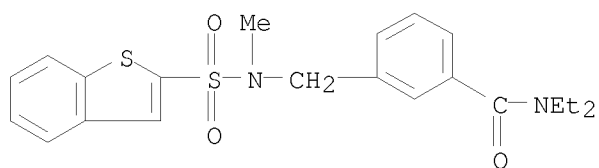
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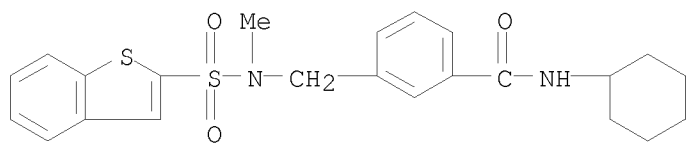
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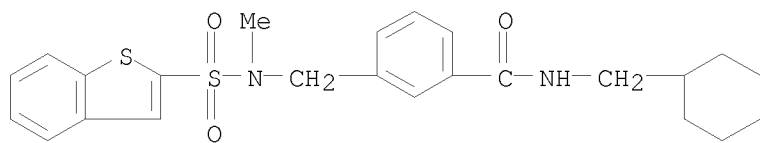
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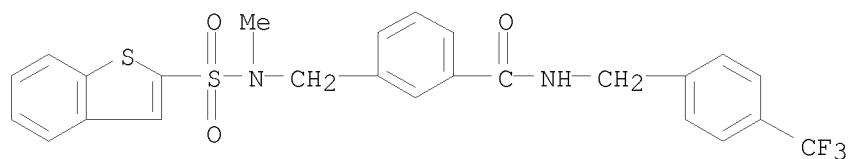
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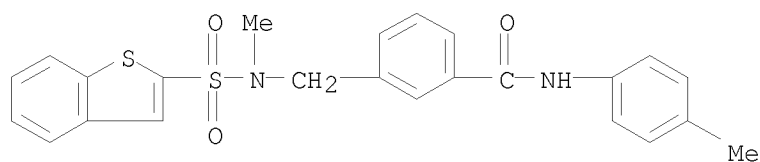


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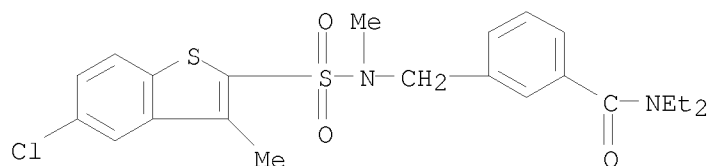
RN 886733-27-3 CAPLUS

CN Benzamide, 3-[[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]-N-(4-methylphenyl)- (CA INDEX NAME)



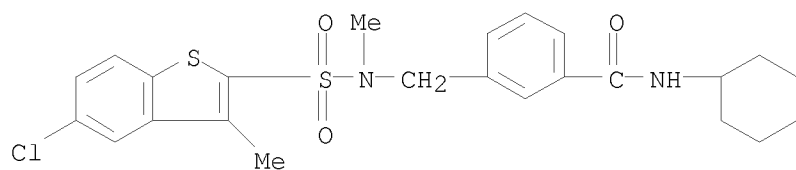
RN 886733-38-6 CAPLUS

CN Benzamide, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]-N,N-diethyl- (CA INDEX NAME)



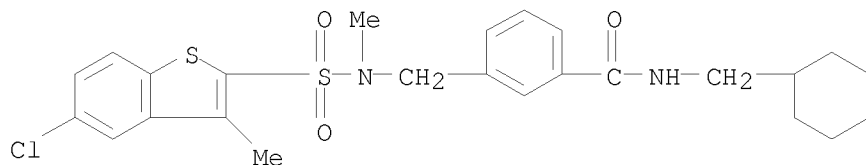
RN 886733-39-7 CAPLUS

CN Benzamide, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]-N-cyclohexyl- (CA INDEX NAME)



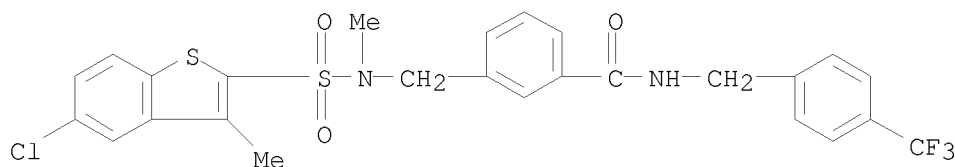
RN 886733-40-0 CAPLUS

CN Benzamide, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]-N-(cyclohexylmethyl)- (CA INDEX NAME)



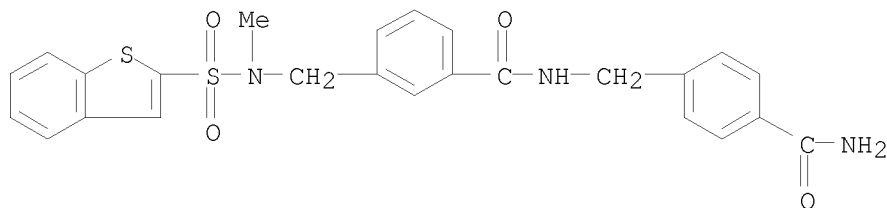
RN 886733-41-1 CAPLUS

CN Benzamide, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]-N-[[4-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



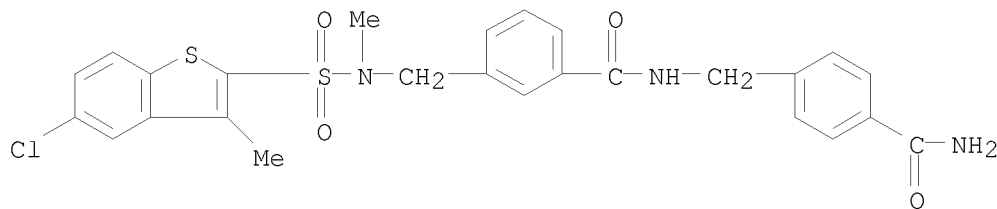
RN 886733-80-8 CAPLUS

CN Benzamide, N-[[4-(aminocarbonyl)phenyl]methyl]-3-[[[(benzo[b]thien-2-yl)sulfonyl]methylamino]methyl]- (CA INDEX NAME)



RN 886733-82-0 CAPLUS

CN Benzamide, N-[[4-(aminocarbonyl)phenyl]methyl]-3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]- (CA INDEX NAME)



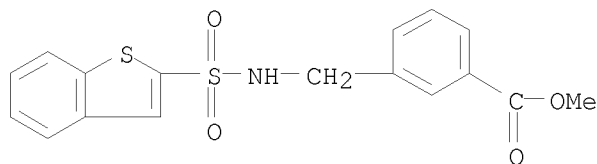
IT 886732-42-9P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl]amino]methyl]benzoic acid methyl ester 886732-43-0P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]benzoic acid methyl ester 886732-44-1P, 3-[1-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]benzoic acid 886732-47-4P, 4-[[3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]benzoylamino]methyl]benzoic acid methyl ester 886732-67-8P, 3-[[[(Benzo[b]thien-2-

yl)sulfonyl]amino)methyl]benzoic acid 886733-19-3P,  
 3-[[[(Benzo[b]thien-2-yl)sulfonyl](methyl)amino)methyl]benzoic acid methyl  
 ester 886733-20-6P, 3-[[[(Benzo[b]thien-2-  
 yl)sulfonyl](methyl)amino)methyl]benzoic acid 886733-25-1P,  
 4-[[3-[[[(Benzo[b]thien-2-  
 yl)sulfonyl](methyl)amino)methyl]benzoylamino)methyl]benzoic acid methyl  
 ester 886733-26-2P, 4-[[3-[[[(Benzo[b]thien-2-  
 yl)sulfonyl](methyl)amino)methyl]benzoylamino)methyl]benzoic acid  
 886733-36-4P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-  
 yl)sulfonyl](methyl)amino)methyl]benzoic acid methyl ester  
 886733-37-5P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-  
 yl)sulfonyl](methyl)amino)methyl]benzoic acid 886733-42-2P,  
 4-[[3-[1-[[[(5-Chloro-3-methylbenzo[b]thien-2-  
 yl)sulfonyl](methyl)amino)methyl]benzoylamino)methyl]benzoic acid methyl  
 ester 886733-43-3P, 4-[[3-[[[(5-Chloro-3-methylbenzo[b]thien-2-  
 yl)sulfonyl](methyl)amino)methyl]benzoylamino)methyl]benzoic acid  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)

(intermediate; preparation of N-benzyl sulfonamides as 11 $\beta$ -HSD1  
 inhibitors)

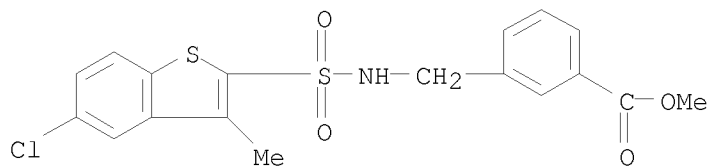
RN 886732-42-9 CAPLUS

CN Benzoic acid, 3-[[[(benzo[b]thien-2-ylsulfonyl)amino)methyl]-, methyl ester  
 (CA INDEX NAME)



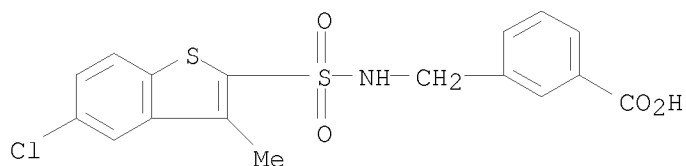
RN 886732-43-0 CAPLUS

CN Benzoic acid, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-  
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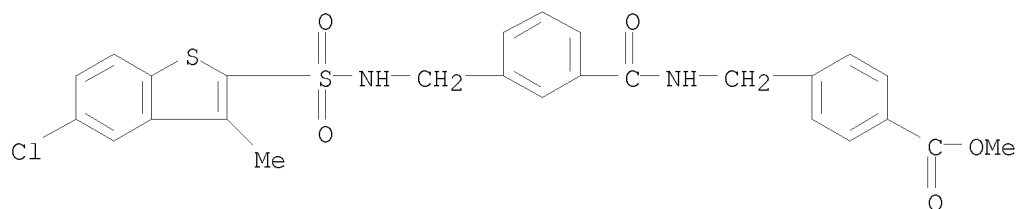
RN 886732-44-1 CAPLUS

CN Benzoic acid, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-  
 yl)sulfonyl]amino)methyl]- (CA INDEX NAME)



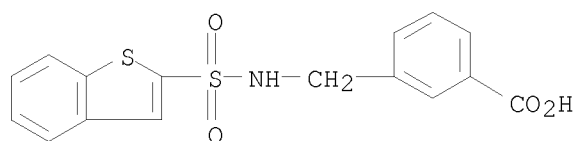
RN 886732-47-4 CAPLUS

CN Benzoic acid, 4-[[[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]benzoyl]amino]methyl]-, methyl ester (CA INDEX NAME)



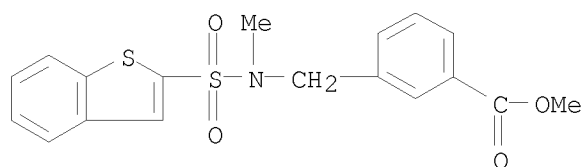
RN 886732-67-8 CAPLUS

CN Benzoic acid, 3-[[ (benzo[b]thien-2-ylsulfonyl)amino]methyl]- (CA INDEX NAME)



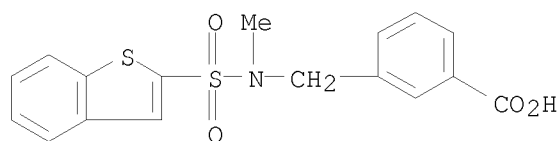
RN 886733-19-3 CAPLUS

CN Benzoic acid, 3-[[ (benzo[b]thien-2-ylsulfonyl)methylamino]methyl]-, methyl ester (CA INDEX NAME)



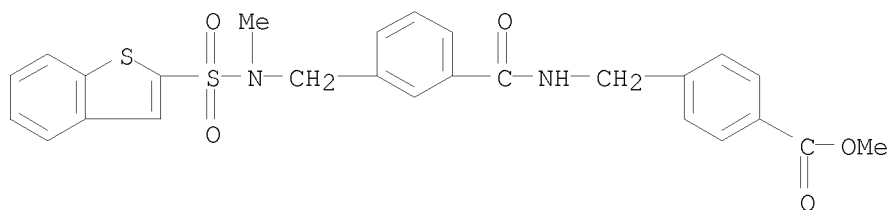
RN 886733-20-6 CAPLUS

CN Benzoic acid, 3-[[ (benzo[b]thien-2-ylsulfonyl)methylamino]methyl]- (CA INDEX NAME)

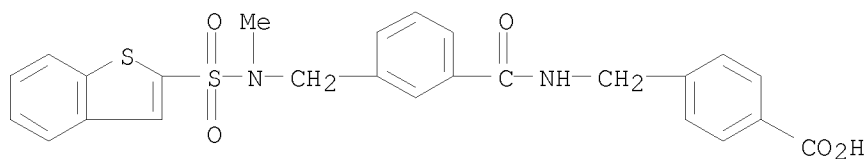


RN 886733-25-1 CAPLUS

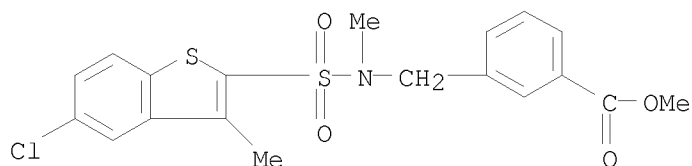
CN Benzoic acid, 4-[[[3-[[ (benzo[b]thien-2-ylsulfonyl)methylamino]methyl]benzoyl]amino]methyl]-, methyl ester (CA INDEX NAME)



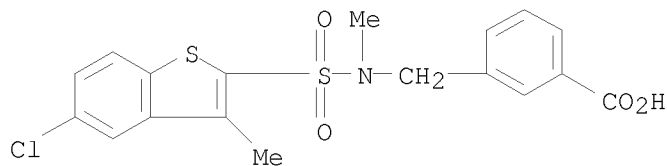
RN 886733-26-2 CAPLUS  
 CN Benzoic acid, 4-[[[3-[[[(benzo[b]thien-2-yl)sulfonyl)methylamino]methyl]benzoyl]amino]methyl]- (CA INDEX NAME)



RN 886733-36-4 CAPLUS  
 CN Benzoic acid, 3-[[[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl)methylamino]methyl]-, methyl ester (CA INDEX NAME)

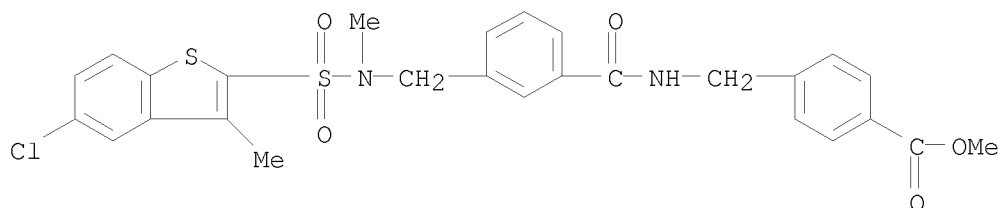


RN 886733-37-5 CAPLUS  
 CN Benzoic acid, 3-[[[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl)methylamino]methyl]- (CA INDEX NAME)



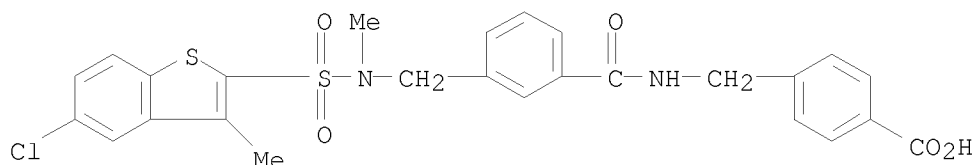
RN 886733-42-2 CAPLUS  
 CN Benzoic acid, 4-[[[3-[[[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl)methylamino]methyl]benzoyl]amino]methyl]-, methyl ester (CA INDEX NAME)





RN 886733-43-3 CAPLUS

CN Benzoic acid, 4-[[[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]benzoyl]amino]methyl]- (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:718289 CAPLUS

DN 141:243332

TI Preparation of sulfonamide derivatives, in particular  
N,N-benzo[b]thiophene sulfonamides, as PPAR modulators, especially PPAR  
agonists

IN Conner, Scott Eugene; Gossett, Lynn Stacy; Green, Jonathan Edward; Jones,  
Winton Dennis, Jr.; Mantlo, Nathan Bryan; Matthews, Donald Paul; Mayhugh,  
Daniel Ray; Smith, Daryl Lynn; Vance, Jennifer Ann; Wang, Xiaodong;  
Warshawsky, Alan M.; Winneroski, Leonard Larry, Jr.; Xu, Yanping; Zhu,  
Guoxin

PA Eli Lilly and Company, USA

SO PCT Int. Appl., 435 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004073606	A2	20040902	WO 2004-US2015	20040210
	WO 2004073606	A3	20050331		
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	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
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				AU 2004-212887	20040210
				US 2003-448307P	P 20030214
				WO 2004-US2015	W 20040210

CA 2512883	A1	20040902	CA 2004-2512883	20040210
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EP 1597248	A2	20051123	EP 2004-709806	20040210
EP 1597248	B1	20071226		
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			US 2003-448307P	P 20030214
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BR 2004007180	A	20060207	BR 2004-7180	20040210
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CN 1751037	A	20060322	CN 2004-80004250	20040210
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			WO 2004-US2015	W 20040210
AT 382043	T	20080115	AT 2004-709806	20040210
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			US 2003-448307P	P 20030214
US 20060217433	A1	20060928	US 2005-542579	20050715
			US 2003-448307P	P 20030214
			WO 2004-US2015	W 20040210

OS MARPAT 141:243332

AB Title compds. I [wherein A = II, III; D = (CH<sub>2</sub>)<sub>o</sub>; B = R<sub>1b</sub>-[C]q-R<sub>1a</sub>; E = O, S, NH and derivs.; W = -Y-(CR<sub>4</sub>R<sub>5</sub>)-Q, H, cyclo/halo/alkyl, acyl; Q = CO<sub>2</sub>H and derivs.; CO<sub>2</sub>NH<sub>2</sub>, sulfonamide, etc.; X = a bond, C, O, S, S[O]p; Z = (un)substituted aliphatic group, aryl, 5- to 10-membered heteroaryl, bi(hetero)aryl, heterocyclyl; o = 0-4; q = 0-3; m = 1-4; n = 1-2; R<sub>1</sub>, R<sub>2</sub> = independently H, wherein when Z = Ph or naphthyl and R<sub>2</sub> = H, R<sub>1</sub> is not H, halo, (un)substituted alk(en/yn)yl, aryl, or R<sub>1</sub> and R<sub>2</sub> form a 5- to 8-membered heterocycle; R<sub>1a</sub>, R<sub>1b</sub> = independently H, alkyl, or R<sub>1</sub> and R<sub>1a</sub>, R<sub>1a</sub> and R<sub>1b</sub>, R<sub>2</sub> and R<sub>1b</sub>, or R<sub>1a</sub> and R<sub>1b</sub> form a 3- to 6-membered heterocyclyl or carbocyclyl, where at least one of R<sub>1a</sub> and or R<sub>1b</sub> is not H; R<sub>2a</sub> = H, halo, (un)substituted alkyl and wherein R<sub>2</sub> and R<sub>2a</sub> together being a 3- to 8-membered ring; R<sub>3</sub> = H, halo, CN, (un)substituted cyclo/alkyl, (alkyl)heterocyclyl, etc.; R<sub>4</sub>, R<sub>5</sub> = independently H, halo, alkyl, alkoxy, aryloxy, NH<sub>2</sub> and derivs., SH and derivs., or R<sub>4</sub>CR<sub>5</sub> = 3- to 8-membered ring; and pharmaceutically acceptable salts, solvates, hydrates or stereoisomers thereof] were prepared as PPAR modulators, especially PPAR agonists.

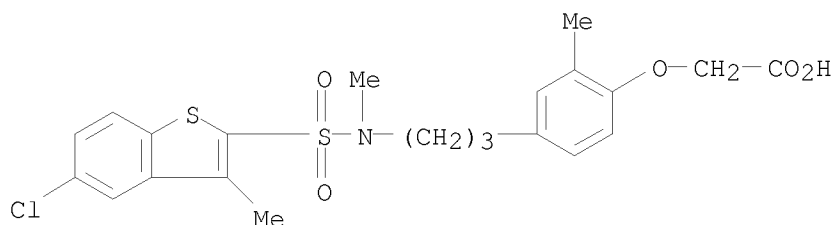
A multistep synthesis is given for sulfonamide IV. I displayed IC<sub>50</sub> and EC<sub>50</sub> in the range of about 1 nM to about 5 μM for binding to PPAR alpha, gamma, and delta receptors. I are useful in treating or preventing disorders mediated by a peroxisome proliferator activated receptor (PPAR) such as syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.

IT 752133-50-9P 752137-73-8P,  
2-[5-[3-[[[5-Fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]indol-1-yl]propionic acid  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(PPAR agonist; preparation of sulfonamides, in particular N,N-benzo[b]thiophene sulfonamides, as PPAR agonists)

RN 752133-50-9 CAPLUS

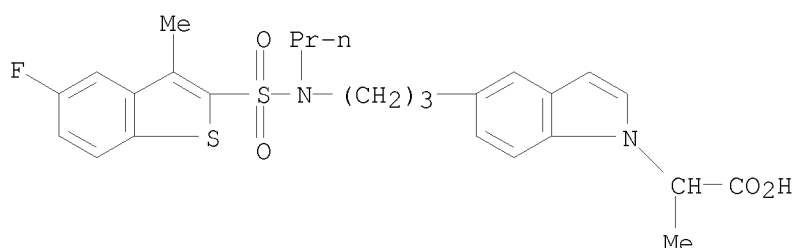
CN Acetic acid, 2-[4-[3-[[[5-chloro-3-methylbenzo[b]thien-2-

yl)sulfonyl]methylamino]propyl]-2-methylphenoxy]- (CA INDEX NAME)



RN 752137-73-8 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[3-[[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-α-methyl- (CA INDEX NAME)



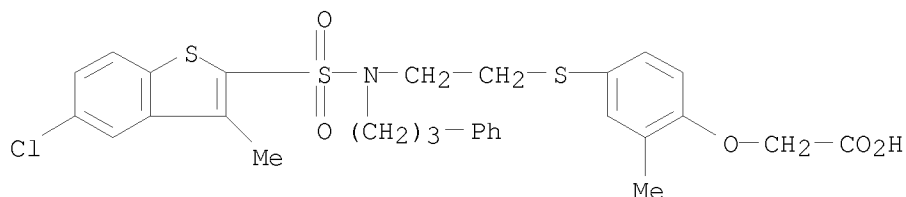
IT 752131-91-2P, 4-[[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-phenylpropyl)amino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752131-94-5P, 4-[[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752131-96-7P, 4-[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethoxy]-2-(methyl)phenoxyacetic acid 752131-97-8P, 3-[4-[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethoxy]phenyl]propionic acid 752131-98-9P, 2-[[4-[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethoxy]-2-methylphenyl]oxy]-2-methylpropionic acid 752131-99-0P, [5-[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethoxy]indol-1-yl]acetic acid 752132-00-6P 752132-03-9P, 3-[4-[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](benzyl)amino]ethoxy]-2-methylphenyl]propionic acid 752132-04-0P, 3-[4-[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-phenylpropyl)amino]ethoxy]-2-methylphenyl]propionic acid 752133-45-2P, [4-[3-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]acetic acid 752133-46-3P, 4-[3-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-2-(methyl)phenoxyacetic acid 752133-52-1P, 4-[3-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]-2-(methyl)phenoxyacetic acid 752136-19-9P, 2-[3-[3-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid 752136-21-3P, 2-[4-[3-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid sodium salt 752136-24-6P, 2-[4-[3-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid 2-(morpholin-4-yl)ethyl ester hydrochloride 752136-44-0P

752136-69-9P 752136-91-7P 752136-99-5P  
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 3-[4-[2-[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]phenyl]propionic acid 752137-51-2P  
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 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PPAR agonist; preparation of sulfonamides, in particular N,N-benzo[b]thiophene sulfonamides, as PPAR agonists)

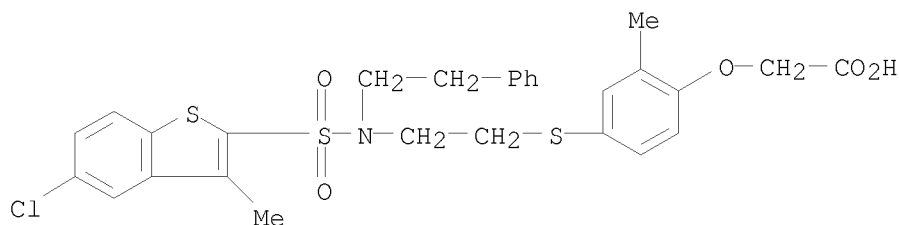
RN 752131-91-2 CAPLUS

CN Acetic acid, 2-[4-[2-[[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-phenylpropyl)amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



RN 752131-94-5 CAPLUS

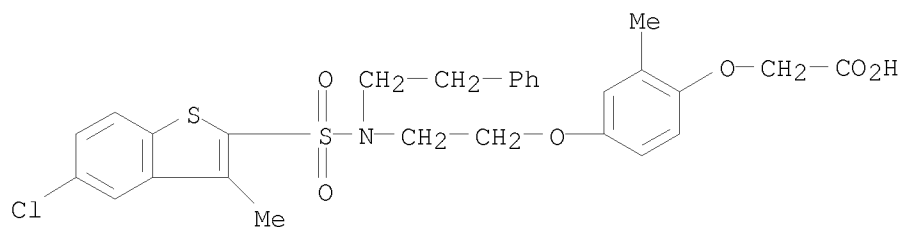
CN Acetic acid, 2-[4-[2-[[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



RN 752131-96-7 CAPLUS

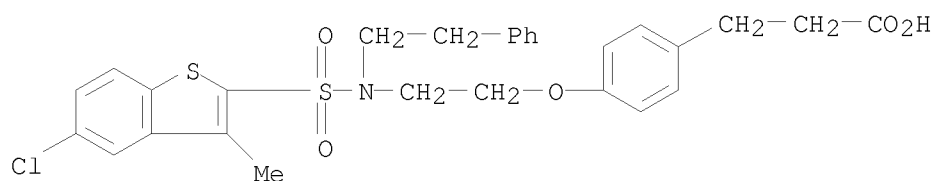
CN Acetic acid, 2-[4-[2-[[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-

phenylethyl)amino]ethoxy]-2-methylphenoxy]- (CA INDEX NAME)



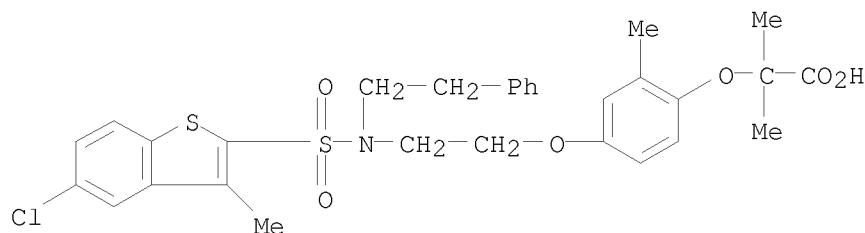
RN 752131-97-8 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2-methylphenoxy]- (CA INDEX NAME)



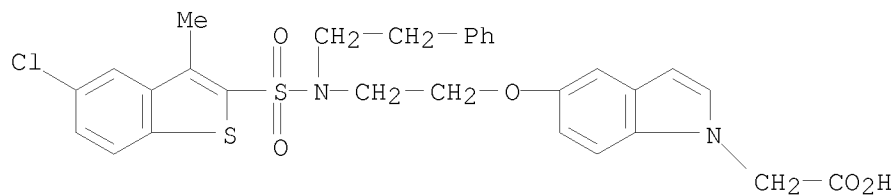
RN 752131-98-9 CAPLUS

CN Propanoic acid, 2-[4-[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)



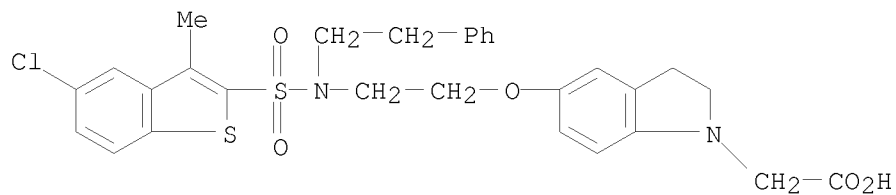
RN 752131-99-0 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2-methyl- (CA INDEX NAME)

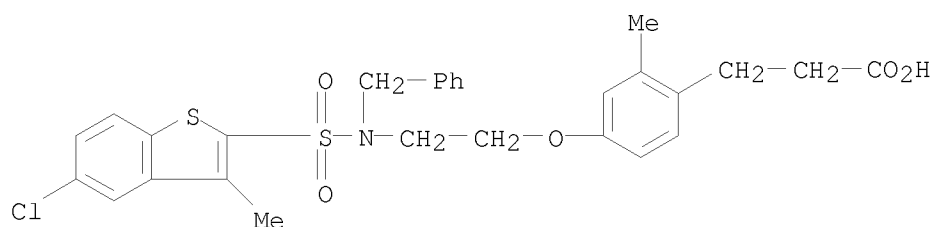


RN 752132-00-6 CAPLUS

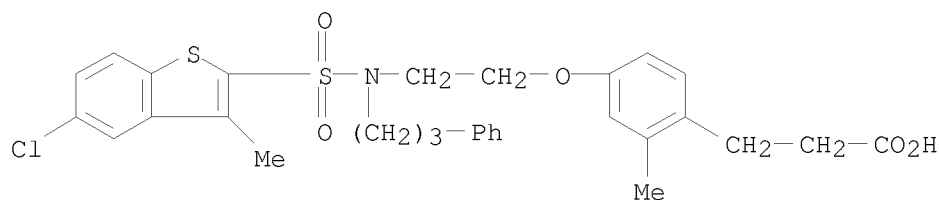
CN 1H-Indole-1-acetic acid, 5-[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2,3-dihydro- (CA INDEX NAME)



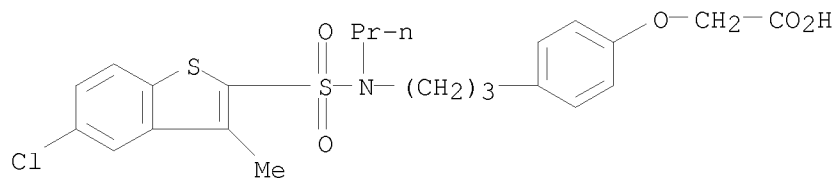
RN 752132-03-9 CAPLUS  
 CN Benzenepropanoic acid, 4-[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](phenylmethyl)amino]ethoxy]-2-methyl- (CA INDEX NAME)



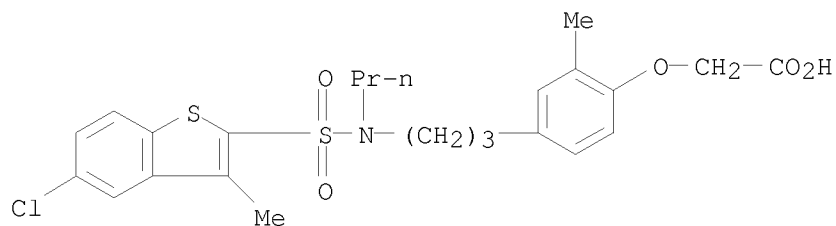
RN 752132-04-0 CAPLUS  
 CN Benzenepropanoic acid, 4-[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-phenylpropyl)amino]ethoxy]-2-methyl- (CA INDEX NAME)



RN 752133-45-2 CAPLUS  
 CN Acetic acid, 2-[4-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]- (CA INDEX NAME)

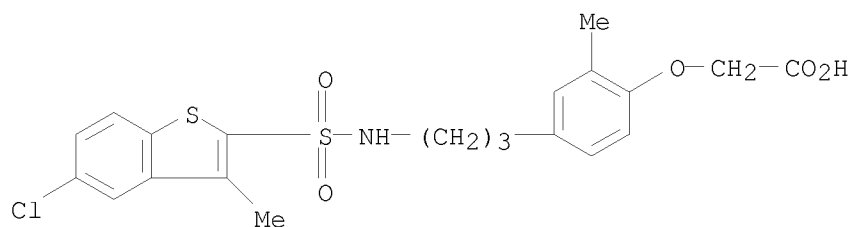


RN 752133-46-3 CAPLUS  
 CN Acetic acid, 2-[4-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-2-methylphenoxy]- (CA INDEX NAME)



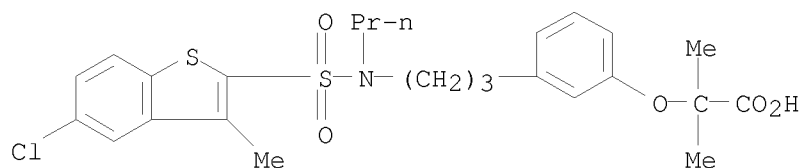
RN 752133-52-1 CAPLUS

CN Acetic acid, 2-[4-[3-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]-2-methylphenoxy]- (CA INDEX NAME)



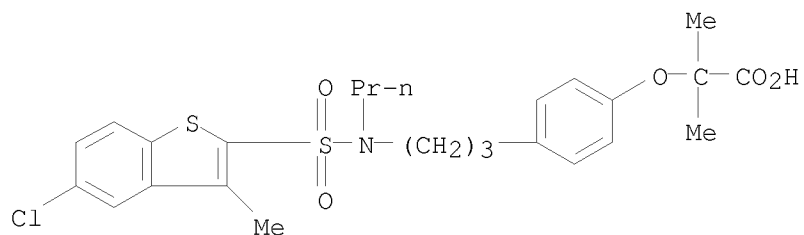
RN 752136-19-9 CAPLUS

CN Propanoic acid, 2-[3-[3-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



RN 752136-21-3 CAPLUS

CN Propanoic acid, 2-[4-[3-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl-, sodium salt (1:1) (CA INDEX NAME)

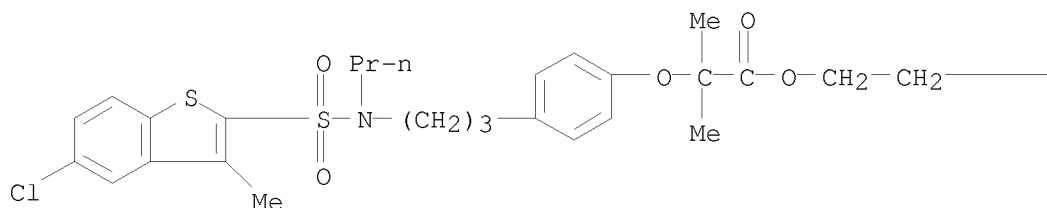


● Na

RN 752136-24-6 CAPLUS

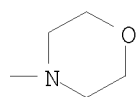
CN Propanoic acid, 2-[4-[3-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl-, 2-(4-morpholinyl)ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

PAGE 1-A



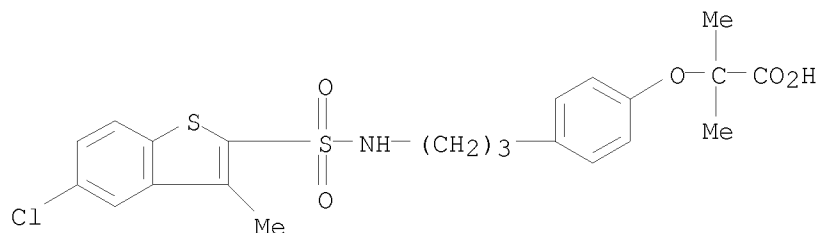
● HCl

PAGE 1-B



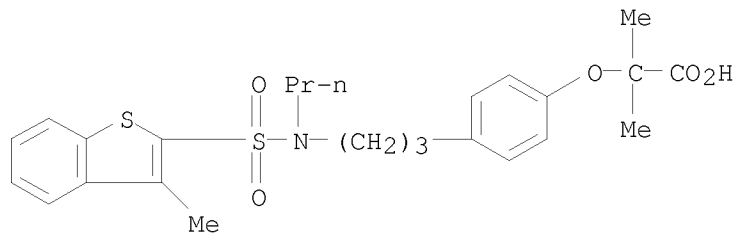
RN 752136-44-0 CAPLUS

CN Propanoic acid, 2-[4-[3-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



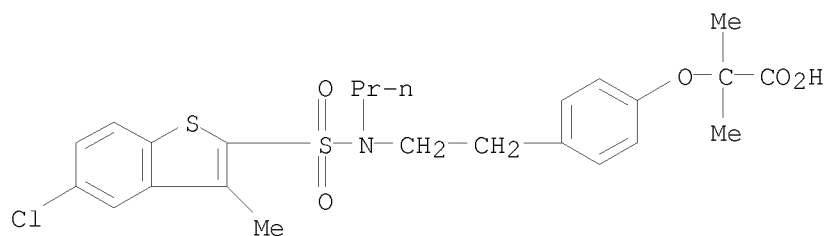
RN 752136-69-9 CAPLUS

CN Propanoic acid, 2-methyl-2-[4-[3-[[[3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]- (CA INDEX NAME)

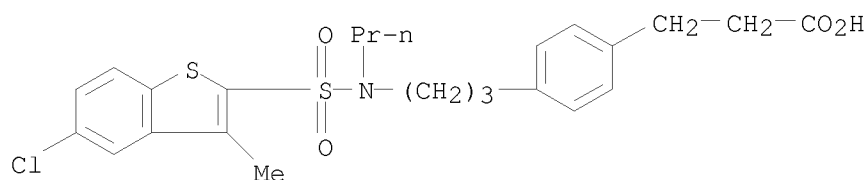




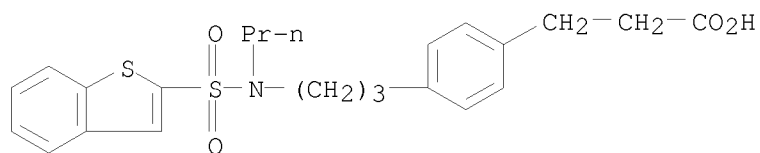
RN 752136-91-7 CAPLUS  
 CN Propanoic acid, 2-[4-[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]phenoxy]-2-methyl- (CA INDEX NAME)



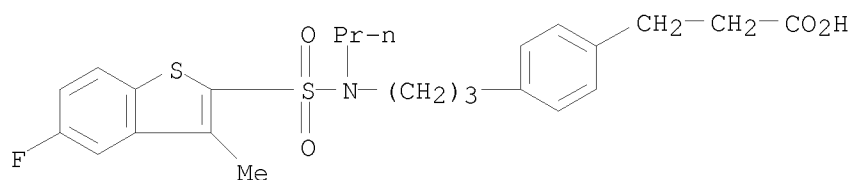
RN 752136-99-5 CAPLUS  
 CN Benzenepropanoic acid, 4-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- (CA INDEX NAME)



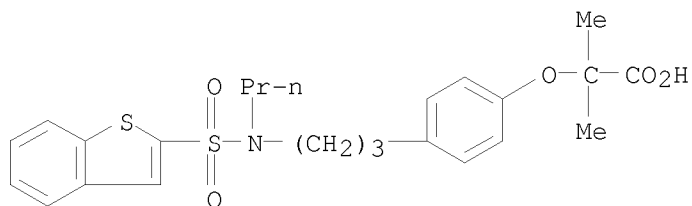
RN 752137-11-4 CAPLUS  
 CN Benzenepropanoic acid, 4-[3-[(benzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- (CA INDEX NAME)



RN 752137-12-5 CAPLUS  
 CN Benzenepropanoic acid, 4-[3-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- (CA INDEX NAME)

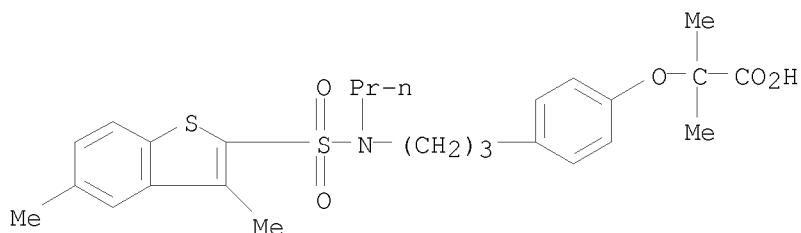


RN 752137-14-7 CAPLUS  
 CN Propanoic acid, 2-[4-[3-[(benzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



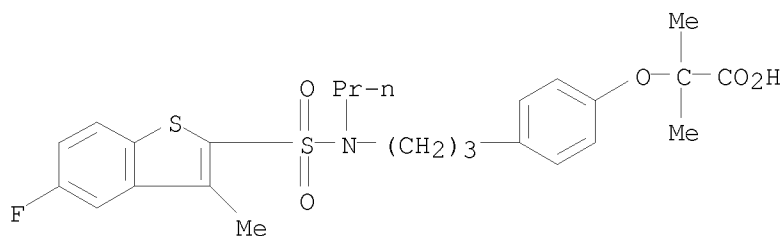
RN 752137-15-8 CAPLUS

CN Propanoic acid, 2-[4-[3-[(3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



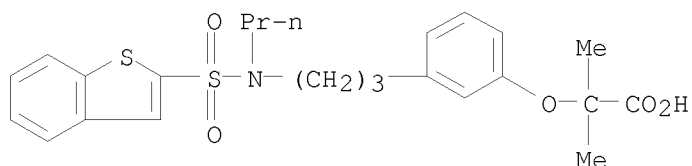
RN 752137-16-9 CAPLUS

CN Propanoic acid, 2-[4-[3-[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



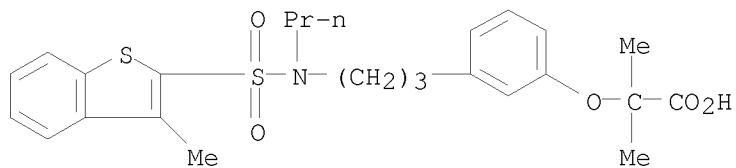
RN 752137-18-1 CAPLUS

CN Propanoic acid, 2-[3-[3-[(benzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



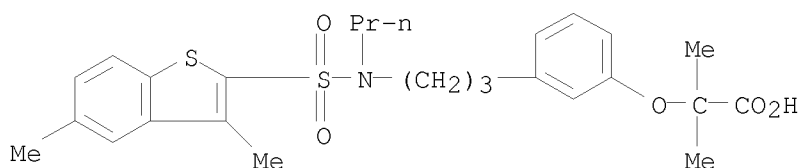
RN 752137-19-2 CAPLUS

CN Propanoic acid, 2-methyl-2-[3-[3-[(3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]- (CA INDEX NAME)



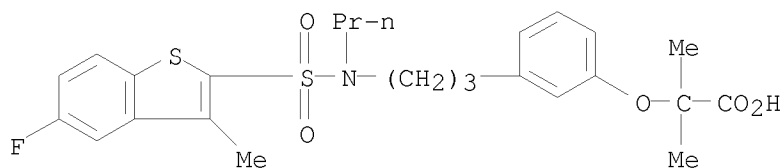
RN 752137-20-5 CAPLUS

CN Propanoic acid, 2-[3-[3-[(3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



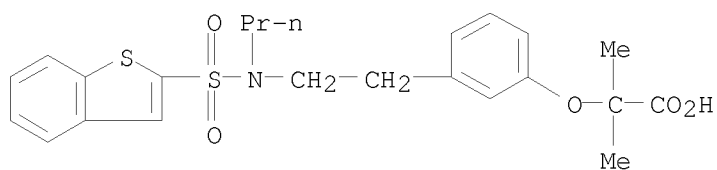
RN 752137-21-6 CAPLUS

CN Propanoic acid, 2-[3-[3-[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



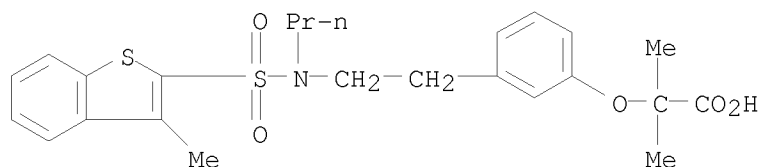
RN 752137-23-8 CAPLUS

CN Propanoic acid, 2-[3-[2-[(benzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]phenoxy]-2-methyl- (CA INDEX NAME)



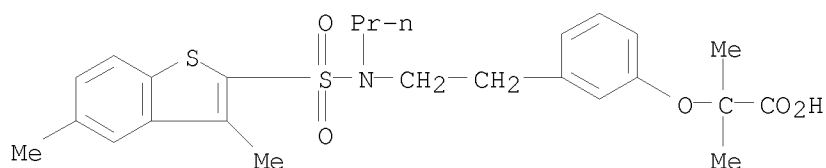
RN 752137-24-9 CAPLUS

CN Propanoic acid, 2-methyl-2-[3-[2-[(3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]phenoxy]- (CA INDEX NAME)



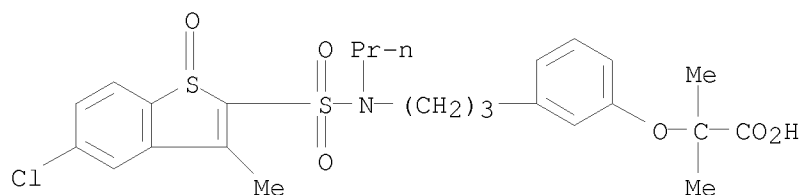
RN 752137-25-0 CAPLUS

CN Propanoic acid, 2-[3-[2-[(3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]phenoxy]-2-methyl- (CA INDEX NAME)



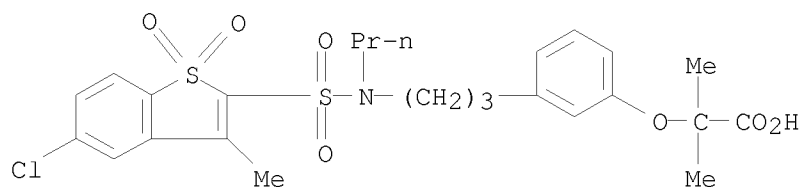
RN 752137-27-2 CAPLUS

CN Propanoic acid, 2-[3-[3-[(5-chloro-3-methyl-1-oxidobenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



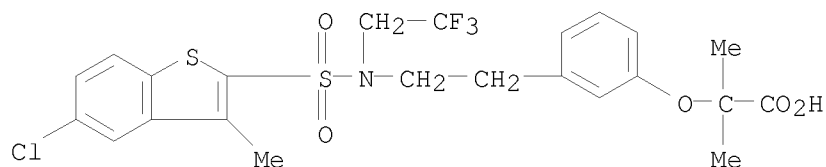
RN 752137-28-3 CAPLUS

CN Propanoic acid, 2-[3-[3-[(5-chloro-3-methyl-1,1-dioxidobenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



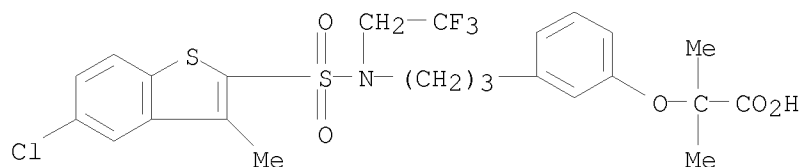
RN 752137-29-4 CAPLUS

CN Propanoic acid, 2-[3-[2-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2,2,2-trifluoroethyl)amino]ethyl]phenoxy]-2-methyl- (CA INDEX NAME)



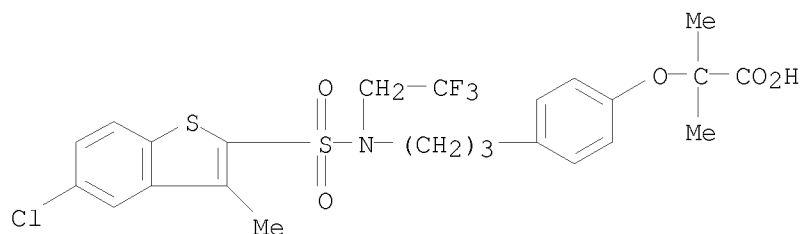
RN 752137-30-7 CAPLUS

CN Propanoic acid, 2-[3-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2,2,2-trifluoroethyl)amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



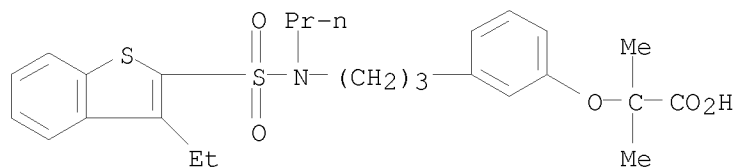
RN 752137-31-8 CAPLUS

CN Propanoic acid, 2-[4-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2,2,2-trifluoroethyl)amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



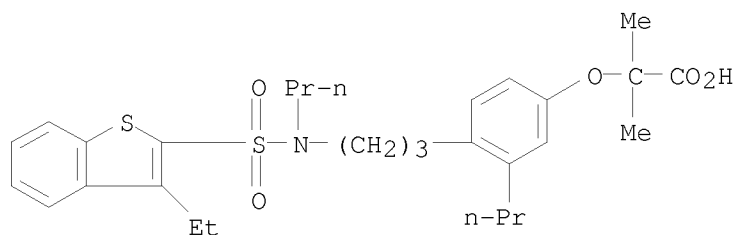
RN 752137-32-9 CAPLUS

CN Propanoic acid, 2-[3-[3-[[3-ethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



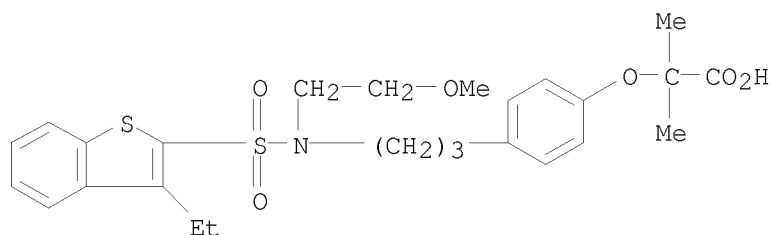
RN 752137-33-0 CAPLUS

CN Propanoic acid, 2-[4-[3-[[3-ethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-3-propylphenoxy]-2-methyl- (CA INDEX NAME)



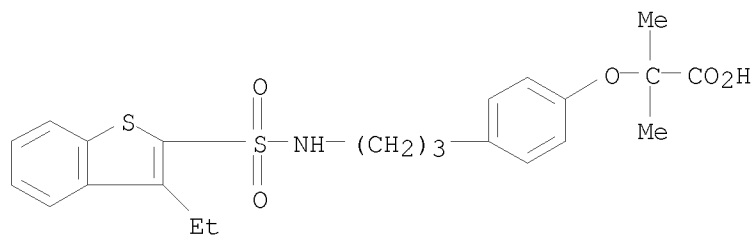
RN 752137-34-1 CAPLUS

CN Propanoic acid, 2-[4-[3-[[3-ethylbenzo[b]thien-2-yl)sulfonyl](2-methoxyethyl)amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



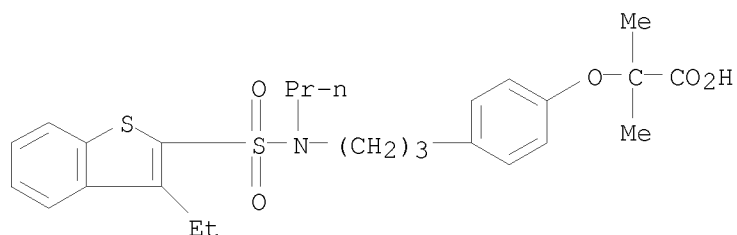
RN 752137-36-3 CAPLUS

CN Propanoic acid, 2-[4-[3-[[3-ethylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



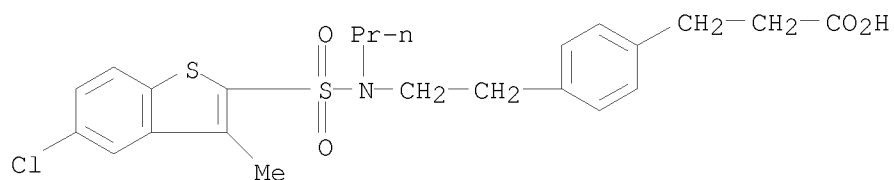
RN 752137-37-4 CAPLUS

CN Propanoic acid, 2-[4-[3-[[3-ethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



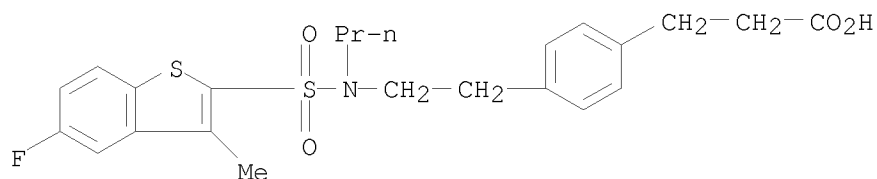
RN 752137-50-1 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl- (CA INDEX NAME)



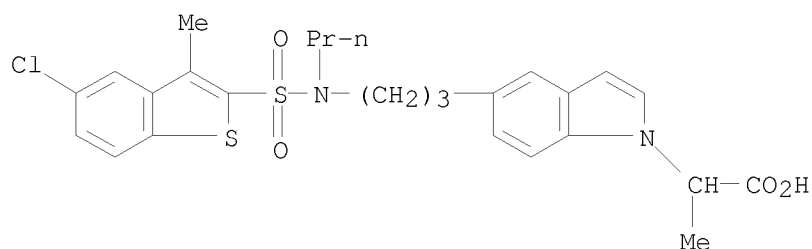
RN 752137-51-2 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl- (CA INDEX NAME)



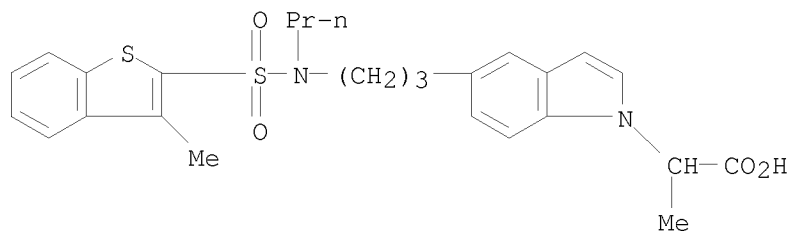
RN 752137-81-8 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- $\alpha$ -methyl- (CA INDEX NAME)



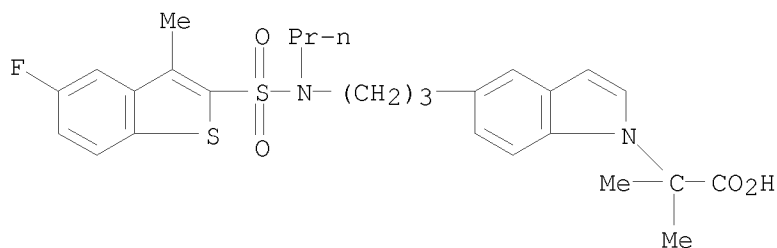
RN 752137-82-9 CAPLUS

CN 1H-Indole-1-acetic acid,  $\alpha$ -methyl-5-[3-[[3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- (CA INDEX NAME)

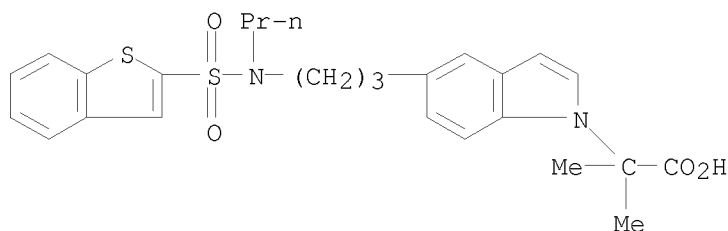


RN 752137-83-0 CAPLUS

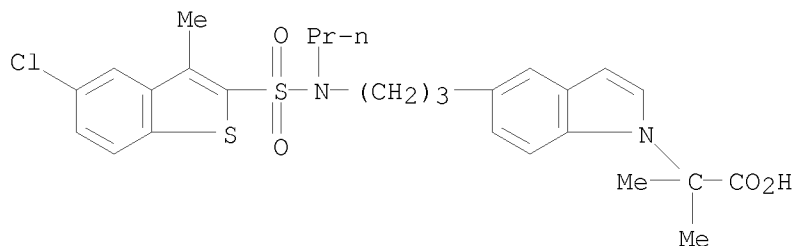
CN 1H-Indole-1-acetic acid, 5-[3-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- $\alpha,\alpha$ -dimethyl- (CA INDEX NAME)



RN 752137-89-6 CAPLUS  
 CN 1H-Indole-1-acetic acid, 5-[3-[(benzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- $\alpha,\alpha$ -dimethyl- (CA INDEX NAME)



RN 752137-90-9 CAPLUS  
 CN 1H-Indole-1-acetic acid, 5-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- $\alpha,\alpha$ -dimethyl- (CA INDEX NAME)



IT 752131-92-3P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid  
 N-(2-bromoethyl)-N-(3-phenylpropyl)amide 752132-01-7P,  
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid  
 N-benzyl-N-(2-bromoethyl)amide 752132-02-8P,  
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid  
 N-benzyl-N-(2-hydroxyethyl)amide 752132-14-2P, Ethyl  
 2-[4-[1-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](4-methoxybenzyl)amino]methyl]propyl]sulfanyl]-2-(methyl)phenoxy]acetate  
 752133-51-0P, Ethyl 2-[4-[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](methyl)amino]propyl]-2-(methyl)phenoxy]acetate  
 752133-53-2P, Ethyl 2-[4-[3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]-2-(methyl)phenoxy]acetate 752136-22-4P  
 , 2-[4-[3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid ethyl ester  
 752136-23-5P, 2-[4-[3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

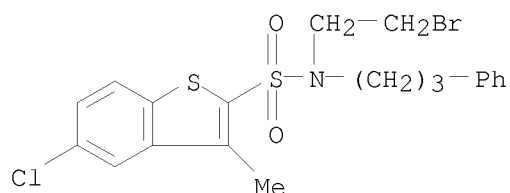


(Reactant or reagent)

(intermediate; preparation of sulfonamides, in particular  
N,N-benzo[b]thiophene sulfonamides, as PPAR agonists)

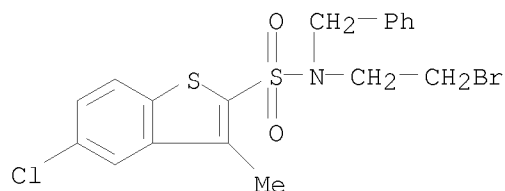
RN 752131-92-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(2-bromoethyl)-5-chloro-3-methyl-N-(3-phenylpropyl)- (CA INDEX NAME)



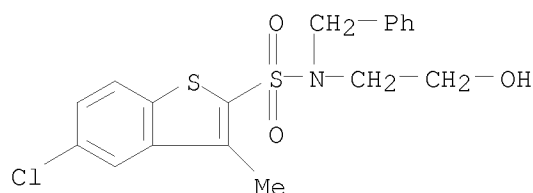
RN 752132-01-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(2-bromoethyl)-5-chloro-3-methyl-N-(phenylmethyl)- (CA INDEX NAME)



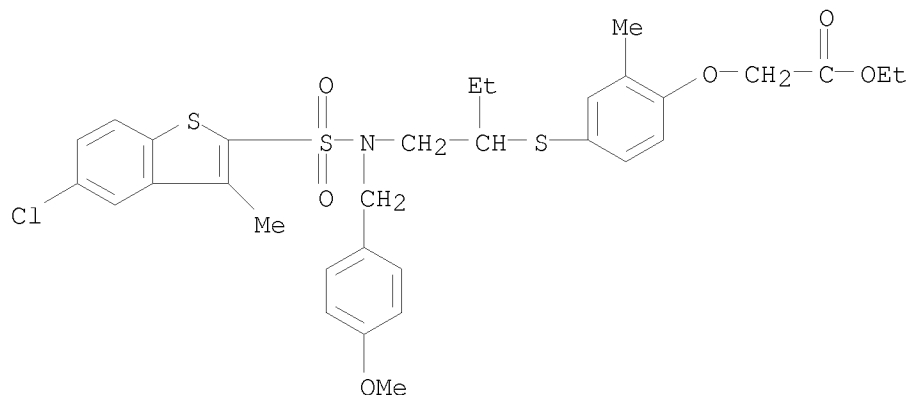
RN 752132-02-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2-hydroxyethyl)-3-methyl-N-(phenylmethyl)- (CA INDEX NAME)

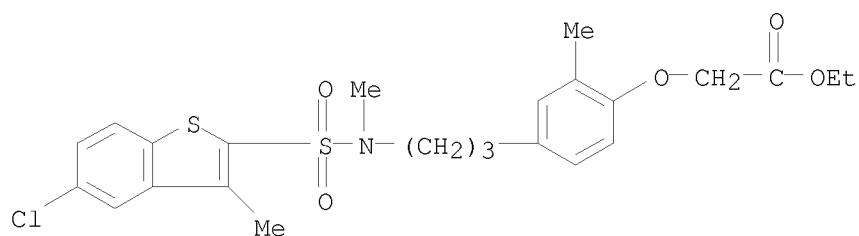


RN 752132-14-2 CAPLUS

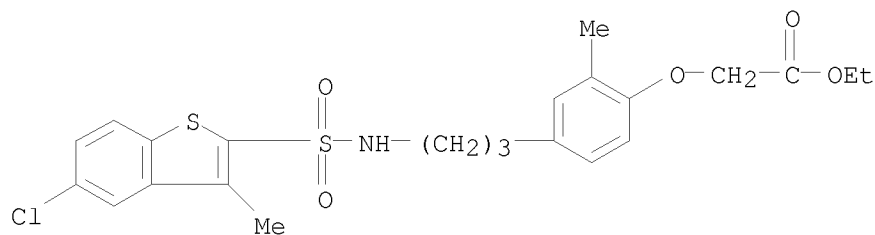
CN Acetic acid, 2-[4-[[1-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl][(4-methoxyphenyl)methyl]amino]methyl]propyl]thio]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)



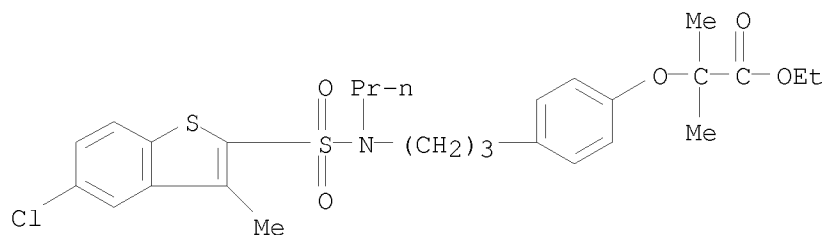
RN 752133-51-0 CAPLUS  
 CN Acetic acid, 2-[4-[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]propyl]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)



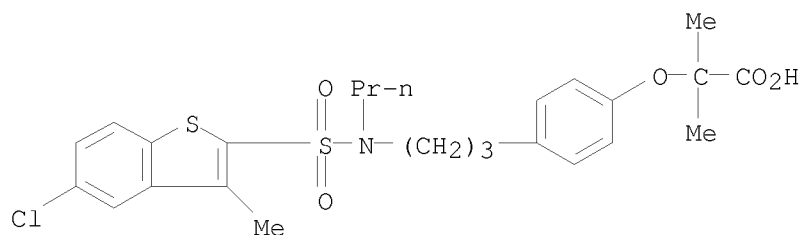
RN 752133-53-2 CAPLUS  
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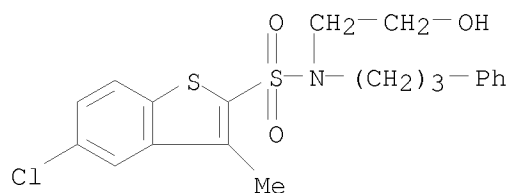
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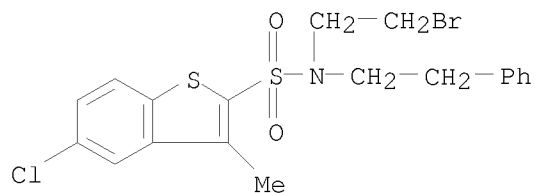
RN 752136-23-5 CAPLUS  
 CN Propanoic acid, 2-[4-[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



IT 752131-93-4, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid  
 N-(2-hydroxyethyl)-N-(3-phenylpropyl)amide 752131-95-6,  
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid  
 N-(2-bromoethyl)-N-phenethylamide  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of sulfonamides, in particular N,N-benzo[b]thiophene  
 sulfonamides, as PPAR agonists)  
 RN 752131-93-4 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2-hydroxyethyl)-3-methyl-N-(3-phenylpropyl)- (CA INDEX NAME)



RN 752131-95-6 CAPLUS  
 CN Benzo[b]thiophene-2-sulfonamide, N-(2-bromoethyl)-5-chloro-3-methyl-N-(2-phenylethyl)- (CA INDEX NAME)



L14 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2004:353142 CAPLUS  
 DN 140:357200  
 TI Preparation of sulfonamidomethyl and carboxamidomethyl phosphonate  
 inhibitors of  $\beta$ -lactamase  
 IN Besterman, Jeffrey M.; Rahil, Jubrail; Vaisburg, Arkadii  
 PA Methylgene, Inc., Can.  
 SO U.S. Pat. Appl. Publ., 134 pp., Cont.-in-part of U.S. Pat. Appl. 2004  
 29,836.  
 CODEN: USXXCO

DT Patent  
 LA English

FAN.CNT 4

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	US 6921756	B2	20050726		
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	US 7030103	B2	20060418		
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PATENT FAMILY INFORMATION:

FAN 2001:31512

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FAN 2004:120574

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 PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,  
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 US 2002-302124 A1 20021122  
 US 2003-411484 A1 20030408

OS MARPAT 140:357200

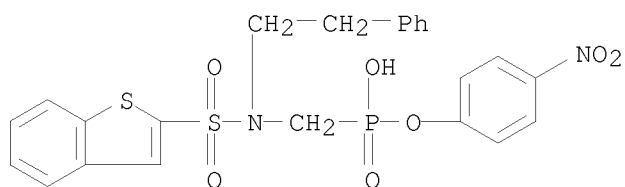
AB The intention relates to bacterial antibiotic resistance and, in particular, to compns. and methods for overcoming bacterial antibiotic resistance. The invention provides novel  $\beta$ -lactamase inhibitors I [R1 = (un)substituted (hetero)aryl; Z = C, CH<sub>2</sub>, S; n = 0-2; L = alkyl, alkoxy, CO, C(:NOMe); R2 = H, alkyl, cycloalkyl, aralkyl, aryl; R3 = H, alkyl, cycloalkyl, aryl, etc.; R4 = OH, F, SR<sup>7</sup>, N(R<sup>7</sup>)<sub>2</sub>; R5 = F, OR<sub>6</sub>, SR<sup>7</sup>, N(R<sup>7</sup>)<sub>2</sub>; R6 = H, alkyl, cycloalkyl, etc.; R7 = H, alkyl, cycloalkyl, etc.; with the provisos] which are structurally unrelated to the natural product and semi-synthetic  $\beta$ -lactamase inhibitors presently available and which do not require a  $\beta$ -lactam pharmacophore. The invention also provides pharmaceutical compns. and methods for inhibiting bacterial growth. Preparation of compds. I is described. E.g., a 4-step synthesis of sodium salt of II which showed IC<sub>50</sub> of 622  $\mu$ M against  $\beta$ -lactamase, was given.

IT 318460-62-7P 318460-64-9P 318463-03-5P  
 318463-04-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of sulfonamidomethyl and carboxamidomethyl phosphonate  $\beta$ -lactamase inhibitors and their antibacterial use)

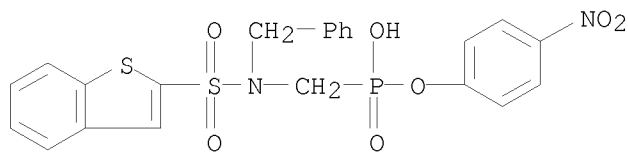
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CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)

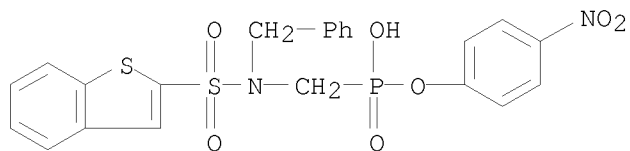


RN 318460-64-9 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)

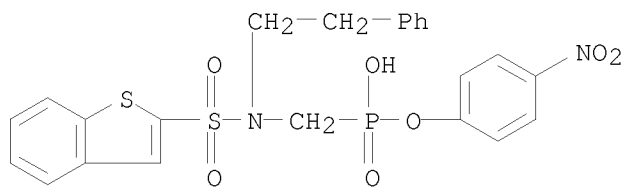


RN 318463-03-5 CAPLUS  
 CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)



● NH<sub>3</sub>

RN 318463-04-6 CAPLUS  
 CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl)(2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)



● NH<sub>3</sub>

RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2004:120574 CAPLUS  
 DN 140:181318  
 TI Preparation of sulfonamidomethyl and carboxamidomethyl phosphonate inhibitors of  $\beta$ -lactamase  
 IN Besterman, Jeffrey M.; Rahil, Jubrail; Vaisburg, Arkadii  
 PA Methylgene, Inc., Can.  
 SO U.S. Pat. Appl. Publ., 96 pp., Cont.-in-part of U.S. Ser. No. 266,213. CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 4

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US 7259172	B2	20070821		
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			US 2004-884435	A3 20040702

PATENT FAMILY INFORMATION:

FAN 2001:31512

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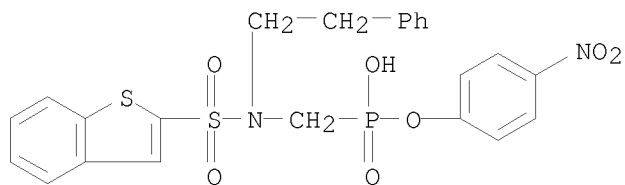
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EP 1194436	A1	20020410	EP 2000-943381		20000705
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			US 2003-411484	A1	20030408
AU 2003295638	A1	20040618	AU 2003-295638		20031119

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				WO 2003-US36929	W	20031119
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				US 2003-411484	A2	20030408
				WO 2003-US36929	W	20031119
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				US 1999-142362P	P	19990706
				US 2000-610456	A2	20000705
				US 2002-266213	A2	20021008
	US 20040082546	A1	20040429	US 2003-411484		20030408
	US 6921756	B2	20050726			
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				US 2003-411484	A1	20030408
OS	MARPAT 140:181318					
AB	The intention relates to bacterial antibiotic resistance and, in particular, to compns. and methods for overcoming bacterial antibiotic resistance. The invention provides novel $\beta$ -lactamase inhibitors I [R1 = (un)substituted (hetero)aryl; Z = C, CH2, S; n = 0-2 when Z = S; n = 1 when Z = C; n = 0 when Z = CH2; L = alkyl, alkoxy, CO, C(:NOMe); R2 = H, alkyl, cycloalkyl, etc.; R3 = H, alkyl, aryl, etc.; R4 = OH, F, SR7, N(R7)2; R5 = F, OR6, SR7, N(R7)2; R6 = H, alkyl, cycloalkyl, etc.; R7 = H, alkyl, cycloalkyl, etc.; with the provisos] which are structurally unrelated to the natural product and semi-synthetic $\beta$ -lactamase inhibitors presently available and which do not require a $\beta$ -lactam pharmacophore. The invention also provides pharmaceutical compns. and methods for inhibiting bacterial growth. Preparation of compds. I is described. E.g., a 4-step synthesis of sodium salt of II which showed IC50 of 622 $\mu$ M against $\beta$ -lactamase, was given.					
IT	318460-62-7P 318460-64-9P 318463-03-5P 318463-04-6P					
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)					

(preparation of sulfonamidomethyl and carboxamidomethyl phosphonate  
 $\beta$ -lactamase inhibitors and their antibacterial use)

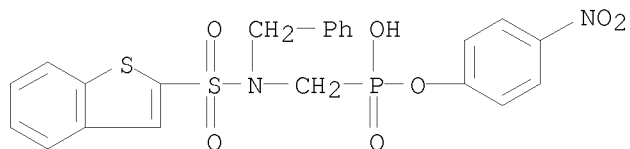
RN 318460-62-7 CAPLUS

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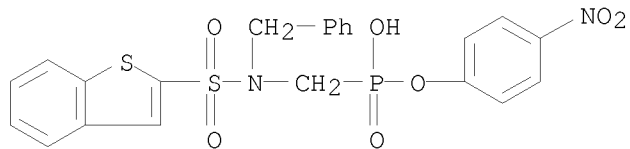
RN 318460-64-9 CAPLUS

CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)



RN 318463-03-5 CAPLUS

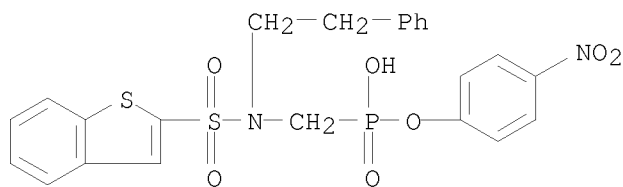
CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)



● NH<sub>3</sub>

RN 318463-04-6 CAPLUS

CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl)(2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)



● NH<sub>3</sub>

RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2001:31512 CAPLUS  
DN 134:95480  
TI Sulfonamidomethyl phosphonate inhibitors of  $\beta$ -lactamase  
IN Besterman, Jeffrey M.; Delorme, Daniel; Rahil, Jubrail  
PA Methylgene Inc., Can.  
SO PCT Int. Appl., 95 pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 4

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PI	WO 2001002411	A1	20010111	WO 2000-US18344	20000705
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AU 770599	B2	20040226	AU 2000-57858	20000705	
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AT 311397	T	20051215	AT 2000-943381	20000705	
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ES 2250150	T3	20060416	ES 2000-943381	20000705	

MX 2002PA00246	A	20030820	US 1999-142362P	P	19990706
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FAN 2004:120574

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PI	US 20040029836	A1	20040212	US 2002-302124	20021122
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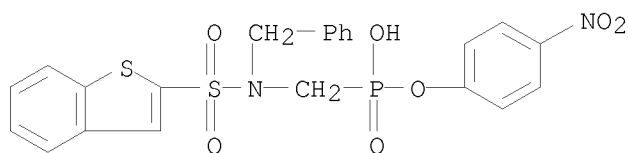
OS MARPAT 134:95480

AB The intention relates to bacterial antibiotic resistance and, in particular, to compns. and methods for overcoming bacterial antibiotic resistance. The invention provides novel  $\beta$ -lactamase inhibitors which are structurally unrelated to the natural product and semi-synthetic  $\beta$ -lactamase inhibitors presently available and which do not require a  $\beta$ -lactam pharmacophore. The invention also provides pharmaceutical compns. and methods for inhibiting bacterial growth. Preparation of compds. is also described.

IT 318463-03-5P 318463-04-6P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (sulfonamidomethyl phosphonate  $\beta$ -lactamase inhibitor preparation and antibacterial use)

RN 318463-03-5 CAPLUS

CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)

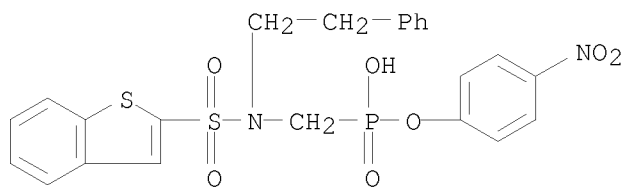


● NH<sub>3</sub>

RN 318463-04-6 CAPLUS

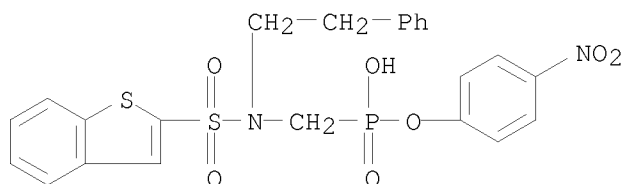
CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl)(2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)



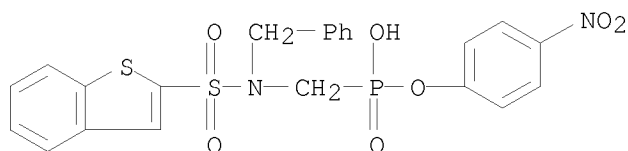


● NH<sub>3</sub>

IT 318460-62-7 318460-64-9  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (sulfonamidomethyl phosphonate  $\beta$ -lactamase inhibitor preparation and antibacterial use)  
 RN 318460-62-7 CAPLUS  
 CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl](2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)



RN 318460-64-9 CAPLUS  
 CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl](phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 1997:134849 CAPLUS  
 DN 126:157509  
 OREF 126:30463a,30466a  
 TI Preparation of substituted (sulfinic acid, sulfonic acid, sulfonylamino or sulfinylamino) N-[(aminoiminomethyl)phenylalkyl]azaheterocyclylamide compounds as Factor Xa inhibitors  
 IN Ewing, William R.; Becker, Michael R.; Pauls, Henry W.; Cheney, Daniel L.; Mason, Jonathan Stephen; Spada, Alfred P.; Choi-Sledeski, Yong Mi

PA Rhone-Poulenc Rorer Pharmaceuticals Inc., USA  
 SO PCT Int. Appl., 272 pp.  
 CODEN: PIXXD2  
 DT Patent  
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 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9640679	A1	19961219	WO 1996-US9816	19960607
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	BG 63628	B1	20020731	BG 1998-102162	19980106
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	US 6034093	A	20000307	US 1998-130336	19980806
				US 1995-481024	A2 19950607
				WO 1996-US9816	A2 19960607
				US 1996-761414	A2 19961206
				US 1997-976034	A2 19971121
				WO 1997-US22414	A2 19971201

PATENT FAMILY INFORMATION:

FAN 1998:192127

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5731315	A	19980324	US 1996-761414	19961206
				US 1995-481024	A2 19950607

US 5612353	A	19970318	US 1995-481024	19950607
CA 2223403	A1	19961219	CA 1996-2223403	19960607
CA 2223403	C	20020423		
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CN 1190395	A	19980812	CN 1996-194489	19960607
			US 1995-481024	A 19950607
HU 9801882	A2	19981228	HU 1998-1882	19960607
HU 9801882	A3	19990128		
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			US 1996-761414	A 19961206
CA 2245699	A1	19980611	CA 1997-2245699	19971201
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LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL,				
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UZ, VN, YU, ZW				
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GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,				
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			US 1996-761414	A2 19961206
AU 9860121	A	19980629	AU 1998-60121	19971201
AU 727810	B2	20001221		
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			WO 1997-US22414	W 19971201
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CN 1213370	A	19990407	CN 1997-192888	19971201
CN 1093856	C	20021106		
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BR 9707489	A	19990727	BR 1997-7489	19971201
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			US 1995-481024	A2 19950607
			WO 1996-US9816	A2 19960607
			US 1996-761414	A2 19961206
			US 1997-976034	A2 19971121
			WO 1997-US22414	A2 19971201
CN 1418882	A	20030521	CN 2002-103157	20020201
			US 1996-761414	A 19961206

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				US 1996-761414	A2 19961206
OS	MARPAT 126:157509				
AB	<p>About 165 title compds. I [R = H, alkyl, aralkyl, hydroxyalkyl; R1 = H, R3S(O)p, R3R4NS(O)p; R2 = H, alkyl, aralkyl; R3 = alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, aralkyl; RR3 = 5-7 membered ring; R4 = alkyl, cycloalkyl, aryl, heteroaryl; R3R4N = 4-7 membered heterocyclyl; X1, X1' = H, alkyl, aryl, aralkyl, etc.; X1X1' = oxo; X2, X2' = H; X2X2' = O; X4 = H, alkyl, aralkyl, hydroxyalkyl; X5, X5' = H; X5X5' = NR5; R5 = H, R6O2C, R6O, cyano, R6CO, alkyl, NO2, etc.; X6, X6' = H, R7R8N, R9O, R7R8NCO, R7R8NSO2, etc.; R7, R8 = H, alkyl; R9 = H, alkyl, acyl, etc.; m = 0-3; n = 1-3; p = 1, 2] were prepared I are inhibitors of the activity of Factor Xa. E.g., 7-hydroxynaphthalene-2-sulfonic acid Na salt was methylated with di-Me sulfate/NaOH, treated with phosphorus oxychloride/PCl5, and reacted with 3-(3S-amino-2-oxopyrrolidin-1-ylmethyl)benzonitrile hydrochloride to give 7-hydroxynaphthalene-2-sulfonic acid {1-[3-(aminoiminomethyl)benzyl]-2-oxopyrrolidin-3(S)-yl}amide trifluoroacetate. In a test of Factor Xa inhibition, the last had a Ki value of 35 nM.</p>				
IT	186549-38-2P				
	<p>RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)</p> <p>(preparation of substituted (sulfinic acid, sulfonic acid, sulfonylamino or sulfinylamino) N-[(aminoiminomethyl)phenylalkyl]azaheterocyclylamide compds. as Factor Xa inhibitors)</p>				
RN	186549-38-2	CAPLUS			

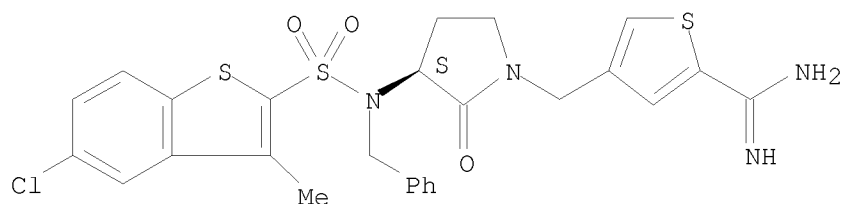
CN 2-Thiophenecarboximidamide, 4-[[[(3S)-3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](phenylmethyl)amino]-2-oxo-1-pyrrolidinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 186549-37-1

CMF C26 H25 Cl N4 O3 S3

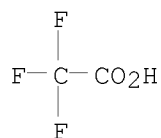
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



IT 186552-21-6P

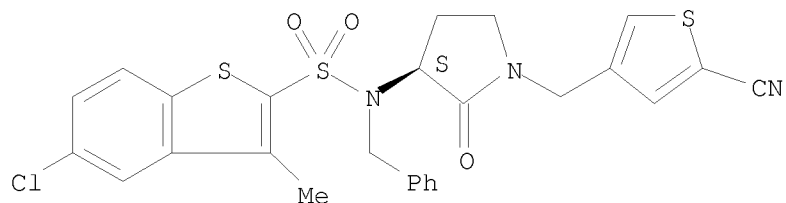
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted (sulfinic acid, sulfonic acid, sulfonylamino or sulfinylamino) N-[(aminoiminomethyl)phenylalkyl]azaheterocyclamide compds. as Factor Xa inhibitors)

RN 186552-21-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[(3S)-1-[(5-cyano-3-thienyl)methyl]-2-oxo-3-pyrrolidinyl]-3-methyl-N-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.



=> log y

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	97.60	1732.53
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-8.80	-131.20

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